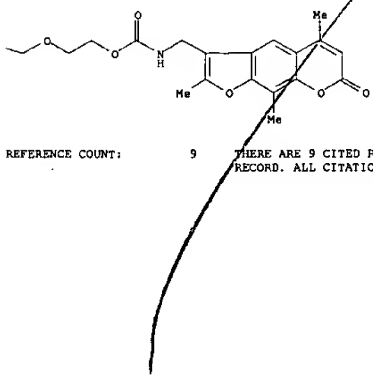
=> d ibib ab hitstr 1-69 112

L16 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2003 ACS

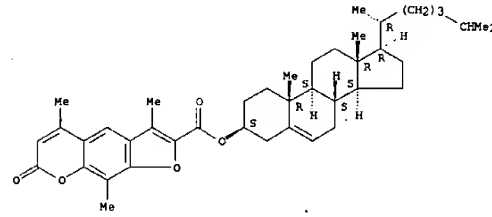
ACCESSION NUMBER: 1999:365048 CAPLUS
DOCUMENT NUMBER: 131:170527
TITLE: Cholesteryl esters of furocoumarin and coumarin carboxylic acids
AUTHOR(S): Traven, Valery F.; Tolmachev, Alexander Yu.; Podhaluzina, Natalja Ya.; Kanevskii, Dmitrii S.
CORPORATE SOURCE: Department of Organic Chemistry, D.Mendelecv University of Chemical Technology of Russia, Moscow, 125047, Russia
SOURCE: Heterocyclic Communications (1999), 5(2), 183-187
CODEN: HCOMEX; ISSN: 0793-0283
PUBLISHER: Freund Publishing House Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Cholesteryl esters of angelicin and psoralen carboxylic acids have been prepd. by condensation of o-acetyl(hydroxy)coumarins with cholesteryl chloroacetate in acetonitrile in presence of potassium carbonate. Attempts to prep. these esters starting from furocoumarin carboxylic acids were unsuccessful. Cholesteryl ester of 2-(4-methyl-7-coumarinyloxy)butanoic acid has been prepd. via alkylation of the acid by cholesteryl tosylate. The prepd. cholesteryl esters form thin films suitable for the Langmuir technol.

IT **239082-83-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of cholesteryl esters of furocoumarin and coumarin carboxylic acids)

RN 239082-83-8 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, 3,5,9-trimethyl-7-oxo-7H-furo[3,2-g][1]benzopyran-2-carboxylate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d all 1-2

L17 ANSWER 1 OF 2 SCISEARCH COPYRIGHT 2003 ISI (R)
AN 1999:394033 SCISEARCH
GA The Genuine Article (R) Number: 196XC
TI Cholesteryl esters of furocoumarin and coumarin carboxylic acids
AU **Traven V F (Reprint)**; **Tolmachev A Y**; Podhaluzina N Y; Kanevskii D S
CS D MENDELEEV UNIV CHEM TECHNOL RUSSIA, DEPT ORGAN CHEM, MOSCOW 125047, RUSSIA (Reprint)
CYA RUSSIA
SO HETEROCYCLIC COMMUNICATIONS, (**APR 1999**) Vol. **5**, No. 2, pp. 183-187.
Publisher: FREUND PUBLISHING HOUSE LTD, STE 500, CHESHAM HOUSE, 150 REGENT ST, LONDON W1R 5FA, ENGLAND.
ISSN: 0793-0283.
DT Article; Journal
FS PHYS
LA English
REC Reference Count: 11
AB Cholesteryl esters of angelicin and psoralen carboxylic acids have been prepared by condensation of o-acetyl(hydroxy)coumarins with cholesteryl chloroacetate in acetonitrile in presence of potassium carbonate. Attempts to prepare these esters starting from furocoumarin carboxylic acids turned to be unsuccessful. Cholesteryl ester of 2-(4-methyl-7-coumarinyloxy)butanoic acid has been prepared via alkylation of the acid by cholesteryl tosylate. The resulted cholesteryl esters form thin films fitted for the Langmuir technology.
CC CHEMISTRY, ORGANIC
RE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)
BESSON T	1991	28	1517	J HETEROCYCLIC CHEM
BLINOV L M	1983	52	1263	USP KHIM+
BLINOV L M	1988	43	155	USPEHI FIZICHESKIH N
GNANAGURU K	1985	50	2337	J ORG CHEM
MASSARANI E	1963	18	254	FARMACO
MURRAY R D H	1982			NATURAL COUMARINS OC
SALVI V A	1968	45	439	J INDIAN CHEM SOC
SHAMSHURIN A A	1962		86	IZV AKAD NAUK MOLDAV
THAKAR K A	1977	46	810	CURR SCI
THAKER N N	1981	20	560	INDIAN J CHEM A
WOODS L L	1962	27	3703	J ORG CHEM

L17 ANSWER 2 OF 2 SCISEARCH COPYRIGHT 2003 ISI (R)
AN 1999:263735 SCISEARCH
GA The Genuine Article (R) Number: 181EM
TI New ways of lactone ring shortening and cyclopropanation in coumarin derivatives
AU **Traven V F (Reprint)**; **Tolmachev A Y**; Podhaluzina N Y; Kanevskii D S; Solovieva N P
CS D MENDELEEV UNIV CHEM TECHNOL RUSSIA, DEPT ORGAN CHEM, MOSCOW 125047, RUSSIA (Reprint)
CYA RUSSIA
SO HETEROCYCLIC COMMUNICATIONS, (**19 MAR 1999**) Vol. **5**, No. 1, pp. 69-76.
Publisher: FREUND PUBLISHING HOUSE LTD, STE 500, CHESHAM HOUSE, 150 REGENT ST, LONDON W1R 5FA, ENGLAND.
ISSN: 0793-0283.
DT Article; Journal
FS PHYS
LA English
REC Reference Count: 13
AB Depending on their structures, substituted 3-carboethoxycoumarins react with 4-methylphenacylbromide in presence of potassium carbonate (solvent - acetonitrile) via lactone ring shortening (with formation of corresponding benzofuran derivatives) or via lactone ring cyclopropanation (with formation of condensed cyclopropane derivatives of coumarin).
CC CHEMISTRY, ORGANIC
RE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)
ANON		3	209	ORG SYNTHESES COLL
BOJILOVA A	1989	19	2963	SYNTHETIC COMMUN
DARBARWAR M	1982	5	337	SYNTHESIS-STUTTGART
DULENKO V I	1979		92	KHIM GETEROTSIKL
ELDERFIELD R C	1951	2	5	HETEROCYCL COMPOUNDS
FALL Y	1995	41	647	HETEROCYCLES
IVANOV C	1986	16	1679	SYNTHETIC COMMUN
REZINGER G E	1967		159	CHEM IND-LONDON
SAMMOUR A	1974	82	369	ACTA CHIM HUNG
TRAVEN V F	1996	2	345	HETEROCYCL COMMUN
TRAVEN V F	1997	3	339	HETEROCYCL COMMUN
WAWZONEK S	1960	82	439	J AM CHEM SOC
WIDMAN O	1918	51	1210	BER

```
=> d his

     (FILE 'HOME' ENTERED AT 11:07:01 ON 21 FEB 2003)

     FILE 'REGISTRY' ENTERED AT 11:07:07 ON 21 FEB 2003
L1           175 S PSORALEN
L2          2408 S SPERMIDINE?
L3           187 S PSORALEN?
L4           261 S SPERMINE?
L5            28 S POLYLYSINE?
L6           382 S PROTAMINE?
L7          3193 S L1 OR L2 OR L3 OR L4 OR L5 OR L6
L8                STRUCTURE UPLOADED
L9              0 S L8 SAM SUB=L3
L10             0 S L8 FULL SUB=L3
L11             0 S L8  SUB=L7 SAM
L12             2 S L8 FULL SUB=L7
L13               STRUCTURE UPLOADED
L14             0 S L13
L15             9 S L13 FULL

     FILE 'CAPLUS' ENTERED AT 11:16:01 ON 21 FEB 2003
L16             3 S L15

     FILE 'SCISEARCH' ENTERED AT 11:17:57 ON 21 FEB 2003
L17             2 S TRAVEN?/AU AND TOLMACHEV?/AU AND 1999/PY AND 5/SO

     FILE 'USPATFULL' ENTERED AT 11:20:49 ON 21 FEB 2003
L18             0 S L15

     FILE 'MARPAT' ENTERED AT 11:29:25 ON 21 FEB 2003
L19             0 S L15
L20             0 S L15 FULL

=> d ibib ab hitstr 1-30
```

L28 ANSWER 1 OF 30 USPATFULL

ACCESSION NUMBER: 1998:61827 USPATFULL
TITLE: Bone resorption inhibition/osteogenesis promotion compound
INVENTOR(S): Zheng, Hu, Chengdu, China
Weng, Lingling, Chengdu, China
PATENT ASSIGNEE(S): Iskra Industry Co., Ltd., Tokyo, Japan (non-U.S. corporation)
Institute of Pharmacology, West China Univ. of Medical Sciences, Sichuan Province, China (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5760214		19980602	
	WO 9421667		19940929	
APPLICATION INFO.:	US 1995-338505		19950301	(8)
	WO 1994-JP489		19940325	
			19950301	PCT 371 date
			19950301	PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1993-355404	19931229

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Ford, John M.
LEGAL REPRESENTATIVE: Foley & Lardner
NUMBER OF CLAIMS: 10
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 12 Drawing Figure(s); 3 Drawing Page(s)
LINE COUNT: 1045
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A compound represented by the following formula (I):

X--Y--Z (I)

[where Y is represented by the following formula (III): ##STR1## X is a monovalent group of a tetracycline type compound, and Z is a monovalent group of a steroid type compound such as estrogen]. The compound can concentrate on the bone tissue and has a bone resorption inhibition/ossification promotion functions.

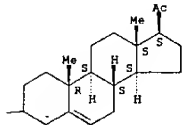
IT **160912-26-5P 160912-27-6P 160912-36-7P**
160912-37-8P
(prepn. of tetracycline moiety-contg. steroids for inhibiting bone resorption and accelerating osteogenesis)

RN 160912-26-5 USPATFULL
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,6,10,12,12a-pentahydroxy-N-[[[2-[(17-hydroxy-20-oxopregn-4-en-3-yl)oxy]ethyl]amino]methyl]-6-methyl-1,11-dioxo-, [4S-(4.alpha.,4a.alpha.,5a.alpha.,6.beta.,12a.alpha.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L28 ANSWER 1 OF 30 USPATFULL (Continued)

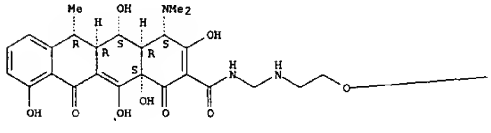
PAGE 1-B



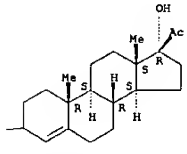
RN 160912-36-7 USPATFULL
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,5,10,12,12a-pentahydroxy-N-[[[2-[(17-hydroxy-20-oxopregn-4-en-3-yl)oxy]ethyl]amino]methyl]-6-methyl-1,11-dioxo-, [4S-(4.alpha.,4a.alpha.,5.alpha.,5a.alpha.,6.alpha.,12a.alpha.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



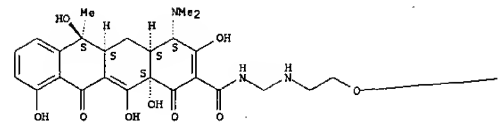
PAGE 1-B



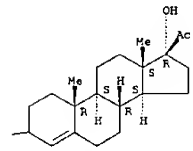
RN 160912-37-8 USPATFULL
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-N-[[[2-[(3,20-dioxopregn-4-en-6-yl)methoxy]ethyl]amino]methyl]-1,4,4a,5,5a,6,11,12a-octahydro-

L28 ANSWER 1 OF 30 USPATFULL (Continued)

PAGE 1-A



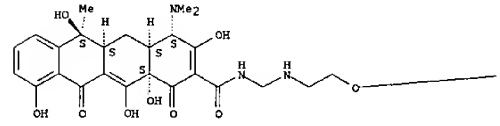
PAGE 1-B



RN 160912-27-6 USPATFULL
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,6,10,12,12a-pentahydroxy-6-methyl-1,11-dioxo-N-[[[2-[(20-oxopregn-5-en-3-yl)oxy]ethyl]amino]methyl]-, [4S-(4.alpha.,4a.alpha.,5a.alpha.,6.beta.,12a.alpha.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

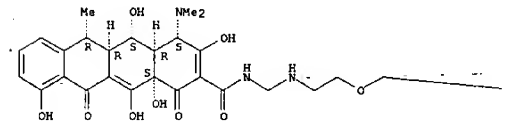


L28 ANSWER 1 OF 30 USPATFULL (Continued)

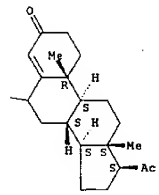
3,5,10,12,12a-pentahydroxy-6-methyl-1,11-dioxo-, [4S-(4.alpha.,4a.alpha.,5.alpha.,5a.alpha.,6.alpha.,12a.alpha.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



L28 ANSWER 2 OF 30 USPATFULL

ACCESSION NUMBER:	97:118034 USPATFULL		
TITLE:	Bone resorption inhibition/osteogenesis promotion pharmaceutical composition		
INVENTOR(S):	Zheng, Hu, Chengdu, China Weng, Lingling, Chengdu, China		
PATENT ASSIGNEE(S):	Iskra Industry Co., Ltd., Tokyo, Japan (non-U.S. corporation) Institute of Pharmacology, West China Univ. of Medical Sciences, Chengdu, China (non-U.S. corporation)		

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5698542		19971216	
	WO 9518141		19950706	
APPLICATION INFO.:	US 1995-507382		19950829	(8)
	WO 1994-JP2303		19941228	
			19950829	PCT 371 date
			19950829	PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1993-355404	19931229

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Criares, Theodore J.
LEGAL REPRESENTATIVE:	Foley & Lardner
NUMBER OF CLAIMS:	10
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	16 Drawing Figure(s); 7 Drawing Page(s)
LINE COUNT:	1672

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A pharmaceutical composition comprising a compound represented by the following formula (I):

X--Y--Z (I)

[where Y is represented by the following formula (III): ##STR1## X is a monovalent group of a tetracycline type compound, and Z is a monovalent group of asteroid type compound such as estrogen].

The compound can concentrate on the bone tissue and has a bone resorption inhibition/ossification promotion functions.

IT **160912-26-5P 160912-27-6P 160912-36-7P 160912-37-8P**
(prepn. of tetracycline moiety-contg. steroids for inhibiting bone resorption and accelerating osteogenesis)

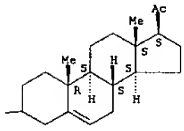
RN 160912-26-5 USPATFULL

CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,6,10,12,12a-pentahydroxy-N-[[[2-[(17-hydroxy-20-oxopregn-4-en-3-yl)oxy]ethyl]amino]methyl]-6-methyl-1,11-dioxo-, [4S-(4.alpha.,4a.alpha.,5a.alpha.,6.beta.,12a.alpha.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L28 ANSWER 2 OF 30 USPATFULL (Continued)

PAGE 1-B

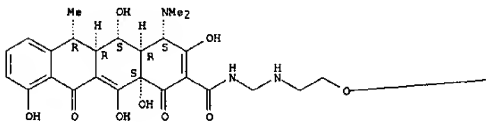


RN 160912-36-7 USPATFULL

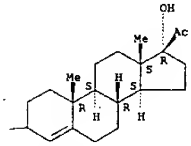
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,5,10,12,12a-pentahydroxy-N-[[[2-[(17-hydroxy-20-oxopregn-4-en-3-yl)oxy]ethyl]amino]methyl]-6-methyl-1,11-dioxo-, [4S-(4.alpha.,4a.alpha.,5.alpha.,5a.alpha.,6.alpha.,12a.alpha.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

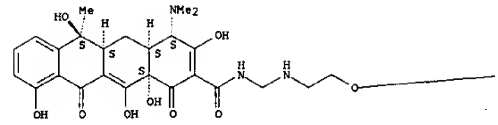


RN 160912-37-8 USPATFULL

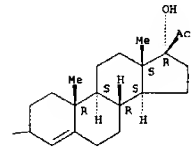
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-N-[[[2-[(3,20-dioxopregn-4-en-6-yl)methoxy]ethyl]amino]methyl]-1,4,4a,5,5a,6,11,12a-octahydro-

L28 ANSWER 2 OF 30 USPATFULL (Continued)

PAGE 1-A



PAGE 1-B

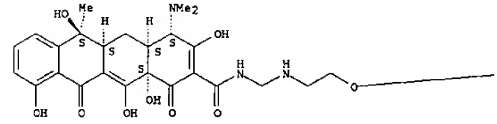


RN 160912-27-6 USPATFULL

CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,6,10,12,12a-pentahydroxy-6-methyl-1,11-dioxo-N-[[[2-[(20-oxopregn-5-en-3-yl)oxy]ethyl]amino]methyl]-, [4S-(4.alpha.,4a.alpha.,5a.alpha.,6.beta.,12a.alpha.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

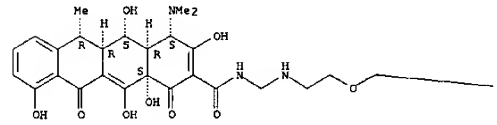


L28 ANSWER 2 OF 30 USPATFULL (Continued)

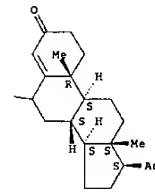
3,5,10,12,12a-pentahydroxy-6-methyl-1,11-dioxo-, [4S-(4.alpha.,4a.alpha.,5.alpha.,5a.alpha.,6.alpha.,12a.alpha.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



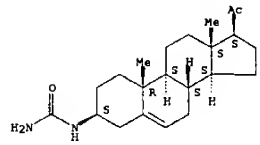
L28 ANSWER 3 OF 30 USPATFULL
ACCESSION NUMBER: 97:94225 USPATFULL
TITLE: Derivatives of estra 1,3,5(10)triene-17-one,3-amino compounds and their use
INVENTOR(S): Li, Pui-Kai, Library, PA, United States
Selcer, Kyle W., Export, PA, United States
PATENT ASSIGNEE(S): Duquesne University of the Holy Ghost, Pittsburgh, PA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5677292		19971014
APPLICATION INFO.:	US 1996-607797		19960227 (8)
RELATED APPLN. INFO.:	Division of Ser. No. US 1994-341410, filed on 17 Nov 1994		

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Reamer, James H.
LEGAL REPRESENTATIVE: Eckert Seamans Cherin & Mellott
NUMBER OF CLAIMS: 38
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 6 Drawing Figure(s); 4 Drawing Page(s)
LINE COUNT: 1007
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention discloses compounds useful as steroid sulfatase inhibitors. The compounds comprise the formula (1) ##STR1## wherein (a) R is selected from the group consisting of hydrogen, a lower alkyl group, an alkoxy group, halogen, NH.sub.2, NO.sub.2, C.tbd.N and N.dbd.C.dbd.S; and (b) the ring system ABCD is a steroid nucleus selected from the group consisting of estrone, dehydroepiandrosterone, estradiols, estradiolesters, pregnenolone, substituted estrones, substituted dehydroepiandrosterones, substituted estradiols, substituted estradiolesters and substituted pregnenolone. The compounds also comprise the formula (5) ##STR2## wherein (a) R.sub.1 is hydrogen and R.sub.2 is selected from the group consisting of SO.sub.2 CF.sub.3, SO.sub.2 NH.sub.2, SO.sub.2 (C.sub.1 -C.sub.6 -alkyl), COCF.sub.3, CONH.sub.2, CO(C.sub.1 -C.sub.6 -alkyl); and (b) the ring system ABCD is a steroid nucleus selected from the group consisting of estrone, dehydroepiandrosterone, estradiol, estradiolester, pregnenolone, substituted estrones, substituted dehydroepiandrosterone, substituted estradiols, substituted estradiolesters and substituted pregnenolone. The invention also discloses methods of treating a patient therapeutically and prophylactically for estrogen dependent diseases with the compounds of this invention.
IT **183744-07-2P**
(prepn. of estra-1,3,5(10)-trien-17-ones and 3-amino steroids as estrone sulfatase inhibitors)
RN 183744-07-2 USPATFULL
CN Urea, [(3.beta.)-20-oxopregn-5-en-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L28 ANSWER 3 OF 30 USPATFULL (Continued)

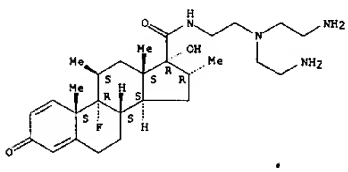


L28 ANSWER 4 OF 30 USPATFULL
ACCESSION NUMBER: 97:47392 USPATFULL
TITLE: Amphipathic, micellar delivery systems for biologically active polyions
INVENTOR(S): Wolff, Jon A., 1122 University Bay Dr., Madison, WI, United States 53705
Budker, Vladimir, 204 N. Segoe Rd. #513, Madison, WI, United States 53705
Gurevich, Vladimir, 2113 E. Johnson St., Madison, WI, United States 53704

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5635487		19970603
APPLICATION INFO.:	US 1994-368150		19941229 (8)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Stone, Jacqueline M.
ASSISTANT EXAMINER: Twomey, Patrick
LEGAL REPRESENTATIVE: Dressler, Rockey, Milnamow & Katz, Ltd.
NUMBER OF CLAIMS: 5
EXEMPLARY CLAIM: 1
LINE COUNT: 1186
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention provides a composition comprising a population of micelles wherein each micelle comprises at least one amphipathic compound layer that surrounds a non-aqueous core that contains a polyion. Also provided are a method of preparing such a composition and the uses of such compositions for delivering biologically active polyions to cells.
IT **191990-42-8P**
(prepn. of glycolipid amphipathic micellar delivery systems for DNA and RNA biol. active polyions)
RN 191990-42-8 USPATFULL
CN Androsta-1,4-diene-17-carboxamide, N-[2-[bis(2-aminoethyl)amino]ethyl]-9-fluoro-17-hydroxy-11,16-dimethyl-3-oxo-, (11.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 5 OF 30 USPATFULL
ACCESSION NUMBER: 97:27160 USPATFULL
TITLE: Glucocorticoids
INVENTOR(S): Zentel, Hans J., Berlin, Germany, Federal Republic of
Topert, Michael, Berlin, Germany, Federal Republic of
Laurent, Henry, Berlin, Germany, Federal Republic of
Brumby, Thomas, Berlin, Germany, Federal Republic of
Esperling, Peter, Berlin, Germany, Federal Republic of
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Berlin, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5616573		19970401
	WO 9422898		19941013
APPLICATION INFO.:	US 1995-530352		19951006 (8)
	WO 1994-EP937		19940324
			19951006 PCT 371 date
			19951006 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1993-4311987	19930407

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Prior, Kimberly J.
LEGAL REPRESENTATIVE: Millen, White, Zelano, & Branigan, P.C.
NUMBER OF CLAIMS: 6
EXEMPLARY CLAIM: 1
LINE COUNT: 1272
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Glucocorticoids of general formula I

R--Val--O--GC (II),

are described,

in which

O-GC is the radical of a 21-hydroxycorticoid that has an antiinflammatory action,

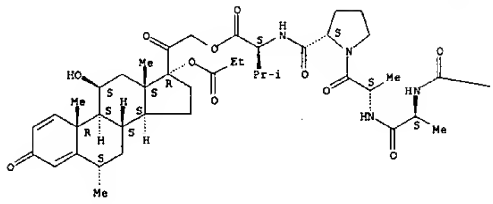
Val represents a valine radical in the 21-position of the corticoid and

R means a hydrogen atom or a hydrocarbon radical with up to 32 carbon atoms that is optionally substituted by hydroxy groups, amino groups, oxo groups and/or halogen atoms and/or interrupted by oxygen atoms, SO.sub.2 groups and/or NH groups and their salts.
IT **161220-36-6P 161220-37-7P 161220-41-3P**
161220-42-4P 161220-49-1P 161220-50-4P
161220-51-5P 161220-52-6P
(prepn. of peptidylglucocorticoids as antiinflammatories)
RN 161220-36-6 USPATFULL
CN L-Valine, N-[1-[N-[N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl]-L-alanyl]-L-prolyl]-, (6.alpha.,11.beta.)-11-hydroxy-6-methyl-3,20-dioxo-17-(1-oxopropoxy)pregna-1,4-dien-21-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L28 ANSWER 5 OF 30 USPATFULL (Continued)

PAGE 1-A



PAGE 1-B

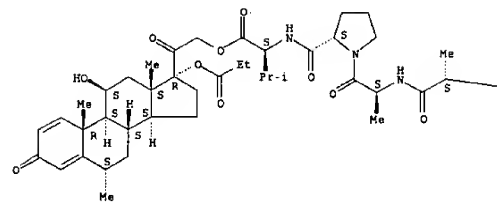
—OBu-t

RN 161220-37-7 USPATFULL
CN L-Valine, N-[1-[N-[N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-alanyl]-L-alanyl]-L-prolyl]-, (6.alpha.,11.beta.)-11-hydroxy-6-methyl-3,20-dioxo-17-(1-oxopropoxy)pregna-1,4-dien-21-yl ester (9CI) (CA INDEX NAME)

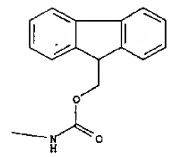
Absolute stereochemistry.

L28 ANSWER 5 OF 30 USPATFULL (Continued)

PAGE 1-A



PAGE 1-B

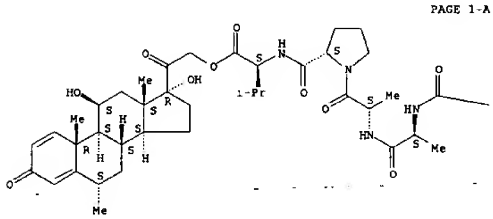


RN 161220-41-3 USPATFULL
CN L-Valine, N-[1-[N-[N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl]-L-alanyl]-L-prolyl]-, (6.alpha.,11.beta.)-11,17-dihydroxy-6-methyl-3,20-dioxopregna-1,4-dien-21-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L28 ANSWER 5 OF 30 USPATFULL (Continued)

PAGE 1-A



PAGE 1-B

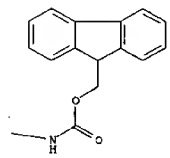
—OBu-t

RN 161220-42-4 USPATFULL
CN L-Valine, N-[1-[N-[N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-alanyl]-L-alanyl]-L-prolyl]-, (6.alpha.,11.beta.)-11,17-dihydroxy-6-methyl-3,20-dioxopregna-1,4-dien-21-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L28 ANSWER 5 OF 30 USPATFULL (Continued)

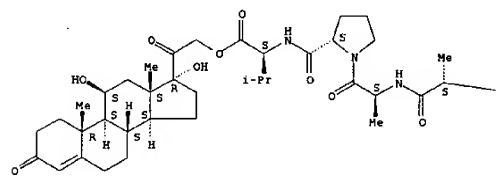
PAGE 1-B



RN 161220-49-1 USPATFULL
CN L-Valine, N-[1-[N-[N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-alanyl]-L-alanyl]-L-prolyl]-, (11.beta.)-11,17-dihydroxy-3,20-dioxopregn-4-en-21-yl ester (9CI) (CA INDEX NAME)

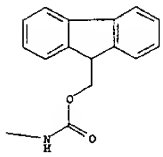
Absolute stereochemistry.

PAGE 1-A



L28 ANSWER 5 OF 30 USPATFULL (Continued)

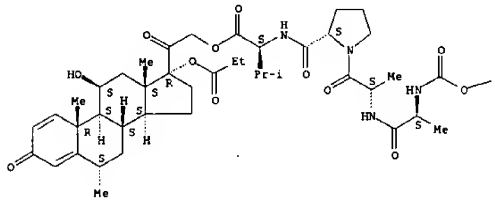
PAGE 1-B



RN 161220-50-4 USPATFULL
CN L-Valine, N-[1-[N-[N-[(phenylmethoxy)carbonyl]-L-alanyl]-L-alanyl]-L-prolyl]-, (6.alpha.,11.beta.)-11-hydroxy-6-methyl-3,20-dioxo-17-(1-oxopropoxy)pregna-1,4-dien-21-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

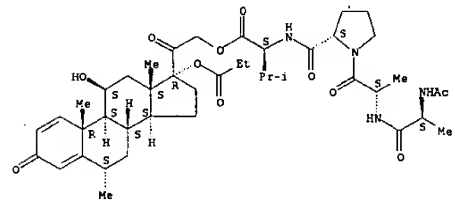


PAGE 1-B

RN 161220-51-5 USPATFULL
CN L-Valine, N-[1-[N-(N-acetyl-L-alanyl)-L-alanyl]-L-prolyl]-,

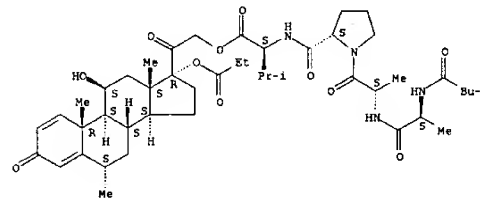
L28 ANSWER 5 OF 30 USPATFULL (Continued)
(6.alpha.,11.beta.)-11-hydroxy-6-methyl-3,20-dioxo-17-(1-oxopropoxy)pregna-1,4-dien-21-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 161220-52-6 USPATFULL
CN L-Valine, N-[1-[N-[N-(1-oxopentyl)-L-alanyl]-L-alanyl]-L-prolyl]-, (6.alpha.,11.beta.)-11-hydroxy-6-methyl-3,20-dioxo-17-(1-oxopropoxy)pregna-1,4-dien-21-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 6 OF 30 USPATFULL

ACCESSION NUMBER:	96:101697 USPATFULL
TITLE:	Derivatives of estra 1,3,5(10)triene-17-one, 3-amino compounds and their use
INVENTOR(S):	Li, Pui-Kai, Library, PA, United States Selcer, Kyle W., Export, PA, United States
PATENT ASSIGNEE(S):	Duquesne University of the Holy Ghost, Pittsburgh, PA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5571933		19961105
APPLICATION INFO.:	US 1994-341410		19941117 (8)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Prior, Kimberly J.
LEGAL REPRESENTATIVE:	Appleman, Jolene W., Silverman, Arnold B.Eckert Seamans Cherin & Mellott
NUMBER OF CLAIMS:	18
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	6 Drawing Figure(s); 4 Drawing Page(s)
LINE COUNT:	885

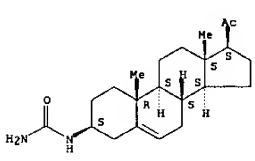
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention discloses compounds useful as steroid inhibitors. The compounds comprise the formula (5) ##STR1## wherein (a) R.sub.1 is hydrogen and R.sub.2 is selected from the group consisting of SO.sub.2 CF.sub.3, SO.sub.2 NH.sub.2, SO.sub.2 (C.sub.1 -C.sub.6 -alkyl), COCF.sub.3, CONH.sub.2, CO(C.sub.1-C.sub.6 -alkyl); and (b) the ring system ABCD is a steroid nucleus selected from the group consisting of estrone, dehydroepiandrosterone, estradiol, estradiolester, pregnenolone, substituted estrones, substituted dehydroepiandrosterone, substituted estradiols, substituted estradiolesters and substituted pregnenolone. Preferably the steroid nucleus is selected from the group consisting of estrone, dehydroepiandrosterone and pregnenolone. The invention also discloses methods of treating a patient therapeutically and prophylactically for estrogen dependent diseases with the compounds of this invention.

IT **183744-07-2P**
(prepn. of estra-1,3,5(10)-trien-17-ones and 3-amino steroids as estrone sulfatase inhibitors)

RN 183744-07-2 USPATFULL
CN Urea, [(3.beta.)-20-oxopregn-5-en-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 7 OF 30 USPATFULL

ACCESSION NUMBER:	96:29681 USPATFULL
TITLE:	Imidazolylpiperazinyl steroids
INVENTOR(S):	McCall, John M., Kalamazoo, MI, United States Ayer, Donald E., Kalamazoo, MI, United States Jacobsen, E. Jon, Plainwell, MI, United States VanDoornik, Frederick J., Hamilton, MI, United States Palmer, John R., Kalamazoo, MI, United States Karnes, Harold A., Kalamazoo, MI, United States
PATENT ASSIGNEE(S):	The Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5506354		19960409
APPLICATION INFO.:	US 1992-984302		19921201 (7)

RELATED APPLN. INFO.:	Division of Ser. No. US 1991-749830, filed on 26 Aug 1991, now patented, Pat. No. US 5175281 which is a division of Ser. No. US 1988-229675, filed on 8 Aug 1988, now patented, Pat. No. US 5099019 which is a continuation-in-part of Ser. No. US 1987-121822, filed on 11 May 1987, now abandoned which is a continuation-in-part of Ser. No. US 1986-888231, filed on 29 Jul 1986, now abandoned which is a continuation-in-part of Ser. No. US 1986-877287, filed on 23 Jun 1986, now abandoned which is a continuation-in-part of Ser. No. US 1985-811058, filed on 19 Dec 1985, now abandoned which is a continuation-in-part of Ser. No. US 1985-775204, filed on 12 Sep 1985, now abandoned
DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Lovering, Richard D.
ASSISTANT EXAMINER:	Scalzo, Catherine
LEGAL REPRESENTATIVE:	Stein, Bruce
NUMBER OF CLAIMS:	4
EXEMPLARY CLAIM:	1
LINE COUNT:	4474

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

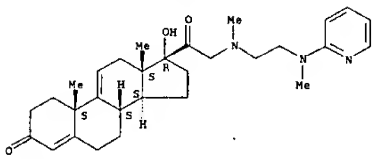
AB Disclosed are amino substituted steroids (XI) which contain an imidazolylpiperazinyl group attached to the terminal carbon atom of the C.sub.17 -side chain which are useful as pharmaceutical agents for treating a number of conditions.

IT **111640-57-4P 111691-71-5P**
(prepn. of, as drug)

RN 111640-57-4 USPATFULL
CN Pregna-4,9(11)-diene-3,20-dione, 17-hydroxy-21-[methyl[2-(methyl-2-pyridinylamino)ethyl]amino]- (9CI) (CA INDEX NAME)

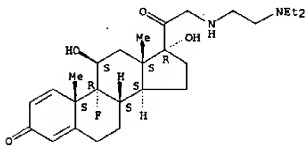
Absolute stereochemistry.

L28 ANSWER 7 OF 30 USPATFULL (Continued)



RN 111691-71-5 USPATFULL
CN Pregna-1,4-diene-3,20-dione, 21-[[2-(diethylamino)ethyl]amino]-9-fluoro-11,17-dihydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 8 OF 30 USPATFULL

ACCESSION NUMBER:	95:89389 USPATFULL
TITLE:	Amines useful in producing pharmaceutically active CNS compounds
INVENTOR(S):	McCall, John M., Kalamazoo, MI, United States Jacobsen, E. Jon, Plainwell, MI, United States
PATENT ASSIGNEE(S):	The Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 35053		19951010	
	US 5099019		19920324	(Original)
APPLICATION INFO.:	US 1992-959310		19921009	(7)
	US 1988-229675		19880808	(Original)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1987-121822, filed on 11 May 1987, now abandoned which is a continuation-in-part of Ser. No. US 1986-888231, filed on 29 Jul 1986, now abandoned which is a continuation-in-part of Ser. No. US 1986-877287, filed on 23 Jun 1986, now abandoned which is a continuation-in-part of Ser. No. US 1985-811058, filed on 19 Dec 1985, now abandoned which is a continuation-in-part of Ser. No. US 1985-775204, filed on 12 Sep 1985, now abandoned

DOCUMENT TYPE:	Reissue
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Ivy, C. Warren
ASSISTANT EXAMINER:	Mach, D. Margaret M.
LEGAL REPRESENTATIVE:	Stein, Bruce
NUMBER OF CLAIMS:	3
EXEMPLARY CLAIM:	1
LINE COUNT:	4308

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

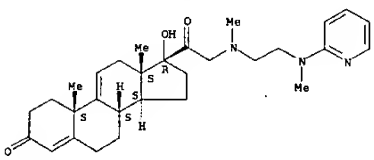
AB Disclosed are .DELTA..sup.9(11) -steroids (VI) and amino substituted steroids (XI) which contain an amino group attached to the terminal carbon atom of the C.sub.17 -side chain, more particularly amino steroids (Ia and Ib), aromatic steroids (II), .DELTA..sup.16 -steroids (IIIa and IIIb), reduced A-ring steroids (IV), .DELTA..sup.17(20) -steroids (Va and Vb) and .DELTA..sup.9(11) -steroids (VI) which are useful as pharmaceutical agents for treating a number of conditions.

IT **111640-57-4P 111691-71-5P**
(prepn. of, as drug)

RN 111640-57-4 USPATFULL
CN Pregna-4,9(11)-diene-3,20-dione, 17-hydroxy-21-[methyl[2-(methyl-2-pyridinylamino)ethyl]amino]- (9CI) (CA INDEX NAME)

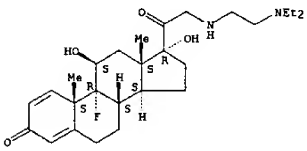
Absolute stereochemistry.

L28 ANSWER 8 OF 30 USPATFULL (Continued)



RN 111691-71-5 USPATFULL
CN Pregna-1,4-diene-3,20-dione, 21-[[2-(diethylamino)ethyl]amino]-9-fluoro-11,17-dihydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 9 OF 30 USPATFULL

ACCESSION NUMBER:	95:6003 USPATFULL
TITLE:	Pyrazinylpiperazinyl steroids
INVENTOR(S):	McCall, John M., Kalamazoo, MI, United States
PATENT ASSIGNEE(S):	The Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5382661		19950117	
APPLICATION INFO.:	US 1992-984298		19921201	(7)

RELATED APPLN. INFO.: Division of Ser. No. US 1991-749830, filed on 26 Aug 1991, now patented, Pat. No. US 5175281 which is a division of Ser. No. US 1988-229675, filed on 8 Aug 1988, now patented, Pat. No. US 5099019 which is a continuation-in-part of Ser. No. US 1987-121822, filed on 11 May 1987, now abandoned which is a continuation-in-part of Ser. No. US 1986-888231, filed on 29 Jul 1986, now abandoned which is a continuation-in-part of Ser. No. US 1986-877287, filed on 23 Jun 1986, now abandoned which is a continuation-in-part of Ser. No. US 1985-811058, filed on 19 Dec 1985, now abandoned which is a continuation-in-part of Ser. No. US 1985-775204, filed on 12 Sep 1985, now abandoned

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Shah, Mukund J.
ASSISTANT EXAMINER:	Sripada, P. K.
LEGAL REPRESENTATIVE:	Stein, Bruce
NUMBER OF CLAIMS:	16
EXEMPLARY CLAIM:	1
LINE COUNT:	4659

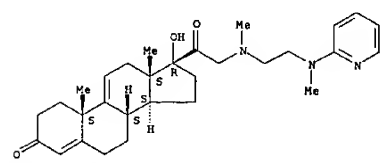
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Disclosed are amino substituted steroids (XI) which contain a pyrazinylpiperazinyl group attached to the terminal carbon atom of the C.sub.17 -side chain which are useful as pharmaceutical agents for treating a number of conditions.

IT **111640-57-4P 111691-71-5P**
(prepn. of, as drug)

RN 111640-57-4 USPATFULL
CN Pregna-4,9(11)-diene-3,20-dione, 17-hydroxy-21-[methyl[2-(methyl-2-pyridinylamino)ethyl]amino]- (9CI) (CA INDEX NAME)

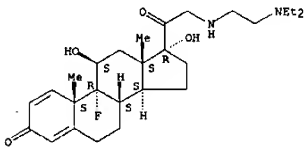
Absolute stereochemistry.



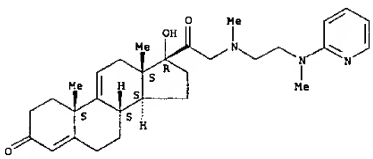
RN 111691-71-5 USPATFULL
CN Pregna-1,4-diene-3,20-dione, 21-[[2-(diethylamino)ethyl]amino]-9-fluoro-

L28 ANSWER 9 OF 30 USPATFULL (Continued)
11,17-dihydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

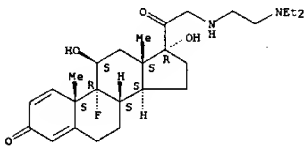


L28 ANSWER 10 OF 30 USPATFULL (Continued)



RN 111691-71-5 USPATFULL
CN Pregna-1,4-diene-3,20-dione, 21-[[2-(diethylamino)ethyl]amino]-9-fluoro-11,17-dihydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 10 OF 30 USPATFULL
ACCESSION NUMBER: 95:3956 USPATFULL
TITLE: Pyridinylpiperazinyl steroids
INVENTOR(S): McCall, John M., Kalamazoo, MI, United States
Ayer, Donald E., Kalamazoo, MI, United States
Jacobsen, E. Jon, Plainwell, MI, United States
VanDoornik, Frederick J., Hamilton, MI, United States
Palmer, John R., Kalamazoo, MI, United States
Karnes, Harold A., Kalamazoo, MI, United States
PATENT ASSIGNEE(S): The Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5380841		19950110
APPLICATION INFO.:	US 1992-984299		19921201 (7)

RELATED APPLN. INFO.: Division of Ser. No. US 1991-749830, filed on 26 Aug 1991, now patented, Pat. No. US 5175281 which is a division of Ser. No. US 1988-229675, filed on 8 Aug 1988, now patented, Pat. No. US 5099019 which is a continuation-in-part of Ser. No. US 1987-121822, filed on 11 May 1987, now abandoned which is a continuation-in-part of Ser. No. US 1986-888231, filed on 29 Jul 1986, now abandoned which is a continuation-in-part of Ser. No. US 1986-877287, filed on 23 Jun 1986, now abandoned which is a continuation-in-part of Ser. No. US 1985-811058, filed on 19 Dec 1985, now abandoned which is a continuation-in-part of Ser. No. US 1985-775204, filed on 12 Sep 1985, now abandoned
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Shah, Mukund J.
ASSISTANT EXAMINER: Sripada, P. K.
LEGAL REPRESENTATIVE: Stein, Bruce
NUMBER OF CLAIMS: 21
EXEMPLARY CLAIM: 1
LINE COUNT: 4724
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Disclosed are amino substituted steroids (XI) which contain a pyridinylpiperazinyl group group attached to the terminal carbon atom of the C.sub.17 -side chain of the steroid which are useful as pharmaceutical agents for treating a number of conditions.
IT **111640-57-4P 111691-71-5P**
(prepn. of, as drug)
RN 111640-57-4 USPATFULL
CN Pregna-4,9(11)-diene-3,20-dione, 17-hydroxy-21-[methyl[2-(methyl-2-pyridinylamino)ethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

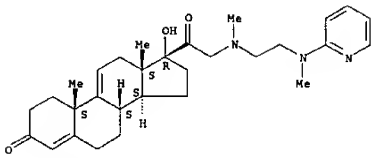
L28 ANSWER 11 OF 30 USPATFULL
ACCESSION NUMBER: 95:3955 USPATFULL
TITLE: Triazinylpiperazinyl steroids
INVENTOR(S): John M. McCall, Kalamazoo, MI, United States
Ayer, Donald E., Kalamazoo, MI, United States
Jacobsen, E. Jon, Plainwell, MI, United States
Van Doornik, Frederick J., Hamilton, MI, United States
Palmer, John R., Kalamazoo, MI, United States
Karnes, Harold A., Kalamazoo, MI, United States
PATENT ASSIGNEE(S): The Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5380840		19950110
APPLICATION INFO.:	US 1992-983084		19921201 (7)

RELATED APPLN. INFO.: Division of Ser. No. US 1991-749830, filed on 26 Aug 1991, now patented, Pat. No. US 5175281 which is a division of Ser. No. US 1988-229675, filed on 8 Aug 1988, now patented, Pat. No. US 5099019 which is a continuation-in-part of Ser. No. US 1987-121822, filed on 11 May 1987, now abandoned which is a continuation-in-part of Ser. No. US 1986-888231, filed on 29 Jul 1986, now abandoned which is a continuation-in-part of Ser. No. US 1986-877287, filed on 23 Jun 1986, now abandoned which is a continuation-in-part of Ser. No. US 1985-811058, filed on 19 Dec 1985, now abandoned which is a continuation-in-part of Ser. No. US 1985-775204, filed on 12 Sep 1985, now abandoned
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Shah, Mukund, J.
ASSISTANT EXAMINER: Sripada, P. K.
LEGAL REPRESENTATIVE: Stein, Bruce
NUMBER OF CLAIMS: 19
EXEMPLARY CLAIM: 1
LINE COUNT: 4698
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Disclosed are amino substituted steroids (XI) which contain 4-[1,3,5-triazin-2-yl]- or 4-[1,2,4-triazin-3-yl]- 1-piperazinyl group attached to the terminal carbon atom of the C.sub.17 -side chain which are useful as pharmaceutical agents for treating a number of conditions.
IT **111640-57-4P 111691-71-5P**
(prepn. of, as drug)
RN 111640-57-4 USPATFULL
CN Pregna-4,9(11)-diene-3,20-dione, 17-hydroxy-21-[methyl[2-(methyl-2-pyridinylamino)ethyl]amino]- (9CI) (CA INDEX NAME)

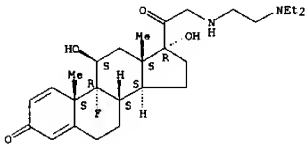
Absolute stereochemistry.

L28 ANSWER 11 OF 30 USPATFULL (Continued)



RN 111691-71-5 USPATFULL
CN Pregna-1,4-diene-3,20-dione, 21-[[2-(diethylamino)ethyl]amino]-9-fluoro-11,17-dihydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 12 OF 30 USPATFULL

ACCESSION NUMBER: 95:3954 USPATFULL
TITLE: Phenylpiperazinyl steroids
INVENTOR(S): McCall, John M., Kalamazoo, MI, United States
Jacobsen, E. Jon, Plainwell, MI, United States
VanDoornik, Frederick J., Hamilton, MI, United States
PATENT ASSIGNEE(S): The Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5380839		19950110
APPLICATION INFO.:	US 1992-983082		19921201 (7)

RELATED APPLN. INFO.: Division of Ser. No. US 1991-749830, filed on 26 Aug 1991, now patented, Pat. No. US 5175281 which is a division of Ser. No. US 1988-229675, filed on 8 Aug 1988, now patented, Pat. No. US 5099019 which is a continuation-in-part of Ser. No. US 1987-121822, filed on 11 May 1987, now abandoned which is a continuation-in-part of Ser. No. US 1986-888231, filed on 29 Jul 1986, now abandoned which is a continuation-in-part of Ser. No. US 1986-877287, filed on 23 Jun 1986, now abandoned which is a continuation-in-part of Ser. No. US 1985-811058, filed on 19 Dec 1985, now abandoned which is a continuation-in-part of Ser. No. US 1985-775204, filed on 12 Sep 1985, now abandoned

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Shah, Mukund J.
ASSISTANT EXAMINER: Sripada, P. K.
LEGAL REPRESENTATIVE: Stein, Bruce
NUMBER OF CLAIMS: 17
EXEMPLARY CLAIM: 1
LINE COUNT: 4603
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

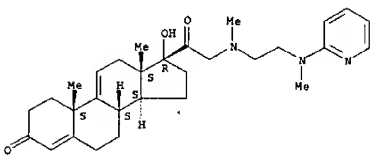
AB Disclosed are amino substituted steroids (XI) which contain a phenylpiperazinyl group attached to the terminal carbon atoms of the C.sub.17 -side chain, which are useful as pharmaceutical agents for treating a number of conditions.

IT **111640-57-4P 111691-71-5P**
(prepn. of, as drug)

RN 111640-57-4 USPATFULL
CN Pregna-4,9(11)-diene-3,20-dione, 17-hydroxy-21-[methyl[2-(methyl-2-pyridinylamino)ethyl]amino]- (9CI) (CA INDEX NAME)

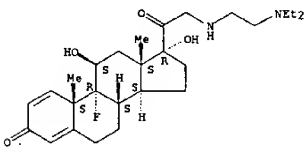
Absolute stereochemistry.

L28 ANSWER 12 OF 30 USPATFULL (Continued)



RN 111691-71-5 USPATFULL
CN Pregna-1,4-diene-3,20-dione, 21-[[2-(diethylamino)ethyl]amino]-9-fluoro-11,17-dihydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 13 OF 30 USPATFULL

ACCESSION NUMBER: 94:75627 USPATFULL
TITLE: Process for the preparation of 17.beta.-substituted-4-aza-5.alpha.-androstan-3-one derivatives
INVENTOR(S): Panzeri, Achille, Merate, Italy
Ceriani, Lucio, Parabiago, Italy
Griggi, Pierluigi, Monza, Italy
Nesi, Marcella, Milan, Italy
PATENT ASSIGNEE(S): Farmitalia Carlo Erba S.R.L., Milan, Italy (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5342948		19940830
APPLICATION INFO.:	US 1993-27164		19930319 (8)
	WO 1992-EP1620		19920716
			19930319 PCT 371 date
			19930319 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1991-15676	19910719

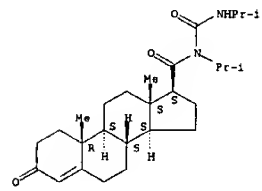
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Daus, Donald G.
LEGAL REPRESENTATIVE: Oblon, Spivak, McClelland, Maier & Neustadt
NUMBER OF CLAIMS: 8
EXEMPLARY CLAIM: 1
LINE COUNT: 507
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The preparation of a compound of formula (I) ##STR1## wherein X is oxygen or sulphur; R.sub.1 is hydrogen or C.sub.1 -C.sub.6 alkyl; each of R.sub.2 and R.sub.3 is, independently, hydrogen, C.sub.1 -C.sub.6 alkyl, C.sub.5 or C.sub.6 cycloalkyl or C.sub.6 -C.sub.9 cycloalkylalkyl; R.sub.4 is hydrogen, C.sub.1 -C.sub.6 alkyl, C.sub.3 - or C.sub.6 cycloalkyl, C.sub.6 -C.sub.9 cycloalkylalkyl or aryl; and the symbol represents a single or a double bond; by a multi-step process is disclosed.

IT **146175-25-9P**
(prepn. and oxidn. of, on prepn. of azaandrostanone deriv.)

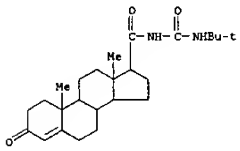
RN 146175-25-9 USPATFULL
CN Androst-4-ene-17-carboxamide, N-(1-methylethyl)-N-[[[1-methylethyl]amino]carbonyl]-3-oxo-, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



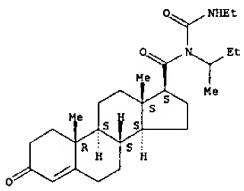
L28 ANSWER 13 OF 30 USPATFULL (Continued)

IT **146175-35-1P 147119-96-8P**
(prepn. of, as intermediate for azaandrostanone deriv.)
RN 146175-35-1 USPATFULL
CN Androst-4-ene-17-carboxamide, N-[[(1,1-dimethylethyl)amino]carbonyl]-3-oxo-, (17.beta.)- (9CI) (CA INDEX NAME)



RN 147119-96-8 USPATFULL
CN Androst-4-ene-17-carboxamide, N-[(ethylamino)carbonyl]-N-(1-methylpropyl)-3-oxo-, (17.beta.)- (9CI) (CA INDEX NAME)

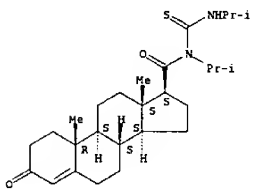
Absolute stereochemistry.



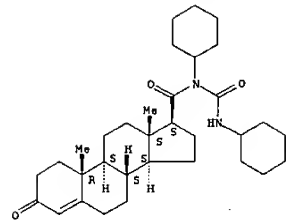
IT **146175-26-0P 146175-27-1P 146175-28-2P**
(prepn. of, as intermediate of azaandrostanone deriv.)
RN 146175-26-0 USPATFULL
CN Androst-4-ene-17-carboxamide, N-cyclohexyl-N-[(cyclohexylamino)carbonyl]-3-oxo-, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L28 ANSWER 13 OF 30 USPATFULL (Continued)

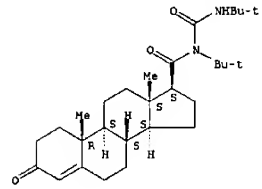


L28 ANSWER 13 OF 30 USPATFULL (Continued)



RN 146175-27-1 USPATFULL
CN Androst-4-ene-17-carboxamide, N-(1,1-dimethylethyl)-N-[[(1,1-dimethylethyl)amino]carbonyl]-3-oxo-, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 146175-28-2 USPATFULL
CN Androst-4-ene-17-carboxamide, N-(1-methylethyl)-N-[[(1-methylethyl)amino]thioxomethyl]-3-oxo-, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L28 ANSWER 14 OF 30 USPATFULL

ACCESSION NUMBER:	94:53543 USPATFULL
TITLE:	Piperazine compounds which are substituted
INVENTOR(S):	McCall, John M., Kalamazoo, MI, United States Jacobsen, E. Jonathan, Plainwell, MI, United States VanDoornik, Frederick J., Hamilton, MI, United States Palmer, John R., Kalamazoo, MI, United States
PATENT ASSIGNEE(S):	The Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5322943		19940621
APPLICATION INFO.:	US 1991-749829		19910826 (7)

RELATED APPLN. INFO.: Division of Ser. No. US 1988-229675, filed on 8 Aug 1988, now patented, Pat. No. US 5099019 which is a continuation-in-part of Ser. No. US 1988-227812, filed on 3 Aug 1988, now abandoned which is a continuation-in-part of Ser. No. US 1987-121822, filed on 11 May 1987, now abandoned which is a continuation-in-part of Ser. No. US 1986-888231, filed on 29 Jul 1986, now abandoned which is a continuation-in-part of Ser. No. US 1986-877287, filed on 23 Jun 1986, now abandoned which is a continuation-in-part of Ser. No. US 1985-811058, filed on 19 Dec 1985, now abandoned which is a continuation-in-part of Ser. No. US 1985-775204, filed on 12 Sep 1985, now abandoned

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Ivy: Warren C.
ASSISTANT EXAMINER:	Davis, Zinna N.
LEGAL REPRESENTATIVE:	Stein, Bruce
NUMBER OF CLAIMS:	3
EXEMPLARY CLAIM:	1
LINE COUNT:	4065

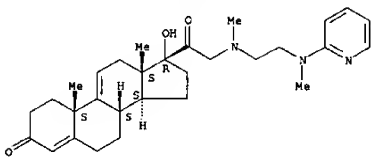
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Disclosed are .DELTA..sup.9(11) -steroids (VI) and amino substituted steroids (XI) which contain an amino group attached to the terminal carbon atom of the C.sub.17 -side chain, more particularly amino steroids (Ia and Ib), aromatic steroids (II), .DELTA..sup.16 -steroids (IIIa and IIIb), reduced A-ring steroids (IV), .DELTA..sup.17(20) -steroids (Va and Vb) and .DELTA..sup.9(11) -steroids (VI) which are useful as pharmaceutical agents for treating a number of conditions.

IT **111640-57-4P 111691-71-5P**
(prepn. of, as drug)
RN 111640-57-4 USPATFULL
CN Pregna-4,9(11)-diene-3,20-dione, 17-hydroxy-21-[methyl[2-(methyl-2-pyridinylamino)ethyl]amino]- (9CI) (CA INDEX NAME)

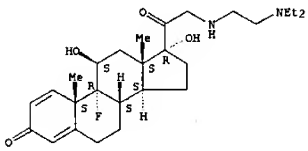
Absolute stereochemistry.

L28 ANSWER 14 OF 30 USPATFULL (Continued)



RN 111691-71-5 USPATFULL
CN Pregna-1,4-diene-3,20-dione, 21-[[2-(diethylamino)ethyl]amino]-9-fluoro-11,17-dihydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 15 OF 30 USPATFULL

ACCESSION NUMBER:	93:102889 USPATFULL
TITLE:	Triazinylpiperazinyl amine intermediates
INVENTOR(S):	McCall, John M., Kalamazoo, MI, United States Ayer, Donald E., Kalamazoo, MI, United States Jacobsen, E. Jon, Plainwell, MI, United States VanDoornik, Frederick J., Hamilton, MI, United States Palmer, John R., Kalamazoo, MI, United States Karnes, Harold A., Kalamazoo, MI, United States
PATENT ASSIGNEE(S):	The Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5268477		19931207
APPLICATION INFO.:	US 1992-977768		19921119 (7)

RELATED APPLN. INFO.: Division of Ser. No. US 1991-749829, filed on 26 Aug 1991 which is a division of Ser. No. US 1988-229675, filed on 8 Aug 1988, now patented, Pat. No. US 5099019 which is a continuation-in-part of Ser. No. US 1987-121822, filed on 11 May 1987, now abandoned which is a continuation-in-part of Ser. No. US 1986-888231, filed on 29 Jul 1986, now abandoned which is a continuation-in-part of Ser. No. US 1986-877287, filed on 23 Jun 1986, now abandoned which is a continuation-in-part of Ser. No. US 1985-811058, filed on 19 Dec 1985, now abandoned which is a continuation-in-part of Ser. No. US 1985-775204, filed on 12 Sep 1985, now abandoned

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Ivy, C. Warren
ASSISTANT EXAMINER:	Turnipseed, James H.
LEGAL REPRESENTATIVE:	Stein, Bruce
NUMBER OF CLAIMS:	1
EXEMPLARY CLAIM:	1
LINE COUNT:	4122

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

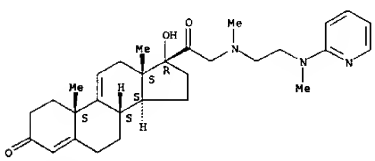
AB The claimed invention is to triazin-2-yl and triazin-3-yl piperazines which are useful intermediates in the preparation of amino substituted steroid (XI) which are useful pharmaceutical agents.

IT **111640-57-4P 111691-71-5P**
(prepn. of, as drug)

RN 111640-57-4 USPATFULL
CN Pregna-4,9(11)-diene-3,20-dione, 17-hydroxy-21-[methyl[2-(methyl-2-pyridinylamino)ethyl]amino]- (9CI) (CA INDEX NAME)

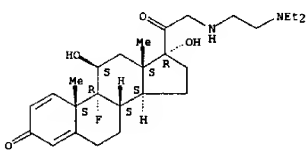
Absolute stereochemistry.

L28 ANSWER 15 OF 30 USPATFULL (Continued)



RN 111691-71-5 USPATFULL
CN Pregna-1,4-diene-3,20-dione, 21-[[2-(diethylamino)ethyl]amino]-9-fluoro-11,17-dihydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 16 OF 30 USPATFULL

ACCESSION NUMBER:	93:39990 USPATFULL
TITLE:	Unsaturated 17.beta.-substituted 3-carboxy steroids
INVENTOR(S):	Panzeri, Achille, Merate, Italy Negi, Marcella, Milan, Italy Di Salle, Enrico, Milan, Italy
PATENT ASSIGNEE(S):	Farmitalia Carlo Erba S.r.l., Milan, Italy (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5212166		19930518
APPLICATION INFO.:	US 1992-886574		19920521 (7)

	NUMBER	DATE
PRIORITY INFORMATION:	IT 1991-MI1432	19910524

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Cintins, Marianne M.
ASSISTANT EXAMINER:	Kestler, Kimberly J.
LEGAL REPRESENTATIVE:	Nikaido Marmelstein Murray & Oram
NUMBER OF CLAIMS:	6
EXEMPLARY CLAIM:	1,6
LINE COUNT:	998

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention concerns steroidic 5.alpha.-reductase inhibitors having the following formula (I) ##STR1## wherein Y is oxygen or sulphur;

R is a group;

a) --OR.sub.4, wherein R.sub.4 is hydrogen or a C.sub.1 -C.sub.6 alkyl group;

b) ##STR2## wherein each of R.sub.5 and R.sub.6, independently, is hydrogen or a C.sub.1 -C.sub.6 alkyl group;

c) ##STR3## wherein R.sub.7 is hydrogen or a C.sub.1 -C.sub.6 alkyl group and W is a group:

(i) ##STR4## wherein R.sub.8 is a C.sub.1 -C.sub.6 alkyl group, a C.sub.5 -C.sub.6 cycloalky group, a C.sub.6 -C.sub.6 cycloalkylalkyl group, a phenyl group or a benzyl group; or

(ii) ##STR5## wherein R.sub.9 is a C.sub.1 -C.sub.6 alkyl group or a C.sub.5 -C.sub.6 cycloalkyl group; or

(iii) ##STR6## wherein R.sub.5 and R.sub.6 are as defined above; d) ##STR7## wherein each of R.sub.10 and R.sub.11 is, independently, hydrogen or a C.sub.1 -C.sub.6 alkyl group or taken together with the nitrogen atom to which they are linked form a pentatomic or hexatomic saturated heteromonocyclic ring, optionally containing at least one additional heteroatom selected from oxygen and nitrogen, and n is an integer of 2 to 4;

R.sub.1 is hydrogen, a C.sub.1 -C.sub.6 alkyl group, a C.sub.5 -C.sub.6 cycloalkyl group, a C.sub.6 -C.sub.9 cycloalkyalkyl group or an aryl group;

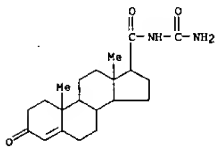
each of R.sub.2 and R.sub.3 is, independently, selected from the group

L28 ANSWER 16 OF 30 USPATFULL (Continued)
consisting of hydrogen, C.sub.1 -C.sub.6 alkyl, C.sub.5 -C.sub.6 cycloalkyl, C.sub.6 -C.sub.9 cycloalkylalkyl and aryl or R.sub.2 and R.sub.3, taken together with the nitrogen atom to which they are linked, form a pentatomic or hexatomic saturated heteromonocyclic ring, optionally containing at least one additional heteroatom selected from oxygen and nitrogen; and the symbol ( ) represents a single or a double bond provided that when it is a double bond the hydrogen in the 5.alpha. position doesn't exist and the pharmaceutically acceptable salts thereof.

In view of their 5-.alpha. reductase inhibiting activity the compounds of the invention can be useful for the treatment of androgen dependent conditions.

IT **105360-79-0P 146175-25-9P 146175-26-0P**
146175-27-1P 146175-28-2P 146175-31-7P
146175-32-8P 146175-33-9P 146175-34-0P
146175-35-1P 146175-36-2P 146175-37-3P
(prepn. and reaction of, in prepn. of testosterone 5.alpha.-reductase inhibitors)

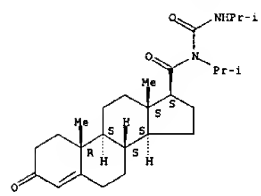
RN 105360-79-0 USPATFULL
CN Androst-4-ene-17-carboxamide, N-(aminocarbonyl)-3-oxo-, (17.beta.)- (9CI) (CA INDEX NAME)



RN 146175-25-9 USPATFULL
CN Androst-4-ene-17-carboxamide, N-(1-methylethyl)-N-[[(1-methylethyl)amino]carbonyl]-3-oxo-, (17.beta.)- (9CI) (CA INDEX NAME)

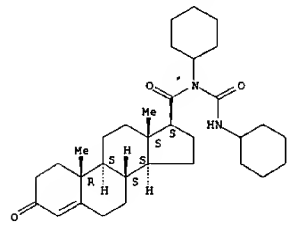
Absolute stereochemistry.

L28 ANSWER 16 OF 30 USPATFULL (Continued)



RN 146175-26-0 USPATFULL
CN Androst-4-ene-17-carboxamide, N-cyclohexyl-N-[(cyclohexylamino)carbonyl]-3-oxo-, (17.beta.)- (9CI) (CA INDEX NAME)

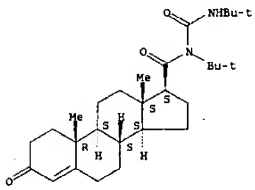
Absolute stereochemistry.



RN 146175-27-1 USPATFULL
CN Androst-4-ene-17-carboxamide, N-(1,1-dimethylethyl)-N-[[(1,1-dimethylethyl)amino]carbonyl]-3-oxo-, (17.beta.)- (9CI) (CA INDEX NAME)

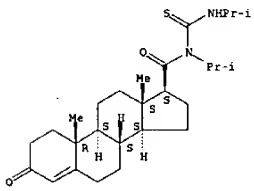
Absolute stereochemistry.

L28 ANSWER 16 OF 30 USPATFULL (Continued)



RN 146175-28-2 USPATFULL
CN Androst-4-ene-17-carboxamide, N-(1-methylethyl)-N-[[(1-methylethyl)amino]thioxomethyl]-3-oxo-, (17.beta.)- (9CI) (CA INDEX NAME)

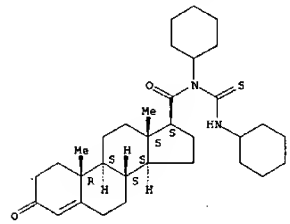
Absolute stereochemistry.



RN 146175-31-7 USPATFULL
CN Androst-4-ene-17-carboxamide, N-cyclohexyl-N-[(cyclohexylamino)thioxomethyl]-3-oxo-, (17.beta.)- (9CI) (CA INDEX NAME)

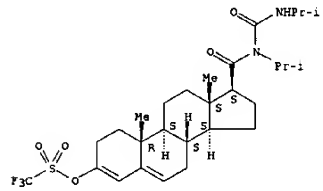
Absolute stereochemistry.

L28 ANSWER 16 OF 30 USPATFULL (Continued)



RN 146175-32-8 USPATFULL
CN Androsta-3,5-diene-17-carboxamide, N-(1-methylethyl)-N-[[(1-methylethyl)amino]carbonyl]-3-[[(trifluoromethyl)sulfonyl]oxy]-, (17.beta.)- (9CI) (CA INDEX NAME)

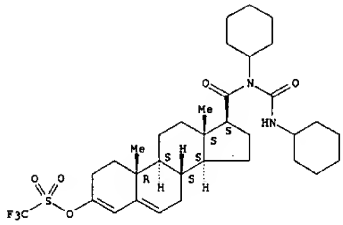
Absolute stereochemistry.



RN 146175-33-9 USPATFULL
CN Androsta-3,5-diene-17-carboxamide, N-cyclohexyl-N-[(cyclohexylamino)carbonyl]-3-[[(trifluoromethyl)sulfonyl]oxy]-, (17.beta.)- (9CI) (CA INDEX NAME)

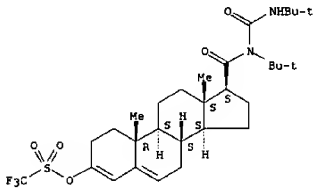
Absolute stereochemistry.

L28 ANSWER 16 OF 30 USPATFULL (Continued)



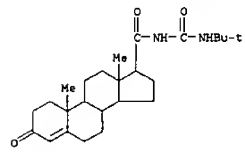
RN 146175-34-0 USPATFULL
CN Androsta-3,5-diene-17-carboxamide, N-(1,1-dimethylethyl)-N-[[(1,1-dimethylethyl)amino]carbonyl]-3-[[(trifluoromethyl)sulfonyl]oxy]-, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

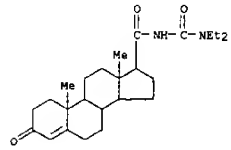


RN 146175-35-1 USPATFULL
CN Androst-4-ene-17-carboxamide, N-[[(1,1-dimethylethyl)amino]carbonyl]-3-oxo-, (17.beta.)- (9CI) (CA INDEX NAME)

L28 ANSWER 16 OF 30 USPATFULL (Continued)

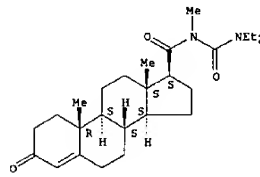


RN 146175-36-2 USPATFULL
CN Androst-4-ene-17-carboxamide, N-[(diethylamino)carbonyl]-3-oxo-, (17.beta.)- (9CI) (CA INDEX NAME)



RN 146175-37-3 USPATFULL
CN Androst-4-ene-17-carboxamide, N-[(diethylamino)carbonyl]-N-methyl-3-oxo-, (17.beta.)- (9CI) (CA INDEX NAME)

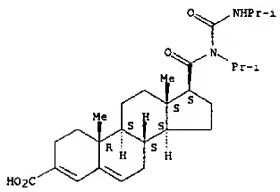
Absolute stereochemistry.



IT **146175-03-3P 146175-04-4P 146175-05-5P**
146175-06-6P 146175-07-7P 146175-08-8P
146175-09-9P 146175-10-2P 146175-11-3P

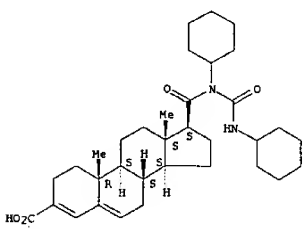
L28 ANSWER 16 OF 30 USPATFULL (Continued)
146175-12-4P 146175-13-5P 146175-14-6P
146175-15-7P 146175-16-8P 146175-17-9P
146175-18-0P 146175-19-1P 146175-20-4P
146175-21-5P 146175-22-6P 146175-23-7P
146175-24-8P
(prepn. of, as testosterone 5.alpha.-reductase inhibitor)
RN 146175-03-3 USPATFULL
CN Androsta-3,5-diene-3-carboxylic acid, 17-[[(1-methylethyl)[[(1-methylethyl)amino]carbonyl]amino]carbonyl]-, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 146175-04-4 USPATFULL
CN Androsta-3,5-diene-3-carboxylic acid, 17-[[cyclohexyl[(cyclohexylamino)carbonyl]amino]carbonyl]-, (17.beta.)- (9CI) (CA INDEX NAME)

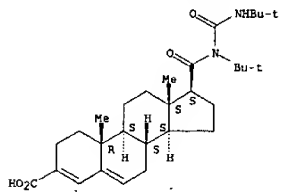
Absolute stereochemistry.



RN 146175-05-5 USPATFULL
CN Androsta-3,5-diene-3-carboxylic acid, 17-[[(1,1-dimethylethyl)[[(1,1-dimethylethyl)amino]carbonyl]amino]carbonyl]-, (17.beta.)- (9CI) (CA INDEX NAME)

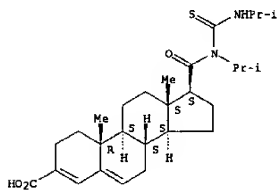
Absolute stereochemistry.

L28 ANSWER 16 OF 30 USPATFULL (Continued)



RN 146175-06-6 USPATFULL
CN Androsta-3,5-diene-3-carboxylic acid, 17-[[(1-methylethyl)[[(1-methylethyl)amino]thioxomethyl]amino]carbonyl]-, (17.beta.)- (9CI) (CA INDEX NAME)

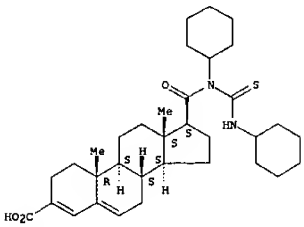
Absolute stereochemistry.



RN 146175-07-7 USPATFULL
CN Androsta-3,5-diene-3-carboxylic acid, 17-[[cyclohexyl[(cyclohexylamino)thioxomethyl]amino]carbonyl]-, (17.beta.)- (9CI) (CA INDEX NAME)

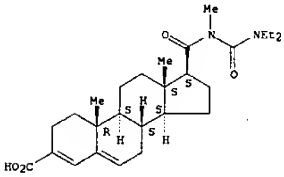
Absolute stereochemistry.

L28 ANSWER 16 OF 30 USPATFULL (Continued)



RN 146175-08-8 USPATFULL
CN Androsta-3,5-diene-3-carboxylic acid, 17-[[[(diethylamino)carbonyl]methylamino]carbonyl]-, (17.beta.)- (9CI) (CA INDEX NAME)

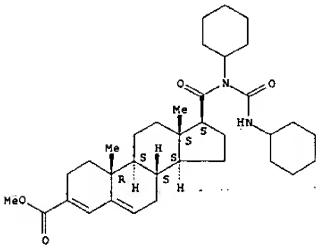
Absolute stereochemistry.



RN 146175-09-9 USPATFULL
CN Androsta-3,5-diene-3-carboxylic acid, 17-[[[(1-methylethyl)[[(1-methylethyl)amino]carbonyl]amino]carbonyl]-, methyl ester, (17.beta.)- (9CI) (CA INDEX NAME)

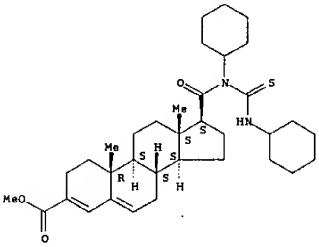
Absolute stereochemistry.

L28 ANSWER 16 OF 30 USPATFULL (Continued)



RN 146175-12-4 USPATFULL
CN Androsta-3,5-diene-3-carboxylic acid, 17-[[cyclohexyl[(cyclohexylamino)thioxomethyl]amino]carbonyl]-, methyl ester, (17.beta.)- (9CI) (CA INDEX NAME)

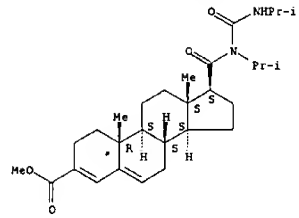
Absolute stereochemistry.



RN 146175-13-5 USPATFULL
CN Androsta-3,5-diene-3-carboxylic acid, 17-[[(1,1-dimethylethyl)[[(1,1-dimethylethyl)amino]carbonyl]amino]carbonyl]-, methyl ester, (17.beta.)- (9CI) (CA INDEX NAME)

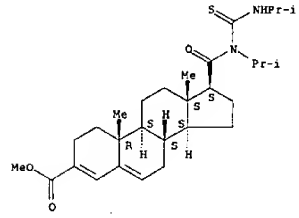
Absolute stereochemistry.

L28 ANSWER 16 OF 30 USPATFULL (Continued)



RN 146175-10-2 USPATFULL
CN Androsta-3,5-diene-3-carboxylic acid, 17-[[(1-methylethyl)[[(1-methylethyl]amino]thioxomethyl]amino]carbonyl]-, methyl ester, (17.beta.)- (9CI) (CA INDEX NAME)

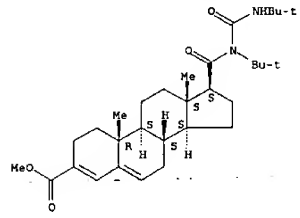
Absolute stereochemistry.



RN 146175-11-3 USPATFULL
CN Androsta-3,5-diene-3-carboxylic acid, 17-[[cyclohexyl[(cyclohexylamino)carbonyl]amino]carbonyl]-, methyl ester, (17.beta.)- (9CI) (CA INDEX NAME)

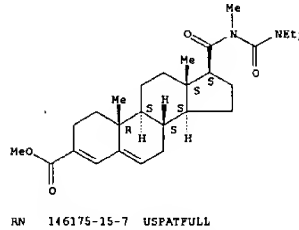
Absolute stereochemistry.

L28 ANSWER 16 OF 30 USPATFULL (Continued)



RN 146175-14-6 USPATFULL
CN Androsta-3,5-diene-3-carboxylic acid, 17-[[[(diethylamino)carbonyl]methylamino]carbonyl]-, methyl ester, (17.beta.)- (9CI) (CA INDEX NAME)

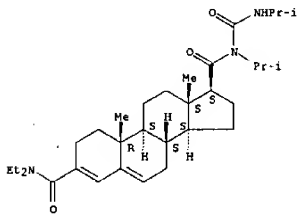
Absolute stereochemistry.



RN 146175-15-7 USPATFULL
CN Androsta-3,5-diene-3,17-dicarboxamide, N3,N3-diethyl-N17-(1-methylethyl)-N17-[[(1-methylethyl)amino]carbonyl]-, (17.beta.)- (9CI) (CA INDEX NAME)

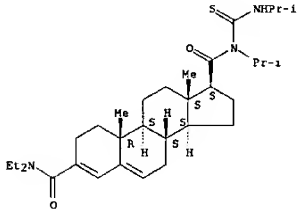
Absolute stereochemistry.

L28 ANSWER 16 OF 30 USPATFULL (Continued)



RN 146175-16-8 USPATFULL
CN Androsta-3,5-diene-3,17-dicarboxamide, N3,N3-diethyl-N17-(1-methylethyl)-N17-[[(1-methylethyl)amino]thioxomethyl]-, (17.beta.)- (9CI) (CA INDEX NAME)

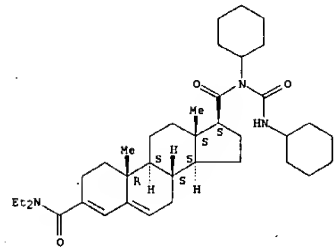
Absolute stereochemistry.



RN 146175-17-9 USPATFULL
CN Androsta-3,5-diene-3,17-dicarboxamide, N17-cyclohexyl-N17-[(cyclohexylamino)carbonyl]-N3,N3-diethyl- (9CI) (CA INDEX NAME)

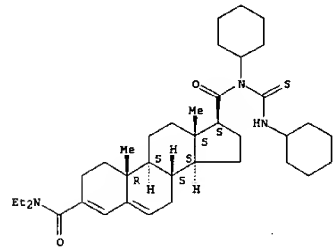
Absolute stereochemistry.

L28 ANSWER 16 OF 30 USPATFULL (Continued)



RN 146175-18-0 USPATFULL
CN Androsta-3,5-diene-3,17-dicarboxamide, N17-cyclohexyl-N17-[(cyclohexylamino)thioxomethyl]-N3,N3-diethyl-, (17.beta.)- (9CI) (CA INDEX NAME)

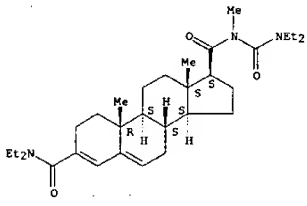
Absolute stereochemistry.



RN 146175-19-1 USPATFULL
CN Androsta-3,5-diene-3,17-dicarboxamide, N17-[(diethylamino)carbonyl]-N3,N3-diethyl-N17-methyl-, (17.beta.)- (9CI) (CA INDEX NAME)

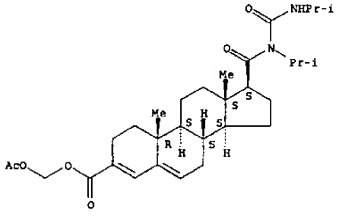
Absolute stereochemistry.

L28 ANSWER 16 OF 30 USPATFULL (Continued)



RN 146175-20-4 USPATFULL
CN Androsta-3,5-diene-3-carboxylic acid, 17-[[[(1-methylethyl)[[(1-methylethyl)amino]carbonyl]amino]carbonyl]-, (acetyloxy)methyl ester, (17.beta.)- (9CI) (CA INDEX NAME)

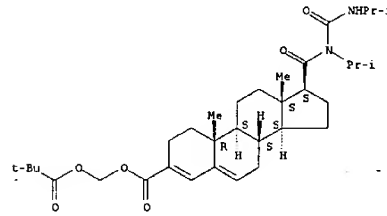
Absolute stereochemistry.



RN 146175-21-5 USPATFULL
CN Androsta-3,5-diene-3-carboxylic acid, 17-[[[(1-methylethyl)[[(1-methylethyl)amino]carbonyl]amino]carbonyl]-, (2,2-dimethyl-1-oxopropoxy)methyl ester, (17.beta.)- (9CI) (CA INDEX NAME)

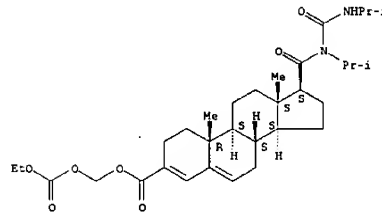
Absolute stereochemistry.

L28 ANSWER 16 OF 30 USPATFULL (Continued)



RN 146175-22-6 USPATFULL
CN Androsta-3,5-diene-3-carboxylic acid, 17-[[[(1-methylethyl){[[(1-methylethyl)amino]carbonyl]amino]carbonyl]-, [(ethoxycarbonyl)oxy]methyl ester, (17.beta.)- (9CI) (CA INDEX NAME)

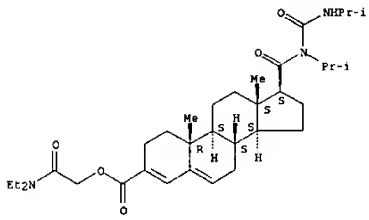
Absolute stereochemistry.



RN 146175-23-7 USPATFULL
CN Androsta-3,5-diene-3-carboxylic acid, 17-[[[(1-methylethyl)[[(1-methylethyl)amino]carbonyl]amino]carbonyl]-, 2-(diethylamino)-2-oxoethyl ester, (17.beta.)- (9CI) (CA INDEX NAME)

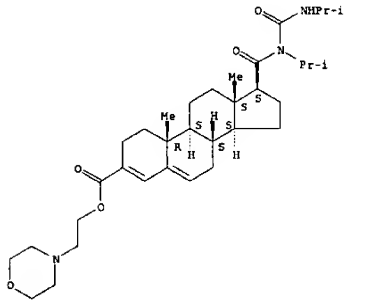
Absolute stereochemistry.

L28 ANSWER 16 OF 30 USPATFULL (Continued)

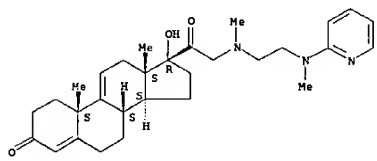


RN 146175-24-8 USPATFULL
CN Androsta-3,5-diene-3-carboxylic acid, 17-[[[(1-methylethyl)[[(1-methylethyl)amino]carbonyl]amino]carbonyl]-, 2-(4-morpholinyl)ethyl ester, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

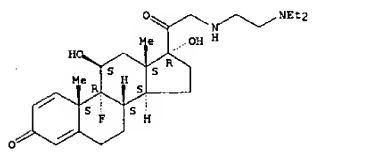


L28 ANSWER 17 OF 30 USPATFULL (Continued)



RN 111691-71-5 USPATFULL
CN Pregna-1,4-diene-3,20-dione, 21-[[2-(diethylamino)ethyl]amino]-9-fluoro-11,17-dihydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 17 OF 30 USPATFULL

ACCESSION NUMBER: 92:106943 USPATFULL
TITLE: Pharmaceutically active pyrimidinylpiperazinylsterioids
INVENTOR(S): McCall, John M., Kalamazoo, MI, United States
Ayer, Donald E., Kalamazoo, MI, United States
Jacobsen, E. Jonathan, Plainwell, MI, United States
VanDoornik, Frederick J., Hamilton, MI, United States
Palmer, John R., Kalamazoo, MI, United States
Karnes, Harold A., Kalamazoo, MI, United States
PATENT ASSIGNEE(S): The Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5175281		19921229
APPLICATION INFO.:	US 1991-749030		19910826 (7)

RELATED APPLN. INFO.: Division of Ser. No. US 1988-229675, filed on 8 Aug 1988, now patented, Pat. No. US 5099019 which is a continuation-in-part of Ser. No. US 1988-227812, filed on 3 Aug 1988, now abandoned which is a continuation-in-part of Ser. No. US 1987-121822, filed on 11 May 1987, now abandoned which is a continuation-in-part of Ser. No. US 1986-888231, filed on 29 Jul 1986, now abandoned which is a continuation-in-part of Ser. No. US 1986-877287, filed on 23 Jun 1986, now abandoned which is a continuation-in-part of Ser. No. US 1985-811058, filed on 19 Dec 1985, now abandoned which is a continuation-in-part of Ser. No. US 1985-775204, filed on 12 Sep 1985, now abandoned

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Shah, Mukund J.
ASSISTANT EXAMINER: Ward, E. C.
LEGAL REPRESENTATIVE: Stein, Bruce
NUMBER OF CLAIMS: 23
EXEMPLARY CLAIM: 1
LINE COUNT: 4652
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Disclosed are .DELTA..sup.9(11) -steroids (VI) and amino substituted steroids (XI) which contain an amino group attached to the terminal carbon atom of the C.sub.17 -side chain, more particularly amino steroids (Ia and Ib), aromatic steroids (II), .DELTA..sup.16 -steroids (IIIa and IIIb), reduced A-ring steroids (IV), .DELTA..sup.17(20) -steroids (Va and Vb) and .DELTA..sup.9(11) -steroids (VI) which are useful as pharmaceutical agents for treating a number of conditions.

IT **111640-57-4P 111691-71-5P**
(prepn. of, as drug)
RN 111640-57-4 USPATFULL
CN Pregna-4,9(11)-diene-3,20-dione, 17-hydroxy-21-[methyl[2-(methyl-2-pyridinylamino)ethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L28 ANSWER 18 OF 30 USPATFULL

ACCESSION NUMBER: 92:42755 USPATFULL
TITLE: Steroid compounds
INVENTOR(S): Hori, Kimihiko, Utsunomiya, Japan
Suzuki, Yasuto, Ichikai, Japan
Morioka, Tomoki, Ichikai, Japan
Moriwaki, Shigeru, Utsunomiya, Japan
Hirota, Osamu, Ichikai, Japan
Tsuchiya, Shuichi, Utsunomiya, Japan
PATENT ASSIGNEE(S): Kao Corporation, Tokyo, Japan (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5116829		19920526
APPLICATION INFO.:	US 1991-683346		19910410 (7)

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1990-107255	19900423

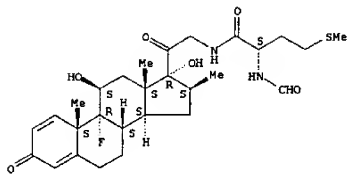
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Siegel, Alan
ASSISTANT EXAMINER: Kestler, Kimberly J.
LEGAL REPRESENTATIVE: Oblon, Spivak, McClelland, Maier & Neustadt
NUMBER OF CLAIMS: 7
EXEMPLARY CLAIM: 1,3
NUMBER OF DRAWINGS: 3 Drawing Figure(s); 2 Drawing Page(s)
LINE COUNT: 782
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A 21-substituted steroid compound is disclosed. The compound has a structure of formula (I), ##STR1## wherein R.sup.1 is a hydrogen atom, a lower alkyl, lower alkenyl, lower alkoxy, or phenyl group, R.sup.2 is a hydroxyl group or an acyloxy group having 1-6 carbon atoms, R.sup.3 is a hydrogen atom or a lower alkyl group, or R.sup.2 and R.sup.3 may together form a lower alkylidenedioxy group, X.sup.1 and X.sup.2 may be the same or different and individually represents a hydrogen atom or a halogen atom, Y.sup.1 and Y.sup.2 may be the same or different and individually represents a methylene group or a sulfur atom, Z is a sulfur atom or an imino group, the wave line means that the configuration of R.sup.3 may be of either .alpha. or .beta., and dotted line between the 1 and 2 position means that the bond may be the double bond. It has excellent anti-inflammatory, anti-allergic and anti-asthma activities with little side effects, and is useful for the prevention, cure, and treatment of on inflammation, allergic diseases, rheumatism, and the like.

IT **138716-10-6P**
(prepn. of, as antiinflammatory, antiallergic, etc.)
RN 138716-10-6 USPATFULL
CN Butanamide, N-[(11.beta.,16.beta.)-9-fluoro-11,17-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-21-yl]-2-(formylamino)-4-(methylthio)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L28 ANSWER 18 OF 30 USPATFULL (Continued)



L28 ANSWER 19 OF 30 USPATFULL

ACCESSION NUMBER: 92:23302 USPATFULL
TITLE: Amines useful in producing pharmaceutically active CNS compounds
INVENTOR(S): McCall, John M., Kalamazoo, MI, United States
Ayer, Donald E., Kalamazoo, MI, United States
Jacobsen, E. Jonathan, Plainwell, MI, United States
VanDoornik, Frederick J., Hamilton, MI, United States
Palmer, John R., Kalamazoo, MI, United States
Karnes, Harold A., Kalamazoo, MI, United States
PATENT ASSIGNEE(S): Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5099019		19920324
APPLICATION INFO.:	US 1988-229675		19880808 (7)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1987-121822, filed on 11 May 1987, now abandoned which is a continuation-in-part of Ser. No. US 1986-888231, filed on 29 Jul 1986, now abandoned which is a continuation-in-part of Ser. No. US 1986-877287, filed on 23 Jun 1986, now abandoned which is a continuation-in-part of Ser. No. US 1985-811058, filed on 19 Dec 1985, now abandoned which is a continuation-in-part of Ser. No. US 1985-775204, filed on 12 Sep 1985, now abandoned
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Ivy, C. Warren
ASSISTANT EXAMINER: Turnipseed, James H.
LEGAL REPRESENTATIVE: Stein, Bruce
NUMBER OF CLAIMS: 3
EXEMPLARY CLAIM: 1
LINE COUNT: 4117
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

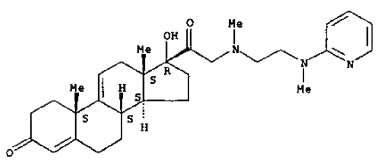
AB Disclosed are .DELTA..sup.9(11) -steroids (VI) and amino substituted steroids (XI) which contain an amino group attached to the terminal carbon atom of the C.sub.17 -side chain, more particularly amino steroids (Ia and Ib), aromatic steroids (II), .DELTA..sup.16 -steroids (IIIa and IIIb), reduced A-ring steroids (IV), .DELTA..sup.17(20) -steroids (Va and Vb) and .DELTA..sup.9(11) -steroids (VI) which are useful as pharmaceutical agents for treating a number of conditions.

IT **111640-57-4P 111691-71-5P**
(prepn. of, as drug)

RN 111640-57-4 USPATFULL
CN Pregna-4,9(11)-diene-3,20-dione, 17-hydroxy-21-[methyl[2-(methyl-2-pyridinylamino)ethyl]amino]- (9CI) (CA INDEX NAME)

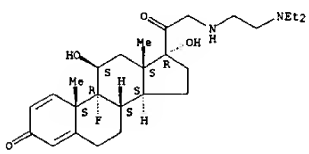
Absolute stereochemistry.

L28 ANSWER 19 OF 30 USPATFULL (Continued)



RN 111691-71-5 USPATFULL
CN Pregna-1,4-diene-3,20-dione, 21-[[2-(diethylamino)ethyl]amino]-9-fluoro-11,17-dihydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 20 OF 30 USPATFULL

ACCESSION NUMBER: 90:38377 USPATFULL
TITLE: Interligand metal transfer assay
INVENTOR(S): Hale, Ron L., Woodside, CA, United States
Wieder, Irwin, Los Altos, CA, United States
PATENT ASSIGNEE(S): Baxter International Inc., Deerfield, IL, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4925804		19900515
APPLICATION INFO.:	US 1986-875449		19860617 (6)

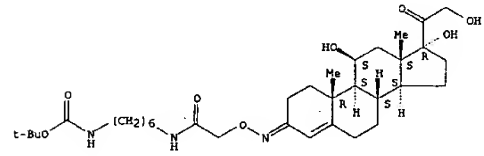
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Wardan, Robert J.
ASSISTANT EXAMINER: Scheiner, Toni R.
LEGAL REPRESENTATIVE: Irell & Manella
NUMBER OF CLAIMS: 17
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 4 Drawing Figure(s); 2 Drawing Page(s)
LINE COUNT: 1448
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A new reporter mechanism for biospecific reactions is disclosed. This mechanism involves interligand metal ion transfer in which a metal ion is directly transferred from one chelate complex to another following the occurance of the biospecific reaction. The second chelate complex is separate from and detectably different than the first chelate complex. This invention can take the form of methods of chemical analysis and kits for conducting such methods. In preferred embodiments of this invention the detectable difference is a difference in fluorescence, such as an increase or decrease which occurs as a result of the formation of the second chelate. In further preferred embodiments the difference in fluorescence is detected using fluorescent background rejection methods.

IT **129499-20-3P**
(prepn. and reaction of, for chelating agent prepn. for triiodothyronine detn. by fluorescence immunoassay with interligand metal transfer)

RN 129499-20-3 USPATFULL
CN Carbamic acid, [6-[[[[[(11.beta.)-11,17,21-trihydroxy-20-oxopregn-4-en-3-ylidene]amino]oxy]acetyl]amino]hexyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

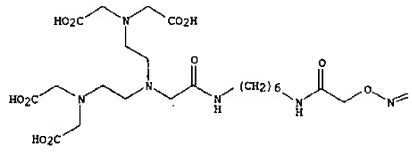


IT **129499-23-6P**
(prepn. of, as chelating agent, for triiodothyronine detn. by

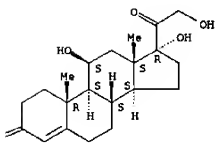
L28 ANSWER 20 OF 30 USPATFULL (Continued)
fluorescence immunoassay with interligand metal transfer)
RN 129499-23-6 USPATFULL
CN 3,6,9,16-Tetraazaoctadecanoic acid, 6-[2-[bis(carboxymethyl)amino]ethyl]-3-(carboxymethyl)-8,17-dioxo-18-[[[[(11.beta.)-11,17,21-trihydroxy-20-oxopregn-4-en-3-ylidene]amino]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



PAGE 1-B



L28 ANSWER 21 OF 30 USPATFULL

ACCESSION NUMBER:	87:89121 USPATFULL
TITLE:	Immunoassay
INVENTOR(S):	Baker, Terence S., Staines, England Powell, Michael J., Maidenhead, England Titmas, Richard C., Maidenhead, England
PATENT ASSIGNEE(S):	Boots-Celltech Diagnostics Limited, Slough, England (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 4716109		19871229	
APPLICATION INFO.:	US 1984-575390		19840130	(6)
DISCLAIMER DATE:	20030506			

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1983-2622	19830131
	GB 1983-20164	19830726

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Kepplinger, Esther M.
LEGAL REPRESENTATIVE:	Cushman, Darby & Cushman
NUMBER OF CLAIMS:	18
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	4 Drawing Figure(s); 2 Drawing Page(s)
LINE COUNT:	982

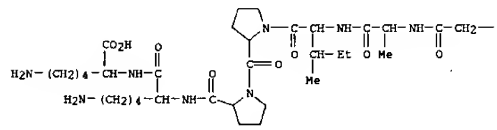
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB There is described an enzyme inhibitor labelled immunoassay for measuring the concentration of an analyte in a sample wherein the substrate for the enzyme forms at least a part of the sample. In a particular embodiment the sample comprises or consists of a milk sample and the inhibitor label is capable of inhibiting the activity of an enzyme capable of clotting milk. Examples are given of suitable inhibitors. The assay described may be used to measure the concentration of progestogens or oestrogens in milk using the techniques of heterogeneous or homogeneous enzyme inhibitor labelled immunoassay. The results of such an assay give an indication of the fertility of a milk producing domestic animal (e.g. a cow) and may be used to diagnose pregnancy of such an animal. Particular compounds for use in the assay are described, as is a kit of reagents for use in the assay.

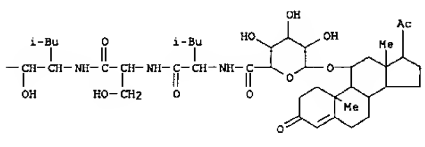
IT **94720-76-0**
(in progesterone detn. in milk by enzyme inhibitor labeled immunoassay)
RN 94720-76-0 USPATFULL
CN L-Lysine, N2-[N2-[1-[1-[N-[N-[4-[[N-[N-[1-O-[(11.alpha.)-3,20-dioxopregn-4-en-11-yl]-.beta.-D-glucopyranuronoyl]-L-leucyl]-L-seryl]amino]-3-hydroxy-6-methyl-1-oxoheptyl]-L-alanyl]-L-isoleucyl]-L-prolyl]-L-prolyl]-L-lysyl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

L28 ANSWER 21 OF 30 USPATFULL (Continued)

PAGE 1-A

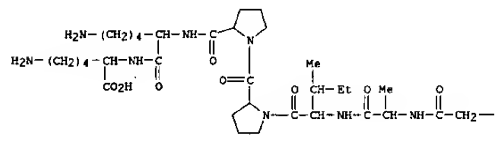


PAGE 1-B



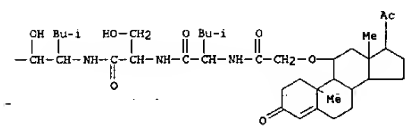
IT **94720-75-9P**
(prepn. of, for progesterone detn. by enzyme inhibitor labeled immunoassay)
RN 94720-75-9 USPATFULL
CN L-Lysine, N2-[N2-[1-[1-[N-[N-[4-[[N-[N-[[[(11.alpha.)-3,20-dioxopregn-4-en-11-yl]oxy]acetyl]-L-leucyl]-L-seryl]amino]-3-hydroxy-6-methyl-1-oxoheptyl]-L-alanyl]-L-isoleucyl]-L-prolyl]-L-prolyl]-L-lysyl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

PAGE 1-A



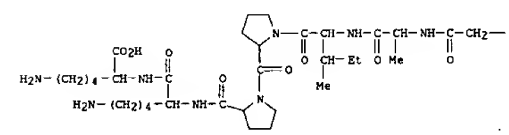
L28 ANSWER 21 OF 30 USPATFULL (Continued)

PAGE 1-B



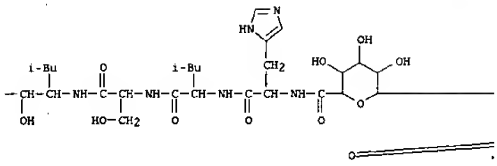
IT **94720-73-7P**
(prepn. of, for progesterone detn. in milk by homogeneous enzyme inhibitor labeled immunoassay)
RN 94720-73-7 USPATFULL
CN L-Lysine, N2-[N2-[1-[1-[N-[N-[4-[[N-[N-[1-O-[(11.alpha.)-3,20-dioxopregn-4-en-11-yl]-.beta.-D-glucopyranuronoyl]-L-histidyl]-L-leucyl]-L-seryl]amino]-3-hydroxy-6-methyl-1-oxoheptyl]-L-alanyl]-L-isoleucyl]-L-prolyl]-L-prolyl]-L-lysyl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

PAGE 1-A

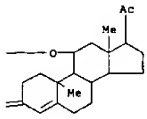


L28 ANSWER 21 OF 30 USPATFULL (Continued)

PAGE 1-B



PAGE 1-C



L28 ANSWER 22 OF 30 USPATFULL

ACCESSION NUMBER:	86:49382 USPATFULL
TITLE:	Steroid esters of N-(2-halogenoethyl)-N-nitroso-carbamoyl-amino acids and peptides thereof, as well as methods for preparing them
INVENTOR(S):	Eisenbrand, Gerhard, Sandhausen, Germany, Federal Republic of Schreiber, Joachim, Heidelberg, Germany, Federal Republic of
PATENT ASSIGNEE(S):	Stiftung Deutsches Krebsforshungszentrum, Heidelberg, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 4609496		19860902	
	WO 8303414		19831013	
APPLICATION INFO.:	US 1983-557138		19831117	(6)
	WO 1983-EP90		19830323	
			19831117	PCT 371 date
			19831117	PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1982-3210637	19820323

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Roberts, Elbert L.
LEGAL REPRESENTATIVE:	Browdy & Neimark
NUMBER OF CLAIMS:	15
EXEMPLARY CLAIM:	1,13
LINE COUNT:	392

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

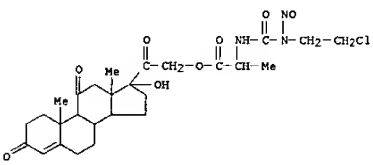
AB Steroid-N-(2-halogen ethyl)-N-nitroso-carbamoyl-amino acid or peptide esters of the general formula: ##STR1## where R.sub.1 and R.sub.2, which may be identical or different, mean the radical of an amino acid beyond the C atom in the beta position (if present), R.sub.3 means the radical of a steroid or a stilbene derivative pharmacologically similar in action, n is a number from 0-5 and Hal stands for chlorine or fluorine, as well as the method for preparing them by the conversion of an appropriate carbamoyl-amino acid or carbamoyl-peptide in a manner known per se with steroid alcohols or the conversion of steroid-amino acid or steroid-peptide esters with corresponding N-nitroso-carbamoylation or corresponding N-nitroso-carbamoyl-amino acids or peptides in a manner known per se.

IT **89083-82-9P 89083-83-0P 89083-86-3P 89083-88-5P 89083-90-9P 89083-91-0P 89083-97-6P 89083-98-7P**
(prepn. of)

RN 89083-82-9 USPATFULL

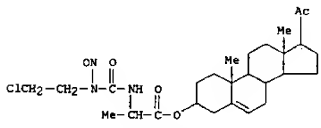
CN L-Alanine, N-[[(2-chloroethyl)nitrosoamino]carbonyl]-, 17-hydroxy-3,11,20-trioxopregn-4-en-21-yl ester (9CI) (CA INDEX NAME)

L28 ANSWER 22 OF 30 USPATFULL (Continued)



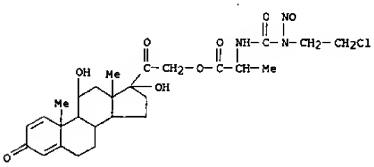
RN 89083-83-0 USPATFULL

CN L-Alanine, N-[[(2-chloroethyl)nitrosoamino]carbonyl]-, (3.beta.)-20-oxopregn-5-en-3-yl ester (9CI) (CA INDEX NAME)



RN 89083-86-3 USPATFULL

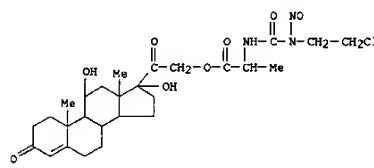
CN L-Alanine, N-[[(2-chloroethyl)nitrosoamino]carbonyl]-, (11.beta.)-11,17-dihydroxy-3,20-dioxopregna-1,4-dien-21-yl ester (9CI) (CA INDEX NAME)



RN 89083-88-5 USPATFULL

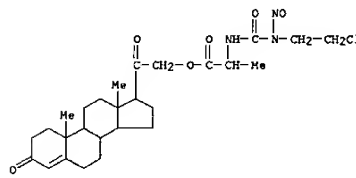
CN L-Alanine, N-[[(2-chloroethyl)nitrosoamino]carbonyl]-, (11.beta.)-11,17-dihydroxy-3,20-dioxopregn-4-en-21-yl ester (9CI) (CA INDEX NAME)

L28 ANSWER 22 OF 30 USPATFULL (Continued)



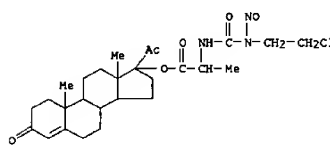
RN 89083-90-9 USPATFULL

CN L-Alanine, N-[[(2-chloroethyl)nitrosoamino]carbonyl]-, 3,20-dioxopregn-4-en-21-yl ester (9CI) (CA INDEX NAME)



RN 89083-91-0 USPATFULL

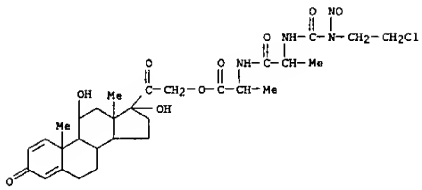
CN L-Alanine, N-[[(2-chloroethyl)nitrosoamino]carbonyl]-, 3,20-dioxopregn-4-en-17-yl ester (9CI) (CA INDEX NAME)



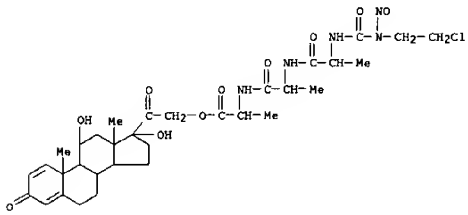
RN 89083-97-6 USPATFULL

CN L-Alanine, N-[N-[[(2-chloroethyl)nitrosoamino]carbonyl]-L-alanyl]-, (11.beta.)-11,17-dihydroxy-3,20-dioxopregna-1,4-dien-21-yl ester (9CI) (CA INDEX NAME)

L28 ANSWER 22 OF 30 USPATFULL (Continued)



RN 89083-98-7 USPATFULL
CN L-Alanine, N-[N-[N-[[(2-chloroethyl)nitrosoamino]carbonyl]-L-alanyl]-L-alanyl]-, (11.beta.)-11,17-dihydroxy-3,20-dioxopregna-1,4-dien-21-yl ester (9CI) (CA INDEX NAME)



L28 ANSWER 23 OF 30 USPATFULL
ACCESSION NUMBER: 84:22976 USPATFULL
TITLE: Derivatives of 3-amino-pregn-5-ene
INVENTOR(S): Torelli, Vesperto, Maisons-Alfort, France
Benzoni, Josette, Livry Gargan, France
Deraedt, Roger, Pavillons sous Bois, France
PATENT ASSIGNEE(S): Roussel Uclaf, Paris, France (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4444767		19840424
APPLICATION INFO.:	US 1982-436524		19821025 (6)

	NUMBER	DATE
PRIORITY INFORMATION:	FR 1981-20135	19811027

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Roberts, Elbert L.
LEGAL REPRESENTATIVE: Bierman, Bierman, Peroff & Muserlian
NUMBER OF CLAIMS: 18
EXEMPLARY CLAIM: 1,15
LINE COUNT: 634
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

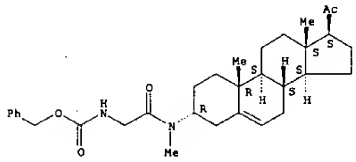
AB A compound selected from the group consisting of: 3-amino-.DELTA..sup.5-pregnenes of the formula I: ##STR1## wherein X is selected from the group of ##STR2## the wavy lines indicate that the group may be in the .alpha.-or .beta.-position, R.sub.1 is selected from the group consisting of hydrogen and hydroxyalkyl or 2 to 5 carbon atoms, R.sub.2 is selected from the group consisting of hydrogen, hydroxyalkyl of 2 to 5 carbon atoms, acyl of an aliphatic carboxylic acid of 3 to 8 carbon atoms, alkoxycarbonyl of 2 to 8 carbon atoms, acyl of an .alpha.-amino-carboxylic acid or from a peptide of 2 to 3 amino acids of which amines may be either unsubstituted or mono-or disubstituted with alkyl of 1 to 5 carbon atoms with the proviso that R.sub.1 and R.sub.2 are not both hydrogen and that if the 3-amino group is in the .beta.-position, (i) when X is ##STR3## R.sub.1 and R.sub.2 are not both hydroxyethyl or (ii) when X is ##STR4## and R.sub.1 is hydrogen, R.sub.2 is not ethoxycarbonyl, the compound of the formula I wherein X is ##STR5## R.sub.1 is hydrogen and R.sub.2 is methyl, the 3-amino group is in the .alpha.-position

and their non-toxic, pharmaceutically acceptable acid addition salts which are useful as stimulants of the mammalian immune system.

IT **86679-84-7P 86679-87-0P**
(prepn. and deblocking of)
RN 86679-84-7 USPATFULL
CN Carbamic acid, [2-[methyl[(3.alpha.)-20-oxopregn-5-en-3-yl]amino]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

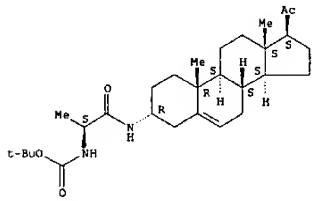
Absolute stereochemistry.

L28 ANSWER 23 OF 30 USPATFULL (Continued)



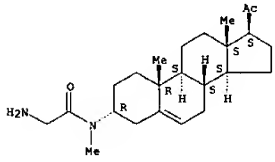
RN 86679-87-0 USPATFULL
CN Carbamic acid, [1-methyl-2-oxo-2-[[(3.alpha.)-20-oxopregn-5-en-3-yl]amino]ethyl]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **86679-85-8P**
(prepn. of)
RN 86679-85-8 USPATFULL
CN Acetamide, 2-amino-N-methyl-N-[(3.alpha.)-20-oxopregn-5-en-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 23 OF 30 USPATFULL (Continued)

L28 ANSWER 24 OF 30 USPATFULL
ACCESSION NUMBER: 83:44036 USPATFULL
TITLE: Derivatives of aldohexoses, intermediates, processes for their manufacture, preparations containing such compounds, and their use
INVENTOR(S): Hartmann, Albert, Grenzach, Germany, Federal Republic of
Baschang, Gerhard, Bettingen, Switzerland
Wacker, Oskar, Basel, Switzerland
Stanek, Jaroslav, Birsfelden, Switzerland
PATENT ASSIGNEE(S): Ciba-Geigy Corporation, Ardsley, NY, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4406889		19830927
APPLICATION INFO.:	US 1981-233223		19810210 (6)

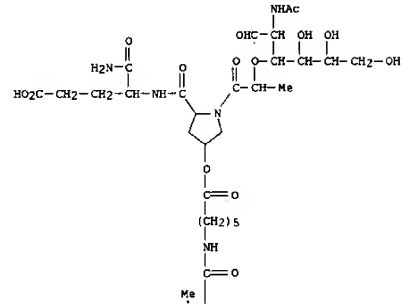
	NUMBER	DATE
PRIORITY INFORMATION:	CH 1980-1265	19800215

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Hazel, Blondel
LEGAL REPRESENTATIVE: Feit, Irving N.
NUMBER OF CLAIMS: 34
EXEMPLARY CLAIM: 1,29,30
LINE COUNT: 2513
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Described are derivatives of pyranoses of the formula I, manufacturing processes and intermediates, and their use as medicaments. ##STR1## The pyranose moiety in the compounds of the formula I is derived especially from D-glucose, but alternatively from D-galactose or D-mannose.

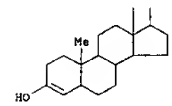
Characteristic of the compounds of the formula I is the lower alkyl or phenyl-lower alkyl radical R.sub.8, which carries an oxycarbonyl, mercaptocarbonyl or aminocarbonyl group, which is bonded to an aliphatic, cycloaliphaticaliphatic, cycloaliphatic or aromatic hydrocarbon radical R.sub.0, each of which is optionally substituted and which may be interrupted by oxycarbonyl, mercaptocarbonyl and/or iminocarbonyl and which, like the remaining radicals of the formula I, is defined in patent claim 1.
IT **81142-95-2P**
(prepn. of)
RN 81142-95-2 USPATFULL
CN D-.alpha.-Glutamine, N2-[1-(N-acetylmuramoyl)-trans-4-[[6-[[[(17.beta.)-3-hydroxyandrost-4-en-17-yl]carbonyl]amino]-1-oxohexyl]oxy]-L-prolyl]- (9CI) (CA INDEX NAME)

L28 ANSWER 24 OF 30 USPATFULL (Continued)

PAGE 1-A



PAGE 2-A



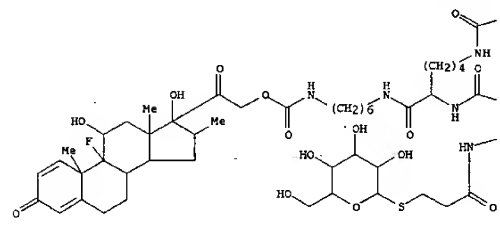
L28 ANSWER 25 OF 30 USPATFULL
ACCESSION NUMBER: 83:21452 USPATFULL
TITLE: Cell-specific glycopeptide ligands
INVENTOR(S): Ponpipom, Mitree M., Branchberg, NJ, United States
Bugianesi, Robert L., Colonia, NJ, United States
Robbins, James C., Monmouth Junction, NJ, United States
Shen, Tsung-Ying, Westfield, NJ, United States
PATENT ASSIGNEE(S): Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4386026		19830531
APPLICATION INFO.:	US 1981-255416		19810420 (6)

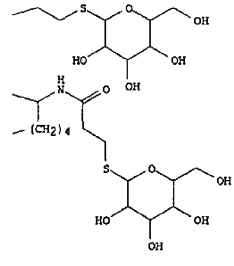
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Phillips, Delbert R.
LEGAL REPRESENTATIVE: Arther, Thomas E., Monaco, Mario A.
NUMBER OF CLAIMS: 8
EXEMPLARY CLAIM: 1
LINE COUNT: 944
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Cell-specific ligands comprising conjugates of saccharides and amino acids or peptides are synthesized from amino acids such as ornithine, lysine, peptides such as dilysine, diornithine or oligolysine and selected saccharides having reactive functional groups protected by appropriate blocking groups. Such glycopeptides are useful as tissue specific substances, which when coupled with bioactive materials through metabolizable or hydrolyzable linkages, deliver such bioactive materials to the selected site. In this manner, antiinflammatory drugs such as dexamethasone are linked through a metabolizable or hydrolyzable linkage and on administration to an animal suffering from inflammatory disease carries the drug to the site of inflammation for intracellular release. Other examples include the macrophage ligand N.sup.2 -N.sup.2, N.sup.6 -Bis-[3-(.alpha.-D-mannopyranosylthio)propionyl]-6-lysyl-N.sup.6 -[3-(.alpha.-D-mannopyranosylthio)propionyl]-L-lysine, 5, which when coupled to .beta.-glucocerebrosidase, can deliver the enzyme selectively to kupffer cells. This is useful in the enzyme replacement therapy of Gaucher's disease.
IT **79360-28-4P 85465-48-1P**
(prepn. of)
RN 79360-28-4 USPATFULL
CN L-Lysinamide, N2,N6-bis[3-(.alpha.-D-mannopyranosylthio)-1-oxopropyl]-L-lysyl-N-[6-[[[[(11.beta.,16.alpha.)-9-fluoro-11,17-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-21-yl]oxy]carbonyl]amino]hexyl]-N6-[3-(.alpha.-D-mannopyranosylthio)-1-oxopropyl]- (9CI) (CA INDEX NAME)

L28 ANSWER 25 OF 30 USPATFULL (Continued)

PAGE 1-A



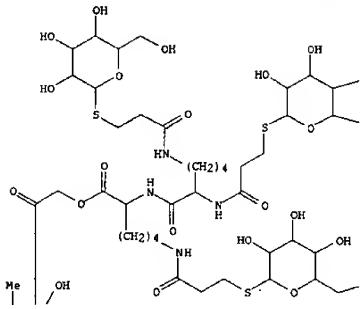
PAGE 1-B



RN 85465-48-1 USPATFULL
CN L-Lysine, N2,N6-bis[3-(.alpha.-D-mannopyranosylthio)-1-oxopropyl]-L-lysyl-N6-[3-(.alpha.-D-mannopyranosylthio)-1-oxopropyl]-, (11.beta.,16.alpha.)-9-fluoro-11,17-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-21-yl ester (9CI) (CA INDEX NAME)

L28 ANSWER 25 OF 30 USPATFULL (Continued)

PAGE 1-A



PAGE 1-B

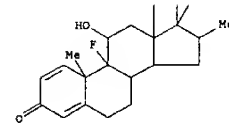
—OH

—OH

—OH

L28 ANSWER 25 OF 30 USPATFULL (Continued)

PAGE 2-A



L28 ANSWER 26 OF 30 USPATFULL

ACCESSION NUMBER: 82:36452 USPATFULL

TITLE: Immunochemical assay reagent for the determination of haptens, and assay method therewith

INVENTOR(S): Sakakibara, Kyoichi, Tokyo, Japan
Manita, Hideaki, Sagamihara, Japan
Gondo, Masaaki, Kawasaki, Japan
Yamashita, Haruo, Kunitachi, Japan

PATENT ASSIGNEE(S): Teikoku Hormone Mfg. Co., Ltd., Tokyo, Japan (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4341758		19820727
APPLICATION INFO.:	US 1979-83938		19791011 (6)

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1978-125710	19781014
	JP 1978-125711	19781014

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Fagelson, Anna P.
LEGAL REPRESENTATIVE: Wenderoth, Lind & Ponack
NUMBER OF CLAIMS: 6
EXEMPLARY CLAIM: 1,2,3
NUMBER OF DRAWINGS: 1 Drawing Figure(s); 1 Drawing Page(s)
LINE COUNT: 2279
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel immunochemical assay reagents comprising combinations of (A) a carboxyl-containing water-soluble mono-olefinic polymeric compound combined with a hapten or its chemically modified product, or a hapten-supported latex resulting from the chemical linking of the hapten-bound polymeric compound to a polymeric latex, with (B) a hapten antibody, or a hapten antibody-supported carrier; and a method for immunochemically determining haptens by using the aforesaid reagent. This reagent is very stable and can be stored for an extended period of time without degradation. It enables transition from an agglutination inhibited pattern to an agglutinated pattern to be discerned clearly and rapidly with high sensitivity.

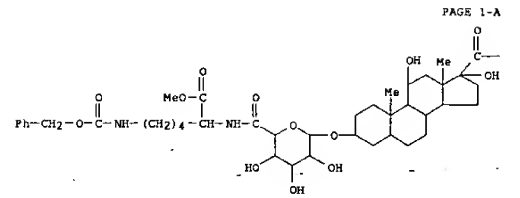
IT **75088-42-5DP**, reduced, reaction products with polyacrylic acid **75088-42-5P**
(prepn. of)

RN 75088-42-5 USPATFULL

CN L-Lysine, N6-[(phenylmethoxy)carbonyl]-N2-[1-O-[(3.alpha.,5.beta.,11.beta.)-11,17,21-trihydroxypregnan-3-yl]-.beta.-D-glucopyranuronoyl]-, methyl ester (9CI) (CA INDEX NAME)

L28 ANSWER 26 OF 30 USPATFULL (Continued)

PAGE 1-A



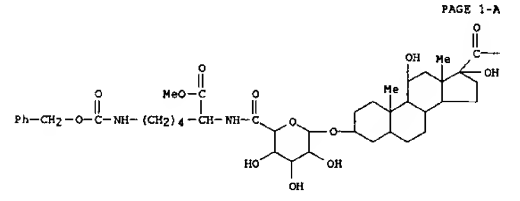
PAGE 1-B

—CH_2—OH

RN 75088-42-5 USPATFULL

CN L-Lysine, N6-[(phenylmethoxy)carbonyl]-N2-[1-O-[(3.alpha.,5.beta.,11.beta.)-11,17,21-trihydroxypregnan-3-yl]-.beta.-D-glucopyranuronoyl]-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

—CH_2—OH

L28 ANSWER 27 OF 30 USPATFULL
ACCESSION NUMBER: 81:37079 USPATFULL
TITLE: Cortisol radioimmunoassay method and cortisol derivatives useful therefor
INVENTOR(S): Kojima, Masaharu, Fukuoka, Japan
Sone, Hisao, Fukuoka, Japan
Ogawa, Hiroshi, Kashiwa, Japan
Nakazawa, Nobuhiko, Urawa, Japan
Tachibana, Seiji, Tokyo, Japan
PATENT ASSIGNEE(S): Daiichi Radioisotope Laboratories, Ltd., Tokyo, Japan (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4277460		19810707
APPLICATION INFO.:	US 1979-68834		19790822 (6)

RELATED APPLN. INFO.: Division of Ser. No. US 1977-802397, filed on 1 Jun 1977, now patented, Pat. No. US 4190593

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1976-63985	19760601

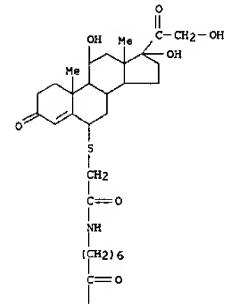
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Padgett, Benjamin R.
ASSISTANT EXAMINER: Nucker, Christine M.
LEGAL REPRESENTATIVE: Sughrue, Rothwell, Mion, Zinn and Macpeak
NUMBER OF CLAIMS: 4
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 8 Drawing Figure(s); 3 Drawing Page(s)
LINE COUNT: 480
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The cortisol compound of the formula (E) ##STR1## wherein R represents a hydroxy group, a tyrosine lower alkyl ester residue, a tyramine residue, a histamine residue, a 7-aminoheptanoyl-tyrosine lower alkyl ester residue, a radioiodinated tyrosine lower alkyl ester residue, a radioiodinated tyramine residue, a radioiodinated histamine residue, a radioiodinated 7-aminoheptanoyltyrosine lower alkyl ester residue, a protein or a polypeptide; and a radioimmunoassay method using cortisol derivative.

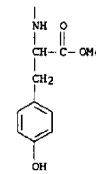
IT **65644-73-7DP**, labeled with iodine-125
(prepn. of, cortisol radioimmunoassay in relation to)
RN 65644-73-7 USPATFULL
CN L-Tyrosine, O-[1-oxo-7-[[[[(6.alpha.,11.beta.)-11,17,21-trihydroxy-3,20-dioxopregn-4-en-6-yl]thio]acetyl]amino]heptyl]-, methyl ester (9CI) (CA INDEX NAME)

L28 ANSWER 27 OF 30 USPATFULL (Continued)

PAGE 1-A



PAGE 2-A



L28 ANSWER 28 OF 30 USPATFULL
ACCESSION NUMBER: 80:10320 USPATFULL
TITLE: Cortisol radioimmunoassay method and cortisol derivatives useful therefor
INVENTOR(S): Kojima, Masaharu, Fukuoka, Japan
Sone, Hisao, Fukuoka, Japan
Ogawa, Hiroshi, Kashiwa, Japan
Nakazawa, Nobuhiko, Urawa, Japan
Tachibana, Seiji, Tokyo, Japan
PATENT ASSIGNEE(S): Daiichi Radioisotope Laboratories, Ltd., Tokyo, Japan (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4190593		19800226
APPLICATION INFO.:	US 1977-802397		19770601 (5)

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1976-63985	19760601

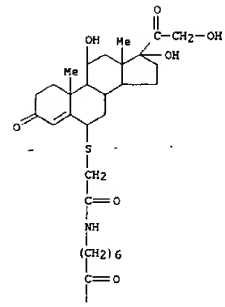
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Roberts, Elbert L.
ASSISTANT EXAMINER: Whittenbaugh, Robert C.
LEGAL REPRESENTATIVE: Sughrue, Rothwell, Mion, Zinn and Macpeak
NUMBER OF CLAIMS: 6
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 8 Drawing Figure(s); 3 Drawing Page(s)
LINE COUNT: 471
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The cortisol compound of the formula (E) ##STR1## wherein R represents a hydroxy group, a tyrosine lower alkyl ester residue, a tyramine residue, a histamine residue, a 7-aminoheptanoyl-tyrosine lower alkyl ester residue, a radioiodinated tyrosine lower alkyl ester residue, a radioiodinated tyramine residue, a radioiodinated histamine residue, a radioiodinated 7-aminoheptanoyltyrosine lower alkyl ester residue, a protein or a polypeptide; and a radioimmunoassay method using cortisol derivative.

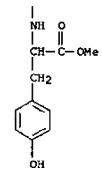
IT **65644-73-7DP**, labeled with iodine-125
(prepn. of, cortisol radioimmunoassay in relation to)
RN 65644-73-7 USPATFULL
CN L-Tyrosine, O-[1-oxo-7-[[[[(6.alpha.,11.beta.)-11,17,21-trihydroxy-3,20-dioxopregn-4-en-6-yl]thio]acetyl]amino]heptyl]-, methyl ester (9CI) (CA INDEX NAME)

L28 ANSWER 28 OF 30 USPATFULL (Continued)

PAGE 1-A



PAGE 2-A



L28 ANSWER 29 OF 30 USPATFULL
ACCESSION NUMBER: 80:9142 USPATFULL
TITLE: Novel .DELTA..sup.4 -pregnenes
INVENTOR(S): Nedelec, Lucien, Le Raincy, France
Pierdet, Andre, Noisy-le-Sec, France
Deraedt, Roger, Les Pavillons-sous-Bois, France
PATENT ASSIGNEE(S): Roussel Uclaf, Paris, France (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4189477		19800219
APPLICATION INFO.:	US 1978-903600		19780508 (5)

	NUMBER	DATE
PRIORITY INFORMATION:	FR 1977-13864	19770506

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Roberts, Elbert L.
LEGAL REPRESENTATIVE: Hammond & Littell
NUMBER OF CLAIMS: 23
EXEMPLARY CLAIM: 1,11
LINE COUNT: 802
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Novel .DELTA..sup.4 -pregnenes of the formula ##STR1## wherein X.sub.1 is selected from the group consisting of .dbd.O and ##STR2## Y is selected from the group consisting of hydrogen and halogen, R.sub.1 is selected from the group consisting of hydrogen and acyl of an organic carboxylic acid of 1 to 18 carbon atoms, X.sub.2 is selected from the group consisting of hydrogen and --OH, R in the 16.alpha.- or .beta.-position is selected from the group consisting of hydrogen, --OH and methyl, Z is selected from the group consisting of (1) --OH, (2) alkoxy of 1 to 12 carbon atoms, (3) cycloalkoxy of 3 to 12 carbon atoms, (4) acyloxy of an organic carboxylic acid of 1 to 18 carbon atoms, (5) ##STR3## wherein W is a hydrocarbon of 1 to 12 carbon atoms, (6) ##STR4## wherein R.sub.2 is hydrogen or alkyl of 1 to 12 carbon atoms, (7) ##STR5## wherein R.sub.3 is a hydrocarbon of 1 to 12 carbon atoms and (8) ##STR6## the dotted line in the A ring indicates the optional presence of a double bond in the 1(2)-position and A and B are both hydrogen or A is methyl, chlorine or fluorine while B is hydrogen or A and B may form a double bond in the 6(7)-position with the proviso that if the A ring has a 1(2) double bond, A is hydrogen or fluorine, B is hydrogen, Y is hydrogen or fluorine, X.sub.2 is hydrogen or --OH, R is methyl and Z is not --OH having a very marked antiallergic activity with a reduced anti-inflammatory activity and a process for their preparation.
IT **69765-22-6P 69765-23-7P**
(prepn. of)
RN 69765-22-6 USPATFULL
CN Pregna-1,4-diene-3,20-dione, 9-fluoro-11,17,21-trihydroxy-16-methyl-, 3-[(aminocarbonyl)hydrazone], (3E,11.beta.,16.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

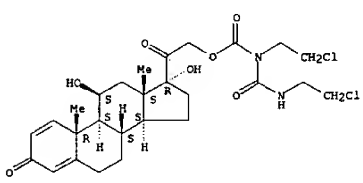
L28 ANSWER 30 OF 30 USPATFULL
ACCESSION NUMBER: 76:33614 USPATFULL
TITLE: Novel N-nitroso compounds, compositions containing such compounds, processes for their preparation and methods of treatment therewith, and novel intermediates
INVENTOR(S): Hogberg, Knut Bertil, Helsingborg, Sweden
Fex, Hans Jacob, Helsingborg, Sweden
Konyves, Imre, Hittarp, Sweden
Stamvik, Anders Robert, Helsingborg, Sweden
PATENT ASSIGNEE(S): Aktiebolaget Leo, Helsingborg, Sweden (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 3963707		19760615
APPLICATION INFO.:	US 1974-445572		19740225 (5)

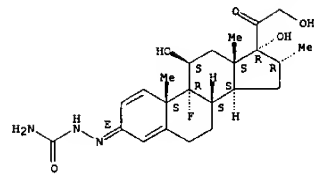
	NUMBER	DATE
PRIORITY INFORMATION:	GB 1973-10613	19730305

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Roberts, Elbert L.
LEGAL REPRESENTATIVE: Hueschen, Gordon W.
NUMBER OF CLAIMS: 62
EXEMPLARY CLAIM: 1
LINE COUNT: 3217
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention relates to novel N-halogenoalkyl-N-nitroso carbamates and N.sup.4 halogenalkyl-N.sup.4 -nitroso allophanates of steroid compounds, having an anti-tumor activity, and to the preparation thereof. The invention is also concerned with pharmaceutical compositions containing the said compounds, and methods of treatment therewith.
IT **54025-67-1P**
(prepn. of)
RN 54025-67-1 USPATFULL
CN Pregna-1,4-diene-3,20-dione, 21-[[[(2-chloroethyl)[[(2-chloroethyl)amino]carbonyl]amino]carbonyl]oxy]-11,17-dihydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

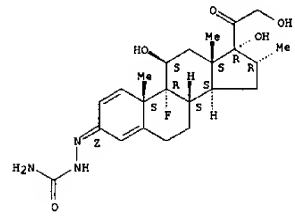


L28 ANSWER 29 OF 30 USPATFULL (Continued)



RN 69765-23-7 USPATFULL
CN Pregna-1,4-diene-3,20-dione, 9-fluoro-11,17,21-trihydroxy-16-methyl-, 3-[(aminocarbonyl)hydrazone], (3Z,11.beta.,16.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



```
=> d his

     (FILE 'HOME' ENTERED AT 11:07:01 ON 21 FEB 2003)

     FILE 'REGISTRY' ENTERED AT 11:07:07 ON 21 FEB 2003
L1           175 S PSORALEN
L2          2408 S SPERMIDINE?
L3           187 S PSORALEN?
L4           261 S SPERMINE?
L5            28 S POLYLYSINE?
L6           382 S PROTAMINE?
L7          3193 S L1 OR L2 OR L3 OR L4 OR L5 OR L6
L8                STRUCTURE UPLOADED
L9              0 S L8 SAM SUB=L3
L10             0 S L8 FULL SUB=L3
L11             0 S L8  SUB=L7 SAM
L12             2 S L8 FULL SUB=L7
L13               STRUCTURE UPLOADED
L14             0 S L13
L15             9 S L13 FULL

     FILE 'CAPLUS' ENTERED AT 11:16:01 ON 21 FEB 2003
L16             3 S L15

     FILE 'SCISEARCH' ENTERED AT 11:17:57 ON 21 FEB 2003
L17             2 S TRAVEN?/AU AND TOLMACHEV?/AU AND 1999/PY AND 5/SO

     FILE 'USPATFULL' ENTERED AT 11:20:49 ON 21 FEB 2003
L18             0 S L15

     FILE 'MARPAT' ENTERED AT 11:29:25 ON 21 FEB 2003
L19             0 S L15
L20             0 S L15 FULL

     FILE 'REGISTRY' ENTERED AT 11:32:39 ON 21 FEB 2003
L21               STRUCTURE UPLOADED
L22            19 S L21
L23          7784 S L21 FULL
L24               STRUCTURE UPLOADED
L25           504 S L24 FULL SUB=L23
L26           411 S L25 AND 1/NC

     FILE 'USPATFULL' ENTERED AT 11:36:00 ON 21 FEB 2003
L27            46 S L26
L28            30 S L27 NOT PY>=1999

=> d his

     (FILE 'HOME' ENTERED AT 11:07:01 ON 21 FEB 2003)

     FILE 'REGISTRY' ENTERED AT 11:07:07 ON 21 FEB 2003
L1           175 S PSORALEN
L2          2408 S SPERMIDINE?
L3           187 S PSORALEN?
L4           261 S SPERMINE?
L5            28 S POLYLYSINE?
L6           382 S PROTAMINE?
```

```
L7          3193 S L1 OR L2 OR L3 OR L4 OR L5 OR L6
L8               STRUCTURE UPLOADED
L9             0 S L8 SAM SUB=L3
L10            0 S L8 FULL SUB=L3
L11            0 S L8  SUB=L7 SAM
L12            2 S L8 FULL SUB=L7
L13              STRUCTURE UPLOADED
L14            0 S L13
L15            9 S L13 FULL

     FILE 'CAPLUS' ENTERED AT 11:16:01 ON 21 FEB 2003
L16            3 S L15

     FILE 'SCISEARCH' ENTERED AT 11:17:57 ON 21 FEB 2003
L17            2 S TRAVEN?/AU AND TOLMACHEV?/AU AND 1999/PY AND 5/SO

     FILE 'USPATFULL' ENTERED AT 11:20:49 ON 21 FEB 2003
L18            0 S L15

     FILE 'MARPAT' ENTERED AT 11:29:25 ON 21 FEB 2003
L19            0 S L15
L20            0 S L15 FULL

     FILE 'REGISTRY' ENTERED AT 11:32:39 ON 21 FEB 2003
L21              STRUCTURE UPLOADED
L22           19 S L21
L23         7784 S L21 FULL
L24              STRUCTURE UPLOADED
L25          504 S L24 FULL SUB=L23
L26          411 S L25 AND 1/NC

     FILE 'USPATFULL' ENTERED AT 11:36:00 ON 21 FEB 2003
L27           46 S L26
L28           30 S L27 NOT PY>=1999

     FILE 'CAPLUS' ENTERED AT 11:39:18 ON 21 FEB 2003
L29          186 S L26
L30            0 S L29 NOT L27
```

=> d ibib ab hitstr 1-3

L16 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:909867 CAPLUS
DOCUMENT NUMBER: 136:364762
TITLE: Selective enhancement of gene transfer by steroid-mediated gene delivery
AUTHOR(S): Rebuffat, Alexandre; Bernasconi, Alessio; Ceppi, Maurizio; Wehrli, Hans; Verca, Stefano Brenz; Ibrahim, Merdol; Frey, Brigitte M.; Frey, Felix J.; Rusconi, Sandro
CORPORATE SOURCE: Division of Nephrology, Inselspital, Bern, Switz.
SOURCE: Nature Biotechnology (2001), 19(12), 1155-1161
CODEN: NABIF9; ISSN: 1087-0156
PUBLISHER: Nature America Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

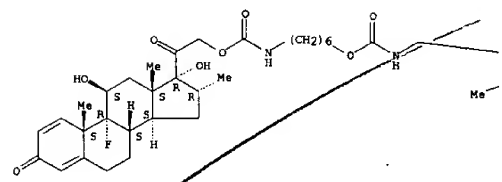
AB The incorporation of transgenes into the host cells' nuclei is problematic using conventional nonviral gene delivery technologies. Here we describe a strategy called steroid-mediated gene delivery (SMGD), which uses steroid receptors as shuttles to facilitate the uptake of transfected DNA into the nucleus. We use glucocorticoid receptors (GRs) as a model system with which to test the principle of SMGD. To this end, we synthesized and tested several bifunctional steroid derivs., finally focusing on a compd. named DR9NP, consisting of a dexamethasone backbone linked to a psoralen moiety using a nine-atom chem. spacer. DR9NP binds to the GR in either its free or DNA-crosslinked form, inducing the translocation of the GR to the nucleus. The expression of transfected DR9NP-decorated reporter plasmids is enhanced in dividing cells: expression of steroid-decorated reporter plasmids depends on the presence of the GR, is independent of the transactivation potential of the GR, and correlates with enhanced nuclear accumulation of the transgene in GR-pos. cells. The SMGD effect is also obsd. in cells naturally expressing GRs and is significantly increased in nondividing cell cultures. We propose that geting of transgenes in nonviral somatic gene transfer.

IT **423119-97-5**
RL: BSU (Biological study, unclassified); BIOL (Biological study) (Selective enhancement of gene transfer by steroid-mediated gene delivery)
RN 423119-97-5 CAPLUS
CN Carbamic acid, [(2,5,9-trimethyl-7-oxo-7H-furo[3,2-g][1]benzopyran-3-yl)methyl]-, 6-[[[[(11.beta.,16.alpha.)-9-fluoro-11,17-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-21-yl]oxy]carbonyl]amino]hexyl ester (9CI) (CA INDEX NAME)

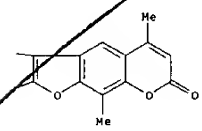
Absolute stereochemistry.

L16 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:144896 CAPLUS
DOCUMENT NUMBER: 132:194550
TITLE: Preparation of conjugates of DNA interacting groups with steroid hormones for use as nucleic acid transfection agents
INVENTOR(S): Frey, Felix; Rusconi, Sandro; Frey, Brigitte; Wehrli, Hans-Ueli
PATENT ASSIGNEE(S): Switz.
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO:	DATE
WO 2000011019	A1	20000302	WO 1998-IB1306	19980821
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9888183	A1	20000314	AU 1998-88183	19980821
CA 2338342	AA	20000302	CA 1999-2338342	19990819
WO 2000011018	A1	20000302	WO 1999-CH384	19990819
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9951463	A1	20000314	AU 1999-51463	19990819
EP 1105408	A1	20010613	EP 1999-936232	19990819
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002523422	T2	20020730	JP 2000-566290	19990819

PRIORITY APPLN. INFO.: WO 1998-IB1306 A 19980821
WO 1999-CH384 W 19990819

AB Linked steroid hormone and DNA-interacting mol. RXR1 (R = steroid moiety; R1 = DNA-interacting moiety; X = 2 - 30 atom linking group), which that target nucleic acids to the cell nucleus, were prepd. and formulated for use in gene therapy by introducing nucleic acids into the nucleus of cells. Thus, I was prepd. starting from cortisol, .beta.-alanine Me ester hydrochloride, and ethidium bromide. The prepd. compds. were tested using a nuclear transfer induction assay, as well as tested for soly. and stability in the presence of dispase and porteinase K.

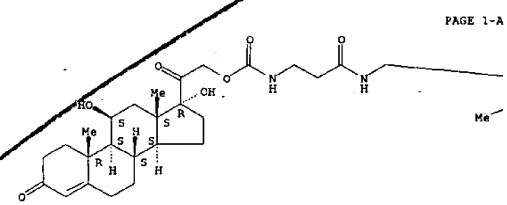
IT **259815-79-7P 259815-80-0P 259815-83-3P**
259815-86-6P 259815-89-9P 259815-94-6P
259815-97-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of conjugates of DNA interacting groups with steroid hormones for use as nucleic acid transfection agents)

L16 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS (Continued)

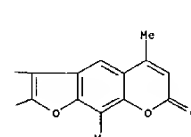
RN 259815-79-7 CAPLUS
CN Pregn-4-ene-3,20-dione, 11,17-dihydroxy-21-[[[[3-oxo-3-[[(2,5,9-trimethyl-7-oxo-7H-furo[3,2-g][1]benzopyran-3-yl)methyl]amino]propyl]amino]carbonyl]oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

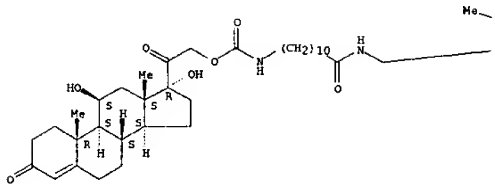


RN 259815-80-0 CAPLUS
CN Pregn-4-ene-3,20-dione, 11,17-dihydroxy-21-[[[[11-oxo-11-[[(2,5,9-trimethyl-7-oxo-7H-furo[3,2-g][1]benzopyran-3-yl)methyl]amino]undecyl]amino]carbonyl]oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

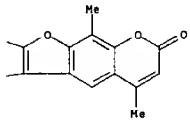
Absolute stereochemistry.

L16 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



PAGE 1-B

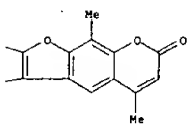


RN 259815-83-3 CAPLUS
CN Pregna-1,4-diene-3,20-dione, 9-fluoro-11,17-dihydroxy-16-methyl-21-[[[[3-oxo-3-[[(2,5,9-trimethyl-7-oxo-7H-furo[3,2-g][1]benzopyran-3-yl)methyl]amino]propyl]amino]carbonyl]oxy]-, (11.beta.,16.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L16 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS (Continued)

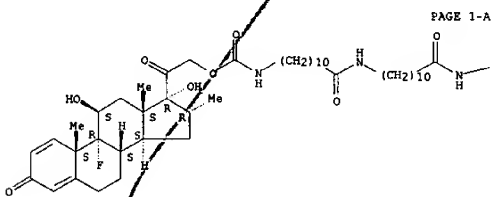
PAGE 1-B



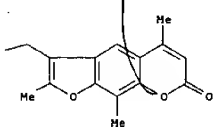
RN 259815-89-9 CAPLUS
CN Pregna-1,4-diene-3,20-dione, 9-fluoro-11,17-dihydroxy-16-methyl-21-[[[[11-oxo-11-[[11-oxo-11-[[(2,5,9-trimethyl-7-oxo-7H-furo[3,2-g][1]benzopyran-3-yl)methyl]amino]undecyl]amino]undecyl]amino]carbonyl]oxy]-, (11.beta.,16.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

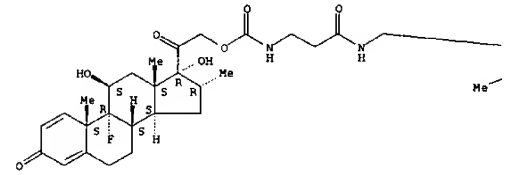


RN 259815-94-6 CAPLUS
CN Pregna-1,4-diene-3,20-dione, 21-[[1,9-dioxo-11-(2,5,9-trimethyl-7-oxo-7H-furo[3,2-g][1]benzopyran-3-yl)-5,8-dioxa-2,10-diazaundec-1-yl]oxy]-9-fluoro-11,17-dihydroxy-16-methyl-, (11.beta.,16.alpha.)- (9CI) (CA INDEX NAME)

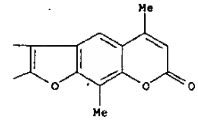
Absolute stereochemistry.

L16 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



PAGE 1-B

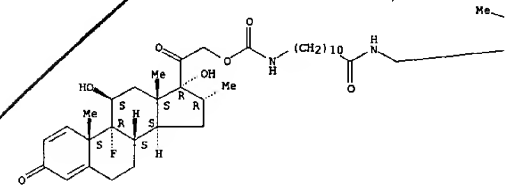


RN 259815-86-6 CAPLUS
CN Pregna-1,4-diene-3,20-dione, 9-fluoro-11,17-dihydroxy-16-methyl-21-[[[[11-oxo-11-[[(2,5,9-trimethyl-7-oxo-7H-furo[3,2-g][1]benzopyran-3-yl)methyl]amino]undecyl]amino]carbonyl]oxy]-, (11.beta.,16.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

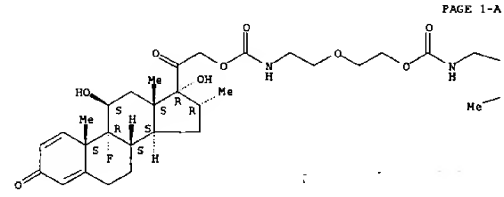
L16 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

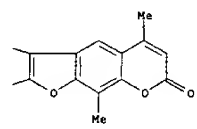


L16 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



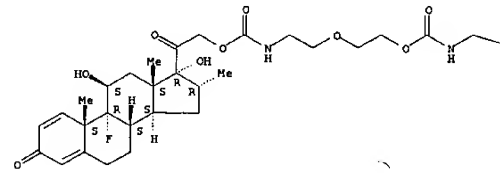
PAGE 1-B



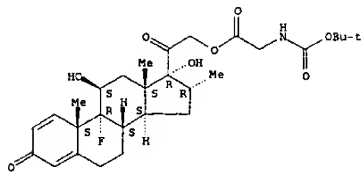
RN 259815-97-9 CAPLUS
CN Pregna-1,4-diene-3,20-dione, 9-fluoro-11,17-dihydroxy-16-methyl-21-[[1,9,17-trioxo-19-(2,5,9-trimethyl-7-oxo-7H-furo[3,2-g][1]benzopyran-3-yl)-5,8,13,16-tetraoxa-2,10,18-triazanonadec-1-yl]oxy]-, (11.beta.,16.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



L12 ANSWER 13 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 14 OF 69 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:740333 CAPLUS
DOCUMENT NUMBER: 128:10873
TITLE: A three-hybrid reporter gene method for screening for proteins binding defined ligands
INVENTOR(S): Liu, Jun; Licitra, Edward J.
PATENT ASSIGNEE(S): Massachusetts Institute of Technology, USA
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9741255	A1	19971106	WO 1997-US6912	19970425
W: CA, JP				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1241265	A2	20020918	EP 2002-76267	19970325
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
CA 2252886	AA	19971106	CA 1997-2252886	19970425
EP 907750	A1	19990414	EP 1997-921370	19970425
EP 907750	B1	20020918		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 5928868	A	19990727	US 1997-845674	19970425
JP 2000508923	T2	20000718	JP 1997-539036	19970425
DE 29724617	U1	20020718	DE 1997-29724617	19970425
AT 224454	E	20021015	AT 1997-921370	19970425

PRIORITY APPLN. INFO.: US 1996-17341P P 19960426
EP 1997-921370 A3 19970425
WO 1997-US6912 W 19970425

AB A method for identifying the binding partner for a define ligand using an extension of the two-hybrid system is described. The method uses a fusion protein of the LexA protein and a ligand binding protein to bind to a LexA operator upstream of a reporter gene. This is bound to by a conjugate of the natural ligand for the protein and the ligand of interest. Possible binding partners for the ligand are identified by introduction of an expression library in which the proteins are synthesized as fusion products with a transcriptional activator. When the necessary combination of LexA fusion protein, ligand, and transcriptional activator fusion protein are brought together, the reporter gene is expressed. The method is particularly intended for the identification of natural binding partners for small mols. A fusion product of LexA and the rat glucocorticoid receptor is used in a reconstruction expt. with FK506-binding protein FKBP12 is used to demonstrate using a conjugate of dexamethasone and FK506 as the hybrid ligand.

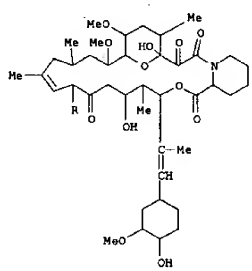
IT **199191-60-1P**
RL: ARU (Analytical role, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); USES (Uses)
(hybrid ligand for detection of FK506-binding proteins; three-hybrid reporter gene method for screening for proteins binding defined ligands)

RN 199191-60-1 CAPLUS

L12 ANSWER 14 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

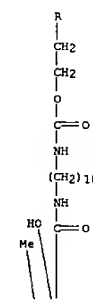
CN Carbamic acid, [10-[[[(11.beta.,16.alpha.,17.alpha.)-9-fluoro-11,17-dihydroxy-16-methyl-3-oxoandrosta-1,4-dien-17-yl]carbonyl]amino]decyl]-, 2-[(3S,4R,5S,8R,9E,12S,14S,15R,16S,18R,19R,26aS)-1,4,5,6,7,8,11,12,13,14,15,16,17,18,19,20,21,23,24,25,26,26a-docosahydro-5,19-dihydroxy-3-[(1E)-2-[(1R,3R,4R)-4-hydroxy-3-methoxycyclohexyl]-1-methylethenyl]-14,16-dimethoxy-4,10,12,18-tetramethyl-1,7,20,21-tetraoxo-15,19-epoxy-3H-pyrido[2,1-c][1,4]oxaazacyclotricosin-8-yl]ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

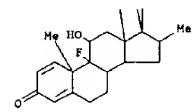


L12 ANSWER 14 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 2-A



PAGE 3-A



L12 ANSWER 15 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:96286 CAPLUS
DOCUMENT NUMBER: 124:185375
TITLE: Synthesis of co-drugs of alkylating agents and steroidal anti-inflammatories
AUTHOR(S): Pai, Niranjan N.; Miyawa, John H.; Perrin, John H.
CORPORATE SOURCE: Dep. Med. Chem., Univ. Florida, Gainesville, FL, 32610, USA
SOURCE: Drug Development and Industrial Pharmacy (1996), 22(2), 181-4
CODEN: DDIPD8; ISSN: 0363-9045
PUBLISHER: Dekker
DOCUMENT TYPE: Journal
LANGUAGE: English

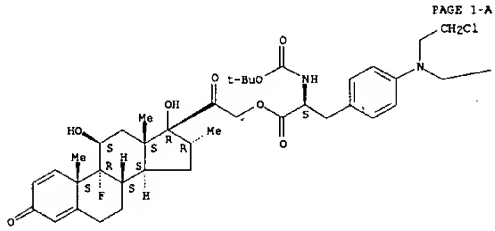
AB Co-drugs of the anti-inflammatory agents dexamethasone and prednisone, and anti-neoplastic agents melphalan and chlorambucil, were synthesized using an esterification reaction. The carboxylic acids were activated using dicyclohexylcarbodiimide (DCC) and dimethylaminopyridine (DMAP) to give esters dexamethasone and prednisone 21-melphalanate hydrochlorides and dexamethasone and prednisone 21-chlorambucilates. Preliminary investigations have shown that these esters are hydrolyzed to their component drugs and show comparable in vitro activity to the parent drugs.

IT **174283-30-8P**, Dexamethasone 21-tert-butoxycarbonylmelphalanate **174283-31-9P**, Prednisone 21-tert-butoxycarbonylmelphalanate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of co-drugs of alkylating agents and steroidal anti-inflammatories)

RN 174283-30-8 CAPLUS
CN L-Phenylalanine, 4-[bis(2-chloroethyl)amino]-N-[(1,1-dimethylethoxy)carbonyl]-, (11.beta.,16.alpha.)-9-fluoro-11,17-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-21-yl ester (9CI) (CA INDEX NAME)

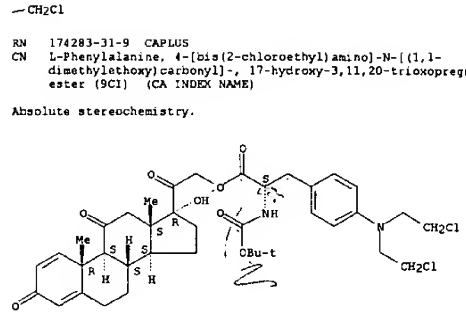
Absolute stereochemistry.

PAGE 1-A



L12 ANSWER 15 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B



RN 174283-31-9 CAPLUS
CN L-Phenylalanine, 4-[bis(2-chloroethyl)amino]-N-[(1,1-dimethylethoxy)carbonyl]-, 17-hydroxy-3,11,20-trioxopregna-1,4-dien-21-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

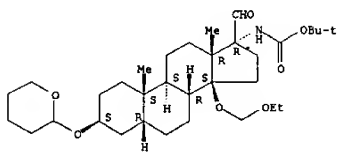
L12 ANSWER 16 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:692430 CAPLUS
DOCUMENT NUMBER: 123:199201
TITLE: New digitalis steroids. Synthesis of 17.alpha.-amino 5.beta.,14.beta.-steroids by thermolysis of 17.beta.-azidocarbonyloxymethyl derivatives
AUTHOR(S): Fedrizzi, Giorgio; Bernardi, Luigi; Marazzi, Giuseppe; Melloni, Piero; Frigerio, Marco
CORPORATE SOURCE: Prassis Ist. Ricerche Sigma-Tau, Settimo Milanese, 20019, Italy
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1995), (13), 1755-8
CODEN: JCPRB4; ISSN: 0300-922X
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 123:199201

AB An efficient procedure for the synthesis of otherwise difficult to access 17.alpha.-amino derivs. of the digitalis series is described. The key reaction is the stereospecific thermocyclization of 3.beta.-acetoxy-17.beta.-azidocarbonyloxymethyl-5.beta.-androstan-14.beta.-ol to (17R)-3.beta.-acetoxy-14.beta.-hydroxyspiro[5.beta.-androstane-17,4'-oxazolidin]-2'-one (I).

IT **167958-58-9P 167958-62-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of 17.alpha.-amino 5.beta.,14.beta.-steroids by thermolysis of 17.beta.-azidocarbonyloxymethyl derivs.)

RN 167958-58-9 CAPLUS
CN Carbamic acid, [(3.beta.,5.beta.,14.beta.,17.alpha.)-14-(ethoxymethoxy)-17-formyl-3-[(tetrahydro-2H-pyran-2-yl)oxy]androstan-17-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

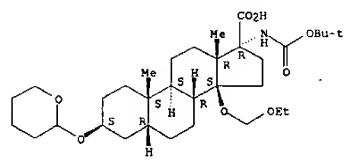
Absolute stereochemistry.



RN 167958-62-5 CAPLUS
CN Androstane-17-carboxylic acid, 17-[[(1,1-dimethylethoxy)carbonyl]amino]-14-(ethoxymethoxy)-3-[(tetrahydro-2H-pyran-2-yl)oxy]-, (3.beta.,5.beta.,14.beta.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 16 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



L12 ANSWER 17 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:382640 CAPLUS
DOCUMENT NUMBER: 122:161384
TITLE: Preparation of peptidylglucocorticoids as antiinflammatories.
INVENTOR(S): Zentel, Hans Jochaim; Toepert, Michael; Laurent, Henry; Brumby, Thomas; Esperling, Peter
PATENT ASSIGNEE(S): Schering A.-G., Germany
SOURCE: Ger. Offen., 9 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4311987	A1	19941013	DE 1993-4311987	19930407
WO 9422898	A1	19941013	WO 1994-EP937	19940324
W: AU, CA, CZ, FI, HU, JP, KP, NO, NZ, RU, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2158643	AA	19941013	CA 1994-2158643	19940324
AU 9465048	A1	19941024	AU 1994-65048	19940324
AU 696090	B2	19980903		
EP 693080	A1	19960124	EP 1994-912531	19940324
EP 693080	B1	19980422		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 08508727	T2	19960917	JP 1994-521644	19940324
AT 165366	E	19980515	AT 1994-912531	19940324
ES 2118399	T3	19980916	ES 1994-912531	19940324
US 5616573	A	19970401	US 1995-530352	19951006

PRIORITY APPLN. INFO.: DE 1993-4311987 19930407
WO 1994-EP937 19940324
OTHER SOURCE(S): MARPAT 122:161384

AB R-Val-OGC [OGC = residue of an antiinflammatory 21-hydroxycorticoid; R = H, (HO-, amino-, oxo, and/or halo-substituted) (O-, SO2-, and/or NH-interrupted)hydrocarbyl], were prepd. Thus, 6.alpha.-methylprednisolon-17-propionate was coupled with BOC-Val-OH using dimethyaminopyridine/DCC in CH2Cl2 to give 96% 6.alpha.-methylprednisolon-17-propionate-21-[N-(tert-butoxycarbonyl)valinate] (BOC-Val-OMPP). This was deprotected with CF3CO2H (80%) and the resulting salt was coupled with BOC-Ala-Ala-Pro-OH using hydroxybenzotriazole/DCC/N-methylmorpholine in CH2Cl2 to give BOC-Ala-Ala-Pro-Val-OMP. The latter as a 0.3% (wt./vol.) prepn. gave 81% inhibition of croton oil-induced edema in rat ears, vs. 67% inhibition for 6a-methylprednisolon-17-propionate-21-acetate. Title compds. are cleaved to the active form by leukocyte elastase, minimizing concn. of active compds. in noninflamed areas.

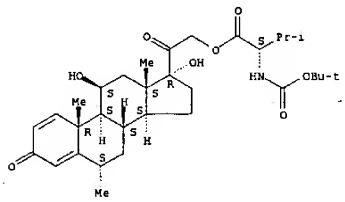
IT **161220-33-3P 161220-36-6P 161220-38-8P 161220-41-3P 161220-46-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptidylglucocorticoids as antiinflammatories)

RN 161220-33-3 CAPLUS
CN L-Valine, N-[(1,1-dimethylethoxy)carbonyl]-, (6.alpha.,11.beta.)-11-hydroxy-6-methyl-3,20-dioxo-17-(1-oxopropoxy)pregna-1,4-dien-21-yl ester (9CI) (CA INDEX NAME)

L12 ANSWER 17 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 161220-38-8 CAPLUS
CN L-Valine, N-[(1,1-dimethylethoxy)carbonyl]-, (6.alpha.,11.beta.)-11,17-dihydroxy-6-methyl-3,20-dioxopregna-1,4-dien-21-yl ester (9CI) (CA INDEX NAME)

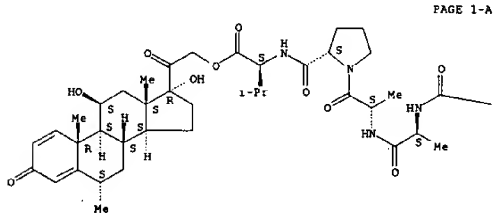
Absolute stereochemistry.



RN 161220-41-3 CAPLUS
CN L-Valine, N-[1-[N-[N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl]-L-alanyl]-L-prolyl]-, (6.alpha.,11.beta.)-11,17-dihydroxy-6-methyl-3,20-dioxopregna-1,4-dien-21-yl ester (9CI) (CA INDEX NAME)

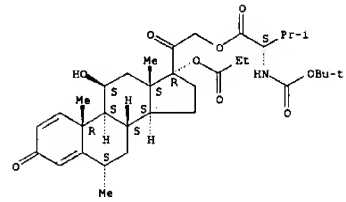
Absolute stereochemistry.

PAGE 1-A



L12 ANSWER 17 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

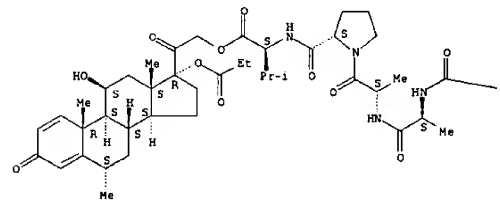
Absolute stereochemistry.



RN 161220-36-6 CAPLUS
CN L-Valine, N-[1-[N-[N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl]-L-alanyl]-L-prolyl]-, (6.alpha.,11.beta.)-11-hydroxy-6-methyl-3,20-dioxo-17-(1-oxopropoxy)pregna-1,4-dien-21-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



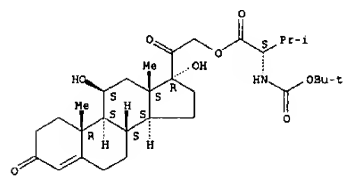
PAGE 1-B

L12 ANSWER 17 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B

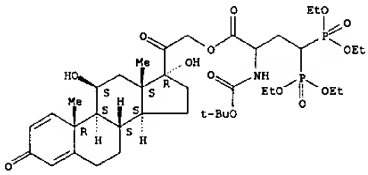
RN 161220-46-8 CAPLUS
CN L-Valine, N-[(1,1-dimethylethoxy)carbonyl]-, (11.beta.)-11,17-dihydroxy-3,20-dioxopregn-4-en-21-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



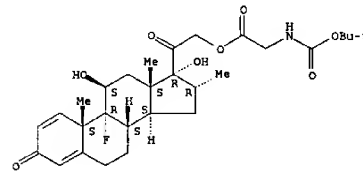
L12 ANSWER 18 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:48899 CAPLUS
DOCUMENT NUMBER: 122:81729
TITLE: Synthesis of gem-bisphosphonic conjugates of cortisone derivatives
AUTHOR(S): Guervenou, J.; Sturtz, G.
CORPORATE SOURCE: Lab. Chim. Hetero-Org., U.F.R. Sci. Tech., Brest, F-29285, Fr.
SOURCE: Phosphorus, Sulfur and Silicon and the Related Elements (1994), 88(1-4), 1-13
CODEN: PSSLEC; ISSN: 1042-6507
DOCUMENT TYPE: Journal
LANGUAGE: French
AB 21-Esters of prednisolone, hydrocortisone, and cortodoxone and [(HO)2P(O)]2CHCH2CHRCO2H [R = H, NH2] or [(HO)2P(O)]2CHCH2CO2H were prepd. by esterifying the steroids with the protected carboxylic acids. The esters were isolated as their di-Na salts for use as prodrugs in bone therapy (no data).
IT **152071-78-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of carboxyalkyldiphosphonate esters of cortisones)
RN 152071-78-8 CAPLUS
CN Pregna-1,4-diene-3,20-dione, 21-[4,4-bis(diethoxyphosphinyl)-2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxobutoxy]-11,17-dihydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 19 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1994:579961 CAPLUS
DOCUMENT NUMBER: 121:179961
TITLE: Synthesis and pharmacological activity of a water-soluble ester of dexamethasone with glycine hydrochloride
AUTHOR(S): Ryakhovskaya, M. I.; Nikin, V. B.; Engalycheva, G. N.
CORPORATE SOURCE: USSR
SOURCE: Sintez i Issledovanie Biol. Aktivnykh Soed. i Lek. Preparatov: Materialy 10 Konf. Molodykh Uchenykh i Spetsialistov, Vses. N.-I. Khim.-Farm. In-t, M. (1992) 64-9
From: Ref. Zh., Khim. 1992, Abstr. No. 19E98
DOCUMENT TYPE: Journal
LANGUAGE: Russian
AB Title only translated.
IT **157610-50-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and deprotection of)
RN 157610-50-9 CAPLUS
CN Glycine, N-[(1,1-dimethylethoxy)carbonyl]-, (11.beta.,16.alpha.)-9-fluoro-11,17-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-21-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

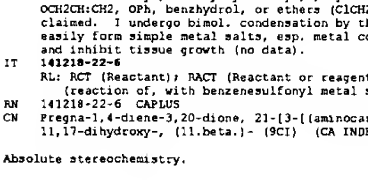


L12 ANSWER 20 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1994:557290 CAPLUS
DOCUMENT NUMBER: 121:157290
TITLE: Sulfonyl derivatives
INVENTOR(S): Takayanagi, Takeo
PATENT ASSIGNEE(S): USA
SOURCE: U.S., 9 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5290773	A	19940301	US 1990-497508	19900321

PRIORITY APPLN. INFO.: US 1990-497508 19900321
OTHER SOURCE(S): MARPAT 121:157290
AB Sulfonyl compds. I [R, R' = H, COCHR4, COCR43, SO2Me, CO2Et, CH2CH2R4, CH2CH2OH, CH2CH2O2CNH2, etc.; R4 = Cl, NMeCHO, NMeOH, aziridino, NHCOCH2CH2OH; M = Mg, wherein RR'N can be changeable to alkyl, halo, aminomethyl; R1, R2 = NMeCHO, aziridino, 6-mercaptopurinyl, prednisolyl, 5-fluorouracilyl, salicylhydrazidyl, , hydroxylamine, etc., OMe, OEt, OCH2CH:CH2, OPh, benzhydrol, or ethers (ClCH2)O, BuOMe, Bu2O, etc.] are claimed. I undergo bimol. condensation by the corresponding agents and easily form simple metal salts, esp. metal complexes which combat viruses and inhibit tissue growth (no data).
IT **141218-22-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with benzenesulfonyl metal salt, anticancer complex by)
RN 141218-22-6 CAPLUS
CN Pregna-1,4-diene-3,20-dione, 21-[3-[(aminocarbonyl)oxy]-2-bromopropoxy]-11,17-dihydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

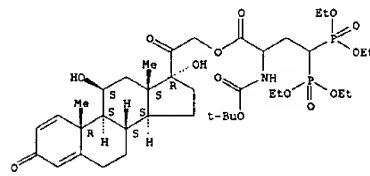


L12 ANSWER 21 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1994:54782 CAPLUS
DOCUMENT NUMBER: 120:54782
TITLE: Preparation of bis(phosphono)alkanoate esters of cortisone analogs as prodrugs for treatment of bone disease
INVENTOR(S): Sturtz, Georges; Guervenou, Joel
PATENT ASSIGNEE(S): Universite de Bretagne Occidentale, Fr.
SOURCE: Fr. Demande, 17 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2683527	A1	19930514	FR 1991-14058	19911112

PRIORITY APPLN. INFO.: FR 1991-14058 19911112
OTHER SOURCE(S): MARPAT 120:54782
AB Title compds. [I; R = COXCH[P(O)(OH)(OM)]2; M = alkali metal cation, NH4+, cyclohexylammonium, etc.; X = (CH2)n, CH2(NH2) (sic); Y = H, OH, O (sic); n = 1-4; dashed line = optional bond] were prepd. as antiinflammatory prodrugs (no data). Thus, HO2CCH(NHCO2CMe3)CH2CH[P(O)(OEt)2]2 (prepn. given) was condensed with prednisolone to give, after deprotection and sapon., I [R = COCH(NH2)CH2CH[P(O)(OH)(ONa)]2, Y = OH, dashed line = bond].
IT **152071-78-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of prodrug for treatment of bone disease)
RN 152071-78-8 CAPLUS
CN Pregna-1,4-diene-3,20-dione, 21-[4,4-bis(diethoxyphosphinyl)-2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxobutoxy]-11,17-dihydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

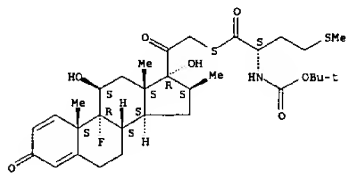


L12 ANSWER 22 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1993:472923 CAPLUS
DOCUMENT NUMBER: 119:72923
TITLE: Preparation of 21-substituted steroid compounds as allergy inhibitors
INVENTOR(S): Hase, Tadashi; Moriwaki, Shigeru; Tsuchiya, Shuichi; Hori, Kimihiko; Suzuki, Yasuto
PATENT ASSIGNEE(S): Kao Corp., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04297421	A2	19921021	JP 1991-63407	19910327

PRIORITY APPLN. INFO.: JP 1991-63407 19910327
OTHER SOURCE(S): MARPAT 119:72923
AB Title compds. [I; R1 = H, alkyl, alkoxy, Ph; R2 = OH, C2-6 acyloxy; R3 = H, alkyl, R2R3 = alkylidenedioxy; X1, X2 = H, halo; Y1, Y2 = CH2, S; Z = S, NH; dotted line = optional double bond] are prepd. A soln. of 6.0 g thiol II in EtOAc was added to a suspension of 7.8 g N-formyl-L-methionine in Et2O, followed by 18.2 g DCC in Et2O, and the soln. was stirred at room temp. to give 3.66 g I (R1 = X2 = H, R2 = Oh, R3 = .beta.-Me, X1 = F, Y1 = CH2, Y2 = Z = S; 1,2-unsatd.), which showed antiallergic activity greater than that of hydrocortisone at 5 .times. 10-3 M. Various formulations were given.
IT **138716-07-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antiallergic agent)
RN 138716-07-1 CAPLUS
CN Pregna-1,4-diene-3,20-dione, 21-[[2-[[(1,1-dimethylethoxy)carbonyl]amino]-4-(methylthio)-1-oxobutyl]thio]-9-fluoro-11,17-dihydroxy-16-methyl-, [11.beta.,16.beta.,21(S)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

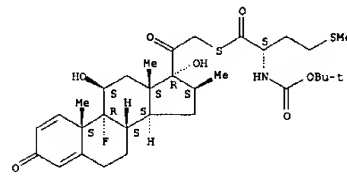


L12 ANSWER 23 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1993:472922 CAPLUS
DOCUMENT NUMBER: 119:72922
TITLE: Preparation of 21-substituted steroid compounds as antiinflammatory agents
INVENTOR(S): Moriwaki, Shigeru; Hase, Tadashi; Tsuchiya, Shuichi; Hori, Kimihiko; Suzuki, Yasuto; Morioka, Tomonori
PATENT ASSIGNEE(S): Kao Corp., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04297420	A2	19921021	JP 1991-63406	19910327

PRIORITY APPLN. INFO.: JP 1991-63406 19910327
OTHER SOURCE(S): MARPAT 119:72922
AB Title compds. [I; R1 = H, alkyl, alkoxy, Ph; R2 = OH, C1-6 acyloxy; R3 = H, alkyl, R2R3 = alkylidenedioxy; X1, X2 = H, halo; Y1, Y2 = CH2, S; Z = S, NH; dotted line = optional double bond] are prepd. A soln. of 6.0 g thiol II in EtOAc was added to a suspension of 7.8 g N-formyl-L-methionine in Et2O with stirring, followed by 18.2 g DCC in Et2O, and the soln. was stirred at room temp. to give 3.66 g I (R1 = X2 = H, R2 = OH, R3 = .beta.-Me, X1 = F, Y1 = CH2, Y2 = Z = S; 1,2-unsatd.), which showed **44%** inhibition of croton oil-induced inflammation at 10-2 M, vs. **34%** with .beta.-Methasone valerate. Various formulations were given.
IT **138716-07-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as antiinflammatory agent)
RN 138716-07-1 CAPLUS
CN Pregna-1,4-diene-3,20-dione, 21-[[2-[[(1,1-dimethylethoxy)carbonyl]amino]-4-(methylthio)-1-oxobutyl]thio]-9-fluoro-11,17-dihydroxy-16-methyl-, [11.beta.,16.beta.,21(S)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 23 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

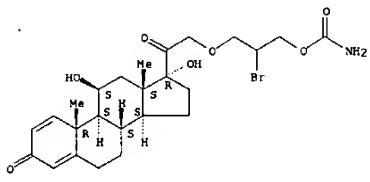
L12 ANSWER 24 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1993:254538 CAPLUS
DOCUMENT NUMBER: 118:254538
TITLE: Sulfonyl derivatives
INVENTOR(S): Takayanagi, Takeo
PATENT ASSIGNEE(S): USA
SOURCE: Eur. Pat. Appl., 23 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 493642	A1	19920708	EP 1991-100035	19910102
R: CH, FR, GB, IT, LI, SE				

PRIORITY APPLN. INFO.: EP 1991-100035 19910102
OTHER SOURCE(S): MARPAT 118:254538
AB The present invention consists of novel sulfonyl derivs. I [R = NR'R'',R',R'' = H, COCHR4, COCR43, SO2Me, CO2Et, CH2CH2R4, CONHCH2CH2R4, CONHCH2CO2Et, CH2CH2OCONH2; R4 = Cl, NMeCHO, ethylenimino, MeNOH, HOCH2CH2CONH, EtCONH, HONH, MeNH, HONCONHOH; R1, R2 = NMeCHO, ethylenimino, 2-hydroxy-4-pyrimidinylamino, 6-mercaptopurinyl, 5-fluorouracilyl, prednisolyl, salicyl, hydrazidyl, 1-allyl-2-thiouracilyl, hydroxylamino, isoamidyl, OH, SH, F, iodo, CCl3, MeO, EtO, CH2:CHO, PhO, benzhydryloxy, aminoethyl, ether group forming oxonium salt of ClCH2OCH2Cl, MeOCH2CH2OMe, ClCH2CH2OCH2CH2Cl, BuOMe, Ph2O, (CH2:CHCH2)2O, (CH2:CH)2O, Bu2O, PhOMe, MeOCHCl2, ClCH2OEt, HOCH2CH2OCH2CH2Cl, ClCH2CHClEt, THF; M = metal; R also = linear, branched, cyclic C1-6 alkyl, halo, Hg:NR5, R5 = C1-6 alkyl; n = 0] and complexes I (A = bio. active substance, n = 1-4) and the process for prepg. I (A = bio. active substance). Thus, 4-(EtOCONH)C6H4SO2Cl was treated with CH2:CHCH2NHCSNH2 in pyridine at 30.degree. overnight to give 4-(EtOCONH)C6H4SO2NHCSNHCH2CH:CH2 as an oil which was treated with ClCH2CH2NHOH in MeOCH2CH2OH and a conc. Mg salt soln. to give I (R = NR'R'', R' = H, R'' = EtO2C, R1 = R2 = NHCSNHCH2CH:CH2, M = Mg, A = ClCH2CH2NHOH, n = 1).
IT **141218-22-6DP**, compds. with magnesium benzenesulfonamides or sulfonyl deriv. complexes **144230-83-1DP**, metal-contg. bis(aminosrylsulfonyl) compd. complexes
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 141218-22-6 CAPLUS
CN Pregna-1,4-diene-3,20-dione, 21-[3-[(aminocarbonyl)oxy]-2-bromopropoxy]-11,17-dihydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

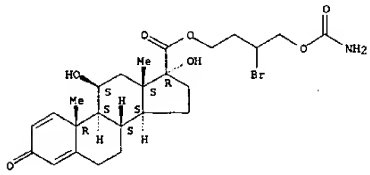
Absolute stereochemistry.

L12 ANSWER 24 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 144230-83-1 CAPLUS
CN Androsta-1,4-diene-17-carboxylic acid, 11,17-dihydroxy-3-oxo-, 4-[(aminocarbonyl)oxy]-3-bromobutyl ester, (11.beta.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

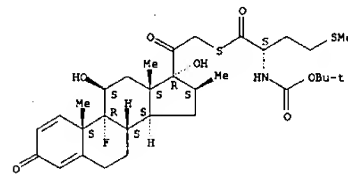


L12 ANSWER 25 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1993:213353 CAPLUS
DOCUMENT NUMBER: 118:213353
TITLE: Preparation of antirheumatic pregnadienediones.
INVENTOR(S): Moriwaki, Shigeru; Hase, Tadashi; Tsuchiya, Shuichi; Hori, Kimihiko; Suzuki, Yasuto
PATENT ASSIGNEE(S): Kao Corp., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04297422	A2	19921021	JP 1991-63408	19910327

PRIORITY APPLN. INFO.: JP 1991-63408 19910327
OTHER SOURCE(S): MARPAT 118:213353
AB The title compds. [I; R1 = H, alkyl, alkoxy, phenyl; R2 = H, acyloxy; R3 = H, alkyl; or R2R3 =alkylidenedioxy; Z = S, imino; X1, X2 = H, halo; Y1, Y2 = CH2, S, NH] are prepd. A soln. of 9-fluoro-11.beta., 17-dihydroxy-16.beta.-methylpregna-1,4-diene-3,20-dione-21-thiol in EtOAc and a soln. of DCC in EtOAc were sequentially added to a suspension of N-formyl-L-methionine, the resulting mixt. was stirred at room temp. for 4 h to give 9-fluoro-21-[2-(formylamino)-4-(methylthio)butyrylthio]-11.beta., 17-dihydroxy-16.beta.-methylpregna-1,4-diene-3,20-dione. This at 10-5 M showed >50% inhibition of IL-1 in an in vitro study. Formulations contg. I are also described.
IT 138716-07-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antirheumatic)
RN 138716-07-1 CAPLUS
CN Pregna-1,4-diene-3,20-dione, 21-[[2-[[(1,1-dimethylethoxy)carbonyl]amino]-4-(methylthio)-1-oxobutyl]thio]-9-fluoro-11,17-dihydroxy-16-methyl-, [11.beta.,16.beta.,21(S)]- (9CI) (CA INDEX NAME)

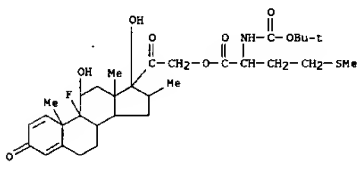
Absolute stereochemistry.



L12 ANSWER 26 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1993:169434 CAPLUS
DOCUMENT NUMBER: 118:169434
TITLE: 21-Substituted steroids
INVENTOR(S): Hori, Kimihiko; Suzuki, Yasuto; Morioka, Tomonori; Moriwaki, Shigeru; Sakamoto, Keiko; Tsuchiya, Shuichi
PATENT ASSIGNEE(S): Kao Corp., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04273892	A2	19920930	JP 1991-33118	19910227

PRIORITY APPLN. INFO.: JP 1991-33118 19910227
OTHER SOURCE(S): MARPAT 118:169434
AB Title compds. I and II (X, Y = H, halo; R1 = H, lower alkyl, alkylthioalkyl, CH2Ph; R2 = H, lower alkyl, lower alkoxy, Ph; R3 = lower alkyl; R4 = H, lower alkyl; the broken line between 1 and 2 positions indicates possible presence of a double bond; R2 is not H when R1 is methylthioethyl in I or II; the case of R1 = methylthioethyl, R2 = R4 = Me, X = F, and Y = H is absent in II), useful for anti-inflammatory agents, are prepd. Thus, treating 4.00 g 21-iodobetamethasone with 4.57 g N-acetyl-L-methionine in Me2CO in the presence of Et3N at room temp. and then at 30.degree. gave 1.76 g 21-[2-(acetylamino)-4-methylthio-1-oxobutoxy]-9-fluoro-11.beta.,17-dihydroxy-16.beta.-methylpregna-1,4-diene-3,20-dione, which showed 70.5% suppression of edemata when tested against Wistar male rats vs. 23.0% for betamethasone valerate.
IT 146433-83-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, for anti-inflammatory agents)
RN 146433-83-2 CAPLUS
CN L-Methionine, N-[(1,1-dimethylethoxy)carbonyl]-, (11.beta.,16.beta.)-9-fluoro-11,17-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-21-yl ester (9CI) (CA INDEX NAME)

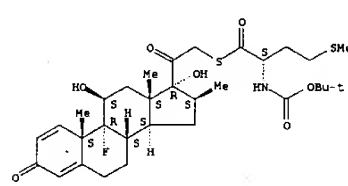


L12 ANSWER 27 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1993:74382 CAPLUS
DOCUMENT NUMBER: 118:74382
TITLE: Substituted steroids for treatment of eye diseases
INVENTOR(S): Hase, Tadashi; Moriwaki, Shigeru; Tsuchiya, Shuichi; Hori, Kimihiko; Suzuki, Yasuto
PATENT ASSIGNEE(S): Kao Corp., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04297423	A2	19921021	JP 1991-63409	19910327

PRIORITY APPLN. INFO.: JP 1991-63409 19910327
OTHER SOURCE(S): MARPAT 118:74382
AB 21-Substituted pregnanedione derivs. (Markush structure given) such as 9-fluoro-21-[2-(formylamino)-4-methylthio-1-oxobutylthio]-11.beta.,17-dihydroxy-16.beta.-methylpregna-1,4-diene-3,20-dione(I) are prepd. and pharmacol. studies with I and side effects are described. Fourteen I compds. were synthesized. I were effective in treating eye diseases such as conjunctivitis.
IT 138716-07-1P
RL: PREP (Preparation)
(prepn. of, as ophthalmic pharmaceutical)
RN 138716-07-1 CAPLUS
CN Pregna-1,4-diene-3,20-dione, 21-[[2-[[(1,1-dimethylethoxy)carbonyl]amino]-4-(methylthio)-1-oxobutyl]thio]-9-fluoro-11,17-dihydroxy-16-methyl-, [11.beta.,16.beta.,21(S)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

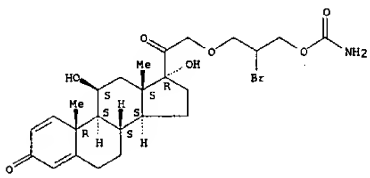


L12 ANSWER 28 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1992:235241 CAPLUS
DOCUMENT NUMBER: 116:235241
TITLE: Preparation of aromatic sulfone chelates as pharmaceuticals
INVENTOR(S): Takayanagi, Takeo
PATENT ASSIGNEE(S): Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03207571	A2	19911218	JP 1990-89216	19900405

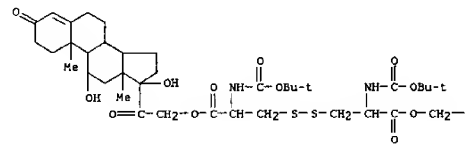
PRIORITY APPLN. INFO.: JP 1990-89216 19900405
OTHER SOURCE(S): MARPAT 116:235241
AB The title chelates, useful as pharmaceuticals (no data), are prepd. H2NCH2CH2SH was added to a soln. of 4-EtOCONHC8H4SO2Cl in pyridine with stirring at 30-40.degree., the soln. was kept at room temp., petroleum ether was added, the ptt. was dissolved in MeOCH2CH2OH, the soln. was treated with 1 mol equiv. each prednisolone carbamate, ClCH2CH2OMs, and CH(ONHMe)3 with stirring, 30-40% MgSO4 was added to give a guest-host chelate I.
IT 141218-22-6DP, compd. with arylsulfone magnesium complex
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as pharmaceutical)
RN 141218-22-6 CAPLUS
CN Pregna-1,4-diene-3,20-dione, 21-[3-[(aminocarbonyl)oxy]-2-bromopropoxy]-11,17-dihydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



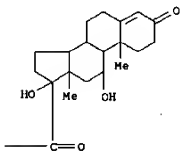
L12 ANSWER 29 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1992:174712 CAPLUS
DOCUMENT NUMBER: 116:174712
TITLE: Synthesis of new local antiinflammatory thiosteroids based on antedrug concept
AUTHOR(S): Milioni, C.; Jung, L.; Koch, B.
CORPORATE SOURCE: Lab. Pharm. Chim., Fac. Pharm., Illkirch, 67401, Fr.
SOURCE: European Journal of Medicinal Chemistry (1991), 26(9), 947-51
CODEN: EJMCA5; ISSN: 0223-5234
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 116:174712
AB Title thiosteroids I (R1-R4 = H; R1R2 = bond, R3 = F, R4 = Me), II (R1-R4 = same; n = 1, 2) and III (R1-R4 = same; R5 = HCO, Ac, Me3CO2C, PhCH2O2C) were prepd. and they underwent in vitro pharmacol. evaluation. These new compds. were less potent than the parent corticosteroids. II (R1R2 = bond, R3 = F, R4 = Me, n = 1) was the most interesting compd. of the series and is now under further evaluation.
IT 140209-95-6P 140209-97-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as inflammation inhibitor)
RN 140209-95-6 CAPLUS
CN L-Cystine, N,N'-bis[(1,1-dimethylethoxy)carbonyl]-, bis[(11.beta.)-11,17-dihydroxy-3,20-dioxopregn-4-en-21-yl] ester (9CI) (CA INDEX NAME)

PAGE 1-A



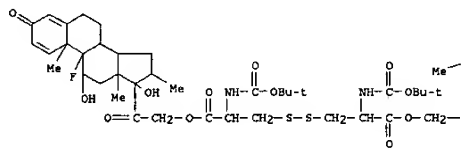
L12 ANSWER 29 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B

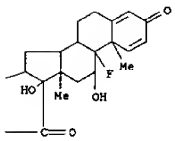


RN 140209-97-8 CAPLUS
CN L-Cystine, N,N'-bis[(1,1-dimethylethoxy)carbonyl]-, bis[(11.beta.,16.alpha.)-9-fluoro-11,17-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-21-yl] ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

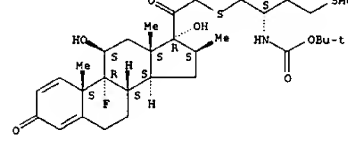


L12 ANSWER 30 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1992:59741 CAPLUS
DOCUMENT NUMBER: 116:59741
TITLE: Preparation and formulation of 21-substituted pregenes as drugs
INVENTOR(S): Hori, Kimihiko; Suzuki, Yasuto; Morioka, Tomoki; Shigeru, Moriwaki; Hirota, Osamu; Tsuchiya, Shuichi; Hase, Tadashi
PATENT ASSIGNEE(S): Kao Corp., Japan
SOURCE: Eur. Pat. Appl., 22 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 452914	A2	19911023	EP 1991-106170	19910417
EP 452914	A3	19920506		
EP 452914	B1	19960710		
R: CH, DE, FR, GB, IT, LI, NL				
JP 05001094	A2	19930108	JP 1991-71113	19910403
US 5116829	A	19920526	US 1991-683346	19910410

PRIORITY APPLN. INFO.: JP 1990-107255 19900423
OTHER SOURCE(S): MARPAT 116:59741
AB Title compds. [I; R = ZCOCH(NHCOR1)CH2Y1Y2Me; R1 = H, alkyl, alkenyl, alkoxy, Ph; R2 = OH, acyloxy; R3 = H, alkyl; R2R3 = alkylidenedioxy; X1, X2 = H, halo; Y1, Y2 = CH2, S; Z = S, NH; dashed line = optional bond] were prepd. as antiinflammatories, antiallergics, etc. Thus, pregnadienedione II (R = SH) was condensed with L-MeSCH2CH2CH(NHCHO)CO2H to give II [R = SCOCH(NHCHO)CH2CH2SMe] which gave 74% inhibition of induced edema on rat ear at 10-3M applied topically.
IT 138716-07-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as antiinflammatory, antiallergic, etc.)
RN 138716-07-1 CAPLUS
CN Pregna-1,4-diene-3,20-dione, 21-[[2-[[(1,1-dimethylethoxy)carbonyl]amino]-4-(methylthio)-1-oxobutyl]thio]-9-fluoro-11,17-dihydroxy-16-methyl-, [11.beta.,16.beta.,21(S)]- (9CI) (CA INDEX NAME)

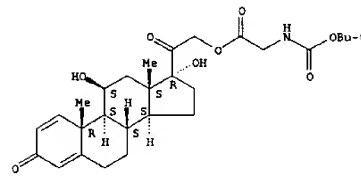
Absolute stereochemistry.



L12 ANSWER 30 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

L12 ANSWER 31 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1991:472168 CAPLUS
DOCUMENT NUMBER: 115:72168
TITLE: Synthesis and pharmacological activity of 21-esters of prednisolone containing glycine and glutamic acid
AUTHOR(S): Ryakhovskaya, M. I.; Grinenko, G. S.; Alekseeva, L. M.; Engalycheva, G. N.; Nikitin, V. B.; Kaminka, M. E.; Glushkov, R. G.
CORPORATE SOURCE: TSKhLS, Moscow, USSR
SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1991), 25(4), 16-18
CODEN: KHFZAN; ISSN: 0023-1134
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 115:72168
AB Water-sol. prednisolone glycine and glutamic acid esters were prepd. and they showed the same pharmacol. activity as prednisolone hemisuccinate, while producing less pronounced systemic side effects when injected s.c.
IT **135235-96-0P 135260-60-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and deprotection of)
RN 135235-96-0 CAPLUS
CN Glycine, N-[(1,1-dimethylethoxy)carbonyl]-, (11.beta.)-11,17-dihydroxy-3,20-dioxopregna-1,4-dien-21-yl ester (9CI) (CA INDEX NAME)

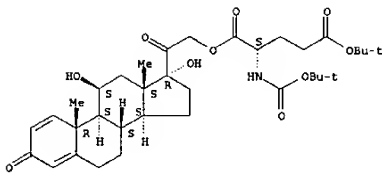
Absolute stereochemistry.



RN 135260-60-5 CAPLUS
CN L-Glutamic acid, N-[(1,1-dimethylethoxy)carbonyl]-, 1-[(11.beta.)-11,17-dihydroxy-3,20-dioxopregna-1,4-dien-21-yl] 5-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

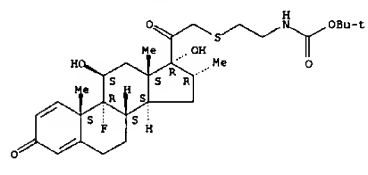
Absolute stereochemistry.

L12 ANSWER 31 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



L12 ANSWER 32 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1991:409103 CAPLUS
DOCUMENT NUMBER: 115:9103
TITLE: Dexamethasone 21-(.beta.-isothiocyanatoethyl)thio ether: a new affinity label for glucocorticoid receptors
AUTHOR(S): Lopez, Susana; Simons, S. Stoney, Jr.
CORPORATE SOURCE: Steroid Horm. Sect., NIDDK, Bethesda, MD, 20892, USA
SOURCE: Journal of Medicinal Chemistry (1991), 34(6), 1762-7
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 115:9103
AB The C-21 methanesulfonate ester of the synthetic glucocorticoid dexamethasone (I) is an efficient electrophilic affinity label of glucocorticoid receptors and exhibits irreversible antiglucocorticoid activity. In an effort to obtain other affinity labeling steroids with differing biol. activities, several new derivs. of I were prepd. which contained a reactive electrophilic substituent at various distances from the C-21 position. All compds. displayed relatively low affinity for rat glucocorticoid receptors (.ltoreq.8% of that of I) in a cell-free competition assay. Nevertheless, one compd., dexamethasone 21-(.beta.-isothiocyanatoethyl)thio ether (II), appeared to be an affinity label by virtue of its ability to block the cell-free exchange binding of [3H]I. [3H]II was then synthesized and reacted with cell-free receptors to give, after anal. on denaturing SDS-polyacrylamide gels, only one specifically labeled species at 98 kDa, which is the mol. wt. of authentic rat glucocorticoid receptor. These data directly establish II as a new affinity label for glucocorticoid receptors. Data on the reactivity of II and the stability of [3H]II-labeled receptors suggest that a cysteine-SH group has been labeled.
IT **73816-22-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and hydrolysis of)
RN 73816-22-5 CAPLUS
CN Carbamic acid, [2-[[(11.beta.,16.alpha.)-9-fluoro-11,17-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-21-yl]thio]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

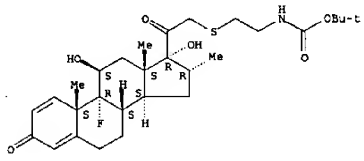
Absolute stereochemistry.



IT **131567-22-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and sequential hydrolysis and reaction with thiophosgene)
RN 131567-22-1 CAPLUS
CN Carbamic acid, [2-[[(11.beta.,16.alpha.)-19-fluoro-11,17-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-21-yl]thio]ethyl]-, 1,1-dimethylethyl

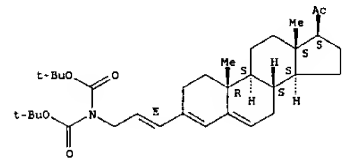
L12 ANSWER 32 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)
ester, labeled with tritium (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 33 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1990:612428 CAPLUS
DOCUMENT NUMBER: 113:212428
TITLE: An efficient route for the stereoselective conversion of ketones into three-carbons homologated primary E-allylamines: the palladium-catalyzed reaction of vinyl triflates with N,N-di-tert-butoxycarbonyl-N-allylamine
AUTHOR(S): Arcadi, A.; Bernocchi, E.; Cacchi, S.; Caglioti, L.; Marinelli, F.
CORPORATE SOURCE: Dip. Chim., Ing. Chim. Mater., Univ. Studi, L'Aquila, 67100, Italy
SOURCE: Tetrahedron Letters (1990), 31(17), 2463-6
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 113:212428
AB (E)-Allylamines N-protected with the easily removable tert-butoxycarbonyl (Boc) group are stereoselectively prepd. in good to high yield through the palladium-catalyzed reaction of vinyl triflates with N,N-di-tert-butoxycarbonyl-N-allylamine (I) in the presence of AcOX and Bu4NCl. The reaction is very sensitive to the nature of the base. The use of bases other than AcOK has been examd. and proved to be unsuccessful. Thus, the Pd(OAc)2-catalyzed reaction of androsta-3,5-dien-3-yl triflate II with I in the presence of AcOK and Bu4NCl gave 70% allylamine III.
IT 130400-01-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 130400-01-0 CAPLUS
CN Imidodicarbonic acid, [3-(20-oxopregna-3,5-dien-3-yl)-2-propenyl]-, bis(1,1-dimethylethyl) ester, (E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L12 ANSWER 34 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1990:548402 CAPLUS
DOCUMENT NUMBER: 113:148402
TITLE: Interligand metal transfer as reporter mechanism for biospecific reaction, its use in immunoassays for drugs and hormones, and preparation of donor chelating agents
INVENTOR(S): Hale, Ron L.; Wieder, Irwin
PATENT ASSIGNEE(S): Baxter International, Inc., USA
SOURCE: U.S., 23 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

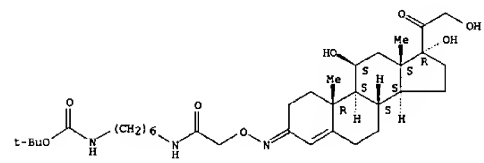
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4925804	A	19900515	US 1986-875449	19860617

PRIORITY APPLN. INFO.: US 1986-875449 19860617

AB Methods using a new reporter mechanism for biospecific reactions are disclosed. This mechanism involves interligand metal ion transfer in which a metal ion is directly transferred from one chelate complex to another following the occurrence of the biospecific reaction. The second chelate complex is sep. from, and detectably different than, the first chelate complex. In preferred embodiments of this invention the detectable difference is a difference in fluorescence, such as an increase or decrease which occurs as a result of the formation of the second chelate. In further preferred embodiments the difference in fluorescence is detected using fluorescent background rejection methods. Thus, a fluorometric immunoassay for total thyroxine was performed using 8-anilino-1-naphthalenesulfonic acid, I (as donating chelate), and 4-(2,4,6-trimethoxyphenyl)pyridine-2,6-dicarboxylic acid (as 2nd, or receiving, ligand). A std. curve for 1.0-20.0 .mu.g thyroxine/dL is shown. I was prepd. from thyroxine Me ester.HCl and isocyanate II. Immunoassays, and prepn. of appropriate chelating agents, for cortisol and theophylline detn. are also described.
IT 129499-20-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, for chelating agent prepn. for triiodothyronine detn. by fluorescence immunoassay with interligand metal transfer)
RN 129499-20-3 CAPLUS
CN Carbamic acid, [6-[[[[[(11.beta.)-11,17,21-trihydroxy-20-oxopregn-4-en-3-ylidene]amino]oxy]acetyl]amino]hexyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

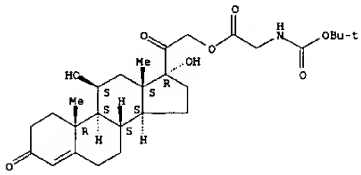
Absolute stereochemistry.
Double bond geometry unknown.

L12 ANSWER 34 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



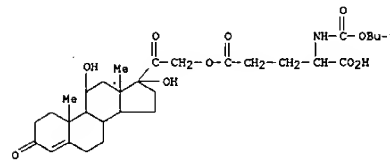
L12 ANSWER 35 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1990:508904 CAPLUS
DOCUMENT NUMBER: 113:108904
TITLE: Synthesis and study of pharmacological activity of 21-esters of hydrocortisone with glycine and glutamic acid
AUTHOR(S): Ryakhovskaya, M. I.; Popova, E. V.; Grinenko, G. S.; Terekhina, A. I.; Gritsina, G. I.; Nikitin, V. B.; Engalycheva, G. N.; Kaminka, M. E.; Glushkov, R. G.
CORPORATE SOURCE: VNIKhFI im. Ordzhonikidze, Moscow, USSR
SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1990), 24(3), 26-9
CODEN: KHFZAN; ISSN: 0023-1134
DOCUMENT TYPE: Journal
LANGUAGE: Russian
AB Hydrocortisone esters with glycine and glutamic acid [I, R = H or (CH2)2CO2H] were prepd. by the reaction of K salts of glycine or L-glutamic acid with 11.beta.,17.alpha.-dihydroxy-21-iodopregn-4-ene-3,20-dione followed by hydrolysis of the tert-Bu esters. I did not show any androgenic, anabolic, estrogenic, antiandrogenic, antiestrogenic, or antiadrenocorticoid activities. The compds. showed anti-inflammatory activity similar to that of hydrocortisone. The compds. did not exert any activity of carbohydrate exchange and immune system in animals as compared to hydrocortisone.
IT **128887-38-7P 128887-41-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and hydrolysis-decarboxylation of)
RN 128887-38-7 CAPLUS
CN Glycine, N-[(1,1-dimethylethoxy)carbonyl]-, (11.beta.)-11,17-dihydroxy-3,20-dioxopregn-4-en-21-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



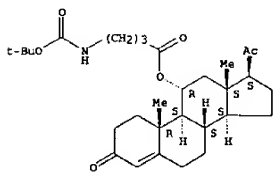
RN 128887-41-2 CAPLUS
CN L-Glutamic acid, N-[(1,1-dimethylethoxy)carbonyl]-, 5-[(11.beta.)-11,17-dihydroxy-3,20-dioxopregn-4-en-21-yl] ester (9CI) (CA INDEX NAME)

L12 ANSWER 35 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



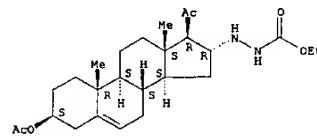
L12 ANSWER 36 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1989:173544 CAPLUS
DOCUMENT NUMBER: 110:173544
TITLE: The synthesis of a conjugate of progesterone with Lucifer Yellow VS: a potential probe for fluoroimmunoassay of steroids
AUTHOR(S): Kirk, David N.; Miller, Barry W.
CORPORATE SOURCE: Chem. Dep., Queen Mary Coll., London, E1 4NS, UK
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1988), (11), 2979-82
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 110:173544
AB Title conjugate I was prepd. by treating Lucifer Yellow VS (II) with progesterone deriv. III. Preliminary evaluation of fluorescence indicates that the working limit in immunoassay will be solns. of ca. 2 nmolar concn.
IT **119991-95-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and deblocking of)
RN 119991-95-6 CAPLUS
CN Pregn-4-ene-3,20-dione, 11-[4-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxobutoxy]-, (11.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 37 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1989:39232 CAPLUS
DOCUMENT NUMBER: 110:39232
TITLE: Investigation of steroid 20-(carbethoxy)hydrazones by high resolution carbon-13 NMR spectroscopy
AUTHOR(S): Bogdanov, V. S.; Turuta, A. M.; Kamernitskii, A. V.
CORPORATE SOURCE: Inst. Org. Khim. im. Zelinskogo, Moscow, USSR
SOURCE: Izvestiya Akademii Nauk SSSR, Seriya Khimicheskaya (1988), (6), 1390-6
CODEN: IASKA6; ISSN: 0002-3353
DOCUMENT TYPE: Journal
LANGUAGE: Russian
AB The carbon-13 NMR spectra of 13 title isomers, e.g., I and II, were detd. and discussed.
IT **118346-98-8**
RL: PRP (Properties)
(carbon-13 NMR of)
RN 118346-98-8 CAPLUS
CN Hydrazinecarboxylic acid, 2-[(3.beta.,16.alpha.)-3-(acetyloxy)-20-oxopregn-5-en-16-yl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 38 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1987:33385 CAPLUS
DOCUMENT NUMBER: 106:33385
TITLE: Androstane-17.beta.-carboxylic acid esters as antiinflammatory agents and pharmaceuticals containing them
INVENTOR(S): Andersson, Paul Hakan; Andersson, Per Ture; Axelsson, Bengt Ingemar; Thalen, Bror Arne; Trofast, Jan Willem
PATENT ASSIGNEE(S): Draco AB, Swed.
SOURCE: Eur. Pat. Appl., 33 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 200692	A1	19861105	EP 1986-850113	19860401
EP 200692	B1	19910911		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
IL 78144	A1	19911212	IL 1986-78144	19860314
DK 8601384	A	19861005	DK 1986-1384	19860325
DK 165880	B	19930201		
DK 165880	C	19930621		
FI 8601274	A	19861005	FI 1986-1274	19860325
FI 86190	B	19920415		
FI 86190	C	19920727		
AT 67210	E	19910915	AT 1986-850113	19860401
AU 8655566	A1	19861009	AU 1986-55566	19860402
AU 594330	B2	19900308		
CS 266580	B2	19900112	CS 1986-2327	19860402
NO 8601312	A	19861006	NO 1986-1312	19860403
NO 165679	B	19901210		
NO 165679	C	19910327		
ZA 8602490	A	19861126	ZA 1986-2490	19860403
JP 61286399	A2	19861216	JP 1986-75678	19860403
JP 07064869	B4	19950712		
DD 244137	A5	19870325	DD 1986-288743	19860403
HU 41810	A2	19870528	HU 1986-1424	19860403
HU 200782	B	19900828		
ES 553674	A1	19871101	ES 1986-553674	19860403
US 4804656	A	19890214	US 1986-847933	19860403
SU 1604161	A3	19901030	SU 1986-4027266	19860403
CA 1278293	A1	19901227	CA 1986-505743	19860403
CN 86102263	A	19870204	CN 1986-102263	19860404
PL 148734	B1	19891130	PL 1986-258776	19860404
NO 8604979	A	19861001	NO 1986-4979	19861210
CN 1060471	A	19920422	CN 1991-110725	19911106

PRIORITY APPLN. INFO.:

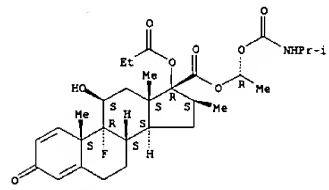
SE 1985-1692	19850404
SE 1985-2932	19850613
SE 1985-1693	19850404
US 1986-843768	19860325
EP 1986-850113	19860401
NO 1986-1312	19860403
CN 1986-102193	19860404

AB Title steroids I [X1, X2 = H, halo; R1 = .beta.-OH, .beta.-Cl, oxo; R2 = H, .alpha.- or .beta.-Me, methylene; R3 = H, acyl; R4, R5 = H, alkyl, Ph; R6 = H, Me, haloalkyl, (un)satd. carbocyclyl or heterocyclyl, Me substituted by alicyclyl or aryl or hydrocarbyl, (un)substituted Ph, alkenyl, cycloalkenyl; Y = CR7R8, O, S, NR9; R7-R9 = H, hydrocarbyl, Ph; dotted line = single or double bond] are prepd. as antiinflammatory agents. Betamethasone was oxidized by aq. HIO4 in THF to give androstanecarboxylic acid deriv. II (X1 = F, X2 = H, R1 = .beta.-OH, R2 = .beta.-Me, R3 = H, double bond present), which was esterified by EtCOCl in CH2Cl2 contg. Et3N, followed by treatment with Et2NH in Me2CO, to give II (R3 = EtCO, others as given). This was stirred with KHCO3, 18-crown-6, and MeCHClOCO2Et in DMF at 80.degree. for 3 h to give an epimeric mixt. of I [X1 = F, X2 = H, R1 = .beta.-OH, R2 = .beta.-Me, R3 = EtCO, R4(R5) = Me, R5(R4) = H, R6 = Et, Y = O, double bond present] (III). One epimer of III bound to glucocorticoid receptor from homogenized rat thymus with an affinity 0.80 that of budesonide.

IT **105965-78-4P 105965-79-5P 105965-80-8P**
105966-37-8P 105966-38-9P 106033-98-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as antiinflammatory agent)

RN 105965-78-4 CAPLUS
CN Androsta-1,4-diene-17-carboxylic acid, 9-fluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, 1-[[[(1-methylethyl)amino]carbonyl]oxy]ethyl ester, [11.beta.,16.beta.,17.alpha.,17(R)]- (9CI) (CA INDEX NAME)

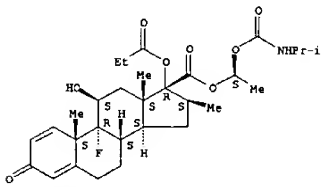
Absolute stereochemistry.



RN 105965-79-5 CAPLUS
CN Androsta-1,4-diene-17-carboxylic acid, 9-fluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, 1-[[[(1-methylethyl)amino]carbonyl]oxy]ethyl ester, [11.beta.,16.beta.,17.alpha.,17(S)]- (9CI) (CA INDEX NAME)

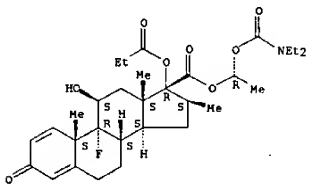
Absolute stereochemistry.

L12 ANSWER 38 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



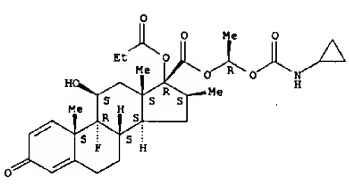
RN 105965-80-8 CAPLUS
CN Androsta-1,4-diene-17-carboxylic acid, 9-fluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, 1-[[(diethylamino)carbonyl]oxy]ethyl ester, [11.beta.,16.beta.,17.alpha.,17(R)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 105966-37-8 CAPLUS
CN Androsta-1,4-diene-17-carboxylic acid, 9-fluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, 1-[[(cyclopropylamino)carbonyl]oxy]ethyl ester, [11.beta.,16.beta.,17.alpha.,17(R)]- (9CI) (CA INDEX NAME)

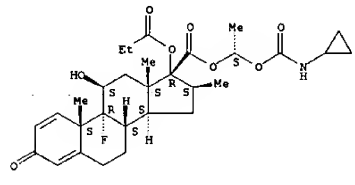
Absolute stereochemistry.



L12 ANSWER 38 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

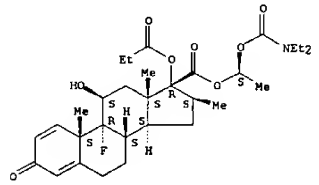
RN 105966-38-9 CAPLUS
CN Androsta-1,4-diene-17-carboxylic acid, 9-fluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, 1-[[(cyclopropylamino)carbonyl]oxy]ethyl ester, [11.beta.,16.beta.,17.alpha.,17(S)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



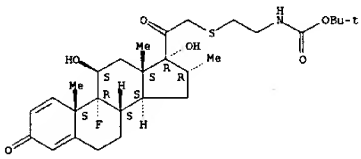
RN 106033-98-1 CAPLUS
CN Androsta-1,4-diene-17-carboxylic acid, 9-fluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, 1-[[(diethylamino)carbonyl]oxy]ethyl ester, [11.beta.,16.beta.,17.alpha.,17(S)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 39 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1986:491478 CAPLUS
DOCUMENT NUMBER: 105:91478
TITLE: Formation of a fluorescent glucocorticoid receptor-steroid complex in HTC cell cytosol
AUTHOR(S): Pons, Michel; Robinson, T. E. Joan; Mercier, Louis; Thompson, E. Brad; Simons, S. Stoney, Jr.
CORPORATE SOURCE: Lab. Chem., NIADDK, Bethesda, MD, 20205, USA
SOURCE: Journal of Steroid Biochemistry (1985), 23(3), 267-73
CODEN: JSTBBK; ISSN: 0022-4731
DOCUMENT TYPE: Journal
LANGUAGE: English
AB An intensely fluorescent rhodamine deriv. of dexamethasone, Dex-C2-Rho (I) [99143-17-6], was synthesized. I possessed high affinity for hepatoma tissue culture (HTC) cell glucocorticoid receptors in cell-free systems. Whole cell activity and receptor affinity of I were both much lower, apparently due to problems with cell permeability and(or) metab. A specific, fluorescent receptor-steroid complex at concns. as low as 1 .times. 10-9 M was readily obsd. with crude HTC cell receptors after removal of the free I. This appears to be the 1st report of a fluorescent glucocorticoid receptor-steroid complex.
IT **73816-22-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, and reaction with tetra-Me rhodamine isothiocyanate)
RN 73816-22-5 CAPLUS
CN Carbamic acid, [2-[[(11.beta.,16.alpha.)-9-fluoro-11,17-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-21-yl]thio]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



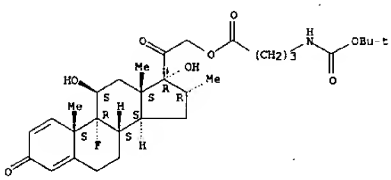
L12 ANSWER 40 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1985:464867 CAPLUS
DOCUMENT NUMBER: 103:64867
TITLE: GABA esters and GABA analog esters
INVENTOR(S): Shashoua, Victor E.
PATENT ASSIGNEE(S): McLean Hospital Corp., USA
SOURCE: PCT Int. Appl., 118 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8500520	A1	19850214	WO 1984-US1206	19840801
W: AU, DK, JP				
AU 8432177	A1	19850304	AU 1984-32177	19840801
AU 591451	B2	19891207		
EP 133795	A1	19850306	EP 1984-305226	19840801
EP 133795	B1	19890118		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 60502056	T2	19851128	JP 1984-503283	19840801
AT 40109	E	19890215	AT 1984-305226	19840801
DK 8501479	A	19850401	DK 1985-1479	19850401
US 5051448	A	19910924	US 1990-518227	19900507
PRIORITY APPLN. INFO.:			US 1983-519361	19830801
			US 1984-640507	19840724
			EP 1984-305226	19840801
			WO 1984-US1206	19840801
			US 1985-767903	19850815

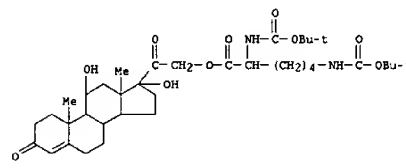
AB The title compds. A(O2CCHR3CHR3CHR1NHR4)n (R1, R2, and R3 = H, C1-4 alkyl, C1-4 alkenyl, C1-4 alkynyl, NH2, substituted aryl, etc.; R1R3 or R2R3 = carbocyclic ring; R4 = H or acyl; A = component having at least 1 esterifiable OH; n = 1 or total no. of esterifiable OH in A) were prepd. and evaluated for their ability to cross the blood-brain barrier, regulation of general locomotor activity, and prevention and(or) treatment of seizures. The compds. were prepd. by a general method of ester synthesis. The lipid/water distribution of some of the compds. in 1-octanol [111-87-5] and water was detd. The uptake by brain and liver and pharmacol. activity was demonstrated. The compds. are useful for prepn. of pharmaceuticals.
IT **89231-68-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and deprotection of)
RN 89231-68-5 CAPLUS
CN Pregna-1,4-diene-3,20-dione, 21-[4-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxobutoxy]-9-fluoro-11,17-dihydroxy-16-methyl-, (11.beta.,16.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 40 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

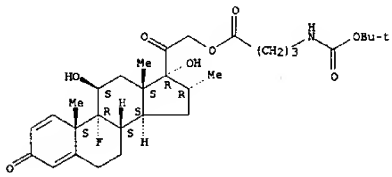


L12 ANSWER 41 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1985:172497 CAPLUS
DOCUMENT NUMBER: 102:172497
TITLE: Solution kinetics of a water-soluble hydrocortisone prodrug: hydrocortisone 21-lysinate
AUTHOR(S): Johnson, Kevin; Amidon, Gordon L.; Pogany, Stefano
CORPORATE SOURCE: Coll. Pharm., Univ. Michigan, Ann Arbor, MI, 48109-1065, USA
SOURCE: Journal of Pharmaceutical Sciences (1985), 74(1), 87-9
CODEN: JPMSAE; ISSN: 0022-3549
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Hydrocortisone 21-lysinate (I) [95924-98-4] was synthesized as an amino acid prodrug of hydrocortisone to serve as a substrate for brush border aminopeptidases. This strategy was developed to demonstrate that an improvement in oral absorption could be obtained through reconversion in vivo. The aq. stability of I was studied over the pH range 3-8 at 25.degree.. Reversible acyl migration of the lysine group between the 21- and 17-position OH groups was obsd. as well as hydrolysis. The obsd. half-life for direct hydrolysis of I is 40 days at pH 3 and 30 min at pH 7. The relative instability at pH 7 is probably due to electrostatic stabilization of the neg. charged tetrahedral intermediate by the protonated amino groups.
IT **95925-24-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and deprotection of)
RN 95925-24-9 CAPLUS
CN L-Lysine, N2,N6-bis[(1,1-dimethylethoxy)carbonyl]-, (11.beta.)-11,17-dihydroxy-3,20-dioxopregn-4-en-21-yl ester (9CI) (CA INDEX NAME)



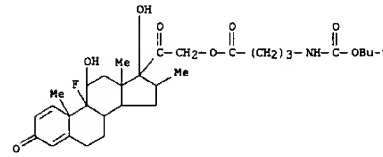
L12 ANSWER 42 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1984:156960 CAPLUS
DOCUMENT NUMBER: 100:156960
TITLE: .gamma.-Aminobutyric acid esters. I. Synthesis, brain uptake, and pharmacological studies of aliphatic and steroid esters of .gamma.-aminobutyric acid
AUTHOR(S): Shashoua, Victor E.; Jacob, James N.; Ridge, Richard; Campbell, Alexander; Baldessarini, Ross J.
CORPORATE SOURCE: Mailman Res. Cent., McLean Hosp., Belmont, MA, 02178, USA
SOURCE: Journal of Medicinal Chemistry (1984), 27(5), 659-64
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Esters of linolenyl alc., cholesterol, and dexamethasone with 14C-labeled and unlabeled GABA were prepd. by esterifying the appropriate alc. with the N-tert-butoxycarbonyl (N-Boc) deriv. of labeled or unlabeled GABA and Boc-deblocking the resulting protected esters. The uptake of the above labeled esters into mouse brain increased 2-, 25-, and 81-fold, resp., over that of GABA. The cholesteryl ester of GABA depressed the general motor activity of mice and rats in a dose-dependent manner, whereas the other esters were inactive by this test.
IT **89231-68-5P 89231-69-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and deblocking of)
RN 89231-68-5 CAPLUS
CN Pregna-1,4-diene-3,20-dione, 21-[4-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxobutoxy]-9-fluoro-11,17-dihydroxy-16-methyl-, (11.beta.,16.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

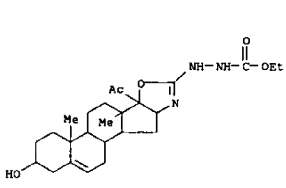


RN 89231-69-6 CAPLUS
CN Pregna-1,4-diene-3,20-dione, 21-[4-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxobutoxy]-9-fluoro-11,17-dihydroxy-16-methyl-, labeled with carbon-14, (11.beta.,16.alpha.)- (9CI) (CA INDEX NAME)

L12 ANSWER 42 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



L12 ANSWER 43 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1983:606390 CAPLUS
DOCUMENT NUMBER: 99:206390
TITLE: Biological activity of transformed steroids. XVIII. Comparative biological study of 20-keto steroids with additional oxa- and thiazoline E ring
AUTHOR(S): Terekhina, A. I.; Gorenburgova, E. I.; Antipova, L. A.; Kamernitskii, A. V.; Istomina, Z. I.; Turuta, A. M.; Fadeeva, T. M.; Karapetyan, A. A.; Struchkov, Yu. T.
CORPORATE SOURCE: Inst. Org. Khim., Moscow, USSR
SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1983), 17(7), 813-17
CODEN: KHFZAN; ISSN: 0023-1134
DOCUMENT TYPE: Journal
LANGUAGE: Russian
AB Twelve title steroids were tested for androgenic, anabolic, antiandrogenic, gestagenic, estrogenic, antiestrogenic, thymolytic, antiinflammatory, and mineralocorticoid effects following s.c. administration in various lab. animals. I, where R = OH, R1 = H or RR1 = O and the double bond in the 4 or 5 position, had gestagenic and antiestrogenic effects. II, where R, R1, RR1, and double bond as above, and R2 = Me or NHNHCO2Et also had gestagenic activity. II, where R = OH, R1 = H, R2 = Me and the double bond is in the 5 position had antiinflammatory activity. III, was also gestagenic. The prepn. of 4 among the 12 compds. is described.
IT **73204-85-0**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(biol. activity of)
RN 73204-85-0 CAPLUS
CN Hydrazinecarboxylic acid, 2-[(3.beta.,16.beta.)-3-hydroxy-20-oxo-4'H-pregn-5-eno[16,17-d]oxazol-2'-yl]-, ethyl ester (9CI) (CA INDEX NAME)



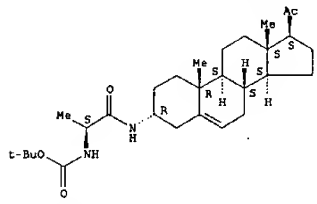
L12 ANSWER 44 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1983:488473 CAPLUS
DOCUMENT NUMBER: 99:88473
TITLE: 3-Aminopregn-5-ene derivatives, their salts and pharmaceutical compositions containing them
INVENTOR(S): Torelli, Vesperto; Benzoni, Josette; Deraedt, Roger
PATENT ASSIGNEE(S): Roussel-UCLAF , Fr.
SOURCE: Ger. Offen., 28 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3239823	A1	19830505	DE 1982-3239823	19821027
DE 3239823	C2	19940616		
FR 2515188	A1	19830429	FR 1981-20135	19811027
FR 2515188	B1	19840928		
SE 8204918	A	19830428	SE 1982-4918	19820827
SE 456423	B	19881003		
SE 456423	C	19890202		
CA 1193247	A1	19850910	CA 1982-412754	19821004
ZA 8207745	A	19831130	ZA 1982-7745	19821022
US 4444767	A	19840424	US 1982-436524	19821025
AT 8203912	A	19880415	AT 1982-3912	19821025
AT 387023	B	19881125		
BE 894805	A1	19830426	BE 1982-209327	19821026
DK 8204731	A	19830428	DK 1982-4731	19821026
DK 160561	B	19910325		
DK 160561	C	19910909		
ES 516825	A1	19831201	ES 1982-516825	19821026
CH 655936	A	19860530	CH 1982-6242	19821026
NL 8204159	A	19830516	NL 1982-4159	19821027
JP 58083700	A2	19830519	JP 1982-187622	19821027
JP 04011559	B4	19920228		
GB 2110212	A1	19830615	GB 1982-30715	19821027
GB 2110212	B2	19851030		
HU 27435	O	19831028	HU 1982-3444	19821027
HU 186983	B	19851028		
ES 524922	A1	19840516	ES 1983-524922	19830812

PRIORITY APPLN. INFO.: FR 1981-20135 19811027
OTHER SOURCE(S): CASREACT 99:88473
AB Immune stimulating aminopregnenes I (R = Ac, HOCHMe; R1 = H, hydroxyalkyl; R2 = H, hydroxyalkyl, acyl, alkoxycarbonyl, amino acid moiety) were prepd. from holamine derivs. Thus, peptide condensation reaction of holamine with PhCH2O2C-Gly-OH and subsequent hydrogenolysis-deblocking gave the glycinamide II. II was active in the adjuvant anaphylactic shock test in mice at 1 mg per animal.
IT **86679-87-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and deblocking of)
RN 86679-87-0 CAPLUS
CN Carbamic acid, [1-methyl-2-oxo-2-[[(3.alpha.)-20-oxopregn-5-en-3-yl]amino]ethyl]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

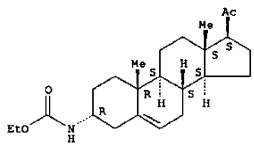
Absolute stereochemistry.

L12 ANSWER 44 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

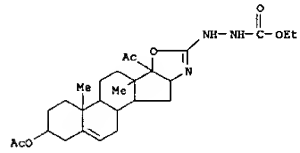


IT **86679-81-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and ketalization of)
RN 86679-81-4 CAPLUS
CN Carbamic acid, [(3.alpha.)-20-oxopregn-5-en-3-yl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 45 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1983:198561 CAPLUS
DOCUMENT NUMBER: 98:198561
TITLE: Conformation and chiroptical properties of [16,17.alpha.]-oxazolines and [16,17.alpha.]-thiazolines of 20-oxosteroids
AUTHOR(S): Kamernitskii, A. V.; Turuta, A. M.; Deshko, T. N.; Karapetyan, A. A.; Struchkov, Yu. T.
CORPORATE SOURCE: Inst. Org. Khim. im. Zelinskogo, Moscow, USSR
SOURCE: Izvestiya Akademii Nauk SSSR, Seriya Khimicheskaya (1982), (11), 2475-81
CODEN: IASKA6; ISSN: 0002-3353
DOCUMENT TYPE: Journal
LANGUAGE: Russian
AB The conformations of steroidal oxazolines and thiazolines, i.e. I-III, were studied by CD spectroscopy and x-ray crystal structure anal. The conformations of these 1,3-azole derivs. were directly correlated with chiroptical properties of their azomethine chromophores.
IT **73204-84-9**
RL: PRP (Properties)
(conformation of, CD spectrum in relation to)
RN 73204-84-9 CAPLUS
CN Hydrazinecarboxylic acid, 2-[(3.beta.,16.beta.)-3-(acetyloxy)-20-oxo-4'H-pregn-5-eno[16,17-d]oxazol-2'-yl]-, ethyl ester (9CI) (CA INDEX NAME)

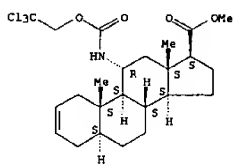


L12 ANSWER 46 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1983:161027 CAPLUS
DOCUMENT NUMBER: 98:161027
TITLE: 11.alpha.-Aminoandrostanes
INVENTOR(S): Phillipps, Gordon Hanley; Humber, David Cedric; Ewan, George Blanch; Coomber, Barry Anthony; Fateman, Anthony James
PATENT ASSIGNEE(S): Glaxo Group Ltd., UK
SOURCE: Eur. Pat. Appl., 44 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 66468	A1	19821208	EP 1982-302786	19820528
EP 66468	B1	19840725		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
AU 8284287	A1	19821202	AU 1982-84287	19820528
JP 57203100	A2	19821213	JP 1982-89996	19820528
ZA 8203783	A	19830330	ZA 1982-3783	19820528
AT 8641	E	19840815	AT 1982-302786	19820528
US 4497805	A	19850205	US 1983-475899	19830316
PRIORITY APPLN. INFO.:			GB 1981-16410	19810529
			EP 1982-302786	19820528
			US 1982-383210	19820528

AB Antiarrhythmic (no data) aminoandrostanes I (R, R1 = alkyl, cycloalkyl; R2 = HO, alkoxy, acyloxy) were prepd. Thus, reductive alkylation of Me 11.alpha.-amino-2.beta.,3.alpha.-dihydroxy-5.alpha.-androstane-17.beta.-carboxylate with Me2CHCHO gave I (R = Me; R1 = Me2CHCH2; R2 = HO).
IT **82662-54-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(epoxidn. of)
RN 82662-54-2 CAPLUS
CN Androst-2-ene-17-carboxylic acid, 11-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

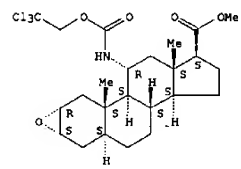
Absolute stereochemistry.



IT **82662-55-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrolysis-epoxide ring cleavage of)
RN 82662-55-3 CAPLUS
CN Androstane-17-carboxylic acid, 2,3-epoxy-11-[[(2,2,2-

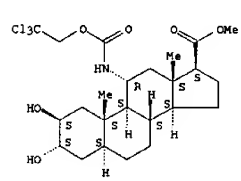
L12 ANSWER 46 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)
trichloroethoxy)carbonyl]amino]-, methyl ester, (2.alpha.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **85382-96-3P 85382-99-6P 85383-01-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and deblocking of)
RN 85382-96-3 CAPLUS
CN Androstane-17-carboxylic acid, 2,3-dihydroxy-11-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (2.beta.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

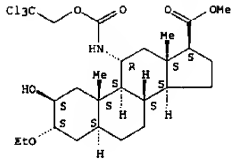
Absolute stereochemistry.



RN 85382-99-6 CAPLUS
CN Androstane-17-carboxylic acid, 3-ethoxy-2-hydroxy-11-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (2.beta.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

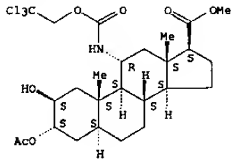
Absolute stereochemistry.

L12 ANSWER 46 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 85383-01-3 CAPLUS
CN Androstane-17-carboxylic acid, 3-(acetyloxy)-2-hydroxy-11-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (2.beta.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

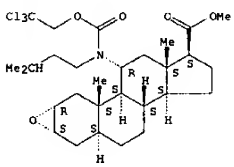
Absolute stereochemistry.



IT **85383-06-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and epoxidn. of)
RN 85383-06-8 CAPLUS
CN Androst-2-ene-17-carboxylic acid, 11-[(3-methylbutyl)[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

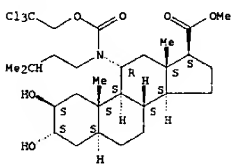
Absolute stereochemistry.

L12 ANSWER 46 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

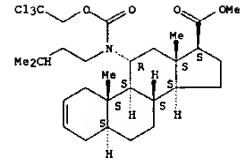


IT **85383-09-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 85383-09-1 CAPLUS
CN Androstane-17-carboxylic acid, 2,3-dihydroxy-11-[(3-methylbutyl)[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (2.beta.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

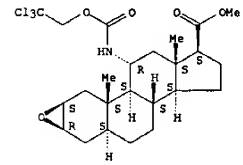


L12 ANSWER 46 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



IT **85382-98-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and ethanolysis-epoxide ring cleavage of)
RN 85382-98-5 CAPLUS
CN Androstane-17-carboxylic acid, 2,3-epoxy-11-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (2.beta.,3.beta.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **85383-07-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and hydrolysis-epoxide ring cleavage of)
RN 85383-07-9 CAPLUS
CN Androstane-17-carboxylic acid, 2,3-epoxy-11-[(3-methylbutyl)[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (2.alpha.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 47 OF 69 CAPLUS COPYRIGHT 2003 ACS

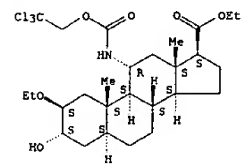
ACCESSION NUMBER: 1983:161026 CAPLUS
DOCUMENT NUMBER: 98:161026
TITLE: 11.alpha.-Aminoandrostanes
INVENTOR(S): Phillipps, Gordon Hanley; Humber, David Cedric; Ewan, George Blanch; Coomber, Barry Anthony
PATENT ASSIGNEE(S): Glaxo Group Ltd., UK
SOURCE: Eur. Pat. Appl., 43 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 66467	A1	19821208	EP 1982-302785	19820528
EP 66467	B1	19840808		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
AU 8284285	A1	19821202	AU 1982-84285	19820528
JP 57203099	A2	19821213	JP 1982-89995	19820528
ZA 8203782	A	19830330	ZA 1982-3782	19820528
US 4515786	A	19850507	US 1983-509667	19830630
PRIORITY APPLN. INFO.:			GB 1981-16409	19810529
			US 1982-383338	19820528

AB Antiarrhythmic (no data) aminoandrostanes I (R, R3 = alkyl, cycloalkyl; R1 = H, alkoxy, acyloxy; R2 = alkoxy, acyloxy) were prepd. Thus, haloform oxidn. of the pregnanone II gave androstanecarboxylate III, which underwent esterification and then deblocking by Zn/HOAc to give the aminoandrostane IV. Reductive alkylation of IV by Me2CHCHO gave I (R = Me2CHCH2; R1 = R2 = EtO; R3 = Me).

IT **82662-52-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(acetylation of)
RN 82662-52-0 CAPLUS
CN Androstane-17-carboxylic acid, 2-ethoxy-3-hydroxy-11-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, ethyl ester, (2.beta.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

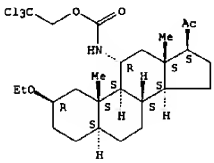
Absolute stereochemistry.



IT **85383-21-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydroxylation of)
RN 85383-21-7 CAPLUS
CN Carbamic acid, [(2.beta.,5.alpha.,11.alpha.)-2-ethoxy-20-oxopregnan-11-yl]-, 2,2,2-trichloroethyl ester (9CI) (CA INDEX NAME)

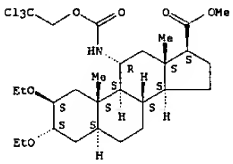
L12 ANSWER 47 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

Absolute stereochemistry.



IT **85383-25-1P 85383-26-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and deblocking of)
RN 85383-25-1 CAPLUS
CN Androstane-17-carboxylic acid, 2,3-diethoxy-11-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (2.beta.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

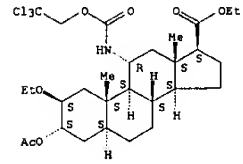
Absolute stereochemistry.



RN 85383-26-2 CAPLUS
CN Androstane-17-carboxylic acid, 3-(acetyloxy)-2-ethoxy-11-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, ethyl ester, (2.beta.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

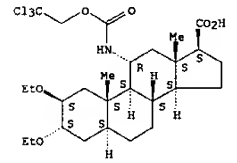
Absolute stereochemistry.

L12 ANSWER 47 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



IT **85383-24-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and esterification of)
RN 85383-24-0 CAPLUS
CN Androstane-17-carboxylic acid, 2,3-diethoxy-11-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, (2.beta.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

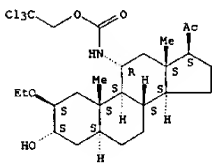
Absolute stereochemistry.



IT **85383-22-8P 85383-30-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and ethylation of)
RN 85383-22-8 CAPLUS
CN Carbamic acid, [(2.beta.,3.alpha.,5.alpha.,11.alpha.)-2-ethoxy-3-hydroxy-20-oxopregnan-11-yl]-, 2,2,2-trichloroethyl ester (9CI) (CA INDEX NAME)

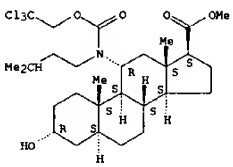
Absolute stereochemistry.

L12 ANSWER 47 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



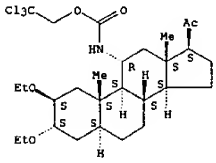
RN 85383-30-8 CAPLUS
CN Androstane-17-carboxylic acid, 3-hydroxy-11-[(3-methylbutyl)[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **85383-23-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and haloform oxidn. of)
RN 85383-23-9 CAPLUS
CN Carbamic acid, [(2.beta.,3.alpha.,5.alpha.,11.alpha.)-2,3-diethoxy-20-oxopregnan-11-yl]-, 2,2,2-trichloroethyl ester (9CI) (CA INDEX NAME)

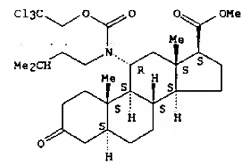
Absolute stereochemistry.



L12 ANSWER 47 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

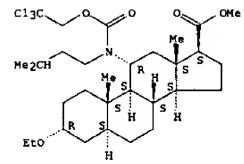
IT **85383-29-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and redn. of)
RN 85383-29-5 CAPLUS
CN Androstane-17-carboxylic acid, 11-[(3-methylbutyl)[(2,2,2-trichloroethoxy)carbonyl]amino]-3-oxo-, methyl ester, (5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **85383-31-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 85383-31-9 CAPLUS
CN Androstane-17-carboxylic acid, 3-ethoxy-11-[(3-methylbutyl)[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 48 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1983:72557 CAPLUS
DOCUMENT NUMBER: 98:72557
TITLE: 11.alpha.-Amino-3.beta.-hydroxyandrostanes
INVENTOR(S): Phillipps, Gordon Hanley; Humber, David Cedric; Ewan, George Blanch; Coomber, Barry Anthony
PATENT ASSIGNEE(S): Glaxo Group Ltd., UK
SOURCE: Eur. Pat. Appl., 40 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

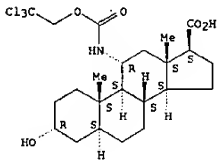
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 59637	A1	19820908	EP 1982-301033	19820301
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
GB 2093846	A	19820908	GB 1982-5939	19820301
AU 8280957	A1	19820909	AU 1982-80957	19820301
JP 57158800	A2	19820930	JP 1982-30674	19820301
ZA 8201337	A	19830223	ZA 1982-1337	19820301
US 4451405	A	19840529	US 1982-447190	19821206
PRIORITY APPLN. INFO.:			GB 1981-6486	19810302
			US 1982-353068	19820301

AB Antiarrhythmic (no data) aminohydroxyandrostane carboxylates I (R, R1 = alkyl, cycloalkyl; D-homo analog) were prepd. Thus, 11.alpha.-amino-3.alpha.-hydroxy-5.alpha.-pregnan-20-one was acylated by ClCO2CH2CCl3 and then underwent haloform oxidn., esterification, and deacylation to give Me 11.alpha.-amino-3.alpha.-hydroxy-5.alpha.-androstane-17.beta.-carboxylate. The latter underwent reductive alkylation with cyclopentanone, Jones oxidn., and NaBH4 redn. to give 5.alpha.-I (R = cyclopentyl, R1 = Me).

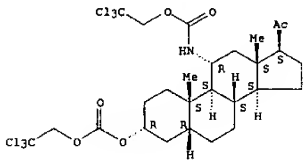
IT **82033-68-9P 82048-80-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and esterification of)

RN 82033-68-9 CAPLUS
CN Androstane-17-carboxylic acid, 3-hydroxy-11-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, (3.alpha.,5.alpha.,11.alpha.,17.beta.)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



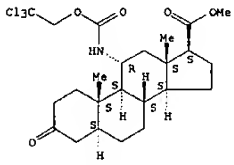
L12 ANSWER 48 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



IT **82033-76-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and redn. of)

RN 82033-76-9 CAPLUS
CN Androstane-17-carboxylic acid, 3-oxo-11-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **82033-69-0P 82033-71-4P 82079-17-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reductive deacylation of)

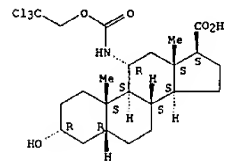
RN 82033-69-0 CAPLUS
CN Androstane-17-carboxylic acid, 3-hydroxy-11-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 48 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 82048-80-4 CAPLUS
CN Androstane-17-carboxylic acid, 3-hydroxy-11-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, (3.alpha.,5.beta.,11.alpha.,17.beta.)-(9CI) (CA INDEX NAME)

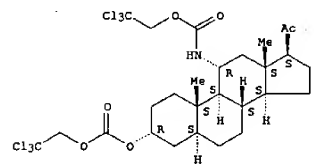
Absolute stereochemistry.



IT **82033-67-8P 82033-70-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and haloform oxidn. of)

RN 82033-67-8 CAPLUS
CN Carbamic acid, [(3.alpha.,5.alpha.,11.alpha.)-20-oxo-3-[[(2,2,2-trichloroethoxy)carbonyl]oxy]pregnan-11-yl]-, 2,2,2-trichloroethyl ester (9CI) (CA INDEX NAME)

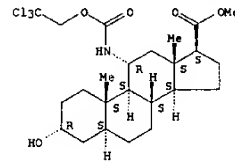
Absolute stereochemistry.



RN 82033-70-3 CAPLUS
CN Carbamic acid, [(3.alpha.,5.beta.,11.alpha.)-20-oxo-3-[[(2,2,2-trichloroethoxy)carbonyl]oxy]pregnan-11-yl]-, 2,2,2-trichloroethyl ester (9CI) (CA INDEX NAME)

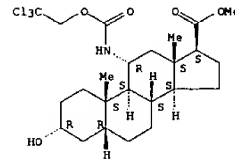
Absolute stereochemistry.

L12 ANSWER 48 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



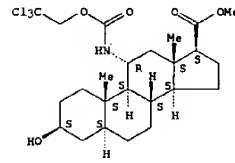
RN 82033-71-4 CAPLUS
CN Androstane-17-carboxylic acid, 3-hydroxy-11-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (3.alpha.,5.beta.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 82079-17-2 CAPLUS
CN Androstane-17-carboxylic acid, 3-hydroxy-11-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (3.beta.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

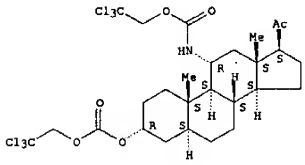
Absolute stereochemistry.



IT **84530-37-0P 84530-38-1P 84530-39-2P**
84530-40-5P 84530-41-6P
RL: SPN (Synthetic preparation); PREP (Preparation)

L12 ANSWER 48 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)
(prepn. of)
RN 84530-37-0 CAPLUS
CN Carbamic acid, [(3.alpha.,5.alpha.,11.alpha.)-20-oxo-3-[[(2,2,2-trichloroethoxy)carbonyl]oxy]pregnan-11-yl]-, 2,2,2-trichloroethyl ester, hydrochloride (9CI) (CA INDEX NAME)

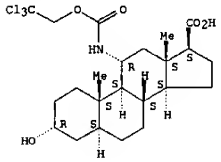
Absolute stereochemistry.



● HCl

RN 84530-38-1 CAPLUS
CN Androstane-17-carboxylic acid, 3-hydroxy-11-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, hydrochloride, (3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

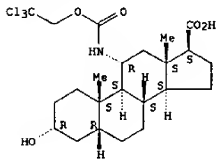
Absolute stereochemistry.



● HCl

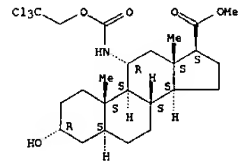
RN 84530-39-2 CAPLUS
CN Androstane-17-carboxylic acid, 3-hydroxy-11-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, hydrochloride, (3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

L12 ANSWER 48 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



● HCl

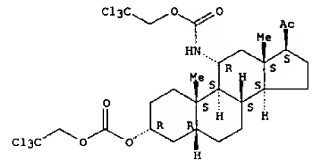
L12 ANSWER 48 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)
Absolute stereochemistry.



● HCl

RN 84530-40-5 CAPLUS
CN Carbamic acid, [(3.alpha.,5.beta.,11.alpha.)-20-oxo-3-[[(2,2,2-trichloroethoxy)carbonyl]oxy]pregnan-11-yl]-, 2,2,2-trichloroethyl ester, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

RN 84530-41-6 CAPLUS
CN Androstane-17-carboxylic acid, 3-hydroxy-11-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, hydrochloride, (3.alpha.,5.beta.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 49 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1982:492634 CAPLUS
DOCUMENT NUMBER: 97:92634
TITLE: 11.alpha.-Aminoandrostanes and compositions containing them
INVENTOR(S): Phillipps, Gordon Hanley; Humber, David Cedric; Ewan, George Blanch; Coomber, Barry Anthony
PATENT ASSIGNEE(S): Glaxo Group Ltd., UK
SOURCE: Fr. Demande, 64 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

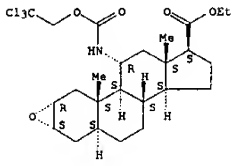
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2487359	A1	19820129	FR 1981-13799	19810715
FR 2487359	B1	19840713		
BE 889639	A1	19820115	BE 1981-205415	19810715
DK 8103151	A	19820117	DK 1981-3151	19810715
SE 8104393	A	19820117	SE 1981-4393	19810715
AU 8172877	A1	19820121	AU 1981-72877	19810715
AU 541732	B2	19850117		
GB 2080308	A	19820203	GB 1981-21812	19810715
GB 2080308	B2	19840328		
NL 8103358	A	19820216	NL 1981-3358	19810715
JP 57040499	A2	19820306	JP 1981-110633	19810715
DE 3127972	A1	19820415	DE 1981-3127972	19810715
US 4353898	A	19821012	US 1981-283454	19810715
ZA 8104844	A	19830223	ZA 1981-4844	19810715
CA 1173433	A1	19840828	CA 1981-381747	19810715
ZA 8104846	A	19830223	ZA 1981-4846	19810724

PRIORITY APPLN. INFO.: GB 1980-23295 19800716
GB 1980-39383 19801209
GB 1981-6487 19810302
GB 1981-16413 19810529

OTHER SOURCE(S): CASREACT 97:92634
AB Aminoandrostanecarboxylates I (R = alkyl, cycloalkyl; R1 = H, alkoxy, acyloxy; R2 = alkyl, cycloalkyl) were prepd. as antiarrhythmics. Thus, acylating 11.alpha.-amino-2.beta.-ethoxy-3.alpha.-hydroxy-5.alpha.-pregnan-20-one with ClCO2CH2CCl3 and subsequent haloform oxidn. gave androstanecarboxylic acid I; (R3 = Cl3CCH2O2C; R4 = HO). Esterifying the last and then deblocking by Zn-HOAc gave II (R3 = H, R4 = EtO), which was alkylated by Me2CHCH2CH2Br and transesterified to give II (R3 = Me2CHCH2CH2, R4 = MeO) (III). III had antiarrhythmic ED50 of 1.3 mg/kg in the rat against aconitive-induced arrhythmia.
IT **82662-56-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and alcoholysis-epoxide ring cleavage of)
RN 82662-56-4 CAPLUS
CN Androstane-17-carboxylic acid, 2,3-epoxy-11-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, ethyl ester, (2.alpha.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

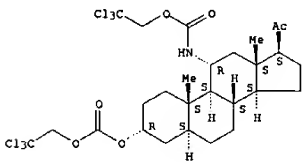
Absolute stereochemistry.

L12 ANSWER 49 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



IT **82033-67-8P 82662-45-1P 82662-46-2P**
82662-65-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and bromoform oxidn. of)
RN 82033-67-8 CAPLUS
CN Carbamic acid, [(3.alpha.,5.alpha.,11.alpha.)-20-oxo-3-[[(2,2,2-trichloroethoxy)carbonyl]oxy]pregnan-11-yl]-, 2,2,2-trichloroethyl ester (9CI) (CA INDEX NAME)

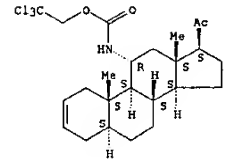
Absolute stereochemistry.



RN 82662-45-1 CAPLUS
CN Carbamic acid, [(5.alpha.,11.alpha.)-20-oxopregn-2-en-11-yl]-, 2,2,2-trichloroethyl ester (9CI) (CA INDEX NAME)

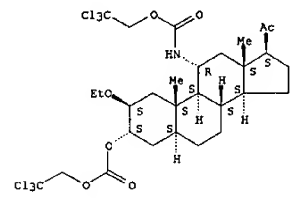
Absolute stereochemistry.

L12 ANSWER 49 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 82662-46-2 CAPLUS
CN Carbamic acid, [(2.beta.,3.alpha.,5.alpha.,11.alpha.)-2-ethoxy-20-oxo-3-[[(2,2,2-trichloroethoxy)carbonyl]oxy]pregnan-11-yl]-, 2,2,2-trichloroethyl ester (9CI) (CA INDEX NAME)

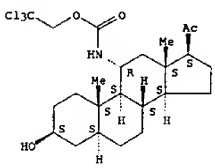
Absolute stereochemistry.



RN 82662-65-5 CAPLUS
CN Carbamic acid, [(3.beta.,5.alpha.,11.alpha.)-3-hydroxy-20-oxopregnan-11-yl]-, 2,2,2-trichloroethyl ester (9CI) (CA INDEX NAME)

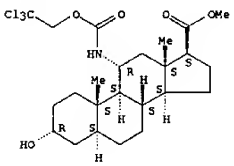
Absolute stereochemistry.

L12 ANSWER 49 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



IT **82033-69-0P 82033-71-4P 82079-17-2P**
82662-49-5P 82662-50-8P 82662-51-9P
82662-52-0P 82662-60-0P 82662-61-1P
82662-62-2P 82662-63-3P 82662-64-4P
82662-70-2P 82667-11-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and deacylation of)
RN 82033-69-0 CAPLUS
CN Androstane-17-carboxylic acid, 3-hydroxy-11-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

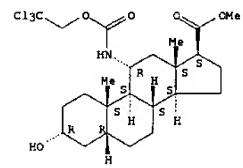
Absolute stereochemistry.



RN 82033-71-4 CAPLUS
CN Androstane-17-carboxylic acid, 3-hydroxy-11-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (3.alpha.,5.beta.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

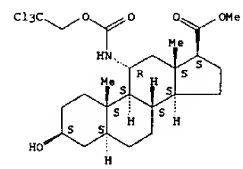
Absolute stereochemistry.

L12 ANSWER 49 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



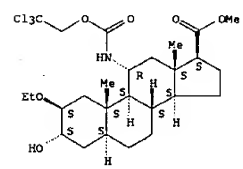
RN 82079-17-2 CAPLUS
CN Androstane-17-carboxylic acid, 3-hydroxy-11-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (3.beta.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 82662-49-5 CAPLUS
CN Androstane-17-carboxylic acid, 2-ethoxy-3-hydroxy-11-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (2.beta.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

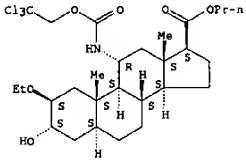
Absolute stereochemistry.



RN 82662-50-8 CAPLUS
CN Androstane-17-carboxylic acid, 2-ethoxy-3-hydroxy-11-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, propyl ester,

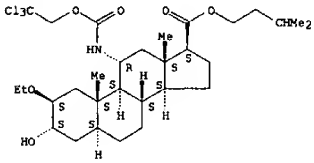
L12 ANSWER 49 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)
(2.beta.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 82662-51-9 CAPLUS
CN Androstane-17-carboxylic acid, 2-ethoxy-3-hydroxy-11-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 3-methylbutyl ester, (2.beta.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



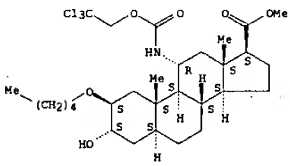
RN 82662-52-0 CAPLUS
CN Androstane-17-carboxylic acid, 2-ethoxy-3-hydroxy-11-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, ethyl ester, (2.beta.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 49 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

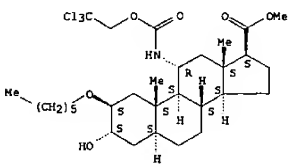
RN 82662-62-2 CAPLUS
CN Androstane-17-carboxylic acid, 3-hydroxy-2-(pentyloxy)-11-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (2.beta.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 82662-63-3 CAPLUS
CN Androstane-17-carboxylic acid, 2-(hexyloxy)-3-hydroxy-11-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (2.beta.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

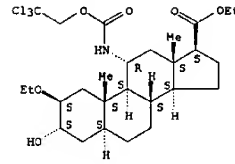
Absolute stereochemistry.



RN 82662-64-4 CAPLUS
CN Androstane-17-carboxylic acid, 3-hydroxy-2-propoxy-11-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (2.beta.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

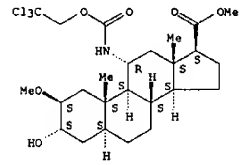
Absolute stereochemistry.

L12 ANSWER 49 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



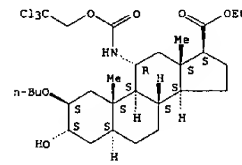
RN 82662-60-0 CAPLUS
CN Androstane-17-carboxylic acid, 3-hydroxy-2-methoxy-11-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (2.beta.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

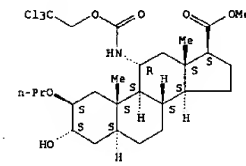


RN 82662-61-1 CAPLUS
CN Androstane-17-carboxylic acid, 2-butoxy-3-hydroxy-11-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, ethyl ester, (2.beta.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

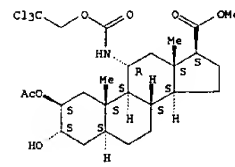


L12 ANSWER 49 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



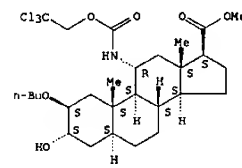
RN 82662-70-2 CAPLUS
CN Androstane-17-carboxylic acid, 2-(acetyloxy)-3-hydroxy-11-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (2.beta.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 82667-11-6 CAPLUS
CN Androstane-17-carboxylic acid, 2-butoxy-3-hydroxy-11-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (2.beta.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

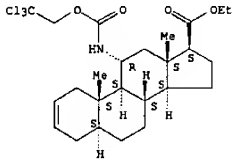
Absolute stereochemistry.



IT **82662-53-1P 82662-54-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

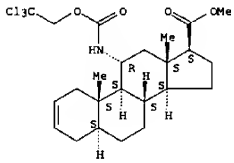
L12 ANSWER 49 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)
(prepn. and epoxidn. of)
RN 82662-53-1 CAPLUS
CN Androst-2-ene-17-carboxylic acid, 11-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, ethyl ester, (5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 82662-54-2 CAPLUS
CN Androst-2-ene-17-carboxylic acid, 11-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



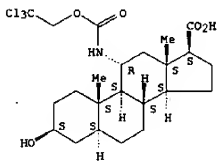
IT **82033-68-9P 82662-47-3P 82662-48-4P 82662-66-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and esterification of)
RN 82033-68-9 CAPLUS
CN Androstane-17-carboxylic acid, 3-hydroxy-11-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, (3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 49 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

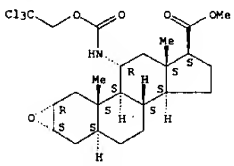
RN 82662-66-6 CAPLUS
CN Androstane-17-carboxylic acid, 3-hydroxy-11-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, (3.beta.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **82662-55-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and methanolysis-epoxide ring cleavage of)
RN 82662-55-3 CAPLUS
CN Androstane-17-carboxylic acid, 2,3-epoxy-11-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (2.alpha.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

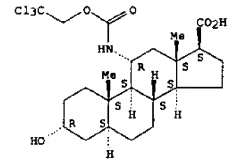
Absolute stereochemistry.



IT **82033-70-3P 82048-80-4P 82662-57-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 82033-70-3 CAPLUS
CN Carbamic acid, [(3.alpha.,5.beta.,11.alpha.)-20-oxo-3-[[(2,2,2-trichloroethoxy)carbonyl]oxy]pregnan-11-yl]-, 2,2,2-trichloroethyl ester (9CI) (CA INDEX NAME)

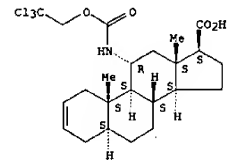
Absolute stereochemistry.

L12 ANSWER 49 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



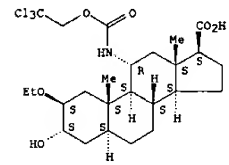
RN 82662-47-3 CAPLUS
CN Androst-2-ene-17-carboxylic acid, 11-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, (5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

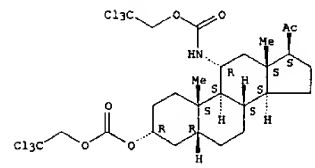


RN 82662-48-4 CAPLUS
CN Androstane-17-carboxylic acid, 2-ethoxy-3-hydroxy-11-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, (2.beta.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

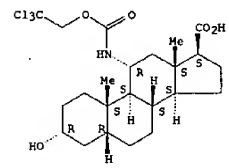


L12 ANSWER 49 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



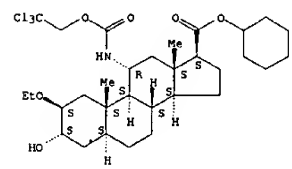
RN 82048-80-4 CAPLUS
CN Androstane-17-carboxylic acid, 3-hydroxy-11-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, (3.alpha.,5.beta.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 82662-57-5 CAPLUS
CN Androstane-17-carboxylic acid, 2-ethoxy-3-hydroxy-11-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, cyclohexyl ester, (2.beta.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 50 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1982:406652 CAPLUS
DOCUMENT NUMBER: 97:6652
TITLE: 11.alpha.-Aminoandrostanes and pharmaceutical compositions containing them
INVENTOR(S): Phillipps, Gordon Hanley; Ewan, George Blanch; Humber, David Cedric; Coomber, Barry Anthony
PATENT ASSIGNEE(S): Glaxo Group Ltd., UK
SOURCE: Eur. Pat. Appl., 29 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 44227	A1	19820120	EP 1981-303256	19810715
EP 44227	B1	19830824		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
AU 8172880	A1	19820121	AU 1981-72880	19810715
JP 57040500	A2	19820306	JP 1981-110636	19810715
US 4352798	A	19821005	US 1981-283429	19810715
ZA 8104844	A	19830223	ZA 1981-4844	19810715
AT 4506	E	19830915	AT 1981-303256	19810715
ZA 8104846	A	19830223	ZA 1981-4846	19810724
PRIORITY APPLN. INFO.:			GB 1980-23295	19800716
			GB 1981-6488	19810302
			EP 1981-303256	19810715

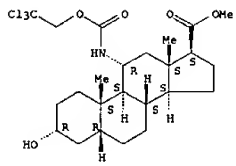
AB The aminoandrostanes I (R = H, alkyl, cycloalkyl; R1 = H, alkyl, cycloalkyl) and the D-homo analogs of I were prepd. as antiarrhythmics (no data). Thus, bromoform oxidn. of the pregnanone II gave androstanecarboxylic acid III, which underwent successive esterification, deblocking, and alkylation by Me2CHCH2CH2I to give hydroxyandrostanecarboxylate IV. Jones oxidn. of IV gave 5.alpha.-I (R = Me2CHCH2CH2; R1 = Me).

IT **82033-67-8P 82033-70-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and bromoform oxidn. of)

RN 82033-67-8 CAPLUS
CN Carbamic acid, [(3.alpha.,5.alpha.,11.alpha.)-20-oxo-3-[[(2,2,2-trichloroethoxy)carbonyl]oxy]pregnan-11-yl]-, 2,2,2-trichloroethyl ester (9CI) (CA INDEX NAME)

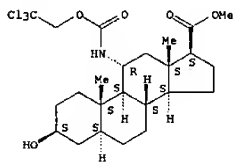
Absolute stereochemistry.

L12 ANSWER 50 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 82079-17-2 CAPLUS
CN Androstane-17-carboxylic acid, 3-hydroxy-11-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (3.beta.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

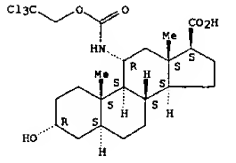
Absolute stereochemistry.



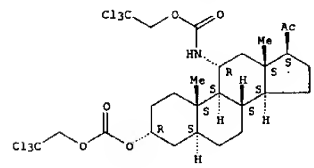
IT **82033-68-9P 82033-69-0P 82048-80-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and esterification of)

RN 82033-68-9 CAPLUS
CN Androstane-17-carboxylic acid, 3-hydroxy-11-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, (3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

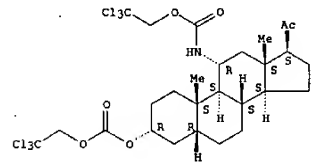


L12 ANSWER 50 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 82033-70-3 CAPLUS
CN Carbamic acid, [(3.alpha.,5.beta.,11.alpha.)-20-oxo-3-[[(2,2,2-trichloroethoxy)carbonyl]oxy]pregnan-11-yl]-, 2,2,2-trichloroethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **82033-71-4P 82079-17-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and deblocking of)

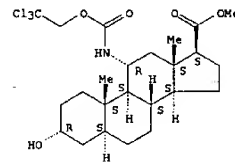
RN 82033-71-4 CAPLUS
CN Androstane-17-carboxylic acid, 3-hydroxy-11-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (3.alpha.,5.beta.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 50 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

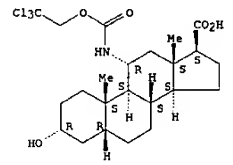
RN 82033-69-0 CAPLUS
CN Androstane-17-carboxylic acid, 3-hydroxy-11-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 82048-80-4 CAPLUS
CN Androstane-17-carboxylic acid, 3-hydroxy-11-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, (3.alpha.,5.beta.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

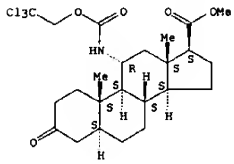


IT **82033-76-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and redn. of)

RN 82033-76-9 CAPLUS
CN Androstane-17-carboxylic acid, 3-oxo-11-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 50 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



L12 ANSWER 51 OF 69 CAPLUS COPYRIGHT 2003 ACS

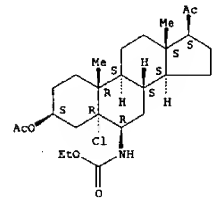
ACCESSION NUMBER: 1981:479909 CAPLUS
DOCUMENT NUMBER: 95:79909
TITLE: Radical reactions of N-haloamides with olefins. Part XI. The photochemical addition of N-haloamides to olefins: the influence of various factors on the competition between 1,2-addition and hydrogen abstraction
AUTHOR(S): Lessard, Jean; Mondon, Martine; Touchard, Daniel
CORPORATE SOURCE: Dep. Chim., Univ. Sherbrooke, Sherbrooke, QC, J1K 2R1, Can.
SOURCE: Canadian Journal of Chemistry (1981), 59(2), 431-50
CODEN: CJCHAG; ISSN: 0008-4042
DOCUMENT TYPE: Journal
LANGUAGE: English

AB RCONR1X (I; X = halo) reacts photochem. with alkenes via competitive 1,2-addn. and H abstraction chain reactions (the quantum yields for these reactions are >1) as detd. from the adduct or I (X = H) yields in CH2Cl2. I (X = Br) gives smaller addn. yields than does I (X = Cl). The addn. yield increases with the electronegativity of R. The lower the reaction temp. the higher the addn. yield; at -70.degree., I (R1 = H) gives higher addn. yields with X = Br than with X = Cl. I (R1 = H) gives higher addn. yields than I (R1 = Me). HX scavengers do not affect the addn. yield. The addn. stereochem. of I to cyclohexene is affected by the electronegativity and size of R. I (X = Cl, R1 = H, R = ClCH2, EtO, CF3) give alkene adducts in high yield. The reaction of I with enol ethers at -70.degree. gives .alpha.-amido acetals or ketals. The reactions of I (R = ClCH2, R1 = H, X = Cl) with II and of I (R1 = H, X = Cl, R = CF3, OEt) with pregnenolone acetate is also reported.

IT **30841-54-4P 64281-94-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 30841-54-4 CAPLUS
CN Carbamic acid, [(3.beta.,5.alpha.,6.beta.)-3-(acetyloxy)-5-chloro-20-oxopregnan-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

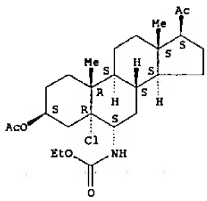
Absolute stereochemistry.



RN 64281-94-3 CAPLUS
CN Carbamic acid, [(3.beta.,5.alpha.,6.alpha.)-3-(acetyloxy)-5-chloro-20-oxopregnan-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

L12 ANSWER 51 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

Absolute stereochemistry.



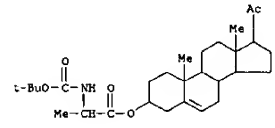
L12 ANSWER 52 OF 69 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1980:514945 CAPLUS
DOCUMENT NUMBER: 93:114945
TITLE: Synthesis of some steroidal esters of .alpha.-amino acids
AUTHOR(S): Lapatsanis, Lucas; Profilis, Christos; Catsoulacos, Panayotis
CORPORATE SOURCE: Lab. Org. Chem., Univ. Athens, Athens, Greece
SOURCE: Journal of Chemical and Engineering Data (1980), 25(3), 287-9
CODEN: JCEAAX; ISSN: 0021-9568
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Title esters I (BOC = Me3CO2C; X = Ala, Pro-OCHMeCO; R = R1 = H; RR1 = bond), II [X = Ala, Gly; R = R1 = H; RR1 = bond; R2 = CHMe(CH2)3CHMe2, Ac], and III were prepd. by esterifying the hydroxy steroid with BOC-X-OH by carbonyldiimidazole in CH2Cl2 or with BOC-X-OH 8-hydroxyquinoline ester in CH2Cl2. The above esters were BOC-deblocked by CF3CO2H or HCl/dioxane to give the corresponding amino acid steroid esters, which were isolated as the HCl, CF3CO2H, or oxalate salts.

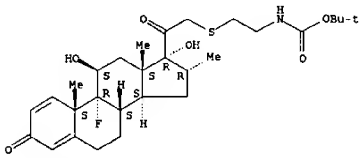
IT **73670-12-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and deblocking of)

RN 73670-12-9 CAPLUS
CN L-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-, (3.beta.)-20-oxopregn-5-en-3-yl ester (9CI) (CA INDEX NAME)



L12 ANSWER 53 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1980:494311 CAPLUS
DOCUMENT NUMBER: 93:94311
TITLE: .alpha.-Keto mesylate: a reactive, thiol-specific functional group
AUTHOR(S): Simons, S. Stoney, Jr.; Pons, Michel; Johnson, David F.
CORPORATE SOURCE: Natl. Inst. Arthritis, Metab. Dig. Dis., NIH, Bethesda, MD, 20205, USA
SOURCE: Journal of Organic Chemistry (1980), 45(15), 3084-8
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A systematic study of the reactivity of .alpha.-keto mesylates with various nucleophiles (i.e., carboxylate, OH, imidazole, NH2, thiol acid anion, and SH) under mildly basic conditions is reported. .alpha.-Keto mesylates do not react with imidazole or OH groups, react extremely slowly (if at all) with carboxylate and primary amines, and react several thousand times faster with thiols and thiol acid anions. The very rapid reaction with thiols occurs only with the dissocd. thiolate anion. Addn. of a .beta.-OH group to .alpha.-keto mesylates accelerates the reaction with thiolate anions by a factor of 3-12 in Me2CO, but has no effect on reactions in DMF. .alpha.-Keto mesylates exhibit the same selectivity as .alpha.-keto chlorides for thiolate anions over amines. In view of this reactivity and selectivity, the .alpha.-keto mesylate appears to be a promising functional group for the electrophilic-affinity labeling of biol. macromols. in weakly basic solns.
IT **73816-22-5P 73816-33-8P 73816-34-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 73816-22-5 CAPLUS
CN Carbamic acid, [2-[[(11.beta.,16.alpha.)-9-fluoro-11,17-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-21-yl]thio]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

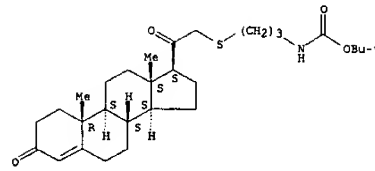
Absolute stereochemistry.



RN 73816-33-8 CAPLUS
CN Carbamic acid, [3-[(3,20-dioxopregn-4-en-21-yl)thio]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

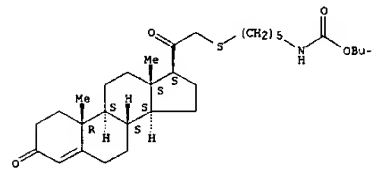
Absolute stereochemistry.

L12 ANSWER 53 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 73816-34-9 CAPLUS
CN Carbamic acid, [5-[(3,20-dioxopregn-4-en-21-yl)thio]pentyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

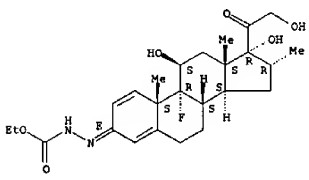


L12 ANSWER 54 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1980:426658 CAPLUS
DOCUMENT NUMBER: 93:26658
TITLE: Pregn-4-ene derivatives
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Fr. Demande, 17 pp. Addn. to Fr. Demande 2,408,622.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2421911	A2	19791102	FR 1978-10386	19780407
FR 2421911	B2	19840622		

PRIORITY APPLN. INFO.: FR 1978-10386 19780407
AB Antiallergic and antiinflammatory pregnenes I (R = H, halo; R1 = H, acyl; R2 = H, OH; R3 = H, OH, Me; X = OH, alkanoyloxy, C1-12 cycloalkanoyloxy; R4 = OH, R5 = H; R4R5 = O) and their 1,2-didehydro derivs. were prepd. Thus, 10.2 g 21-acetoxy-11.beta.,17-dihydroxy-16.alpha.-methylpregn-4-ene-3,20-dione was treated with 2.2 g MeONH2.HCl in 800 mL MeOH 5 h at room temp.to give 4.6 g anti-I (X = MeO, R = R5 = H, R1 = Ac, R2 = R4 = OH, R3 = .alpha.-Me) (II) and 3.2 g syn-II.
IT **69765-21-5P 69778-20-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 69765-21-5 CAPLUS
CN Hydrazinecarboxylic acid, [(3E,11.beta.,16.alpha.)-9-fluoro-11,17,21-trihydroxy-16-methyl-20-oxopregna-1,4-dien-3-ylidene]-, ethyl ester (9CI) (CA INDEX NAME)

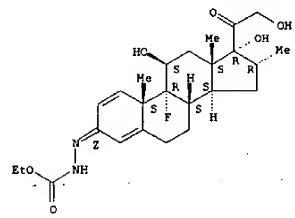
Absolute stereochemistry.
Double bond geometry as shown.



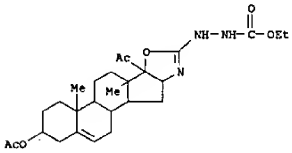
RN 69778-20-7 CAPLUS
CN Hydrazinecarboxylic acid, [(3Z,11.beta.,16.alpha.)-9-fluoro-11,17,21-trihydroxy-16-methyl-20-oxopregna-1,4-dien-3-ylidene]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L12 ANSWER 54 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



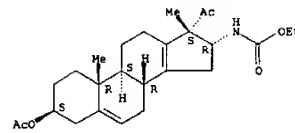
L12 ANSWER 55 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1980:147038 CAPLUS
DOCUMENT NUMBER: 92:147038
TITLE: Transformed steroids. Communication 104. Preparation of [16,17]oxazolidinones of 20-ketosteroids by intramolecular isomerization of 16,17.alpha.-N-carbethoxyepiminopregnenolone
AUTHOR(S): Istomina, Z. I.; Turuta, A. M.
CORPORATE SOURCE: Inst. Org. Khim. im. Zelinskogo, Moscow, USSR
SOURCE: Izvestiya Akademii Nauk SSSR, Seriya Khimicheskaya (1979), (10), 2318-22
CODEN: IASKA6; ISSN: 0002-3353
DOCUMENT TYPE: Journal
LANGUAGE: Russian
AB Epiminopregnanone I underwent stereospecific ring cleavage in HOAc contg. H2NNHCO2Et to give oxazolidinones II and III, whose structures were detd. by IR and NMR spectra.
IT **73204-84-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and deacetylation of)
RN 73204-84-9 CAPLUS
CN Hydrazinecarboxylic acid, 2-[(3.beta.,16.beta.)-3-(acetyloxy)-20-oxo-4'H-pregn-5-eno[16,17-d]oxazol-2'-yl]-, ethyl ester (9CI) (CA INDEX NAME)



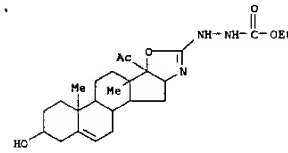
IT **73204-77-0P 73204-85-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 73204-77-0 CAPLUS
CN Carbamic acid, [(3.beta.,16.alpha.,17.alpha.)-3-(acetyloxy)-17-methyl-20-oxo-18-norpregna-5,13-dien-16-yl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 55 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

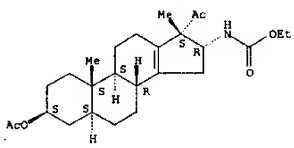


RN 73204-85-0 CAPLUS
CN Hydrazinecarboxylic acid, 2-[(3.beta.,16.beta.)-3-hydroxy-20-oxo-4'H-pregn-5-eno[16,17-d]oxazol-2'-yl]-, ethyl ester (9CI) (CA INDEX NAME)



L12 ANSWER 56 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1979:420886 CAPLUS
DOCUMENT NUMBER: 91:20886
TITLE: Transformed steroids. 102. Approach to the synthesis of steroid 16,17-aziridines and stereodirectivity of the photoinduced addition of carbethoxynitrene to steroid 16-en-20-ones
AUTHOR(S): Kamernitskii, A. V.; Istomina, Z. I.; Serebryakov, E. P.; Turuta, A. M.
CORPORATE SOURCE: Inst. Org. Khim. im. Zelinskogo, Moscow, USSR
SOURCE: Izvestiya Akademii Nauk SSSR, Seriya Khimicheskaya (1979), (1), 186-91
CODEN: IASKA6; ISSN: 0002-3353
DOCUMENT TYPE: Journal
LANGUAGE: Russian
AB Photolysis of dehydropregnenolone acetate I (R = H) with N3CO2Et gave 14-16% aziridinopregnene II (R = H) and 2.5-3% (carboethoxyamino)pregnadienone I (R = NHCO2Et); column chromatog. of the photolysis mixt. using MeOH elutant also gave the methoxypregnene III. Similar photolysis of the 5,6-dihydro deriv. of I (R = H) gave the 5,6-dihydro deriv. of II (R = H) and the Wagner-Meerwein rearrangement product IV.
IT **70433-68-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 70433-68-0 CAPLUS
CN Carbamic acid, [(3.beta.,5.alpha.,16.alpha.,17.alpha.)-3-(acetyloxy)-17-methyl-20-oxo-18-norpregn-13-en-16-yl]-, ethyl ester (9CI) (CA INDEX NAME)

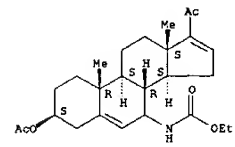
Absolute stereochemistry.



IT **53574-52-0P 70433-65-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, by reaction of carbethoxynitrene with pregnadiene deriv.)
RN 53574-52-0 CAPLUS
CN Carbamic acid, [(3.beta.)-3-(acetyloxy)-20-oxopregna-5,16-dien-7-yl]-, ethyl ester (9CI) (CA INDEX NAME)

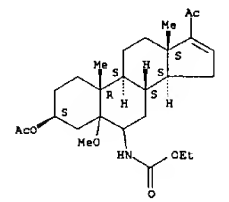
Absolute stereochemistry.

L12 ANSWER 56 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 70433-65-7 CAPLUS
CN Carbamic acid, [(3.beta.)-3-(acetyloxy)-5-methoxy-20-oxopregn-16-en-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 57 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1979:204491 CAPLUS
DOCUMENT NUMBER: 90:204491
TITLE: Taurine and glycine derivatives
INVENTOR(S): Gallo-Torres, Hugo; Guthrie, Robert William; Hamilton, James Guthrie; Kierstead, Richard Wightman; Sullivan, Ann Clare
PATENT ASSIGNEE(S): Hoffmann-La Roche, Inc., USA
SOURCE: U.S., 12 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4104285	A	19780801	US 1977-790164	19770422

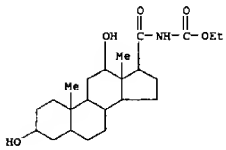
PRIORITY APPLN. INFO.: US 1977-790164 19770422

AB Cholanoyl amino acids I (R = H, alkanoyloxy, BzO; R1 = CO2H, alkoxycarbonyl, CH2SO3H; m, n = 0, 1) were prepd. Thus, 9.87 g 3.alpha.,12.alpha.-dihydroxy-24-nor-5.beta.-cholanic acid was treated with ClCO2Et to give the carbonate, which was treated with 1.96 g glycine to give 7.8 g I (R = H, R1 = CO2H, m = n = 1). I inhibits pancreatic lipase in vitro and hypolipemic in rats.

IT **70118-04-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, with glycine)

RN 70118-04-6 CAPLUS

CN Carbamic acid, [[(3.alpha.,5.beta.,12.alpha.,17.beta.)-3,12-dihydroxyandrostan-17-yl]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)



L12 ANSWER 58 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1979:138097 CAPLUS
DOCUMENT NUMBER: 90:138097
TITLE: Pregn-4-ene derivatives
INVENTOR(S): Nedelec, Lucien; Pierdet, Andre; Deraedt, Roger
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Ger. Offen., 33 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2819480	A1	19781109	DE 1978-2819480	19780503
DE 2819480	C2	19890803		
FR 2408622	A1	19790608	FR 1977-13864	19770506
FR 2408622	B1	19800711		
SE 7804388	A	19781107	SE 1978-4388	19780418
SE 442120	B	19851202		
SE 442120	C	19860313		
SU 826958	A3	19810430	SU 1978-2607603	19780426
ZA 7802517	A	19790627	ZA 1978-2517	19780502
JP 53137943	A2	19781201	JP 1978-52888	19780504
JP 62038359	B4	19870817		
BE 866758	A1	19781106	BE 1978-187442	19780505
DK 7801961	A	19781107	DK 1978-1961	19780505
NL 7804840	A	19781108	NL 1978-4840	19780505
ES 469513	A1	19791201	ES 1978-469513	19780505
AU 7835808	A1	19791108	AU 1978-35808	19780505
AU 516891	B2	19810625		
HU 21530	O	19811228	HU 1978-RO978	19780505
HU 179294	B	19820928		
CA 1121342	A1	19820406	CA 1978-302674	19780505
CH 633564	A	19821215	CH 1978-4903	19780505
US 4189477	A	19800219	US 1978-903600	19780508
AT 7803309	A	19810115	AT 1978-3309	19780508
AT 363622	B	19810825		
GB 1601561	A	19811028	GB 1978-18196	19780508
GB 1601562	A	19811028	GB 1978-29885	19780508

PRIORITY APPLN. INFO.: FR 1977-13864 19770506
GB 1978-18196 19780508

AB Pregnenes I (R = OH, alkoxy, cycloalkoxy, acyloxy, aminocarbonyloxy, alkoxycarbonylamino, NHCONH2; R1 = R2 = H; R1R2 = bond; R1 = Me, Cl, F, R2 = H; R3 = H, halo; R4 = H, OH, Me; R5 = H, OH; R6 = H, C1-18 acyl; X = O, H, OH) and their .DELTA.1-derivs. were prepd. Thus, syn- and anti-I (R = OMe, R1 = R2 = R6 = H, R3 = F, R4 = .alpha.-Me, R5 = OH, X = H, OH) were prepd. by treating the corresponding 3-oxo deriv. with MeONH2.HCl. These two compds. had ED50 in the passive cutaneous anaphylaxis test of 1.4 and 2.5 mg/kg, resp., orally in rats.

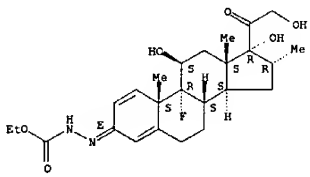
IT **69765-21-5P 69778-20-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 69765-21-5 CAPLUS

CN Hydrazinecarboxylic acid, [(3E,11.beta.,16.alpha.)-9-fluoro-11,17,21-trihydroxy-16-methyl-20-oxopregna-1,4-dien-3-ylidene]-, ethyl ester (9CI)

L12 ANSWER 58 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)
(CA INDEX NAME)

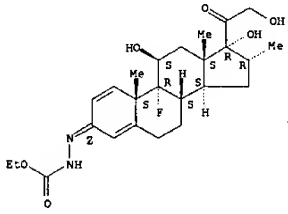
Absolute stereochemistry.
Double bond geometry as shown.



RN 69778-20-7 CAPLUS

CN Hydrazinecarboxylic acid, [(3Z,11.beta.,16.alpha.)-9-fluoro-11,17,21-trihydroxy-16-methyl-20-oxopregna-1,4-dien-3-ylidene]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L12 ANSWER 59 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1978:437123 CAPLUS
DOCUMENT NUMBER: 89:37123
TITLE: Some esters of progestins and alkylating agents and their cytostatic properties
AUTHOR(S): Hansen, Bertil; Fex, Hans; Holmberg, Krister; Hogberg, Bertil; Jensen, Gunborg; Konyves, Imre
CORPORATE SOURCE: Res. Lab., AB Leo, Helsingborg, Swed.
SOURCE: Curr. Chemother., Proc. Int. Congr. Chemother., 10th (1978), Meeting Date 1977, Volume 2, 1276-8. Editor(s): Siegenthaler, Walter; Luethy, Ruedi. Am. Soc. Microbiol.: Washington, D. C.
CODEN: 37XLA2
DOCUMENT TYPE: Conference
LANGUAGE: English

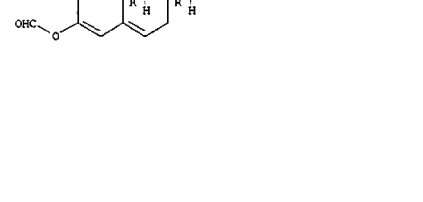
AB Of the progestin esters, acids, and alkylating agents studied, compds. with structure I or II showed considerable antitumor activity in vivo against Walker 256, Hepatoma AH 130, and Ehrlich ascites tumors. The therapeutic indexes for most of the esters were higher than those for the corresponding acids.

IT **66929-39-3**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(neoplasm inhibiting activity of)

RN 66929-39-3 CAPLUS

CN Pregna-3,5-dien-20-one, 17-[[[[[bis(2-chloroethyl)amino]carbonyl]oxy]acetyl]oxy]-3-(formyloxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 60 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1977:584778 CAPLUS
DOCUMENT NUMBER: 87:184778
TITLE: 17.alpha.-Esters of gestagens with antitumor action
INVENTOR(S): Fex, Hans Jacob; Hansen, Bertil Valdemar; Holmberg, Krister Axel; Hogberg, Knut Bertil; Konyves, Imre
PATENT ASSIGNEE(S): Aktiebolag Leo, Swed.
SOURCE: Ger. Offen., 73 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2702509	A1	19770728	DE 1977-2702509	19770121
GB 1558472	A	19800103	GB 1976-2419	19760122
SE 7614264	A	19770723	SE 1976-14264	19761217
US 4177269	A	19791204	US 1977-760152	19770117
AU 7721426	A1	19780727	AU 1977-21426	19770119
FI 7700191	A	19770723	FI 1977-191	19770120
CA 1087168	A1	19801007	CA 1977-270108	19770120
BE 850668	A1	19770722	BE 1977-174317	19770121
DK 7700252	A	19770723	DK 1977-252	19770121
NO 7700190	A	19770725	NO 1977-190	19770121
NL 7700637	A	19770726	NL 1977-637	19770121
FR 2338951	A1	19770819	FR 1977-1777	19770121
FR 2338951	B1	19790824		
JP 52111553	A2	19770919	JP 1977-5064	19770121
HU 174941	P	19800428	HU 1977-LE799	19770121
AT 7700378	A	19800515	AT 1977-378	19770121
AT 360183	B	19801229		
SU 797585	D	19810115	SU 1977-2440653	19770121

PRIORITY APPLN. INFO.: GB 1976-2419 19760122

AB Pregnenedione esters I [R = 4-(ClCH2CH2)2NC6H4CH2CO2, 4-(ClCH2CH2)2NC6H4CH2CONH(CH2)2, 4-O2NC6H4CO2, etc.], with antitumor activity, were prepd. by routine esterification. Thus, 20.0 g 17.alpha.-hydroxypregn-4-ene-3,20-dione was esterified with (ClCH2CO)2O to give 13.1 g 17.alpha.-chloroacetoxy compd., which (24.4 g) was refluxed with 4-(ClCH2CH2)2NC6H4CH2CO2H and Bu4N+HSO4- in 2M NaOH to give 33.4 g I [R = 4-(ClCH2CH2)2NC6H4CH2CO2].

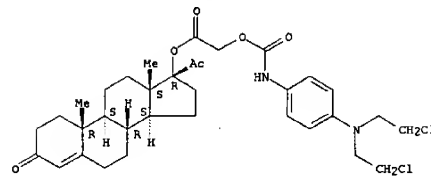
IT **64338-35-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 64338-35-8 CAPLUS

CN Pregn-4-ene-3,20-dione, 17-[[[[[[4-[bis(2-chloroethyl)amino]phenyl]amino]carbonyl]oxy]acetyl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 60 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



L12 ANSWER 61 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1977:533977 CAPLUS
DOCUMENT NUMBER: 87:133977
TITLE: The chromous chloride promoted addition of N-haloamides to olefins. III. Scope and limitations for the synthesis of N-(2-haloalky)amides
AUTHOR(S): Driguez, Hughes; Paton, John M.; Lessard, Jean
CORPORATE SOURCE: Dep. Chim., Univ. Sherbrooke, Sherbrooke, QC, Can.
SOURCE: Canadian Journal of Chemistry (1977), 55(4), 700-19
CODEN: CJCHAG; ISSN: 0008-4042
DOCUMENT TYPE: Journal
LANGUAGE: English

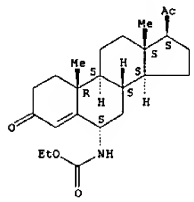
AB A study of the chromous chloride promoted addn. of various N-chloro- and N-bromoamides (ZCONHX) to a variety of olefins shows that two types of addn. products can be obtained, namely N-(2-haloalkyl)amides (1,2-adducts) which generally predominate and N-alkylamides (1,H-adducts). The total yield of addn. products, the relative proportion of N-(2-haloalkyl)amide(s) and N-alkylamide, and the stereochem. of 1,2-addn. to cyclohexenes vary with the N-haloamide, that is with both Z and X, and also with the olefin. The best yields of 1,2-adducts were obtained with N-chlorocarbamates (Z = O-alkyl) and the proper choice of Z (e.g., 2,2,2-trichloroethoxy, benzyloxy) shows the potential of this method for the synthesis of N-protected .beta.-chloro primary amines where the amino group is attached to the less substituted carbon atom.

IT **64253-46-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and hydrolysis of)

RN 64253-46-9 CAPLUS

CN Carbamic acid, [(6.alpha.)-3,20-dioxopregn-4-en-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



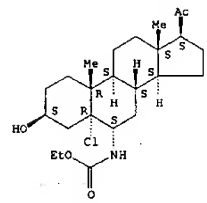
IT **64227-33-4P 64253-45-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and oxidn. of)

RN 64227-33-4 CAPLUS

CN Carbamic acid, [(3.beta.,5.alpha.,6.alpha.)-5-chloro-3-hydroxy-20-oxopregnan-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

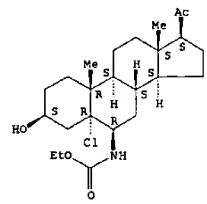
L12 ANSWER 61 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 64253-45-8 CAPLUS

CN Carbamic acid, [(3.beta.,5.alpha.,6.beta.)-5-chloro-3-hydroxy-20-oxopregnan-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



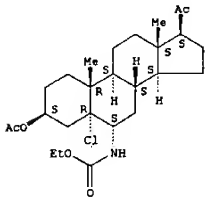
IT **64281-94-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and partial sapon. of)

RN 64281-94-3 CAPLUS

CN Carbamic acid, [(3.beta.,5.alpha.,6.alpha.)-3-(acetyloxy)-5-chloro-20-oxopregnan-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

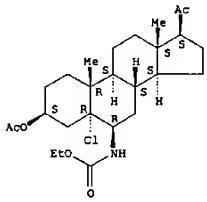
Absolute stereochemistry.

L12 ANSWER 61 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



IT **30841-54-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reactions of)
RN 30841-54-4 CAPLUS
CN Carbamic acid, [(3.beta.,5.alpha.,6.beta.)-3-(acetyloxy)-5-chloro-20-oxopregnan-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

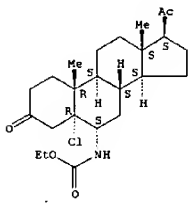
Absolute stereochemistry.



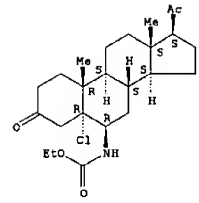
IT **64227-31-2P 64227-32-3P 64227-34-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 64227-31-2 CAPLUS
CN Carbamic acid, [(5.alpha.,6.beta.)-5-chloro-3,20-dioxopregnan-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 61 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

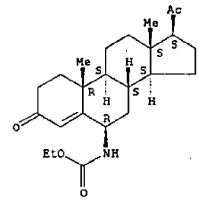


L12 ANSWER 61 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 64227-32-3 CAPLUS
CN Carbamic acid, [(6.beta.)-3,20-dioxopregn-4-en-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 64227-34-5 CAPLUS
CN Carbamic acid, [(5.alpha.,6.alpha.)-5-chloro-3,20-dioxopregnan-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

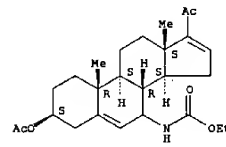
L12 ANSWER 62 OF 69 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1974:505796 CAPLUS
DOCUMENT NUMBER: 81:105796
TITLE: Fused aziridines. II. Reaction of photochemically generated ethoxycarbonylnitrene with 16-dehydropregnenolone acetate
AUTHOR(S): Gandhi, R. P.; Singh, Major; Sharma, T. D.
CORPORATE SOURCE: Dep. Chem., Kurukshetra Univ., Kurukshetra, India
SOURCE: Indian Journal of Chemistry (1974), 12(2), 117-19
CODEN: IJOCAP; ISSN: 0019-5103
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The reaction of photochem. generated ethoxycarbonylnitrene with 16,17-didehydropregnenolone acetate gave the aziridinyl ketones I and II, the aziridine III, and the carbamate IV. The stereochem. of I and II was detd. by ORD spectroscopy.

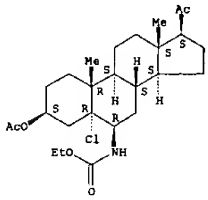
IT **53574-52-0P**
RL: PREP (Preparation)
(from cycloaddn. reaction of (ethoxycarbonyl)nitrene with didehydropregnenolone)
RN 53574-52-0 CAPLUS
CN Carbamic acid, [(3.beta.)-3-(acetyloxy)-20-oxopregna-5,16-dien-7-yl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 63 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1971:53134 CAPLUS
DOCUMENT NUMBER: 74:53134
TITLE: Chromous chloride promoted addition of N-chlorocarbamates to olefins. Synthesis of B-chlorocarbamates
AUTHOR(S): Lessard, J.; Paton, J. M.
CORPORATE SOURCE: Biochem. Lab., Natl. Res. Counc., Ottawa, ON, Can.
SOURCE: Tetrahedron Letters (1970), (56), 4883-6
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Cyclohexene is treated with ClNHCO2R compds. in the presence of CrCl2 in MeOH and MeOH-CHCl3 to give (2-chlorocyclohexyl)carbamate esters (I). The norbornylcarbamate esters (II) and Me2C(Cl)CMe2NHCO2Et are similarly prepd. The cis-I-trans-I ratio increases when MeOH-CHCl3 is used.
IT **30841-54-4P 30859-36-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 30841-54-4 CAPLUS
CN Carbamic acid, [(3.beta.,5.alpha.,6.beta.)-3-(acetyloxy)-5-chloro-20-oxopregnan-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

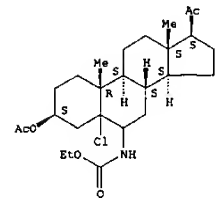
Absolute stereochemistry.



RN 30859-36-0 CAPLUS
CN Pregnane-6-carbamic acid, 5-chloro-3.beta.-hydroxy-20-oxo-, ethyl ester, acetate (ester) (8CI) (CA INDEX NAME)

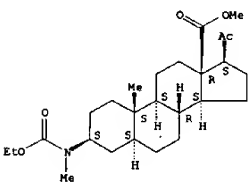
Absolute stereochemistry.

L12 ANSWER 63 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



L12 ANSWER 64 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1970:464565 CAPLUS
DOCUMENT NUMBER: 73:64565
TITLE: Chemistry and pharmacology of semisynthetic compounds with cardiovascular activity derived from paravallarine, a naturally occurring steroid
AUTHOR(S): Le Men, Jean; Provost, Jean; Tiberghien, Rene; Forgacs, Pierre; Eyraud, Henri; Aurousseau, Michel
CORPORATE SOURCE: Fac. Mixte Med. Pharm., Reims, Fr.
SOURCE: Chimica Therapeutica (1970), 5(1), 41-54
CODEN: CHTPBA; ISSN: 0009-4374
DOCUMENT TYPE: Journal
LANGUAGE: French
AB I and II increased coronary flow in Langendorff's prepn. (isolated perfused rabbit heart) by >50%, while the less active compds., such as III, IV, and V, induced increases of 20-30%. Intermediate compds., such as VI and VII induced increases of 30-50%. The most active compds. are characterized by the simultaneous occurrence of a 3-NMe2 group, 18-OAc and 20-OAc groups, and a satd. C(5)-C(6) bond. Cardiovascular activity was decreased by change of the 3.beta.-amino to the 3.alpha.-amino group, the change of 20(S) to 20(R), substitution at 16.alpha. or 16.beta., replacement of the 3-N atom with an O-contg. functional group (e.g., Ac), and, in satd. compds. contg. 18-OAc and 20-OAc groups, by replacement of one of the Me (of the 3-NMe2) with H or more complex groups. Activity was abolished by substitution in the 4- and 6-positions. The activity of compds. contg. a 3-NMe2 group was max. when 18-Ac and 20-Ac groups were also present; further lengthening of the chain abolished activity.
IT **29699-63-6P 29699-65-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 29699-63-6 CAPLUS
CN 5.alpha.-Pregnan-18-oic acid, 3.beta.-(carboxymethylamino)-20-oxo-, 3-ethyl methyl ester (8CI) (CA INDEX NAME)

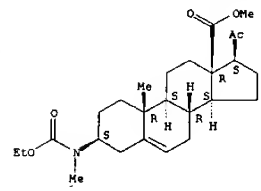
Absolute stereochemistry.



RN 29699-65-8 CAPLUS
CN Pregn-5-en-18-oic acid, 3.beta.-(carboxymethylamino)-20-oxo-, 3-ethyl methyl ester (8CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 64 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

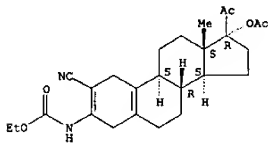


L12 ANSWER 65 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1970:415122 CAPLUS
DOCUMENT NUMBER: 73:15122
TITLE: Hypocholesteremic 2-cyano-3-amino-19-norpregna-1,3,5(10)-trienes
INVENTOR(S): De Ruggieri, Pietro; Gandolfi, Carmelo; Guzzi, Umberto
PATENT ASSIGNEE(S): Ormoterapia Richter S.p.A.
SOURCE: U.S., 6 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3503960	A	19700331	US 1966-573784	19660822
PRIORITY APPLN. INFO.:			IT 1965-18857	19650823

AB The title compds. were prepd. for use as cortical, hypocholesterolemic, and hypophysis-blocking drugs. Thus, 2.alpha.-cyano-19-norpregna-4-en-17.alpha.-ol-3,20-dione 17-acetate 21 in abs. EtOH 1000 refluxed 24 hr under N with HCO2NH4 12 gave a mixt. of 17 parts 2-cyano-3-amino-19-norpregna-2,4-dien-17.alpha.-ol-20-one 17-acetate and 2-cyano-3-amino-19-norpregna-2,5(10)-dien-17.alpha.-ol-20-one 17-acetate. This mixt. 11 in dry dioxane 200 stirred 20 hr with 10% Pd-C 11 and EtO2CCH:CHCO2Et 15, and the product worked up gave 2-cyano-3-amino-19-norpregna-1,3,5(10)-trien-17.alpha.-ol-20-one 17-acetate. A variety of derivs. were prepd.
IT **27369-64-8P 27369-65-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 27369-64-8 CAPLUS
CN 19-Norpregna-2,5(10)-diene-3-carbamic acid, 2-cyano-17-hydroxy-20-oxo-, ethyl ester, acetate (ester) (8CI) (CA INDEX NAME)

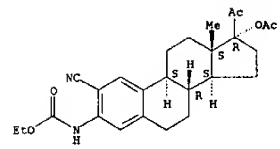
Absolute stereochemistry.



RN 27369-65-9 CAPLUS
CN 19-Norpregna-1,3,5(10)-triene-3-carbamic acid, 2-cyano-17-hydroxy-20-oxo-, ethyl ester, acetate (ester) (8CI) (CA INDEX NAME)

Absolute stereochemistry.

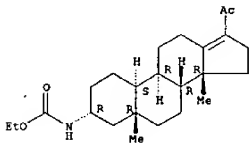
L12 ANSWER 65 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



L12 ANSWER 66 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1970:12961 CAPLUS
DOCUMENT NUMBER: 72:12961
TITLE: Steroidal alkaloids. XCIII. 3-Amino .DELTA.5-steroid backbone rearrangement in acidic medium
AUTHOR(S): Frappier, Francois; Khuong-Huu-Qui; Jarreau, Francois X.
CORPORATE SOURCE: Inst. Chim. Subst. Natur., C.N.R.S., Gif-sur-Yvette, Fr.
SOURCE: Bulletin de la Societe Chimique de France (1969), 9, 3265-71
CODEN: BSCFAS; ISSN: 0037-8968
DOCUMENT TYPE: Journal
LANGUAGE: French

AB Holamine (3.alpha.-aminopregn-5-en-20-one) (I) is treated with H2SO4 to giv e 3.alpha.-amino-18,19-dinor-5.beta.,14.beta.-dimethyl-10.alpha.-pregn-13-en-20-one (II) . Methylholaphylline (III) (a 3.beta.-amino compd.) gives a mixt. of trans-fused compd. IV and cis-fused compd. V. It is proposed that an interaction between the 3.beta.-NH2 and the 19-Me (in III) inhibits a concerted rearrangement; V is the major product.
IT **24376-23-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 24376-23-6 CAPLUS
CN 18,19-Dinor-5.beta.,10.alpha.,14.beta.-pregn-13(17)-ene-3.alpha.-carbamic acid, 5,14-dimethyl-20-oxo-, ethyl ester (8CI) (CA INDEX NAME)

Absolute stereochemistry.



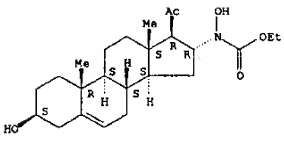
L12 ANSWER 67 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1969:439293 CAPLUS
DOCUMENT NUMBER: 71:39293
TITLE: 16.alpha.-(N-Carbalkoxy-N-hydroxyamino)pregnanes
INVENTOR(S): Boissier, Jacques R.; Ratouis, Roger
PATENT ASSIGNEE(S): Societe Industrielle pour la Fabrication des Antibiotiques (S.I.F.A.)
SOURCE: Fr., 4 pp.
CODEN: FRXXAK
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 1525296		19680517	FR	19670405

AB A soln. of 5 g. 3.alpha.-acetoxy-5.beta.-pregnane-11,20-dione, 5 cc. N-hydroxyurethane, and 1 cc. concd. HCl in 50 cc. AcOH was chilled 48 hrs., then poured over 100 g. ice, and the pptd. crude product recrystd., to give 4.8 g. 3.alpha.-acetoxy-16.alpha.-(N-carbethoxy-N-hydroxyamino)-5.beta.-pregnane-11,20-dione, m. 182-3.degree. (Me2CO-hexane), [.alpha.]20D 72.degree. (c 1, CHCl3). Similarly, but isolating the product by extn. and (or) chromatog. were prepd. (m.p. and [.alpha.]25D in CHCl3 given): 16.alpha.-(N-carbethoxy-N-hydroxyamino) derivs. of : 3.beta.-acetoxypregn-5-en-20-one, 155-6.degree. (Me2CO-hexane), -18.degree.; pregn-4-ene-3,20-dione, 196-7.degree. (Me2CO-hexane), 86.5.degree.; 3.beta.-acetoxy-5.alpha.-pregn-9(11)-en-20-one, 129-31.degree. (CH2Cl2-hexane), 40.5.degree.; 21-acetoxypregn-4-ene-3,20-dione, 181-3.degree. (Me2-CO-hexane-CH2Cl2), 73.degree.; 21-acetoxypregn-4-ene-3,11,20-trione, 206.degree. (EtOAc-Et2O), 132.degree.; 3.beta.-acetoxy-5.alpha.-pregnane-11,20-dione, 130.degree. (CH2Cl2-hexane), 47.5.degree.. Similarly from 3.beta.-hydroxypregna-5,16-dien-20-one (I) (but sapony. the esterified 3-OH group in the crude product with HClO4) was prepd. 16.alpha.-(N-carbethoxy-N-hydroxyamino)pregn-5-en-3.beta.-ol-20-one, m. 218.degree. (Me2CO), [.alpha.]25D -10.degree. (c 1, CHCl3), which was also obtained by stirring 1 3 hrs. at 60.degree. with hydroxyurethane and KOH (or aq. benzyltrimethyl-ammonium hydroxide) in tetrahydrofuran and purifying the H2O-pptd. product by chromatog. The compds. showed antiinflammatory activity.
IT **23139-35-7P 23139-68-6P 23139-69-7P**
23139-70-0P 23139-71-1P 23246-90-4P
23246-91-5P 23266-81-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 23139-35-7 CAPLUS
CN Pregn-5-ene-16.alpha.-carbamic acid, N,3.beta.-dihydroxy-20-oxo-, ethyl ester (8CI) (CA INDEX NAME)

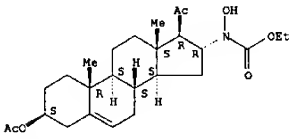
Absolute stereochemistry.

L12 ANSWER 67 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



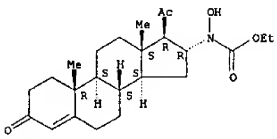
RN 23139-68-6 CAPLUS
CN Pregn-5-ene-16.alpha.-carbamic acid, N,3.beta.-dihydroxy-20-oxo-, ethyl ester, 3-acetate (8CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 23139-69-7 CAPLUS
CN Pregn-4-ene-16.alpha.-carbamic acid, N-hydroxy-3,20-dioxo-, ethyl ester (8CI) (CA INDEX NAME)

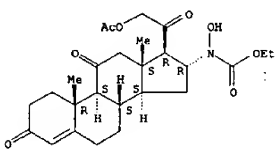
Absolute stereochemistry.



RN 23139-70-0 CAPLUS
CN 5.alpha.-Pregn-9(11)-ene-16.alpha.-carbamic acid, N,3.beta.-dihydroxy-20-oxo-, ethyl ester, 3-acetate (8CI) (CA INDEX NAME)

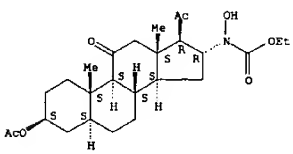
Absolute stereochemistry.

L12 ANSWER 67 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)
Absolute stereochemistry.

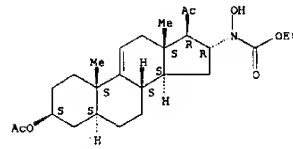


RN 23266-81-1 CAPLUS
CN 5.alpha.-Pregnane-16.alpha.-carbamic acid, N,3.beta.-dihydroxy-11,20-dioxo-, ethyl ester, 3-acetate (8CI) (CA INDEX NAME)

Absolute stereochemistry.

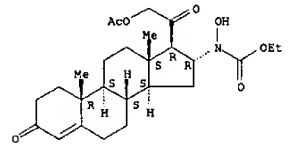


L12 ANSWER 67 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



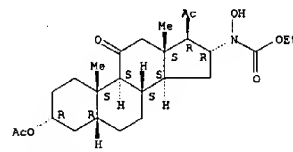
RN 23139-71-1 CAPLUS
CN Pregn-4-ene-16.alpha.-carbamic acid, N,21-dihydroxy-3,20-dioxo-, ethyl ester, 21-acetate (8CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 23246-90-4 CAPLUS
CN 5.beta.-Pregnane-16.alpha.-carbamic acid, N,3.alpha.-dihydroxy-11,20-dioxo-, ethyl ester, 3-acetate (8CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 23246-91-5 CAPLUS
CN Pregn-4-ene-16.alpha.-carbamic acid, N,21-dihydroxy-3,11,20-trioxo-, ethyl ester, 21-acetate (8CI) (CA INDEX NAME)

L12 ANSWER 68 OF 69 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1968:105465 CAPLUS
DOCUMENT NUMBER: 68:105465
TITLE: .beta.-Amino-.alpha.,.beta.-diunsaturated keto steroids
INVENTOR(S): Nagata, Wataru; Yoshioka, Mitsuru
PATENT ASSIGNEE(S): Shionogi and Co., Ltd.
SOURCE: Jpn. Tokkyo Koho, 3 pp.
CODEN: JAXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

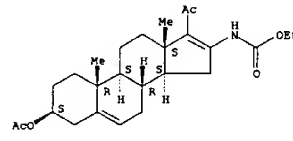
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 42011579	B4	19670630	JP	19630927

AB To a soln. of 3.beta.-acetoxy-5,16-pregnadien-20-one (I) in 250 ml. tetrahydrofuran (THF) are added 133ml. ether soln. of NH3 (0.75 millimoles/ml.) and a soln. of 12 g. Et2AlCl in 35 ml. THF with ice-cooling and the whole is stirred at room temp. for 3 hrs. to give 47% 3.beta.-acetoxy-16-amino-6,16-amino-6,16-pregnadien-20-one (II), m. 250-3.degree. (CHCl2-Me2CO). II is hydrolyzed with methanolic K2CO3 soln. to give III m. 244-6.degree. (EtOH-CHCl3). Treatment of 1.2 g. II with 15 ml. Ac2O at 35-45.degree. for 6 hrs. in 40 ml. pyridine followed by letting stand at room temp. for more than 42 hrs. gives 1.4 g. IV, m. 197-9.degree.. EtO2CCl (0.4 ml.) is dropped into a soln. of 120 mg. II in 7 ml. pyridine and the whole let stand for 5 hrs. to give 84% V, m. 113-15.degree. (Et2O-petr. ether.

IT **18119-18-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 18119-18-1 CAPLUS
CN Pregna-5,16-diene-16-carbamic acid, 3.beta.-hydroxy-20-oxo-, ethyl ester, acetate (ester) (8CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 69 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1963:441936 CAPLUS
DOCUMENT NUMBER: 59:41936
ORIGINAL REFERENCE NO.: 59:7613f-h,7614a-h
TITLE: 19-Norsteroids
PATENT ASSIGNEE(S): CIBA Ltd.
SOURCE: 43 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 620226		19630114	BE	
DE 1224309			DE	
FR 1337807			FR	
GB 1007757			GB	
GB 1007758			GB	
US 3250792		1966	US	

PRIORITY APPLN. INFO.: CH 19610714

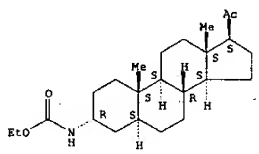
AB 4,5-Androstadien-19-ol-3,17-dione (I) (2.0 g.) in 50 cc. C5H5N treated at 0.degree. dropwise with 4.8 g. CrO3 in 46 cc. H2O and 90 cc. C6H5N, heated 0.5 hr. at 60.degree., and worked up yielded 1.55 g. 4,6-androstadiene-3,17,19-trione (II), m. 150-2.degree. (C6H6), [.alpha.]D 143.1.degree. (c 0.8, CHCl3). II (980 mg.) in 50 cc. Me2CO treated 20 min. at 0.degree. with 5 cc. aq. CrO3-H2SO4 (contg. 26.52% CrO3 and 23 vol.-% H2SO4) and then with 50 g. NaOAc in 80 cc. H2O, washed with C6H6, and extd. with satd. aq. NaHCO3, and the ext. acidified and extd. with Et2O and CH2Cl2 yielded 895 mg. 4,6-androstadiene-3,17-dione-19-oic acid (III). I (2.5 g.) in 125 cc. Me2CO treated dropwise at 0.degree. with 12.5 cc. aq. CrO3-H2SO4, stirred 40 min. at 0-5.degree., treated with 125 g. NaOAc.3H2O in 200 cc. H2O, and worked up in the usual manner gave 1.45 g. III. III (1.45 g.) in 20 cc. MeOH refluxed 0.5 hr. with 1.4 cc. concd. HCl, cooled, dild. with 60 cc. H2O, concd., and extd. with Et2O gave 1.02 g. 19-nor-4,6-androstadiene-3,17-dione, m. 181-2.degree. (CH2Cl2-Et2O-petr. ether), [.alpha.]D 58.3.degree. (c 0.76, CHCl3). 4,6-Pregnadien-19-ol-3,20-dione (IV) (1.2 g.) in 25 cc. C5H5N stirred 4 hrs. at 25.degree. with 2.0 g. CrO3 in 10 cc. H2O and 20 cc. C5H5N gave 970 mg. 4,6-pregnadiene-3,19,20-trione (V). IV (800 mg.) oxidized at 0.degree. with 5 cc. aq. CrO3-H2SO4 yielded 615 mg. 19-CO2H analog (VI) of IV which refluxed 0.5 hr. in 10 cc. MeOH with 300 mg. p-MeC6H4SO3H gave 495 mg. 19-nor-4,6-pregnadiene-3,20-dione. 17.alpha.-AcO deriv. (VII) (50 mg.) of IV oxidized with 250 mg. CrO3 in 5 cc. C5H5N gave 35 mg. 17.alpha.-AcO deriv. of V. VII (470 mg.) in 28 cc. Me2CO treated at 0.degree. with 2.8 cc. aq. CrO3-H2SO4 and after 1 hr. with 28 g. NaOAc in 45 cc. H2O yielded 137 mg. unchanged VII and 280 mg. 17.alpha.-AcO deriv. (VIII) of VI, which heated gently (without fusing) eliminated CO2 to give 17.alpha.-acetoxy-5(10),6-norpregnadiene-3,20-dione (IX), decompg. when inserted in a bath at 140.degree.. VIII (230 mg.) in 4 cc. Me3COH refluxed 20 min. with 0.15 cc. concd. HCl, cooled, dild. with C6H6, and worked up gave 169 mg. 4,6-isomer (X) of IX, m. 227-9.degree. (CH2Cl2-petr. ether). 4,6-Androstadiene-17.beta.,19-diol-3-one 17-(3-phenylpropionate) (1.00 g.) in 50 cc. Me2CO oxidized with aq. CrO3-H2SO4 yielded 860 mg. 19-CO2H analog, which, refluxed 15 min. with 0.5 cc. concd. HCl and 10 cc. Me3COH, gave 530 mg. 19-nor-4,6-pregnadien-17.beta.-ol-3-one 17-phenylpropionate. 6.beta.,19-Oxido-4-pregnene-3,20-dione treated with Ac2O and p-MeC6H4SO3H and then partially saponed., and the resulting 17.alpha.-caproate (XI) of

L12 ANSWER 69 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)
IV (12.8 g.) oxidized with aq. CrO3-H2SO4 yielded 10.2 g. 17.alpha.-AmCO2 deriv. (XII) of VI, m. 156-7.degree.. 17.alpha.-Acetoxy-6-chloro-4,6-pregnadien-19-ol-3,20-dione (2.15 g.) yielded similarly 1.73 g. 17.alpha.-acetoxy-6-chloro-4,6-pregnadiene-3,20-dion-19-oic acid (XIII). XII (10.0 g.) in 50 cc. AcOH refluxed 15 min. and worked up gave 9.25 g. crude product, which, chromatographed, yielded 8.15 g. 17.alpha.-AmCO2 analog of X, m. 124.degree. (Et2O-petr. ether), [.alpha.]25D -71.7.degree. (c 1.042). XIII (1.52 g.) refluxed with 10 cc. Ac2O gave the 6-Cl deriv. of X, m. 159-61.degree.. VIII (1.50 g.) and 10 cc. C5H5N heated 40 min. at 75.degree. and evapd., the residue worked up with Et2O, and the crude product (1.05 g.) chromatographed on silica gel yielded 460 mg. IX, m. 163-5.degree. (CH2Cl2-Et2O-petr. ether), [.alpha.]25D 161.4.degree. (c 0.853), and 230 mg. X, m. 227-9.degree.. IX (500 mg.) heated briefly with 5 cc. 66% AcOH and chromatographed on Al2O3 also yielded X. 19-Hydroxytestosterone acetate successively dehydrogenated and oxidized, the resulting 17.beta.-acetoxy-4,6-androstadien-3-on-19-oic acid (520 mg.) in 15 cc. MePh refluxed 0.5 hr., cooled, dild. with 100 cc. Et2O, and worked up, and the crude product chromatographed on silica gel yielded 115 mg. 17.beta.-acetoxy-19-nor-5(10),6-androstadien-3-one, which, heated with AcOH and chromatographed, gave 17.beta.-acetoxy-19-nor-4,6-androstadien-3-one. X (370 mg.) in 3 cc. dioxane treated 7 hrs. at -30.degree. and 12 hrs. at 5.degree. with 2.3 cc. 0.88N Cl in EtCO2H gave 412 mg. 4-Cl deriv. of X, m. 203-5.degree. (CH2Cl2-petr. ether). 17.beta.-Acetoxy-17.alpha.-methyl-19-nor-4,6-androstadien-3-one (20.6 g.) in 2 l. CH2Cl2 treated at 5.degree. with 400 cc. 1.56 N o-HO2CC6H4CO2OH in Et2O, kept 21 hrs. at room temp., treated with cooling and stirring with 800 cc. 2N Na2CO3, washed, and worked up, and the crude product chromatographed on 10 g. Al2O3 yielded 9.4 g. 6.alpha.,7.alpha.-oxido-17.beta.-acetoxy-17.alpha.-methyl-19-nor-4-androsten-3-one (XIV), [.alpha.]25D 26.degree., the mother liquor chromatographed on 300 g. Al2O3 yielded an addnl. 260 mg. XIV. XIV (100 mg.) in 5 cc. N HCl in dioxane kept 75 hrs. at room temp., poured into dil. aq. NaHCO3, and extd. with CH2Cl2, and the residue from the ext. chromatographed on 3 g. Al2O3 yielded 25 mg. 17.alpha.-acetoxy-17.beta.-methyl-6-chloro-19-nor-4,6-androstadien-3-one (XV), m. 225-6.5.degree. (C6H6). XIV (9.25 g.) in 450 cc. N HCl in dioxane kept 0.5 hr. at room temp., poured into 3 l. 2.5% aq. NaHCO3, and extd. with CH2Cl2, the residual 17.beta.-acetoxy-17.alpha.-methyl-6.beta.-chloro-19-nor-4-androsten-7.alpha.-ol-3-one dissolved in 100 cc. C5H5N, treated with stirring and cooling with 10 cc. MeSO2Cl, stirred 64 hrs. at -10.degree., stirred into dil. aq. NaHCO3, and extd. after 5 min. with CH2Cl2 yielded crude 7.alpha.-methanesulfonate, which heated 1 hr. with stirring at 80.degree. under N with 600 cc. HCONMe2 and 100 g. NaOAc, kept 60 hrs. at room temp., dild. with H2O, and extd. with CH2Cl2 gave 7.18 g. XV (chromatographed on 500 g. Al2O3), [.alpha.]25D -3.degree.. XV(50mg.)in 1 cc. dry tetrahydrofuran treated 0.5 hr. with 1 cc. 0.94M LiAlH4 in tetrahydrofuran and worked up, the residue (38.5 mg.) kept 12 hrs. at 32.degree. with 15 cc. C6H6, 1.2 cc. Me2CO, and 300 mg. (Me3CO)3Al, poured into aq. Seignette salt, and extd. with C6H6, and the residue from the ext. chromatographed on 1 g. Al2O3 gave 6 mg. 17.beta.-OH analog of XV, m. 164-72.degree.. 6.alpha.,7.alpha.-Oxido-17.alpha.-acetoxy-19-nor-4-pregnene-3,20-dione (250 mg.) treated 0.5 hr. with 15 cc. N HCl in dioxane, the product treated in 3 cc. C5H5N with 0.3 cc. MeSO2Cl, and the resulting methanesulfonate heated 75 min. at 85.degree. with stirring under N with 25 cc. HCONMe2 and 4.5 g. NaOAc yielded 105 mg. 17.alpha.-acetoxy-6-chloro-4,6-pregnene-3,20-dione.

IT **95817-79-1**, 5.alpha.-Pregnane-3.alpha.-carbamic acid, 20-oxo-, ethyl ester (prepn. of)

RN 95817-79-1 CAPLUS
CN 5.alpha.-Pregnane-3.alpha.-carbamic acid, 20-oxo-, ethyl ester (7CI) (CA INDEX NAME)

Absolute stereochemistry.



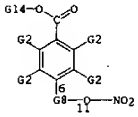
=> d ibib ab fqhit 1-27

L18 ANSWER 1 OF 27 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 138:4731 MARPAT
TITLE: Preparation of 21-[4'-(nitrooxyalkyl)benzoate] corticosteroid derivatives and their intermediates
INVENTOR(S): McIntyre, Donald G.
PATENT ASSIGNEE(S): Scynexis Chemistry and Automation, Inc., USA
SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002094758	A1	20021128	WO 2002-US16107	20020522
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 2001-292792P	20010522
			US 2002-152433	20020521

OTHER SOURCE(S): CASREACT 138:4731
AB The present invention provides methods of making 21-[4'-(nitrooxyalkyl)benzoate] corticosteroid derivs. by reaction of a 21-(hydroxyalkyl)corticosteroid with the aryl compds. I (R1 = OH, halo, acyloxy, OC(O)R7; m = 0-4, n = 0-5; R2-R6 = e.g. amino, halo, alkyl, alkoxy, aryl alkoxy, OC(O)R8, OC(O)NR8R9; R7 = alkyl, aryl; R8, R9 = H, alkyl). The invention also provides intermediates useful in making such 21-[4'-(nitrooxyalkyl)benzoate] corticosteroid derivs. as well as methods for making such intermediates. Thus, 4-(hydroxymethyl)benzoic acid was nitrated with nitric acid in acetic anhydride to give 4-(nitrooxymethyl)benzoic acid, which was treated with prednisolone in acetone contg. 4-(dimethylamino)pyridine to give prednisolone 4-(nitrooxymethyl)benzoate.

MSTR 2



G3 = 26

L18 ANSWER 1 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)

G7 = NH2
G9 = (1-6) 23

G14 = 63

MPL: disclosure

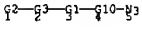
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 2 OF 27 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 137:363072 MARPAT
TITLE: Novel aromatic azides for type I phototherapy
INVENTOR(S): Rajagopalan, Raghavan; Cantrell, Gary; Achilefu, Samuel I.; Bugaj, Joseph E.; Dorshow, Richard B.
PATENT ASSIGNEE(S): Mallinckrodt Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 15 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

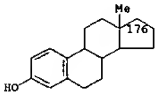
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002169107	A1	20021114	US 2001-766347	20010119
PRIORITY APPLN. INFO.:			US 2001-766347	20010119

AB The present invention discloses novel arom. azide derivs. and their bioconjugates for phototherapy of tumors and other lesions. The org. azides of the present invention are designed to absorb low-energy UV, visible, or near-IR region of the electromagnetic spectrum. The phototherapeutic effect is caused by direct interaction of nitrene, the reactive intermediate produced upon photoexcitation of the arom. azide, with the tissue of interest. The compds. of the present invention are administered to a patient, allowed to accumulate at the site of the tumor or other lesion, and are exposed to light in order to perform a phototherapeutic procedure.

MSTR 1

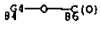


G2 = 176



G3 = 6-1 7-3

G4 = (1-10) CH2
G10 = 84-3 86-5



MPL: claim 1

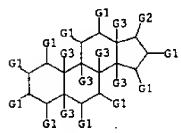
L18 ANSWER 2 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)

L18 ANSWER 3 OF 27 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 137:79113 MARPAT
TITLE: Preparation of steroid derived antibiotics
INVENTOR(S): Savage, Paul B.; Li, Chunhong
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 62 pp., Cont.-in-part of U.S. Ser. No. 234,008.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002091278	A1	20020711	US 2001-930316	20010815
WO 9944616	A1	19990910	WO 1998-US4489	19980306
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 6350738	B1	20020226	US 1999-234008	19990119
PRIORITY APPLN. INFO.:			WO 1998-US4489	19980306
			US 1999-234008	19990119
			US 2000-225467P	20000815

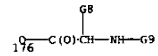
AB Novel steroid derivs. of formula I [R1-R4, R6, R7, R11, R12, R15-R17 = H, OH, alkyl, hydroxyalkyl, alkoxy, alkylamino, aryl, etc.; R5, R8-R10, R13, R14 = H, OH, alkyl, hydroxyalkyl, aminoalkyl, aryl, etc.] are prepd. The steroid derivs. are antibacterial agents. The steroid derivs. also act to sensitize bacteria to other antibiotics including erythromycin and novobiocin. Thus, II was prepd. from Me cholate, allyl bromide and benzylmethylamine in several steps. The prepd. compds. were tested against Gram-neg. bacteria.

MSTR 1



G2 = alkylaminocarbonyl<(1-10)> (SO G5)
G3 = 176

L18 ANSWER 3 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)



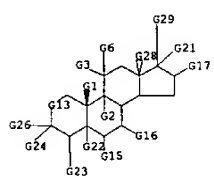
G9 = CO2Bu-t
MPL: claim 1
NTE: or pharmaceutically acceptable salts
NTE: substitution is restricted
NTE: double bond and oxo formation in steroid ring system also claimed

L18 ANSWER 4 OF 27 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 136:391016 MARPAT
TITLE: Angiostatic agents combined with other agents for lowering and controlling intraocular pressure
INVENTOR(S): Clark, Abbot F.
PATENT ASSIGNEE(S): Alcon Laboratories, Inc., USA
SOURCE: PCT Int. Appl., 19 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002040030	A1	20020523	WO 2000-US31557	20001116
WO 2002040030	C1	20021107		
W: AU, BR, CA, CN, JP, MX, PL, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
AU 2001017709	A5	20020527	AU 2001-17709	20001116
PRIORITY APPLN. INFO.:			WO 2000-US31557	20001116

AB Angiostatic agents and another IOP lowering compd. are combined in ophthalmic compns. to treat glaucoma and ocular hypertension. Methods for treating glaucoma and ocular hypertension are also disclosed.

MSTR 1A

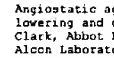


G4 = alkyl<(1-6)> (SO (1-) X)
G5 = 27

G13 = 46

G24 = 92

L18 ANSWER 4 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)



G25 = NH
G30 = C(O)
MPL: claim 2
NTE: and pharmaceutically acceptable salts
NTE: additional double bond, oxo, epoxy and methylene formation also claimed
NTE: substitution is restricted

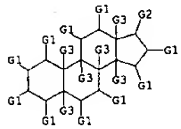
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 5 OF 27 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 136:200350 MARPAT
TITLE: Preparation of steroid derived antibiotics
INVENTOR(S): Savage, Paul B.; Li, Chunchong
PATENT ASSIGNEE(S): Brigham Young University, USA
SOURCE: PCT Int. Appl., 128 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

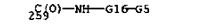
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002014342	A1	20020221	WO 2001-US25532	20010815
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001084934	A5	20020225	AU 2001-84934	20010815
PRIORITY APPLN. INFO.:			US 2000-225467P	20000815
			WO 2001-US25532	20010815

AB Novel steroid derivs., such as I [R1-R4, R6, R7, R11, R12, R15-R17 = H, OH, alkyl, hydroxyalkyl,alkoxyalkyl, alkylcarboxyalkyl aminoalkyl, oxo, steroid, etc.; R5, R8-R10, R13, R14 = H, OH, alkyl, hydroxyalkyl, alkoxyalkyl, aryl, aminoalkoxy, etc.], or a pharmaceutically acceptable salt thereof, are prepd. for use as antibacterial agents. The steroid derivs. also act to sensitize bacteria to other antibiotics including erythromycin and novobiocin. Thus, Me cholate was converted into steroid deriv. II in many steps. The MIC value of II against E. coli (ATCC 10798) was 2 .mu.g/mL.

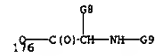
NSTR 1



G2 = 259



L18 ANSWER 5 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)
G3 = 176



G9 = CO2Bu-t
MPL: claim 1
NTE: or pharmaceutically acceptable salts
NTE: substitution is restricted
NTE: optional unsaturation and oxo formation of steroid ring system also claimed

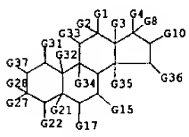
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 6 OF 27 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 135:97440 MARPAT
TITLE: Preparation and use of a drug composition containing local anesthetics, anti-inflammatory agent and/or immunostimulant
INVENTOR(S): Kasch, Helmut; Goldschmidt, Carsten
PATENT ASSIGNEE(S): ID Pharma G.m.b.H., Germany
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001045678	A2	20010628	WO 2000-EP13036	20001220
WO 2001045678	A3	20020411		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			DE 1999-19961834	19991221

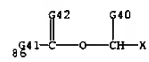
AB The invention relates to a compn. which comprises as its constituents (a) a local anesthetic and (b) an anti-inflammatory compd. and/or an immunostimulant compd. and/or a compd. which acts as a supporting material for the local anesthetic. The components can be linked via a chem. bond forming carbamates or thiocarbamates. The comprns. are use for the treatment of autoimmune diseases, inflammations, neurol. diseases, asthma, age-related diseases etc. Thus PAR 1 was prepd. by reacting PAR 2 with procaine hydrochloride in methylene chloride for 2 h at room temp. The product was chromatographed on silica gel and identified by ESI-MS. Its was used to screen various microorganisms; PAR 1 inhibited the growth of Penicillium notatum, Glomerella cingulata and Kluyveromyces marxianus.

NSTR 1



G4 = alkylcarbonyl<(1-4)> (SR OH)
G39 = 86

L18 ANSWER 6 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)



G40 = alkyl<EC (1-4) C, DC (0) M3>
G41 = NH
G42 = O
MPL: claim 6
NTE: also incorporates claim 31

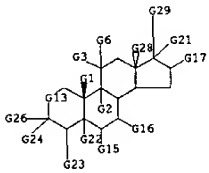
L18 ANSWER 7 OF 27 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 134:91141 MARPAT
TITLE: Combination therapy for lowering and controlling intraocular pressure containing angiostatic steroids
INVENTOR(S): Clark, Abbot F.
PATENT ASSIGNEE(S): Alcon Laboratories, Inc., USA
SOURCE: U.S., 7 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6172054	B1	20010109	US 1995-491005	19950615

PRIORITY APPLN. INFO.: US 1995-491005 19950615

AB Angiostatic agents and another IOP lowering compd. are combined in ophthalmic compns. to treat glaucoma and ocular hypertension. Methods for treating glaucoma and ocular hypertension are also disclosed. A soln. was prepd. contg timolol maleate and 4,9(11)-pregnadiene-17.alpha.,21-diol-3,20-dione 21 acetate.

MSTR 1A



G4 = alkyl<(1-6)> (SO (1-) X)
G5 = 27

G13 = 46

G24 = 92

L18 ANSWER 7 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)

G25 = NH
G30 = C(O)
MPL: claim 1
NTE: and pharmaceutically acceptable salts
NTE: additional double bond, oxo, epoxy and methylene formation also claimed
NTE: substitution is restricted

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 8 OF 27 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 133:335391 MARPAT
TITLE: Bone targeting agents for osteoporosis
INVENTOR(S): Pierce, William M., Jr.; Waite, Leonard C.; Taylor, K. Grant; Sato, Fumiyasu; Takahashi, Yoshio
PATENT ASSIGNEE(S): Research Corporation Technologies, Inc., USA
SOURCE: PCT Int. Appl., 165 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000066613	A1	20001109	WO 2000-US11655	20000501

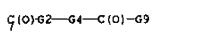
W: AU, CA, CN, JP, MX
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

PRIORITY APPLN. INFO.: US 1999-131892P 19990430
US 1999-132132P 19990430

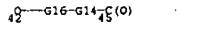
AB Compds., e.g. of formula I [R1 = H, alkyl, aryl, arylalkyl, PO3H; R2 = H, OH; R3 = H, alkyl; R4 = H, alkyl, aryl, arylalkyl; Y = CO, CONH, bond; X = alkylene], are prepd. and are useful for the prophylaxis and treatment of degenerative bone disorders such as osteoporosis. Thus, II was prepd. from 17.beta.-estradiol, succinic anhydride and 2,6-dihydroxybenzoic acid, and exhibited excellent sepn. of bone and uterine effect, with bone ED50 = 9 nmol/kg. and 24% uterine stimulation.

MSTR 1

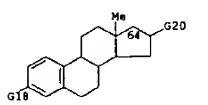
G1 = 7



G2 = NH
G13 = 42-2 45-4



G16 = (0-6) CH2
G17 = 64



L18 ANSWER 8 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)
MPL: claim 1
NTE: or pharmaceutically acceptable salts

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

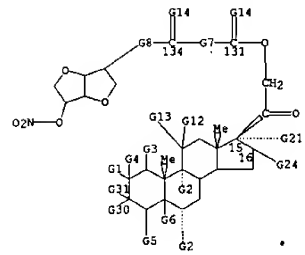
L18 ANSWER 9 OF 27 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 133:187953 MARPAT
TITLE: Nitrosated and nitrosylated steroids for the treatment of cardiovascular diseases and disorders
INVENTOR(S): Garvey, David S.; Worcel, Manuel
PATENT ASSIGNEE(S): Nitromed, Inc., USA
SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000049993	A2	20000831	WO 2000-US4507	20000223
WO 2000049993	A3	20001130		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2000037039	A5	20000914	AU 2000-37039	20000223
PRIORITY APPLN. INFO.:			US 1999-256171	19990224
			WO 2000-US4507	20000223

AB The present invention relates to nitrosated and/or nitrosylated steroids and to methods for the treatment of cardiovascular diseases and disorders, particularly the prophylactic and/or therapeutic treatment of restenosis, by administering nitrosated and/or nitrosylated steroids that are capable of releasing nitric oxide or indirectly delivering or transferring nitric oxide to targeted sites under physiol. conditions. The methods for the treatment of cardiovascular diseases and disorders may further comprise administering at least one compd. that donates, transfers, or releases nitric oxide and/or elevate endogenous nitric oxide or endothelium-derived relaxing factor in vivo and/or is a substrate for nitric oxide synthase. Dexamethasone and prednisolone 21-nitrates were prepd. and were superior relative to the parent steroid in inhibiting the proliferation of vascular smooth muscle cells.

MSTR 5

L18 ANSWER 9 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)



G7 = NH
G14 = O
G21 = 67

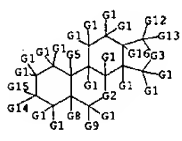
MPL: claim 1
NTE: substitution is restricted

L18 ANSWER 10 OF 27 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 133:34421 MARPAT
TITLE: Use of 17-ketosteroid compounds and derivatives, metabolites, and precursors thereof in treatment of toxoplasmosis and cryptosporidiosis
INVENTOR(S): Ahlem, Clarence Nathaniel; Frincke, James Martin; Prendergast, Patrick T.; Thadikonda, Krupakar Paul
PATENT ASSIGNEE(S): Hollis-Eden Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000032176	A2	20000608	WO 1999-US28080	19991124
WO 2000032176	A3	20001207		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 1998-110127P	19981127
			US 1999-124087P	19990311
			US 1999-126056P	19990323

AB 17-Keto steroids and related compds., e.g. 16.alpha.-bromoepiandrosterone (I), and their pharmaceutically acceptable salts are used to treat infections with Toxoplasma or Cryptosporidium and to ameliorate or reduce symptoms assocd. with such infections. Thus, a suspension was prepd. contg. 50 mg I/mL in PEG-300 25, EtOH 12.5, benzyl benzoate 5, and propylene glycol 5%. I.v. administration of the steroids is preferred. The keto steroids may also be used to treat, or to ameliorate symptoms assocd. with, retroviral infections or malaria in humans.

MSTR 1A

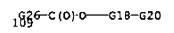


G2 = 42

L18 ANSWER 10 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)

G3 = 45

G12 = alkoxycarbonyl<(1-14)>
G15 = 109



G18 = (0-3) CH2
G26 = NH
MPL: claim 1
NTE: further derivatization also claimed

L18 ANSWER 11 OF 27 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 133:22443 MARPAT
TITLE: 17-Ketosteroids and derivatives, metabolites and precursors in the treatment of hepatitis C virus and other togaviruses
INVENTOR(S): Ahlem, Clarence Nathaniel; Frincke, James Martin; Prendergast, Patrick T.
PATENT ASSIGNEE(S): Hollis-Eden Pharmaceuticals, Inc., USA; Colthurst Ltd
SOURCE: PCT Int. Appl., 99 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

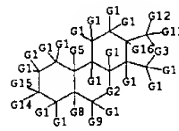
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000032177	A2	20000608	WO 1999-US28082	19991124
WO 2000032177	A3	20010322		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 9915644	A	20010807	BR 1999-15644	19991124
EP 1133287	A2	20010919	EP 1999-965050	19991124
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: US 1998-109924P 19981124
US 1999-124087P 19990311
US 1999-126056P 19990323
WO 1999-US28082 19991124

AB The invention provides the use of 17-ketosteroids, as well as derivs., metabolites and precursors of such compds., and their pharmaceutically acceptable salts, in the treatment of prevention of hepatitis C type virus and/or hepatitis G type virus in patients in need of such treatment. In addn., the invention provides methods to treat or prevent togavirus infections, including infections by 1 or more alphaviruses, flaviviruses, such as yellow fever virus, hepatitis C virus and hepatitis G virus, rubella viruses, or pestiviruses, such as bovine virus diarrhea virus. In addn., the invention provides combination therapies including administration of one or more compd. of the present invention, as defined herein, and administration of one or more compd. selected from plasma concn.-enhancing compds., macrophage stimulating factor, oxidn. agents, ribavirin and alpha-interferon, and/or oxygen ventilation. The compds. of the present invention may also be used to ameliorate or reduce 1 or more symptoms assocd. with a togavirus infection. Two lots of a non-aq. formulation was made at a 16a-bromoepiandrosterone concn. of 50 mg/mL in 25% polyethylene glycol 300, 12.5% dehydrated EtOH, 5% benzyl benzoate, and 57.5% propylene glycol.

MSTR 1A

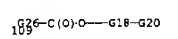
L18 ANSWER 11 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)



G2 = 42

G3 = 45

G12 = alkoxycarbonyl<(1-14)>
G15 = 109



G18 = (0-3) CH2
G26 = NH
MPL: claim 1
NTE: further derivatization also claimed

L18 ANSWER 12 OF 27 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 131:351535 MARPAT
TITLE: synthesis and compositions of angiostatic agents for controlling ocular hypertension
INVENTOR(S): Clark, Abbot F.
PATENT ASSIGNEE(S): Alcon Laboratories, Inc., USA
SOURCE: U.S., 10 pp., Cont.-in-part of U.S. Ser. No. 990,424, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

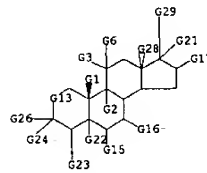
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5990099	A	19991123	US 1997-994114	19971219
US 4876250	A	19891024	US 1988-264918	19881031
US 5371078	A	19941206	US 1992-941485	19920908
US 5698545	A	19971216	US 1996-643387	19960506
WO 9903503	A1	19990128	WO 1998-US12711	19980618
W: AU, BR, CA, JP, MX, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9881515	A1	19990210	AU 1998-81515	19980618
AU 734195	B2	20010607		
EP 1003553	A1	20000531	EP 1998-931367	19980618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9811012	A	20001017	BR 1998-11012	19980618
JP 2001510170	T2	20010731	JP 2000-502798	19980618
CA 2315829	AA	19990701	CA 1998-2315829	19981207
WO 9932127	A1	19990701	WO 1998-US25913	19981207
W: AU, BR, CA, JP, MX				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9917142	A1	19990712	AU 1999-17142	19981207
AU 734436	B2	20010614		
EP 1039912	A1	20001004	EP 1998-961956	19981207
EP 1039912	B1	20020807		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9813684	A	20001010	BR 1998-13684	19981207
JP 2001526233	T2	20011218	JP 2000-525118	19981207
AT 221781	E	20020815	AT 1998-961956	19981207
ES 2177112	T3	20021201	ES 1998-961956	19981207
MX 9911140	A	20000430	MX 1999-11140	19991202

PRIORITY APPLN. INFO.: US 1988-264918 19881031
US 1989-419226 19891010
US 1990-559123 19900727
US 1992-941485 19920908
US 1994-349342 19941202
US 1996-643387 19960506
US 1997-990424 19971215
US 1997-895184 19970716
US 1997-994114 19971219
WO 1998-US12711 19980618
WO 1998-US25913 19981207

AB Compns. of angiostatic agents for treating GLC1A glaucoma and methods for their use are disclosed. Prepn. of selected steroid agents of the invention, e.g. 3.beta.-acetamido-5.beta.-pregnan-11.beta.,17.alpha.,21-

L18 ANSWER 12 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)
triol-20-one 21-acetate, is described.

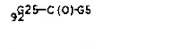
MSTR 1A



G4 = alkyl<(1-6)> (SO (1-) X)
G5 = 27

G13 = 46

G24 = 92



G25 = NH
G30 = C(O)
DER: and pharmaceutically acceptable salts
MPL: claim 1
NTE: additional double bond, oxo and methylene formation also claimed
NTE: substitution is restricted

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 13 OF 27 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 131:54038 MARPAT
TITLE: Steroidal angiostatic agents and compositions for controlling GLC1A glaucoma, compositions, and preparation thereof
INVENTOR(S): Clark, Abbot F.
PATENT ASSIGNEE(S): Alcon Laboratories, Inc., USA
SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

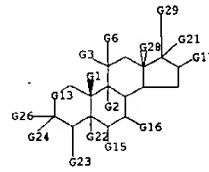
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9932127	A1	19990701	WO 1998-US25913	19981207
W: AU, BR, CA, JP, MX				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5990099	A	19991123	US 1997-994114	19971219
WO 9903503	A1	19990128	WO 1998-US12711	19980618
W: AU, BR, CA, JP, MX, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9881515	A1	19990210	AU 1998-81515	19980618
AU 734195	B2	20010607		
EP 1003553	A1	20000531	EP 1998-931367	19980618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9811012	A	20001017	BR 1998-11012	19980618
JP 2001510170	T2	20010731	JP 2000-502798	19980618
CA 2315829	AA	19990701	CA 1998-2315829	19981207
AU 9917142	A1	19990712	AU 1999-17142	19981207
AU 734436	B2	20010614		
EP 1039912	A1	20001004	EP 1998-961956	19981207
EP 1039912	B1	20020807		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9813684	A	20001010	BR 1998-13684	19981207
JP 2001526233	T2	20011218	JP 2000-525118	19981207
AT 221781	E	20020815	AT 1998-961956	19981207
MX 9911140	A	20000430	MX 1999-11140	19991202

PRIORITY APPLN. INFO.: US 1997-994114 19971219
US 1988-264918 19881031
US 1989-419226 19891010
US 1990-559123 19900727
US 1992-941485 19920908
US 1994-349342 19941202
US 1996-643387 19960506
US 1997-895184 19970716
US 1997-990424 19971215
WO 1998-US12711 19980618
WO 1998-US25913 19981207

AB Compns. of steroid angiostatic agents for treating GLC1A glaucoma and methods for their use are disclosed. Prepn. of selected steroid agents of the invention , e.g. 3.beta.-acetamido-21-acetoxy-5.beta.-pregnan-

L18 ANSWER 13 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)
11.beta.,17.alpha.-diol-20-one, is described.

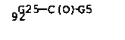
MSTR 1A



G4 = alkyl<(1-6)> (SO (1-) X)
G5 = 27

G13 = 46

G24 = 92



G25 = NH
G30 = C(O)
DER: and pharmaceutically acceptable salts
MPL: claim 2
NTE: additional double bond, oxo and methylene formation also claimed
NTE: substitution is restricted

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

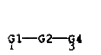
L18 ANSWER 14 OF 27 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 130:17237 MARPAT
TITLE: Lipid soluble steroid prodrugs
INVENTOR(S): Unger, Evan C.; Shen, Dekang
PATENT ASSIGNEE(S): ImaRx Pharmaceutical Corp., USA
SOURCE: PCT Int. Appl., 175 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9850040	A1	19981112	WO 1998-US7492	19980415
W: AU, BR, CA, JP				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 6090800	A	20000718	US 1997-851780	19970506
AU 9869719	A1	19981127	AU 1998-69719	19980415

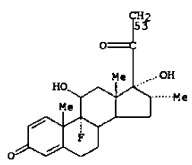
PRIORITY APPLN. INFO.: US 1997-851780 19970506
WO 1998-US7492 19980415

AB The present invention is directed to novel lipid sol. steroid prodrugs, compns. comprising steroid prodrugs, and uses of the same. Thus, dexamethasone was allowed to esterify with 1,2-dipalmitoyl-sn-glycero-3-succinate to produce the ester which was mixed with DPPC, DPPA and DPPE-PEG. Drug-entrapped vesicles were obtained in which no dexamethasone was detected in washes or supernatants.

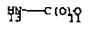
MSTR 1



G1 = 53



G2 = 13-1 11-3



G4 = 74

L18 ANSWER 14 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)

G7 = alkyl (SO (1-) F)
MPL: claim 2

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

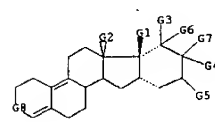
L18 ANSWER 15 OF 27 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 129:41310 MARPAT
TITLE: Cycloalkyl steroids, procedure for their production, pharmaceutical preparation containing them and their use in production of drugs
INVENTOR(S): Kasch, Helmut; Schoellkopf, Klaus; Fritzemeier, Karl-Heinrich; Krattenmacher, Rolf; Muhn, Hans-Peter
PATENT ASSIGNEE(S): Schering A.-G., Germany
SOURCE: Ger. Offen., 14 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19649984	A1	19980528	DE 1996-19649984	19961122
WO 9823634	A1	19980604	WO 1997-EP6542	19971124
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9855551	A1	19980622	AU 1998-55551	19971124
PRIORITY APPLN. INFO.:			DE 1996-19649984	19961122
			WO 1997-EP6542	19971124

AB The title compds. [I; R17 = alkanoyl but not acetyl; R13 = Me, Et; R2', R3', R4' = H, Me; X = (H, H), (H, OH), S(CH2)nS, etc.; n = 2, 3, 4, 5], having gestagenic activity (no data), are prepd. Thus, 17.beta.-acetyl-16.alpha.,17.alpha.-cyclohex-3',4'-eno-13.beta.-ethyl-3-methoxy-1,3,5(10)-gonatriene was hydrogenated over Pd/C, the resulting 17.beta.-acetyl-16.alpha.,17.alpha.-cyclohexano-13.beta.-ethyl-3-methoxy-1,3,5(10)-gonatriene was alkylated with MeI in THF-hexane contg. BuLi, the resulting 17.beta.-propionyl-16.alpha.,17.alpha.-cyclohexano-13.beta.-ethyl-3-methoxy-1,3,5(10)-gonatriene in THF was treated with Birch reagent prepd. from NH3, Li, and tert-butanol, the resulting 17.beta.-(1-hydroxypropyl)-16.alpha.,17.alpha.-cyclohexano-13.beta.-ethyl-3-methoxy-2,5(10)-gonadiene was treated with H2SO4 in acetone, the resulting 17.beta.-(1-hydroxypropyl)-16.alpha.,17.alpha.-cyclohexano-13.beta.-ethylgon-5(10)-en-3-one was treated with pyridine hydrobromide perbromide in pyridine, and the resulting 17.beta.-(1-hydroxypropyl)-16.alpha.,17.alpha.-cyclohexano-13.beta.-ethylgona-4,9-dien-3-one was oxidized with Jones reagent in acetone to give the title compd. 17.beta.-propionyl-16.alpha.,17.alpha.-cyclohexano-13.beta.-ethylgona-4,9-dien-3-one.

MSTR 1

L18 ANSWER 15 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)



G1 = alkylcarbonyl<(1-4)>
G8 = 51

G16 = 53

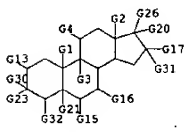
G17 = alkoxycarbonyl<(1-6)>
MPL: claim 1

L18 ANSWER 16 OF 27 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 128:39554 MARPAT
TITLE: Use of steroid compounds to prevent non-cancerous tissue growth
INVENTOR(S): Clark, Abbot F.; Goode, Stephen M.
PATENT ASSIGNEE(S): Alcon Laboratories, Inc., USA
SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9741867	A1	19971113	WO 1997-US2809	19970221
W: AU, CA, JP, MX				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9719701	A1	19971126	AU 1997-19701	19970221
PRIORITY APPLN. INFO.:			US 1996-19060P	19960509
			WO 1997-US2809	19970221

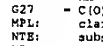
AB Disclosed are pregnane analogs for use in preventing non-cancerous tissue growth and pharmaceutical compns. contg. them. For example, an ocular soln. contained 21-nor-5.beta.-pregnan-3.alpha.,17.alpha.,20-triol-3-phosphate 1, benzalkonium chlorides 0.01, HPMC 0.5, NaCl 0.8, Na phosphate 0.28, di-Na edetate 0.01 %, NaOH/HCl q.s. to pH 7.2, and purified water to 100 %.

MSTR 1



G5 = alkyl<(1-6)> (SO (1-) G29)
G6 = 35

G23 = 87



G24 = NH
G27 = C(O)
MPL: claim 1
NTE: substitution is restricted
NTE: additional steroid derivatives also claimed

L18 ANSWER 16 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)

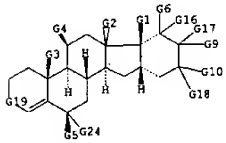
L18 ANSWER 17 OF 27 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 128:3825 MARPAT
TITLE: Preparation and applications of cycloalkyl steroids
INVENTOR(S): Kaech, Helmut
PATENT ASSIGNEE(S): Hans-Knoell-Institut fuer Naturstoff-Forschung e.V., Germany
SOURCE: Ger. Offen., 18 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19709870	A1	19971030	DE 1997-19709870	19970311
PRIORITY APPLN. INFO.:			DE 1996-19612271	19960328

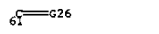
OTHER SOURCE(S): CASREACT 128:3825

AB 16.alpha.,17.alpha.-Carbocyclic steroids I [R1 = alkanoyl, 1-hydroxyalkyl, 1-alkoxyalkyl, (acyloxyl]alkyl, (arcyloxy)alkyl, carboxy, alkoxycarbonyl, hydroxyacetyl, CN, (hydroxyimino)carbonyl, (alkoxyimino)carbonyl, (hydroxyimino)alkyl, (alkoxyimino)alkyl; R2 = Me, Et; R3 = H, Me; R4 = H, alkyl, vinyl; R5 = H, halogen, N3, Me, exo-methylene; R6, R9, R10 = H or Me; R7, R8, R11, R12, R13, R14 = H; X = CH2, CH(OH), S(CH2)nS, O, NOH, NOY; n = 2 - 5; Y = alkyl, acyl, alkoxycarbonyl; R3R14 and R4R8 = bond; R3R14 and R5R7 = bond, R4 = vinyl, alkyl; R12R13 = bond except when R5R7 and R3R14 = bond, and R4R8 = bond; R6R9 = bond, or R9R10 = bond, or R10R11 = bond, or R6R9 = R10R11 = bond] are described. Thus, gonene II was prepd. from gonatriene III via Birch redn., hydrolysis of gonadiene IV and Jones oxidn. I are competitive agonists of progesterone at 0.01 - 1000 mg.

MSTR 1



G1 = CHO
G19 = 61



G26 = 63

L18 ANSWER 17 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)

G27 = alkoxycarbonyl<(1-6)>
DER: and salts
MPL: claim 1
NTE: additional ring double bonds are claimed

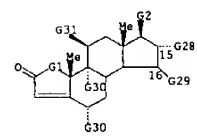
L18 ANSWER 18 OF 27 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 127:318553 MARPAT
TITLE: Preparation of nitrosated and nitrosylated compounds and their use for treating respiratory disorders
INVENTOR(S): Garvey, David S.; Letts, L. Gordon; Renfroe, H. Burt; Richardson, Stewart K.
PATENT ASSIGNEE(S): Nitromed, Inc., USA; Garvey, David S.; Letts, L. Gordon; Renfroe, H. Burt; Richardson, Stewart K.
SOURCE: PCT Int. Appl., 108 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9734871	A1	19970925	WO 1997-US4319	19970319
W: AU, CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5824669	A	19981020	US 1996-620882	19960322
CA 2248800	AA	19970925	CA 1997-2248800	19970319
AU 9725336	A1	19971010	AU 1997-25336	19970319
AU 733202	B2	20010510		
EP 904266	A1	19990331	EP 1997-916818	19970319
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000509016	T2	20000718	JP 1997-533628	19970319
US 6197762	B1	20010306	US 1998-157242	19980918
US 37116	E	20010327	US 1998-219476	19981223
PRIORITY APPLN. INFO.:			US 1996-620882	19960322
			WO 1997-US4319	19970319

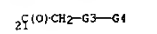
AB Disclosed are (i) compds. of a steroid, a .beta.-agonist, an anticholinergic, a mast cell stabilizer, and a phosphodiesterase (PDE) inhibitor directly or indirectly linked to a NO or NO2 group or a group which stimulates endogenous prodn. of NO or EDRF in vivo; (ii) compns. of steroids, .beta.-agonists, anticholinergics, mast cell stabilizers, and PDE inhibitors, which can optionally be substituted with at least one NO or NO2 moiety or a group which stimulates endogenous prodn. of NO or EDRF in vivo, and a compd. that donates, transfers or releases nitric oxide as a charged species, i.e., nitrosonium or nitroxyl, or as the neutral species, nitric oxide (NO) or that stimulates endogenous prodn. of NO or EDRF in vivo; and (iii) uses for them in preventing and/or treating respiratory disorders. E.g., I [CH:CH, CH2CH2; R1 = COCH2BD (B = O, S; D = NO, NO2, CRdOC(O)Y(CReRf)pTQ (Rd = H, alkyl, aryl, etc.; Re, Rf = H, alkyl, alkylamino, carboxy, etc.; p = 1-6; T = covalent bond, O, S, N; Q = NO, NO2), etc.; R2, R3 = H, OH, alkyl, etc.; R4, R5 = H, halo; R6 = H, D) (defined as above), etc.] were prepd. E.g., reaction of 3-mercapto-3-methylbutyric acid and 2,4,6-trimethoxybenzyl alc. gave 3-methyl-3-(2,4,6-trimethoxyphenylmethylthio)butyric acid. The last was reacted with 6.alpha.-fluoro-11.beta.,21-dihydroxy-16.alpha.,17.alpha.-[(1-methylethylidene)bis(oxy)]pregna-1,4-diene-3,20-dione. Deprotection of the product, followed by reaction with tert-Bu nitrite, gave 6.alpha.-fluoro-11.beta.-hydroxy-16.alpha.,17.alpha.-[(1-methylethylidene)bis(oxy)]pregna-1,4-diene-3,20-dione-21-(3-methyl-3-nitrosothio]butanoate. The measurement of biol. activity in a pulmonary model of allergic asthma and lung inflammation was undertaken in adult sheep.

MSTR 1

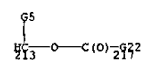
L18 ANSWER 18 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)



G1 = CH=CH
G2 = 21



G5 = alkyl<(1-10)> (SO (1-) G6)
G22 = NH (SO)
G32 = 213-151 217-154



MPL: claim 2
NTE: substitution is restricted

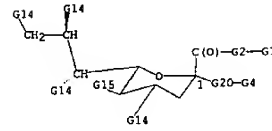
L18 ANSWER 19 OF 27 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 126:171849 MARPAT
TITLE: Preparation of steroid-containing sialic acid amide derivatives enhancing a choline acetyltransferase activity in cholinergic neurons
INVENTOR(S): Chaki, Haruyuki; Ando, Naoko; Jikihara, Tetsuo; Saito, Ken-ichi; Yugami, Tomoko
PATENT ASSIGNEE(S): Mitsubishi Chemical Corporation, Japan; Chaki, Haruyuki; Ando, Naoko; Jikihara, Tetsuo; Saito, Ken-ichi; Yugami, Tomoko
SOURCE: PCT Int. Appl., 309 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9700885	A1	19970109	WO 1996-JP1726	19960621
W: CA, CN, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2225382	AA	19970109	CA 1996-2225382	19960621
EP 837070	A1	19980422	EP 1996-918876	19960621
EP 837070	B1	20011031		
R: DE, ES, FR, GB, IT				
ES 2169801	T3	20020716	ES 1996-918876	19960621
US 6288041	B1	20010911	US 1997-981240	19971219
PRIORITY APPLN. INFO.:			JP 1995-157888	19950623
			JP 1995-157889	19950623
			WO 1996-JP1726	19960621

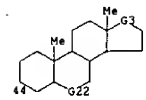
AB Sialic acid derivs. represented by general formula [I; R1 = residue of cholestane or other steroidal compd.; R2 = H or Me; R3 = C1-6 alkyl, Q, R10(CH2)m, R11R12N(CH2)n; wherein R6, R7 = H, halo, C1-4 alkyl, HO, alkoxy, PhO, phenyl-C1-3 alkoxy, NO2, NH2, C1-4 alkylamino, di(C2-8 alkyl)amino, CO2H, etc.; l = 0-6; R10 = H, C1-4 alkyl, (un)substituted Ph or phenyl-C1-3 alkyl; m = 2-6; R11 = H, C1-4 alkyl; R12 = H, C1-4 alkyl, C2-7 acyl, C1-4 alkylsulfonyl, (un)substituted PhSO2, alkoxycarbonyl, PhO2C, phenyl-C1-3 alkoxycarbonyl, n = 2-6; R4 = H or acyl; R5 = HO, C2-7 acyloxy, C2-7 acylamino, R16O(CH2)p, (un)substituted C7-11 aroyl or phenyl-C1-3 alkylcarbonyl; wherein R16 = H, C1-6 alkyl, Ph, phenyl-C1-3 alkyl; p = 0-4; X = O, S] are prepd. These derivs. have the effect of enhancing a choline acetyltransferase activity in cholinergic neurons and are useful for preventing and treating (1) dementia, (2) memory disorder, (3) peripheral nerve disorder, (3) Alzheimer's disease, (4) cerebral vascular dementia accompanied by stroke, brain hemorrhage, and brain infarction, (5) memory disorder, lowered power of attention, speech disorder, lowered desire (volition), emotion disorder, delusion, and behavioral abnormality accompanied by brain trauma, after-effects of encephalitis, cerebral palsy, Huntington's disease, Pick's disease, Down's disease, and Parkinson's disease. Thus, N-acetamido-4,7,8,9-tetra-O-acetyl-3,5-dideoxy-2-O-methyl-.alpha.-D-glycero-D-galacto-2-nonulopyranosonic acid was treated with iso-Bu chlorocarbonate in the presence of N-methylmorpholine in THF and condensed with 3.alpha.-amino-24-hydroxy-5.beta.-cholane hydrochloride (prepn. given) followed by treatment with NaOMe in MeOH to give .alpha.-I (R1 = .alpha.-Q1; where R = OH; R2 = R4 = H, XR3 = OMe). The .beta.-Q1 epimer

L18 ANSWER 19 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)
of the latter compd. .alpha.-I (R1 = .beta.-Q1; where R = OH; R2 = R4 = H, XR3 = OMe) and .alpha.-I (NR1R2 = L-Ser-NH-.alpha.-Q1; wherein R = CHMe2; R4 = H, XR3 = OMe) in vitro increased choline acetyltransferase activity in septal area neuron to 83 and 102%, resp., at 3 .mu.M, 136 and 166%, resp., at 10 .mu.M, and 92 and -18%, resp., at 30 .mu.M.

MSTR 1



G1 = 44



G3 = 82

G9 = 36

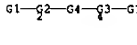
G12 = alkoxycarbonyl<(1-4)>
G21 = CO2H
G22 = C(O)
DER: or salts,hydrates
MPL: claim 1
NTE: carbon with the node number 1 is not stereochemically specified

L18 ANSWER 20 OF 27 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 125:114476 MARPAT
TITLE: Preparation of diol bis-(benzoates or heterocyclylcarboxylates) as antiinflammatory agents and platelet aggregation inhibitors
INVENTOR(S): Del Soldato, Piero; Sannicolo, Francesco; Benincori, Tiziana
PATENT ASSIGNEE(S): Laboratori Alchemia S.R.L., Italy
SOURCE: PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

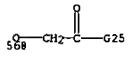
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9615809	A2	19960530	WO 1995-EP4556	19951120
WO 9615809	A3	19961017		
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9641741	A1	19960617	AU 1996-41741	19951120
EP 793507	A2	19970910	EP 1995-940211	19951120
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
EP 1038534	A2	20000927	EP 2000-105715	19951120
EP 1038534	A3	20010404		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
US 6369260	B1	20020409	US 1997-836756	19970516
PRIORITY APPLN. INFO.:			IT 1994-MI2362	19941122
			EP 1995-940211	19951120
			WO 1995-EP4556	19951120

AB The title compds. M-A-X-B-M [I; M = 2-AcOC6H4CO; 3-(PhCO)C6H4CH(Me)CO; etc.; A, B = O, S, NH, CO, etc.; X = alkylidene, phenylene, piperazino, etc.], useful as antiinflammatory, antiarthritic, antiedemigenic, antihypertensive agents and platelet aggregation inhibitors, were prepd. Treatment of flurbiprofen [3,4-F(Ph)C6H3CH(Me)CO2H] with NaOMe followed by reaction with Br(CH2)4Br in DMF afforded I [M = 3,4-F(Ph)C6H3CH(Me)CO; A = B = O; X = (CH2)4] which showed the antiedemigenic activity of 0.8 vs. 1 for flurbiprofen.

MSTR 1A

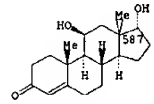


G1 = 568



G3 = C(O)

L18 ANSWER 20 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)
G4 = NH
G25 = 587



MPL: claim 1

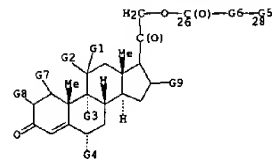
L18 ANSWER 21 OF 27 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 124:317603 MARPAT
TITLE: 17-Deoxycorticosteroid 21-carboxylates as topical antiinflammatory agents
INVENTOR(S): Stache, Ulrich; Alpermann, Hans-Georg; Bohn, Manfred
PATENT ASSIGNEE(S): Hoechst A.-G., Germany
SOURCE: Ger. Offen., 22 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4433374	A1	19960321	DE 1994-4433374	19940920
TW 424094	B	20010301	TW 1995-84109384	19950908
EP 708111	A1	19960424	EP 1995-114511	19950915
EP 708111	B1	20000105		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AT 188480	E	20000115	AT 1995-114511	19950915
ES 2140596	T3	20000301	ES 1995-114511	19950915
FI 9504394	A	19960321	FI 1995-4394	19950918
AU 9531728	A1	19960404	AU 1995-31728	19950918
AU 695710	B2	19980820		
CN 1124250	A	19960612	CN 1995-116294	19950918
CN 1056151	B	20000906		
US 5824670	A	19981020	US 1995-529668	19950918
CZ 289297	B6	20010516	CZ 1995-2425	19950918
CA 2158610	AA	19960321	CA 1995-2158610	19950919
NO 9503695	A	19960321	NO 1995-3695	19950919
ZA 9507877	A	19960403	ZA 1995-7877	19950919
JP 08099992	A2	19960416	JP 1995-239398	19950919
HU 72969	A2	19960628	HU 1995-2735	19950919
RU 2161624	C2	20010110	RU 1995-116371	19950919
PRIORITY APPLN. INFO.:			DE 1994-4433374	19940920

AB Title compds. I [R = OH, Cl, O; Y = H, F, Cl; RY = bond; R1 = aryl, heteroaryl; R2 = H, Me; X = alkylene; Z = H, F, Me] were prepd. by esterification. Thus, desoximetasone 21-cinnamate (II) was obtained by treating desoximetasone with cinnamoyl chloride in presence of pyridine. At 0.3 mg/mL II, applied to the skin, gave 83% inhibition of oxazolone-induced inflammation.

MSTR 1

L18 ANSWER 21 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)



G14 = 43

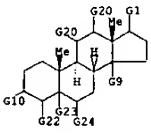
G17 = CO2Bu-t
MPL: claim 1
NTE: the total number of carbon atoms in G10 and G12 is 2-4

L18 ANSWER 22 OF 27 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 123:83833 MARPAT
TITLE: Novel urethane-containing aminosteroid compounds
INVENTOR(S): Yu, Chia-Nien; Genain, Gilles Yves; Boujo, Rachel
PATENT ASSIGNEE(S): Procter and Gamble Co., USA
SOURCE: PCT Int. Appl., 179 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

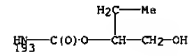
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9508559	A1	19950330	WO 1994-US10780	19940923
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, JP, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU, SI, SK, TJ, TT, UA, UZ, VN				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5922703	A	19990713	US 1993-126293	19930924
CA 2172495	AA	19950330	CA 1994-2172495	19940923
AU 9478779	A1	19950410	AU 1994-78779	19940923
AU 690926	B2	19980507		
EP 720617	A1	19960710	EP 1994-929872	19940923
EP 720617	B1	19981230		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CN 1135221	A	19961106	CN 1994-194213	19940923
HU 74169	A2	19961128	HU 1996-736	19940923
BR 9407654	A	19970128	BR 1994-7654	19940923
JP 09502984	T2	19970325	JP 1995-509954	19940923
AT 175205	E	19990115	AT 1994-929872	19940923
ES 2126155	T3	19990316	ES 1994-929872	19940923
NO 9601168	A	19960523	NO 1996-1168	19960322
PRIORITY APPLN. INFO.:			US 1993-126293	19930924
			WO 1994-US10780	19940923

AB Urethane-contg. aminosteroid compds. I [R1 = (un)substituted CO2H, CH2OH, acyl, CH2NH2, lactone; R2 = (un)substituted NH2, OH; R3 = urethane-contg. moiety; R4, R14 = (un)substituted OH, H; the dotted bonds are single or double bonds] or salts or esters thereof were prepd. for use in treating congestive heart failure. Thus, (3.beta.,5.beta.,14.beta.,17.beta.)-14-amino-3-hydroxyandrostane-17-carboxylic acid was treated with 3-piperidinol to give the 3-(3-hydroxypiperidinyl)oxy deriv. This compd. was used at a dose of 0.25 mg/day in treatment of congestive heart failure. Pharmaceutical formulations are also described.

MSTR 1



L18 ANSWER 22 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)
G1 = CO2H
G10 = 193



DER: and pharmaceutically acceptable salts or esters
MPL: claim 1

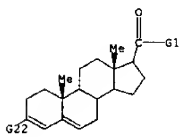
L18 ANSWER 23 OF 27 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 121:205797 MARPAT
TITLE: Preparation and formulation of 17-acylandrosta-3,5-diene-3-carboxylates as steroid 5.alpha.-reductase inhibitors
INVENTOR(S): Holt, Dennis Alan; Levy, Mark Alan
PATENT ASSIGNEE(S): SmithKline Beckman Corp., USA
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9411386	A1	19940526	WO 1993-US11241	19931118
W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9308538	A	19940913	ZA 1993-8538	19931116
ZA 9308540	A	19940913	ZA 1993-8540	19931116
CA 2149427	AA	19940526	CA 1993-2149427	19931118
AU 9456717	A1	19940608	AU 1994-56717	19931118
CN 1101914	A	19950426	CN 1993-114775	19931118
CN 1101916	A	19950426	CN 1993-121434	19931118
EP 669932	A1	19950906	EP 1994-902307	19931118
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 08503474	T2	19960416	JP 1993-512507	19931118
US 5641765	A	19970624	US 1995-436240	19950517
US 5641877	A	19970624	US 1995-453865	19950530

PRIORITY APPLN. INFO.: GB 1992-24213 19921118
GB 1993-16954 19930814
WO 1993-US11241 19931118
US 1995-436240 19950517

AB Title compds. [I; A = (satd.) hydrocarbylene; R = substituted alkyl, (un)substituted cycloalkyl, -heterocyclyl, -(hetero)aryl] were prepd. Thus, androst-4-en-3-one-17.beta.-carboxylic acid was converted in 4 steps to 17.beta.-(phenethylcarbonyl)androsta-3,5-diene-3-carboxylic acid. I had Ki of 2-85 and 0.2-7nM against isoenzyme 1 and 2 of steroid 5.alpha.-reductase, resp.

MSTR 1



L18 ANSWER 23 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)

G5 = acyloxy / NH2 / OH
G13 = Ak<BD (ALL) SE> (SO (1-) G5)
DER: and pharmaceutically acceptable salts, hydrates, solvates, and esters
DER: or groups that can be chemically modified
MPL: claim 1
NTE: also incorporates claims 28 and 32

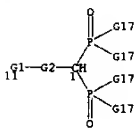
L18 ANSWER 24 OF 27 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 120:31024 MARPAT
TITLE: Preparation of steroid-methylenebis(phosphonate) conjugates as bone resorption inhibitors
INVENTOR(S): Ueno, Hiroaki; Kadowaki, Syuchiro; Kamizono, Akihito; Morioka, Masahiko; Mori, Akihisa
PATENT ASSIGNEE(S): Mitsubishi Kasei Corp., Japan
SOURCE: Eur. Pat. Appl., 55 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 555845	A2	19930818	EP 1993-102143	19930211
EP 555845	A3	19960131		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 05286993	A2	19931102	JP 1993-21477	19930209
JP 2746041	B2	19980428		
CA 2089194	AA	19930815	CA 1993-2089194	19930210
US 5391776	A	19950221	US 1993-15800	19930210

PRIORITY APPLN. INFO.: JP 1992-28497 19920214

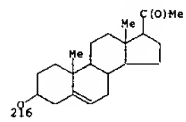
AB R3OACH[P(O)(OR)2]2 [A = CO[NH(CHR1)yYpCO]mNH, CO2lxZqONH, (CH2)kZ2(CH2)l, CO(CH2)n; R = H, alkyl; R1 = H, alkyl, aryl, etc.; R3 = steroid residue; Y, Z = O or NH; Z1 = (substituted) vinylene; Z2 = (cyclo)alkylene, phenylene; l, m, k = 0-5; n = 0-10; p, q, x = 0 or 1; yr = 1-3] were prepd. as bone resorption inhibitors. Thus, 17.beta.-hydroxy-3-methoxymethoxy-1,3,5-estratriene was condensed with N,N'-carbonyldiimidazole and the product condensed with H2NCH2CO2Me to give, after sapon., R3O2CNHCH2CO2H (R3 = estratrienyl group Q; R4 = CH2OMe) which was condensed with H2NCH[P(O)(OEt)2]2 to give, after deprotection, R3O2C(NH)9CH2CONHCH[P(O)(OH)2]2 (R3 = Q, R4 = H) (I; q = 1). Similarly prepd. I (q = 0) showed significant bone resorption inhibitory action (data given) in ovariectomized rats at 40 .mu.g/kg s.c./day for 28 days.

MSTR 1



G1 = 216

L18 ANSWER 24 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)



G2 = 13-11 15-1

G3 = (0-5) 16-13 19-15

G4 = (1-3) CH2 (SO)
G6 = O
MPL: claim 1

L18 ANSWER 25 OF 27 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 119:160646 MARPAT
TITLE: Preparation and formulation of angiostatic steroids
INVENTOR(S): Clark, Abbot F.; Conrow, Raymond E.
PATENT ASSIGNEE(S): Alcon Laboratories, Inc., USA
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

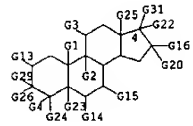
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9310141	A2	19930527	WO 1992-US10133	19921123
WO 9310141	A3	19930902		
W: AU, CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE				
US 5371078	A	19941206	US 1992-941485	19920908
AU 9332235	A1	19930615	AU 1993-32235	19921123
AU 678961	B2	19970619		
EP 614463	A1	19940914	EP 1993-900609	19921123
EP 614463	B1	20030212		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, SE				
US 5679666	A	19971021	US 1994-342524	19941121
US 5770592	A	19980623	US 1997-895184	19970716
WO 9903503	A1	19990128	WO 1998-US12711	19980618
W: AU, BR, CA, JP, MX, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9881515	A1	19990210	AU 1998-81515	19980618
AU 734195	B2	20010607		
EP 1003553	A1	20000531	EP 1998-931367	19980618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9811012	A	20001017	BR 1998-11012	19980618
JP 2001510170	T2	20010731	JP 2000-502798	19980618
MX 9911140	A	20000430	MX 1999-11140	19991202
US 6297228	B1	20011002	US 1999-445237	19991202

PRIORITY APPLN. INFO.:
US 1991-796169 19911122
US 1992-892448 19920602
US 1992-941485 19920908
US 1988-264918 19881031
US 1989-419226 19891010
US 1990-559123 19900727
WO 1992-US10133 19921123
US 1994-342524 19941121
US 1997-895184 19970716
WO 1998-US12711 19980618

AB Title compds. [I and II; R1 = H, .beta.-Me, .beta.-Et, R2 = H, F, Cl; R3 = H, alkoxy, alkanoyloxy, halo, O2CNH2, etc.; R2R3 = bond, O; R5 = H, OH, halo, Me, Ph, vinyl, alkyl, R6 = H, Me; R9 = H, OH, Me, F, 2-(alkoxy)ethyl, 2-(alkanoyloxy)ethyl, etc.; R10 = H, C.tplbond.CH, vinyl, halo, OH, Me, etc.; R12 = H; R1R12 = bond; R13 = H, OH, alkoxy, NH2, etc.; R14 = H; R12R14 = bond; R25 = OH, alkoxy, alkanoyloxy, CO2H, CH2OH, etc.; Z = CHR4, etc.; R4 = H, Me, Cl, F] were prepd. Thus, tetrahydrocortisol-F was converted in 3 steps to 5.beta.-pregnan-11.beta.,17.alpha.,21-triol-2-

L18 ANSWER 25 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)
one. 4,9(11)-Pregnadiene-17.alpha.,21-diol-3,20-dione gave complete inhibition of lipopolysaccharide-induced corneal neovascularization in rabbit eye at 50 .mu.g in a pellet implant.

MSTR 1



G18 = 65

G19 = alkyl<(1-6)> (SO (1-) X)
G26 = 95

G27 = NH
G32 = C(O)
MPL: claim 1
NTE: substitution is restricted
NTE: additional steroid derivatives allowed

L18 ANSWER 26 OF 27 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 113:115677 MARPAT
TITLE: Preparation of androstanone derivatives as drugs
INVENTOR(S): Scholz, Stefan; Neef, Guenter; Ottow, Eckhard; Elger, Walter; Beier, Sybille; Chwalisz, Krzysztof
PATENT ASSIGNEE(S): Schering A.-G., Germany
SOURCE: Eur. Pat. Appl., 38 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 360369	A1	19900328	EP 1989-250040	19890920
EP 360369	B1	19950503		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DE 3832303	A1	19900412	DE 1988-3832303	19880920
IL 91672	A1	19941229	IL 1989-91672	19890918
WO 9003385	A1	19900405	WO 1989-EP1090	19890920
W: AU, DK, FI, HU, JP, NO, US				
AU 8943049	A1	19900418	AU 1989-43049	19890920
AU 640616	B2	19930902		
ZA 8907191	A	19901031	ZA 1989-7191	19890920
DD 284682	A5	19901121	DD 1989-332836	19890920
HU 56851	A2	19911028	HU 1989-5541	19890920
HU 208151	B	19930830		
JP 04501712	T2	19920326	JP 1989-509963	19890920
JP 2760870	B2	19980604		
AT 122052	E	19950515	AT 1989-250040	19890920
ES 2074073	T3	19950901	ES 1989-250040	19890920
NO 9101102	A	19910319	NO 1991-1102	19910319
DK 9100504	A	19910320	DK 1991-504	19910320
US 5244886	A	19930914	US 1991-663819	19910320
NO 9104772	A	19910319	NO 1991-4772	19911204

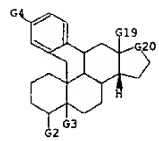
PRIORITY APPLN. INFO.:
DE 1988-3832303 19880920
WO 1989-EP1090 19890920
NO 1991-1102 19910319

OTHER SOURCE(S): CASREACT 113:115677

AB The title compds. [I; Z = O, hydroxyimino; LM = bond, or L = H and M = .alpha.-OH; AB = bond and D = H and R1 = heteroaryl; or A = H and BD = CH2 and Z = H2; R3, R4 = tetrahydropyranyloxyalkyl, tetrahydropyranyloxyalkynyl, etc.], useful as antiglucocorticoids, neoplasm inhibitors (esp. for breast cancer), progestogen inhibitors, and antiproliferative agents, were prepd. 3-(Tetrahydropyran-2-yloxy)-1-propyne was lithiated with BuLi in THF-hexane and the product treated with 14.beta.-androstan-17-one II (R3R4 = O) (prepn. given) to give II (R3 = O, R4 = OH) treated with 4N HCl to give I [R1 = OMe, R2 = Me, R3 = (CH2)3OH, BD = CH2, LM = bond, Z = O, A = H] (III). III had higher affinity for the gestagen receptor than the known EP-A 0277676 [11.beta.-[4-(dimethylamino)phenyl]-17.alpha.-hydroxy-17-(3-hydroxypropyl)-14.beta.-estra-4,9-dien-3-one].

MSTR 1J

L18 ANSWER 26 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)



G6 = Ak<(-10)> (SO (1-) G8)
G7 = 90

G8 = alkylcarbonyloxy<(1-8)> / OH
G20 = 45

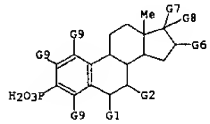
DER: and acid addition salts
MPL: claim 1

L18 ANSWER 27 OF 27 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 112:179604 MARPAT
TITLE: Preparation of estratrienylphosphonates as steroid 5.alpha.-reductase inhibitors
INVENTOR(S): Holt, Dennis A.; Levy, Mark A.; Metcalf, Brian W.
PATENT ASSIGNEE(S): SmithKline Beckman Corp., USA
SOURCE: U.S., 14 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4882319	A	19891121	US 1988-290056	19881223
CA 2004946	AA	19900623	CA 1989-2004946	19891208
ZA 8909672	A	19901128	ZA 1989-9672	19891218
EP 375345	A1	19900627	EP 1989-313258	19891219
EP 375345	B1	19941130		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ES 2065400	T3	19950216	ES 1989-313258	19891219
AU 8946995	A1	19900628	AU 1989-46995	19891220
AU 631587	B2	19921203		
JP 02212499	A2	19900823	JP 1989-330926	19891220
DK 8906546	A	19900624	DK 1989-6546	19891221

PRIORITY APPLN. INFO.: US 1988-290056 19881223
OTHER SOURCE(S): CASREACT 112:179604
AB The title compds. [I; R may be a mono- or a divalent radical, e.g., (substituted) carbamoyl, OH; X1, X2, X3 = H, Cl, F, Br, iodo, CF3, alkyl, OH, alkoxy, cyano, NO2, NR12, CHO, CO2R1; R1 = H, alkyl], useful as steroid 5.alpha.-reductase inhibitors (no data), are prepd. Estratriene deriv. II was prepd. in many steps from estrone Me ester via trifluoromethylsulfonylation, carbamoylation, hydrogenation, hydroxylation, trifluoromethylsulfonylation, and reaction with (MeO)2POH. A tablet, an injection, and a gel capsule were formulated contg. I.

MSTR 1A



G8 = 54

54 G11—C(O)—G21—O—G16

L18 ANSWER 27 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)
G16 = 62

62 C(O)—G18

G18 = NH2
G21 = alkylene<(1-12)>
DER: or pharmaceutically acceptable salts
MPL: claim 1

```
=> d his

     (FILE 'HOME' ENTERED AT 13:18:23 ON 21 FEB 2003)

     FILE 'REGISTRY' ENTERED AT 13:18:28 ON 21 FEB 2003
L1             1 S THIOURETHANE/CN
                 ACTIVATE
                 ---------
L2               STR
L3   (       7784)SEA FILE=REGISTRY SSS FUL L2
L4               STR
L5   (        504)SEA FILE=REGISTRY SUB=L3 SSS FUL L4
L6            411 SEA FILE=REGISTRY ABB=ON  PLU=ON  L5 AND 1/NC
                 ---------
L7               STRUCTURE UPLOADED
L8             12 S L7  SUB=L6 FULL
L9               STRUCTURE UPLOADED
L10            10 S L9
L11           159 S L9 FULL

     FILE 'CAPLUS' ENTERED AT 13:26:37 ON 21 FEB 2003
L12            69 S L11
L13            56 S L12 NOT PY>=1999

     FILE 'USPATFULL' ENTERED AT 13:32:21 ON 21 FEB 2003
L14            17 S L11
L15             0 S L14 NOT L12

     FILE 'MARPAT' ENTERED AT 13:32:54 ON 21 FEB 2003
L16            41 S L11 FULL
L17            38 S L16/COM
L18            27 S L17 NOT L12
```

=> d ibib ab hitstr 1-3

09/762,871

L11 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:909867 CAPLUS
DOCUMENT NUMBER: 136:364762
TITLE: Selective enhancement of gene transfer by steroid-mediated gene delivery
AUTHOR(S): Rebuffat, Alexandre; Bernasconi, Alessio; Ceppi, Maurizio; Wehrli, Hans; Verca, Stefano Brenz; Ibrahim, Merdol; Frey, Brigitte M.; Frey, Felix J.; Rusconi, Sandro
CORPORATE SOURCE: Division of Nephrology, Inselspital, Bern, Switz.
SOURCE: Nature Biotechnology (2001), 19(12), 1155-1161
CODEN: NABIF9; ISSN: 1087-0156
PUBLISHER: Nature America Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

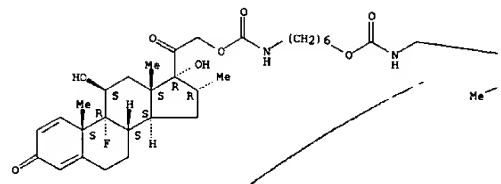
AB The incorporation of transgenes into the host cells' nuclei is problematic using conventional nonviral gene delivery technologies. Here we describe a strategy called steroid-mediated gene delivery (SMGD), which uses steroid receptors as shuttles to facilitate the uptake of transfected DNA into the nucleus. We use glucocorticoid receptors (GRs) as a model system with which to test the principle of SMGD. To this end, we synthesized and tested several bifunctional steroid derivs., finally focusing on a compd. named DR9NP, consisting of a dexamethasone backbone linked to a psoralen moiety using a nine-atom chem. spacer. DR9NP binds to the GR in either its free or DNA-crosslinked form, inducing the translocation of the GR to the nucleus. The expression of transfected DR9NP-decorated reporter plasmids is enhanced in dividing cells: expression of steroid-decorated reporter plasmids depends on the presence of the GR, is independent of the transactivation potential of the GR, and correlates with enhanced nuclear accumulation of the transgene in GR-pos. cells. The SMGD effect is also obsd. in cells naturally expressing GRs and is significantly increased in nondividing cell cultures. We propose that geting of transgenes in nonviral somatic gene transfer.

IT 423119-97-5
RL: BSU (Biological study, unclassified); BIOL (Biological study) (Selective enhancement of gene transfer by steroid-mediated gene delivery)
RN 423119-97-5 CAPLUS
CN Carbamic acid, [(2,5,9-trimethyl-7-oxo-7H-furo[3,2-g][1]benzopyran-3-yl)methyl]-, 6-[[[[(11.beta.,16.alpha.)-9-fluoro-11,17-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-21-yl]oxy]carbonyl]amino]hexyl ester (9CI) (CA INDEX NAME)

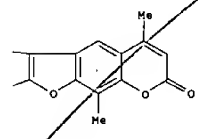
Absolute stereochemistry.

L11 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:144896 CAPLUS
DOCUMENT NUMBER: 132:194550
TITLE: Preparation of conjugates of DNA interacting groups with steroid hormones for use as nucleic acid transfection agents
INVENTOR(S): Frey, Felix; Rusconi, Sandro; Frey, Brigitte; Wehrli, Hans-Ueli
PATENT ASSIGNEE(S): Switz.
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000011019	A1	20000302	WO 1998-IB1306	19980821
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9888183	A1	20000314	AU 1998-88183	19980821
CA 2338342	AA	20000302	CA 1999-2338342	19990819
WO 2000011018	A1	20000302	WO 1999-CH384	19990819
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9951463	A1	20000314	AU 1999-51463	19990819
EP 1105408	A1	20010613	EP 1999-936232	19990819
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002523422	T2	20020730	JP 2000-566290	19990819

PRIORITY APPLN. INFO.: WO 1998-IB1306 A 19980821
WO 1999-CH384 W 19990819

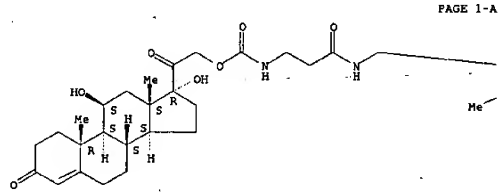
AB Linked steroid hormone and DNA-interacting mol. RXR1 (R = steroid moiety; R1 = DNA-interacting moiety; X = 2 - 30 atom linking group), which that target nucleic acids to the cell nucleus, were prepd. and formulated for use in gene therapy by introducing nucleic acids into the nucleus of cells. Thus, I was prepd. starting from cortisol, .beta.-alanine Me ester hydrochloride, and ethidium bromide. The prepd. compds. were tested using a nuclear transfer induction assay, as well as tested for soly. and stability in the presence of dispase and porteinase K.

IT 259815-79-7P 259815-80-0P 259815-83-3P 259815-86-6P 259815-89-9P 259815-94-6P 259815-97-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of conjugates of DNA interacting groups with steroid hormones for use as nucleic acid transfection agents)

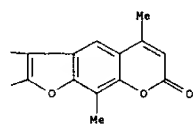
L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 259815-79-7 CAPLUS
CN Pregn-4-ene-3,20-dione, 11,17-dihydroxy-21-[[[[3-oxo-3-[[(2,5,9-trimethyl-7-oxo-7H-furo[3,2-g][1]benzopyran-3-yl)methyl]amino]propyl]amino]carbonyl]oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

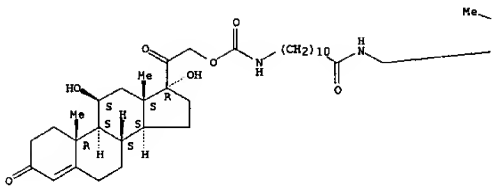


RN 259815-80-0 CAPLUS
CN Pregn-4-ene-3,20-dione, 11,17-dihydroxy-21-[[[[11-oxo-11-[[(2,5,9-trimethyl-7-oxo-7H-furo[3,2-g][1]benzopyran-3-yl)methyl]amino]undecyl]amino]carbonyl]oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

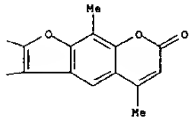
Absolute stereochemistry.

L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



PAGE 1-B

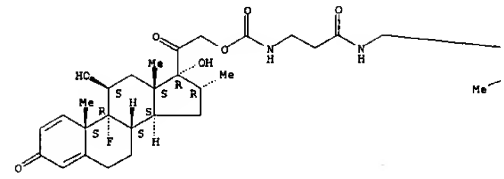


RN 259815-83-3 CAPLUS
CN Pregna-1,4-diene-3,20-dione, 9-fluoro-11,17-dihydroxy-16-methyl-21-[[[[3-oxo-3-[[(2,5,9-trimethyl-7-oxo-7H-furo[3,2-g][1]benzopyran-3-yl)methyl]amino]propyl]amino]carbonyl]oxy]-, (11.beta.,16.alpha.)- (9CI) (CA INDEX NAME)

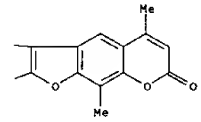
Absolute stereochemistry.

L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



PAGE 1-B

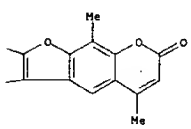


RN 259815-86-6 CAPLUS
CN Pregna-1,4-diene-3,20-dione, 9-fluoro-11,17-dihydroxy-16-methyl-21-[[[[11-oxo-11-[[(2,5,9-trimethyl-7-oxo-7H-furo[3,2-g][1]benzopyran-3-yl)methyl]amino]undecyl]amino]carbonyl]oxy]-, (11.beta.,16.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS (Continued)

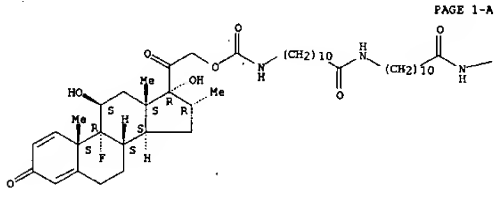
PAGE 1-B



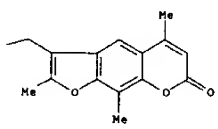
RN 259815-89-9 CAPLUS
CN Pregna-1,4-diene-3,20-dione, 9-fluoro-11,17-dihydroxy-16-methyl-21-[[[[11-oxo-11-[[11-oxo-11-[[(2,5,9-trimethyl-7-oxo-7H-furo[3,2-g][1]benzopyran-3-yl)methyl]amino]undecyl]amino]undecyl]amino]carbonyl]oxy]-, (11.beta.,16.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

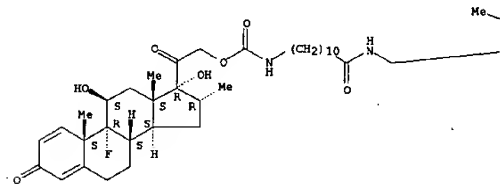


RN 259815-94-6 CAPLUS
CN Pregna-1,4-diene-3,20-dione, 21-[[1,9-dioxo-11-(2,5,9-trimethyl-7-oxo-7H-furo[3,2-g][1]benzopyran-3-yl)-5,8-dioxa-2,10-diazaundec-1-yl]oxy]-9-fluoro-11,17-dihydroxy-16-methyl-, (11.beta.,16.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

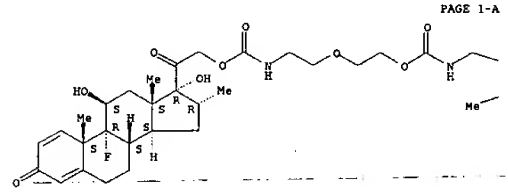
L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

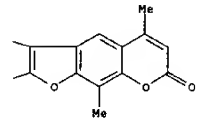


L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



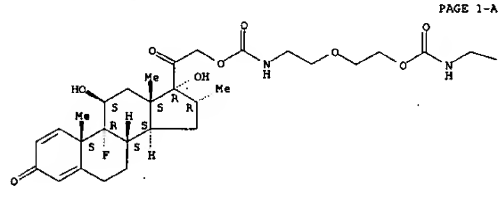
PAGE 1-B



RN 259815-97-9 CAPLUS
CN Pregna-1,4-diene-3,20-dione, 9-fluoro-11,17-dihydroxy-16-methyl-21-[(1,9,17-trioxo-19-(2,5,9-trimethyl-7-oxo-7H-furo[3,2-g][1]benzopyran-3-yl)-5,8,13,16-tetraoxa-2,10,18-triazanonadec-1-yl]oxy]-, (11.beta.,16.alpha.)- (9CI) (CA INDEX NAME)

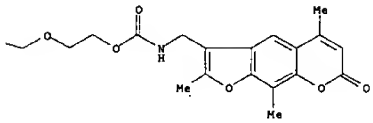
Absolute stereochemistry.

PAGE 1-A



L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2003 ACS

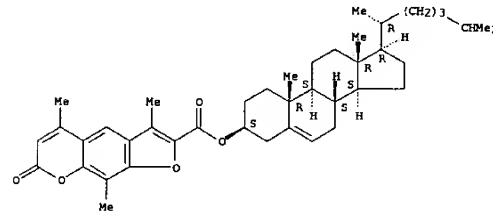
ACCESSION NUMBER: 1999:365048 CAPLUS
DOCUMENT NUMBER: 131:170527
TITLE: Cholesteryl esters of furocoumarin and coumarin carboxylic acids
AUTHOR(S): Traven, Valery F.; Tolmachev, Alexander Yu.; Podhaluzina, Natalja Ya.; Kanevskii, Dmitrii S.
CORPORATE SOURCE: Department of Organic Chemistry, D.Mendelecv University of Chemical Technology of Russia, Moscow, 125047, Russia
SOURCE: Heterocyclic Communications (1999), 5(2), 183-187
CODEN: HCOMEX; ISSN: 0793-0283
PUBLISHER: Freund Publishing House Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Cholesteryl esters of angelicin and psoralen carboxylic acids have been prepd. by condensation of o-acetyl(hydroxy)coumarins with cholesteryl chloroacetate in acetonitrile in presence of potassium carbonate. Attempts to prep. these esters starting from furocoumarin carboxylic acids were unsuccessful. Cholesteryl ester of 2-(4-methyl-7-coumarinyloxy)butanoic acid has been prepd. via alkylation of the acid by cholesteryl tosylate. The prepd. cholesteryl esters form thin films suitable for the Langmuir technol.

IT **239082-83-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of cholesteryl esters of furocoumarin and coumarin carboxylic acids)

RN 239082-83-8 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, 3,5,9-trimethyl-7-oxo-7H-furo[3,2-g][1]benzopyran-2-carboxylate-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib ab hitstr 1-5

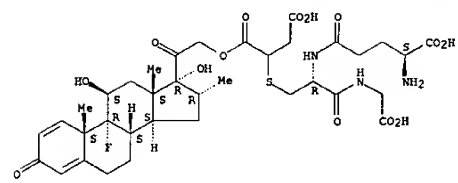
L23 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:756726 CAPLUS
DOCUMENT NUMBER: 133:310056
TITLE: Preparation of steroid derivatives as antiinflammatory agents
INVENTOR(S): Ogata, Kazumi; Nakao, Hidetoshi; Ito, Kazuhiko; Iemura, Masahito
PATENT ASSIGNEE(S): Senju Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000063229	A1	20001026	WO 2000-JP2531	20000418

W: CA, JP, KR, US
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
PRIORITY APPLN. INFO.: JP 1999-110429 A 19990419
OTHER SOURCE(S): MARPAT 133:310056
AB Steroid derivs. represented by general formula R1COCHR2CH2CO2H [R1 is a corticosteroid bonded through an ester linkage formed from the alc. hydroxyl group at position 21; and R2 is glutathione, cysteine or penicillamine bonded through a sulfide linkage] are prepd. The antiinflammatory activity of a compd. of this invention was demonstrated. Formulations are given.
IT **301684-44-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of steroid derivs. as antiinflammatory agents)
RN 301684-44-6 CAPLUS
CN Glycine, L-.gamma.-glutamyl-S-[1-(carboxymethyl)-2-[[(11.beta.,16.alpha.)-9-fluoro-11,17-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-21-yl]oxy]-2-oxoethyl]-L-cysteinyl-, monosodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L23 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)



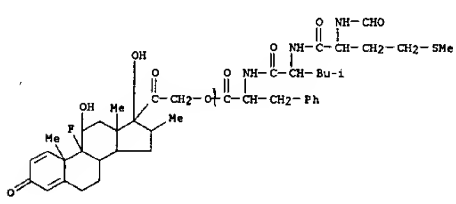
● Na

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1993:169435 CAPLUS
DOCUMENT NUMBER: 118:169435
TITLE: 21-Substituted steroids
INVENTOR(S): Hori, Kimihiko; Suzuki, Yasuto; Morioka, Tomonori; Moriwaki, Shigeru; Hase, Tadashi; Tsuchiya, Shuichi
PATENT ASSIGNEE(S): Kao Corp., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

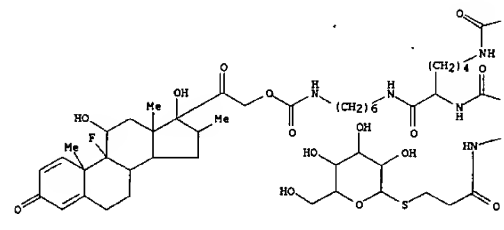
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04273893	A2	19920930	JP 1991-33119	19910227

PRIORITY APPLN. INFO.: JP 1991-33119 19910227
OTHER SOURCE(S): MARPAT 118:169435
AB Title compds. I (R1 = OH; R2 = H, lower alkyl; R1 and R2 may form a lower alkylidenedioxy group; X, Y = H, halo; Z = O, S; the wavy line indicates .alpha.- or .beta.-configuration and the broken line between 1 and 2 positions the possible presence of a double bond), useful for anti-inflammatory agents, are prepd. Thus, treating 179 mg betamethasone with 200 mg N-formyl-L-methionyl-L-leucyl-L-phenylalanine in EtOAc in the presence of 1-hydroxybenzotriazole, dicyclohexylcarbodiimide, and Et3N at 0.degree. and then at room temp. gave 107 mg I (R1 = OH, R2 = Y = H, X = F, Z = O).
IT **146433-86-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, for anti-inflammatory agents)
RN 146433-86-5 CAPLUS
CN L-Phenylalanine, N-[N-(N-formyl-L-methionyl)-L-leucyl]-, (11.beta.,16.beta.)-9-fluoro-11,17-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-21-yl ester (9CI) (CA INDEX NAME)



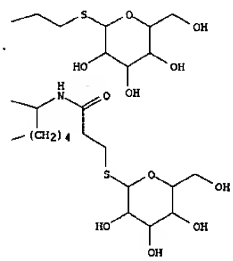
L23 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1985:209354 CAPLUS
DOCUMENT NUMBER: 102:209354
TITLE: Saccharide receptor-mediated drug delivery
AUTHOR(S): Ponpipom, M. M.; Bugianesi, R. L.; Robbins, J. C.; Doebber, T. W.; Shen, T. Y.
CORPORATE SOURCE: Merck Sharp and Dohme Res. Lab., Rahway, NJ, 07065, USA
SOURCE: NATO ASI Series, Series A: Life Sciences (1984), 82(Recept.-Mediated Targeting Drugs), 53-71
CODEN: NALSDJ; ISSN: 0258-1213
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A small mol. wt. synthetic glycopeptide, Man3Lys2 [79390-81-1], was a good substrate for the macrophage D-mannose-specific glycoprotein uptake system. This and related ligands may be useful in the selective delivery to macrophages of antigens, adjuvants, antiinflammatory drugs, antiparasitic agents, and other pharmaceuticals. Analogous ligands may also be useful for delivery of such agents to other target cells that may contain distinctive uptake systems. Using the small synthetic glycopeptide, Man3Lys2, chem. coupled to human placental .beta.-glucocerebrosidase, the increased delivery of the derivatized enzyme to macrophages both in-vivo and in-vitro was demonstrated.
IT **79360-28-4**
RL: PROC (Process)
(for drug delivery, macrophage uptake of)
RN 79360-28-4 CAPLUS
CN L-Lysinamide, N2,N6-bis[3-(.alpha.-D-mannopyranosylthio)-1-oxopropyl]-L-lysyl-N-[6-[[[[(11.beta.,16.alpha.)-9-fluoro-11,17-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-21-yl]oxy]carbonyl]amino]hexyl]-N6-[3-(.alpha.-D-mannopyranosylthio)-1-oxopropyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



L23 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B



L23 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1983:179919 CAPLUS
DOCUMENT NUMBER: 98:179919
TITLE: Cell-specific glycopeptide ligands
INVENTOR(S): Ponpipom, Mitree M.; Bugianesi, Robert L.; Robbins, James C.; Shen, Tsung Ying
PATENT ASSIGNEE(S): Merck and Co., Inc. , USA
SOURCE: Eur. Pat. Appl., 58 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 63373	A1	19821027	EP 1982-103247	19820419
EP 63373	B1	19860312		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
US 4386026	A	19830531	US 1981-255416	19810420
DK 8201732	A	19821021	DK 1982-1732	19820419
ES 511516	A1	19830501	ES 1982-511516	19820419
AT 18562	E	19860315	AT 1982-103247	19820419
JP 57181095	A2	19821108	JP 1982-64870	19820420
PRIORITY APPLN. INFO.:			US 1981-255416	19810420
			EP 1982-103247	19820419

AB Cell-sp. ligands comprising conjugates of saccharides and amino acids or peptides were prepd. These compds. are useful as tissue sp. substances, which can be coupled with bioactive materials in order to deliver these bioactive materials to a selective site. Thus, D-mannopyranose I was condensed with H-Lys-Lys-OH to give the protected glycopeptide, which was deacetylated to give glycopeptide II. II was treated with antiinflammatory dexamethasone 21-methanesulfonate to give the 21-ester of dexamethasone with II.

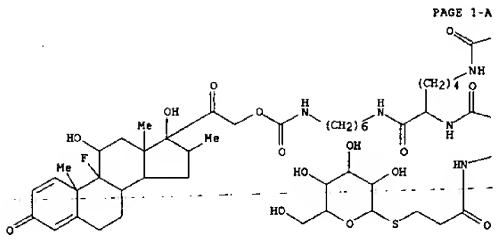
IT **79360-28-4P 85465-48-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 79360-28-4 CAPLUS

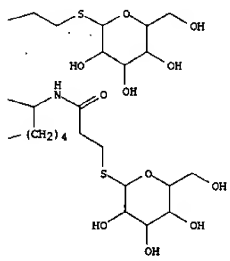
CN L-Lysinamide, N2,N6-bis[3-(.alpha.-D-mannopyranosylthio)-1-oxopropyl]-L-lysyl-N-[6-[[[[(11.beta.,16.alpha.)-9-fluoro-11,17-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-21-yl]oxy]carbonyl]amino]hexyl]-N6-[3-(.alpha.-D-mannopyranosylthio)-1-oxopropyl]- (9CI) (CA INDEX NAME)

L23 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



PAGE 1-B

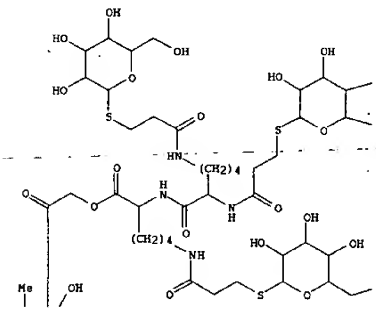


RN 85465-48-1 CAPLUS

CN L-Lysine, N2,N6-bis[3-(.alpha.-D-mannopyranosylthio)-1-oxopropyl]-L-lysyl-N6-[3-(.alpha.-D-mannopyranosylthio)-1-oxopropyl]-, (11.beta.,16.alpha.)-9-fluoro-11,17-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-21-yl ester (9CI) (CA INDEX NAME)

L23 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

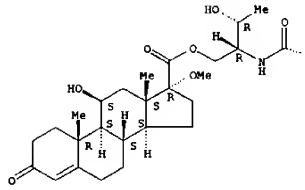


PAGE 1-B

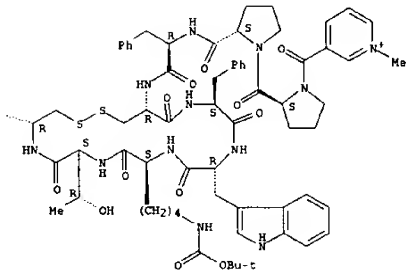
L12 ANSWER 11 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 12 OF 69 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:724657 CAPLUS
DOCUMENT NUMBER: 130:81340
TITLE: Total Synthesis and Immunosuppressive Activity of (-)-Pateamine A and Related Compounds: Implementation of a .beta.-Lactam-Based Macrocyclization
AUTHOR(S): Romo, Daniel; Rzasa, Robert M.; Shea, Helene A.; Park, Kaapjoo; Langenhan, Joseph M.; Sun, Luo; Akhiezer, Alexander; Liu, Jun O.
CORPORATE SOURCE: Department of Chemistry, Texas AM University, College Station, TX, 77842-3012, USA
SOURCE: Journal of the American Chemical Society (1998), 120(47), 12237-12254
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 130:81340

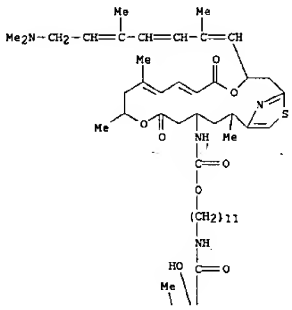
AB The asym. synthesis of the potent immunosuppressive agent (-)-pateamine A (I) isolated from the marine sponge Hycale sp. is described. A key strategy employed in the synthesis was a .beta.-lactam-based macrocyclization to form the 19-membered dilactone macrolide. The synthesis confirms the relative and abs. stereochem. as 3R,5S,10S,24S and sets the stage for studies into the mechanism of action of pateamine A (I). Other studies and findings made in the course of the synthesis and described herein include the following: (1) a Stille coupling can be competitive with .pi.-allyl formation, (2) SmI2 effects a mild N-O cleavage of N-benzyloxy-.beta.-lactams, (3) the synthesis of a pateamine A-dexamethasone hybrid mol. for use in a yeast three-hybrid assay was accomplished, and (4) IC50 values were detd. for synthetic and natural pateamine A (I) and related compds. in the interleukin 2 reporter gene assay.

IT **218782-89-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(asym. total synthesis and immunosuppressive activity of (-)-pateamine A and related compds.)

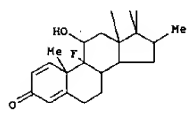
RN 218782-89-9 CAPLUS
CN Carbamic acid, [(3S,6Z,8E,11S,15R,17S)-3-[(1E,3E,5E)-7-(dimethylamino)-2,5-dimethyl-1,3,5-heptatrienyl]-9,11,17-trimethyl-5,13-dioxo-4,12-dioxa-20-thia-21-azabicyclo[16.2.1]heneicosa-1(21),6,8,18-tetraen-15-yl]-, 11-[[[(11.beta.,16.alpha.,17.alpha.)-9-fluoro-11,17-dihydroxy-16-methyl-3-oxoandrosta-1,4-dien-17-yl]carbonyl]amino]undecyl ester (9CI) (CA INDEX NAME)

L12 ANSWER 12 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 77 THERE ARE 77 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 13 OF 69 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:509125 CAPLUS
DOCUMENT NUMBER: 129:149185
TITLE: Preparation of glycosides and thioglycosides as drug carriers for nephrotropic drugs
INVENTOR(S): Suzuki, Kokichi; Ito, Teruomi; Ando, Takashi; Toma, Kazumori; Susaki, Hiroshi; Okuno, Satoshi; Watanabe, Hiroshi
PATENT ASSIGNEE(S): Drug Delivery System Institute, Ltd., Japan; Meiji Seika Kaisha, Ltd.; Asahi Kasei Kogyo K. K.
SOURCE: PCT Int. Appl., 111 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9831392	A1	19980723	WO 1997-JP3642	19971009
W: CA, CN, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 953357	A1	19991103	EP 1997-944099	19971009
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

PRIORITY APPLN. INFO.: JP 1997-19714 19970117
WO 1997-JP3642 19971009

OTHER SOURCE(S): MARPAT 129:149185

AB Nephrotropic drugs and drug carriers delivering drugs carried thereon specifically to the kidney with the use of partial structures specifically recognized in the kidney. Since partial structures represented by the general formula of glycosyl such as glucosyl, mannosyl or 2-deoxyglucosyl deriv. [I; T = O, S, NH; one of R1 and R2 = H and the other = OH or F; one of R3 and R4 = H and the other = OH; R5 = OH, F; R6 = H, CH2OH; U = O, S, NH; V = (un)substituted C6-18 arom. hydrocarbyl, linear or branched C1-18 aliph. hydrocarbyl] are nephrotropic, objective drugs can be obtained by introducing mols. with these structures into drugs. Compds. having such a partial structure together with another partial structure enabling the carriage of drugs are usable as carriers capable of delivering the drugs carried thereon specifically to the kidney. Thus, 9-(1-thio-.beta.-glucopyranosyl)nonanoic acid was stirred with DCC in DMF for 30 min and then condensed with doxorubicin at room temp. overnight to give N-[9-(1-thio-.beta.-glucopyranosyl)nonanoyl]doxorubicin (II). II was injected into rats to show kidney clearance of II 1.31.+-.0.04 mL/min/g and kidney concn. of II 5.8.+-.0.3% of dose/g vs. 0.54.+-.0.10 mL/min/g and 1.9.+-.0.2% of dose/g, resp., for the doxorubicin.

IT **157610-50-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of glycosides and thioglycosides as drug carriers for nephrotropic drugs)

RN 157610-50-9 CAPLUS
CN Glycine, N-[(1,1-dimethylethoxy)carbonyl]-, (11.beta.,16.alpha.)-9-fluoro-11,17-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-21-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

=> d ibib ab hitstr 1-2

L34 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1990:548402 CAPLUS
DOCUMENT NUMBER: 113:148402
TITLE: Interligand metal transfer as reporter mechanism for biospecific reaction, its use in immunoassays for drugs and hormones, and preparation of donor chelating agents
INVENTOR(S): Hale, Ron L.; Wieder, Irwin
PATENT ASSIGNEE(S): Baxter International, Inc., USA
SOURCE: U.S., 23 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4925804	A	19900515	US 1986-875449	19860617

PRIORITY APPLN. INFO.: US 1986-875449 19860617

AB Methods using a new reporter mechanism for biospecific reactions are disclosed. This mechanism involves interligand metal ion transfer in which a metal ion is directly transferred from one chelate complex to another following the occurrence of the biospecific reaction. The second chelate complex is sep. from, and detectably different than, the first chelate complex. In preferred embodiments of this invention the detectable difference is a difference in fluorescence, such as an increase or decrease which occurs as a result of the formation of the second chelate. In further preferred embodiments the difference in fluorescence is detected using fluorescent background rejection methods. Thus, a fluorometric immunoassay for total thyroxine was performed using 8-anilino-1-naphthalenesulfonic acid, I (as donating chelate), and 4-(2,4,6-trimethoxyphenyl)pyridine-2,6-dicarboxylic acid (as 2nd, or receiving, ligand). A std. curve for 1.0-20.0 .mu.g thyroxine/dL is shown. I was prepd. from thyroxine Me ester.HCl and isocyanate II. Immunoassays, and prepn. of appropriate chelating agents, for cortisol and theophylline detn. are also described.

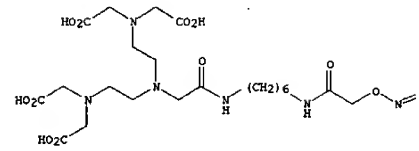
IT **129499-23-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as chelating agent, for triiodothyronine detn. by fluorescence immunoassay with interligand metal transfer)

RN 129499-23-6 CAPLUS
CN 3,6,9,16-Tetraazaoctadecanoic acid, 6-[2-[bis(carboxymethyl)amino]ethyl]-3-(carboxymethyl)-8,17-dioxo-18-[[[(11.beta.)-11,17,21-trihydroxy-20-oxopregn-4-en-3-ylidene]amino]oxy]- (9CI) (CA INDEX NAME)

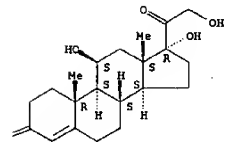
Absolute stereochemistry.
Double bond geometry unknown.

L34 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



PAGE 1-B



L34 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1977:464840 CAPLUS
DOCUMENT NUMBER: 87:64840
TITLE: The chemistry of human transcortin. Improved affinity matrixes for the purification of transcortin
AUTHOR(S): Chan, Daniel W.; Sharma, Minoti; Slaunwhite, W. R., Jr.
CORPORATE SOURCE: Sch. Med., State Univ. New York, Buffalo, NY, USA
SOURCE: Archives of Biochemistry and Biophysics (1977), 182(1), 197-202
CODEN: ABBIA4; ISSN: 0003-9861
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A study was made of spacer arms for the purifn. of transcortin by affinity chromatog. Among the 5 cortisol-agaroses, cortisol-21-succinyl-1,6-hexanediamidoagarose achieved the highest extn. efficiency of transcortin from plasma. The optimal-length of the spacer arm for extn. is .apprx.12-13 .ANG.. Cortisol-succinyl-agaroses having hydrophobic spacer arms ext. transcortin better than those having hydrophilic arms of approx. equal length. Affinity supports are usually synthesized sequentially; cortisol-agaroses thus prepd. were found to complicate the purifn. of transcortin. The problems of nonspecificity and instability assocd. with these agaroses were eliminated by using reverse addn. A complete ligand-spacer arm, synthesized in a single step by displacing the tosyl group from cortisol-21-tosylate with 1,6-hexanediamine, was coupled with CNBr-activated agarose. Although the 21-deoxy-21-(.omega.-amidohexyl) aminocortisol-agarose ranked 2nd in extn. efficiency, its superior stability and low nonspecific adsorption of other proteins make it the prime choice for affinity chromatog. of transcortin.

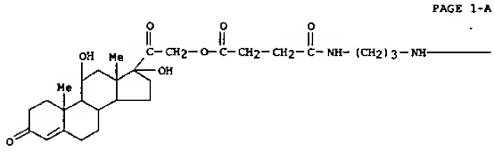
IT **63704-84-7 63704-85-8**
RL: ANST (Analytical study)
(transcortin sepn. by affinity chromatog. on, spacer arm comparison for)

RN 63704-84-7 CAPLUS
CN Agarose, 19-[[(11.beta.)-11,17-dihydroxy-3,20-dioxopregn-4-en-21-yl]oxy]-16,19-dioxo-2,6,11,15-tetraazanonadecanimidate (9CI) (CA INDEX NAME)

CM 1

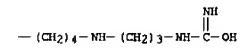
CRN 173328-36-4
CMF C36 H59 N5 O8

PAGE 1-A



L34 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B



CM 2

CRN 9012-36-6
CMF Unspecified
CCI PMS, MAN

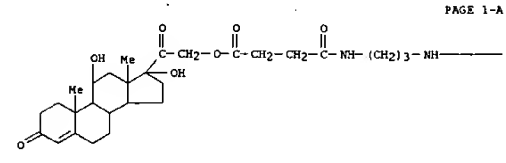
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 63704-85-8 CAPLUS
CN Agarose, [3-[[3-[[4-[[(11.beta.)-11,17-dihydroxy-3,20-dioxopregn-4-en-21-yl]oxy]-1,4-dioxobutyl]amino]propyl]amino]propyl]carbamimidate (9CI) (CA INDEX NAME)

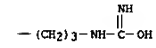
CM 1

CRN 173328-37-5
CMF C32 H50 N4 O8

PAGE 1-A



PAGE 1-B



CM 2

CRN 9012-36-6
CMF Unspecified
CCI PMS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

=> d ibib ab hitstr 1-37

L39 ANSWER 1 OF 37 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:787245 CAPLUS
DOCUMENT NUMBER: 128:124204
TITLE: Transfection of myoblasts in primary culture with isomeric cationic cholesterol derivatives
AUTHOR(S): Bischoff, Rainer; Cordier, Yves; Perraud, Frederic; Thioudellet, Christine; Braun, Serge; Pavirani, Andrea
CORPORATE SOURCE: Transgene S.A., Strasbourg, 67082, Fr.
SOURCE: Analytical Biochemistry (1997), 254(1), 69-81
CODEN: ANBCA2; ISSN: 0003-2697
PUBLISHER: Academic Press
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Transfection of satellite cells from dog muscle (myoblasts) in primary culture has been optimized with respect to the position of the cholesteryl moiety along the polyamine chain of spermidine or spermine. Spermidine or spermine were derivatized with cholesterylchloroformate giving rise to three isomers in the case of spermidine and two isomers for spermine that were sepd. by reversed-phase high-performance liq. chromatog. (rp-HPLC). The position of the cholesteryl moiety was assigned by 13C-NMR and coelution with synthetic isomers of defined structure. The isomeric cationic lipids were evaluated for their transfection activity in myoblasts from dog muscle and a human lung epithelial cell line (A549) using plasmid DNA expressing the luciferase reporter gene. The results showed that the position of the cholesteryl moiety is of crit. importance for efficient transfection of myoblasts in primary culture with isomers having a derivatized secondary amine being significantly more effective than those with a derivatized primary amine. On the contrary, differences in the A549 cell line were less pronounced and did not follow the same pattern. The results show that slight structural differences between cationic lipids lead to significantly different transfection efficiencies for myoblasts in primary culture. This may also represent an advantage in view of cell or organ targeting.

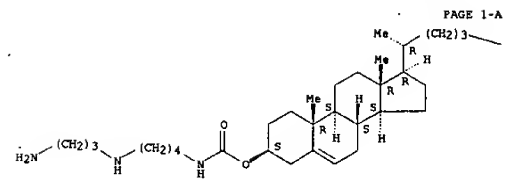
IT **165673-45-0P 165673-46-1P 173738-32-4P 179075-25-3P 179075-30-0P**
RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(transfection of myoblasts in primary culture with isomeric cationic cholesterol derivs.)

RN 165673-45-0 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, [4-[(3-aminopropyl)amino]butyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L39 ANSWER 1 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

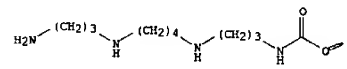


PAGE 1-B

RN 165673-46-1 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, [[3-[4-[(3-aminopropyl)amino]butyl]amino]propyl]carbamate (9CI) (CA INDEX NAME)

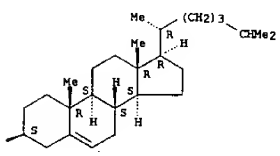
Absolute stereochemistry.

PAGE 1-A



L39 ANSWER 1 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

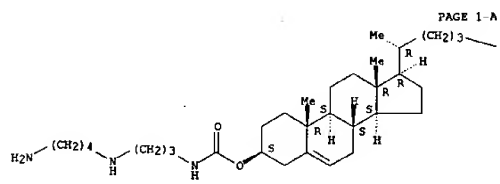
PAGE 1-B



RN 173738-32-4 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, [3-[(4-aminobutyl)amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

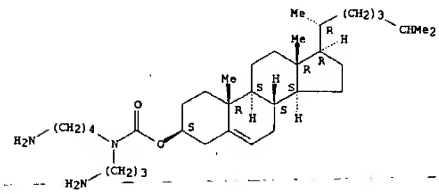


PAGE 1-B

RN 179075-25-3 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, (4-aminobutyl)(3-aminopropyl)carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

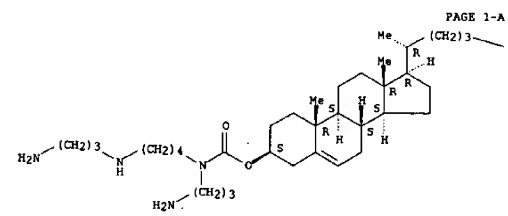
L39 ANSWER 1 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 179075-30-0 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, (3-aminopropyl)[4-[(3-aminopropyl)amino]butyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

L39 ANSWER 2 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:708457 CAPLUS
DOCUMENT NUMBER: 127:327912
TITLE: Evidence for highly cooperative binding between molecular umbrella-spermine conjugates and DNA
AUTHOR(S): Janout, Vaclav; Lanier, Marion; Deng, Gang; Regen, Steven L.
CORPORATE SOURCE: Department of Chemistry and Zettlemoyer Center for Surface Studies, Lehigh University, Bethlehem, PA, 18015, USA
SOURCE: Bioconjugate Chemistry (1997), 8(6), 891-895
CODEN: BCCHES; ISSN: 1043-1802
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

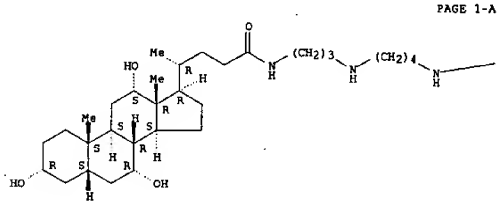
AB Double- and tetrawalled mol. umbrella-spermine conjugates (I and II) have been synthesized, and their binding to calf thymus DNA (CT-DNA), poly[d(AT)], and poly[d(GC)] compared with that of a single-walled analog (III). At moderate salt concns. (8 mM NaCl), I and II show significantly greater affinity toward each DNA, relative to III; at high salt concns. (150 mM NaCl), strong binding of I and II (but not III) was maintained toward poly[d(GC)]. Examn. of the influence of I-III on the melting behavior of poly[d(AT)] has provided strong evidence that the binding of I and II reflects highly cooperative interactions among DNA-bound conjugates and that the DNA duplex serves as a nucleation site for umbrella aggregation. The implications of these findings for the rational design of novel drug conjugates that operate at the nuclear level, and also novel transfection agents, are briefly discussed.

IT **174068-99-6**
RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(highly cooperative binding between mol. umbrella-spermine conjugates and DNA)

RN 174068-99-6 CAPLUS
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7,12-trihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

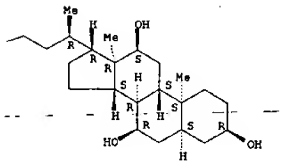
Absolute stereochemistry.

PAGE 1-A



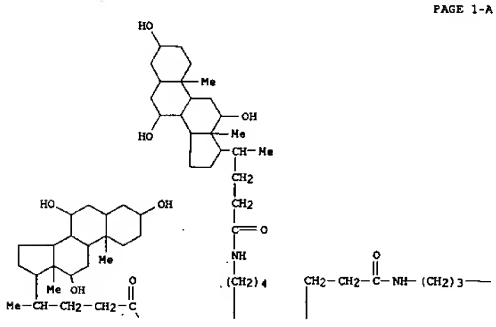
L39 ANSWER 2 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B



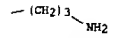
RN 197844-87-4 CAPLUS
CN Cholan-24-amide, N,N'-[[[3-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-3-oxopropyl]imino]bis[(1-oxo-2,1-ethanediyl)[[4-[[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]amino]butyl]imino]-3,1-propanediyl]]bis[3,7,12-trihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-(3'.alpha.,5'.beta.,7'.alpha.,12'.alpha.)- (9CI) (CA INDEX NAME)

PAGE 1-A



L39 ANSWER 2 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B

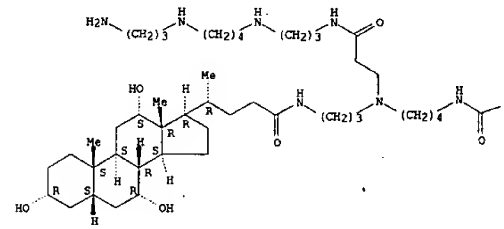


IT **197844-86-3 197844-87-4**
RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(mol. umbrella-spermine conjugate; highly cooperative binding between mol. umbrella-spermine conjugates and DNA)

RN 197844-86-3 CAPLUS
CN Cholan-24-amide, N-[20-amino-7-oxo-4-[4-[[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]amino]butyl]-4,8,12,17-tetraazaeicos-1-yl]-3,7,12-trihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

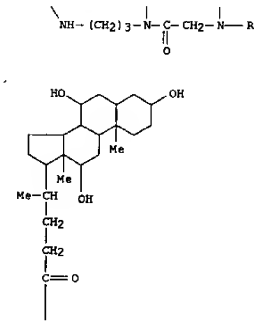
PAGE 1-A



L39 ANSWER 2 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

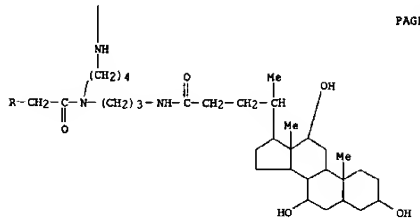
PAGE 1-B

PAGE 2-A



L39 ANSWER 2 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 3-A

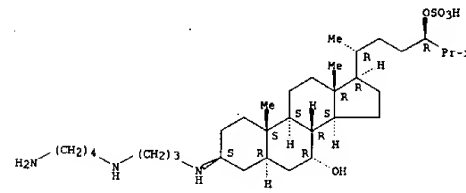


L39 ANSWER 3 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:525829 CAPLUS
DOCUMENT NUMBER: 127:195486
TITLE: Use of squalamine and its analogs in ophthalmic compositions
INVENTOR(S): Chen, Hwang Hsing; Park, Joonsup
PATENT ASSIGNEE(S): Alcon Laboratories, Inc., USA; Chen, Hwang Hsing; Park, Joonsup
SOURCE: PCT Int. Appl., 15 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9726888	A1	19970731	WO 1996-US1228	19960126
W: AU, CA, JP, MX, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9647726	A1	19970820	AU 1996-47726	19960126

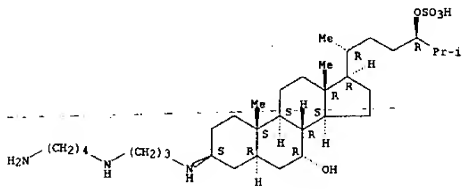
PRIORITY APPLN. INFO.: WO 1996-US1228 19960126
OTHER SOURCE(S): MARPAT 127:195486
AB Ophthalmic compns. contg. squalamine or its analogs antimicrobial agents have good antifungal activity. Squalamine is particularly useful as a disinfectant in contact lens care products and as preservatives in other types of ophthalmic compns., such as artificial tears or topical pharmaceutical prepns. Thus, a wetting soaking soln. contained squalamine 0.002, Methocel E4M 0.5, boric acid 0.35, sodium borate 0.11, mannitol 2.00, disodium edetate 0.10, HCl/NaOH and water qs to 100%.
IT **148717-90-2**, Squalamine **148717-90-2D**, Squalamine, analogs
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(squalamine and analogs for ophthalmic compns.)
RN 148717-90-2 CAPLUS
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



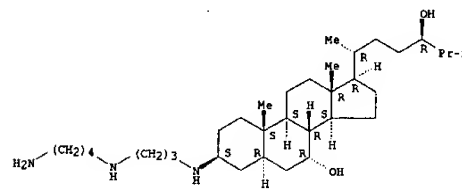
L39 ANSWER 3 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 148717-90-2 CAPLUS
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L39 ANSWER 4 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:516642 CAPLUS
DOCUMENT NUMBER: 127:220855
TITLE: Total synthesis of squalamine dessulfate. Conjugate addition to Ru(II) complexes of styrenes (ruthenium(II))
AUTHOR(S): Enache, Livia Alexandrina
CORPORATE SOURCE: Univ. of Illinois, Chicago, IL, USA
SOURCE: (1997) 163 pp. Avail.: UMI, Order No. DA9728515
From: Diss. Abstr. Int., B 1997, 58(3), 1288
DOCUMENT TYPE: Dissertation
LANGUAGE: English
AB Unavailable
IT **167076-07-5P**, Squalamine dessulfate
RL: SPN (Synthetic preparation); PREP (Preparation)
(total synthesis of squalamine dessulfate and conjugate addn. to Ru(II) complexes of styrenes)
RN 167076-07-5 CAPLUS
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L39 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:438873 CAPLUS
DOCUMENT NUMBER: 127:173699
TITLE: Antimicrobial activities of squalamine mimics
AUTHOR(S): Kikuchi, Ken; Bernard, Edward M.; Sadownik, Andrzej; Regen, Steven L.; Armstrong, Donald
CORPORATE SOURCE: Department of Medicine, Memorial Sloan-Kettering Cancer Center, New York, NY, 10021, USA
SOURCE: Antimicrobial Agents and Chemotherapy (1997), 41(7), 1433-1438
CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English

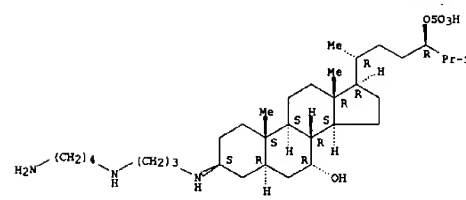
AB The antimicrobial properties of compds. with structural features that were designed to mimic those of squalamine, an antibiotic isolated from the stomach of the dogfish shark, were investigated. The mimics, like squalamine, are sterol-polyamine conjugates. Unlike squalamine, the mimics were simple to prep., at high yield, from readily available starting materials. Several squalamine mimics showed activity against gram-neg. rods, gram-pos. cocci including methicillin-resistant Staphylococcus aureus, vancomycin-resistant Enterococcus faecium, and fungi. Some had little or no hemolytic activity. The hydrophobicity of the sterol backbone and the length and the cationic charge of the side chains appeared to be crit. determinants of activity. One of the squalamine mimics, SM-7, was bactericidal against Escherichia coli, Pseudomonas aeruginosa, and S. aureus; its activity was decreased by divalent or monovalent cations and by bovine serum albumin. Subinhibitory concns. of SM-7 markedly enhanced the antimicrobial activity of rifampin against gram-neg. rods. These results suggest that the compds. may disrupt an outer membrane of gram-neg. rods. Squalamine mimics are a new class of broad-spectrum antimicrobial agents. The antagonism of their activity by serum and albumin and their hemolytic properties may limit their use as systemic agents. The squalamine mimics, because of their potencies, broad spectra of antimicrobial activity, and potential for systemic toxicity, appear to be good candidates for development as topical antimicrobial agents.

IT **148717-90-2DP, Squalamine, analogs 165336-10-7P 174068-84-9P 174068-99-6P 185307-17-9P 185307-23-7P 185307-24-8P 185307-26-0P 193901-92-7P 193901-93-8P 193901-95-0P 193901-96-1P 193901-97-2P 193901-98-3P 193901-99-4P 193902-00-0P 193902-01-1P 193902-02-2P 193902-03-3P 193902-04-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antimicrobial activities of squalamine mimics)

RN 148717-90-2 CAPLUS
CN Cholestane-7,24-diol, 3-[[3-[[4-aminobutyl]amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

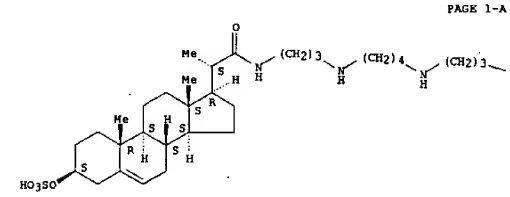
L39 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 165336-10-7 CAPLUS
CN Pregn-5-ene-20-carboxamide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-(sulfooxy)-, (3.beta.,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



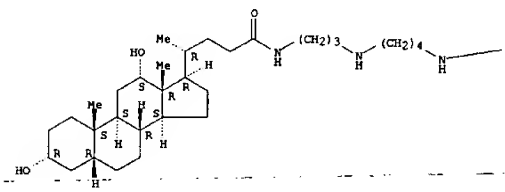
PAGE 1-B

RN 174068-84-9 CAPLUS
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

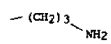
Absolute stereochemistry.

L39 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



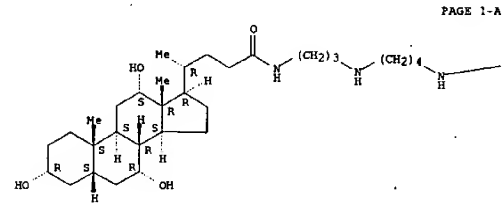
PAGE 1-B



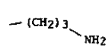
RN 174068-99-6 CAPLUS
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7,12-trihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



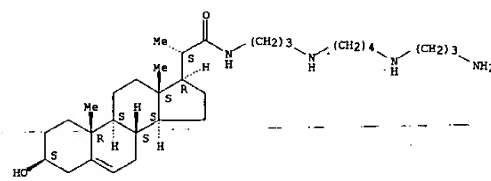
PAGE 1-B



RN 185307-17-9 CAPLUS

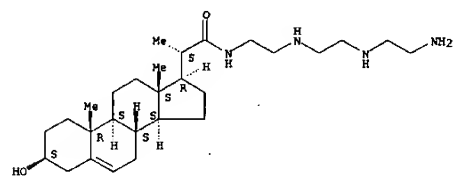
L39 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN Pregn-5-ene-20-carboxamide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-hydroxy-, (3.beta.,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 185307-23-7 CAPLUS
CN Pregn-5-ene-20-carboxamide, N-[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]-3-hydroxy-, (3.beta.,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

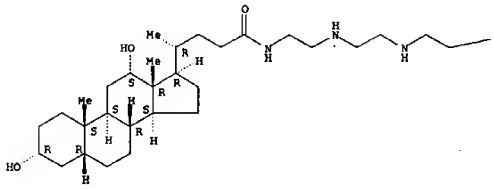


RN 185307-24-8 CAPLUS
CN Cholan-24-amide, N-[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L39 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

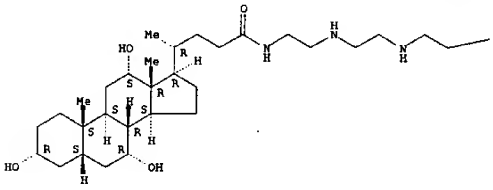


PAGE 1-B

RN 185307-26-0 CAPLUS
CN Cholan-24-amide, N-[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]-3,7,12-trihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

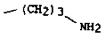


PAGE 1-B

RN 193901-92-7 CAPLUS

L39 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

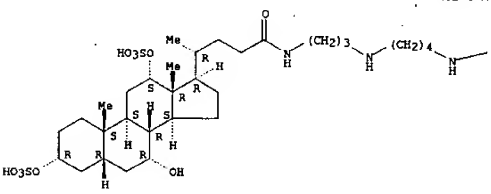
PAGE 1-B



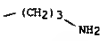
RN 193901-95-0 CAPLUS
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7-hydroxy-3,12-bis(sulfooxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



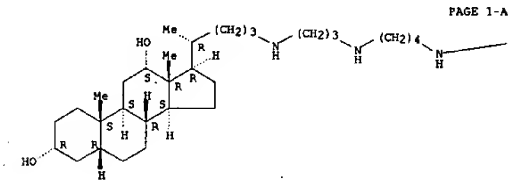
RN 193901-96-1 CAPLUS
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-, (5.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

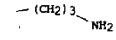
L39 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN Cholane-3,12-diol, 24-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



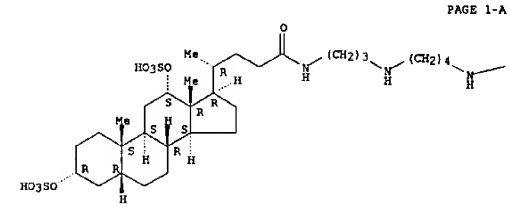
PAGE 1-B



RN 193901-93-8 CAPLUS
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,12-bis(sulfooxy)-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

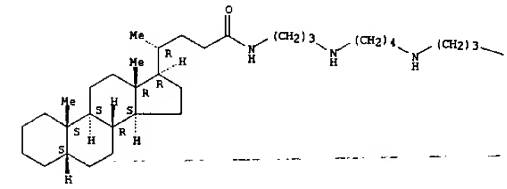
Absolute stereochemistry.

PAGE 1-A



L39 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

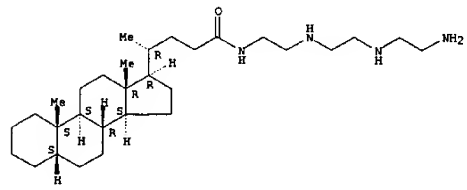
PAGE 1-A



PAGE 1-B

RN 193901-97-2 CAPLUS
CN Cholan-24-amide, N-[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]-, (5.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

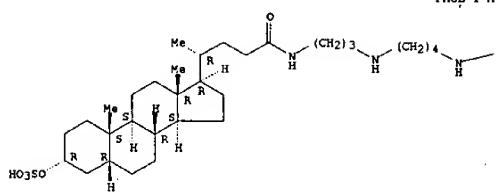


RN 193901-98-3 CAPLUS
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-(sulfooxy)-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

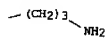
Absolute stereochemistry.

L39 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



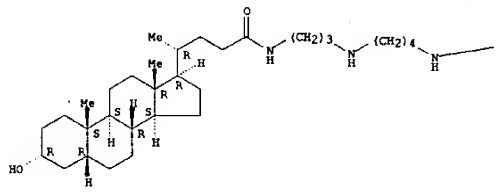
PAGE 1-B



RN 193901-99-4 CAPLUS
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-hydroxy-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

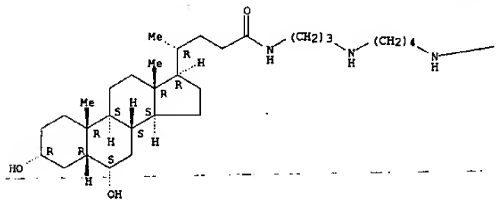
Absolute stereochemistry.

PAGE 1-A

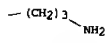


L39 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



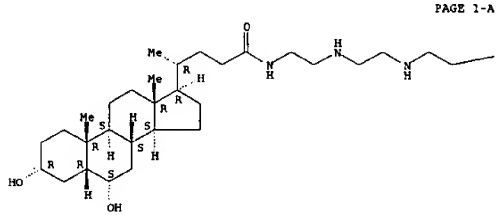
PAGE 1-B



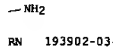
RN 193902-02-2 CAPLUS
CN Cholan-24-amide, N-[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]-3,6-dihydroxy-, (3.alpha.,5.beta.,6.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



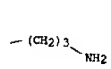
PAGE 1-B



RN 193902-03-3 CAPLUS

L39 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

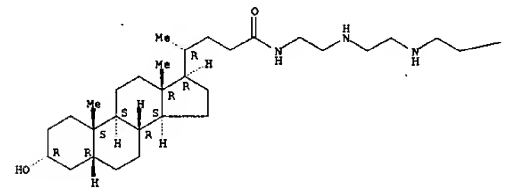
PAGE 1-B



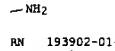
RN 193902-00-0 CAPLUS
CN Cholan-24-amide, N-[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]-3-hydroxy-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



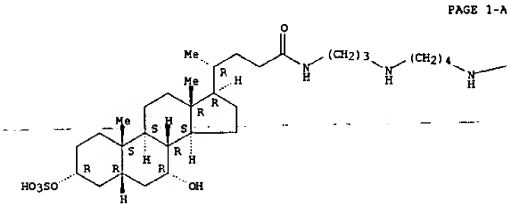
RN 193902-01-1 CAPLUS
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,6-dihydroxy-, (3.alpha.,5.beta.,6.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

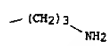
L39 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7-hydroxy-3-(sulfooxy)-, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



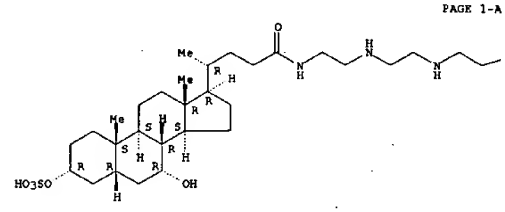
PAGE 1-B



RN 193902-04-4 CAPLUS
CN Cholan-24-amide, N-[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]-7-hydroxy-3-(sulfooxy)-, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

L39 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

L39 ANSWER 6 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:375282 CAPLUS
DOCUMENT NUMBER: 127:95531
TITLE: Preparation of glycolipid amphipathic, micellar delivery systems for DNA and RNA biologically active polyions
INVENTOR(S): Wolff, Jon A.; Budker, Vladimir; Gurevich, Vladimir
PATENT ASSIGNEE(S): Wolff, Jon A., USA; Budker, Vladimir; Gurevich, Vladimir
SOURCE: U.S., 17 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5635487	A	19970603	US 1994-368150	19941229

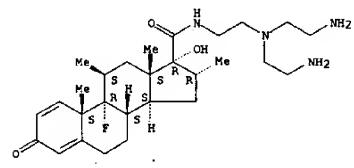
PRIORITY APPLN. INFO.: US 1994-368150 19941229

AB The present invention provides a compn. comprising a population of micelles wherein each micelle comprises at least one amphipathic compd. layer that surrounds a non-aq. core that contains a polyion. Also provided are a method of prepg. such a compn. and the uses of such compns. for delivering biol. active polyions to cells. Thus lipid I was prepd. as drug delivery system and can be used to express a gene product in cell.

IT **191990-42-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of glycolipid amphipathic micellar delivery systems for DNA and RNA biol. active polyions)

RN 191990-42-8 CAPLUS
CN Androsta-1,4-diene-17-carboxamide, N-[2-[bis(2-aminoethyl)amino]ethyl]-9-fluoro-17-hydroxy-11,16-dimethyl-3-oxo-, (11.beta.,16.alpha.,17.alpha.)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L39 ANSWER 7 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:285140 CAPLUS
DOCUMENT NUMBER: 127:9024
TITLE: A concentrated and stable aerosol formulation of cationic lipid:DNA complexes giving high-level gene expression in mouse lung
AUTHOR(S): Eastman, Simon J.; Lukason, Michael J.; Tousignant, Jennifer D.; Murray, Heather; Lane, Mathieu D.; St. George, Judith A.; Akita, Geoffrey Y.; Cherry, Maribeth; Cheng, Seng H.; Scheule, Ronald K.
CORPORATE SOURCE: Genzyme Corporation, Framingham, MA, 01701-9322, USA
SOURCE: Human Gene Therapy (1997), 8(6), 765-773
CODEN: HGTHE3; ISSN: 1043-0342
PUBLISHER: Liebert
DOCUMENT TYPE: Journal
LANGUAGE: English

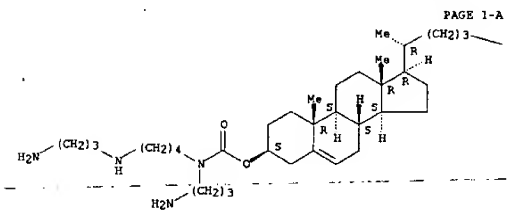
AB Advances in gene therapy vectors and techniques hold promise for treatment of many inherited and acquired diseases. For lung indications, esp. those involving the epithelium, delivery of the gene therapy vehicle ideally will involve the use of an aerosol. Aerosol delivery of transgenes using cationic lipids is currently limited by the ability to generate highly concd. formulations of lipid:DNA complexes that are stable and retain their activity following aerosolization. We have examd. many of the variables inherent in aerosolizing cationic lipid gene delivery vehicles and have devised a new formulation that incorporates small amts. of a polyethylene glycol-contg. lipid. This formulation has allowed the prepn. of concd. dispersions of cationic lipid:plasmid DNA (pDNA) complexes (>20 mM pDNA) at approx. 10-fold higher concns. than previously reported. Most of the pDNA in these formulations was bound to the lipid component and thereby protected from nebulizer-induced shearing; the pDNA also maintained full biol. activity both in vitro and in vivo. This new formulation thus represents a significant improvement over current methods to prep. concd., active cationic lipid gene delivery vectors, and provides a new tool with which to test gene transfer to the lung.

IT **179075-30-0**
RL: BPR (Biological process); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(concd. and stable aerosol formulation of cationic lipid:DNA complexes giving high-level gene expression in mouse lung)

RN 179075-30-0 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, (3-aminopropyl)[4-[(3-aminopropyl)amino]butyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L39 ANSWER 7 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



L39 ANSWER 8 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:285041 CAPLUS
DOCUMENT NUMBER: 127:13412
TITLE: Basis of pulmonary toxicity associated with cationic lipid-mediated gene transfer to the mammalian lung
AUTHOR(S): Scheule, Ronald K.; St. George, Judith A.; Bagley, Rebecca G.; Marshall, John; Kaplan, Johanne M.; Akita, Geoffrey Y.; Wang, Kathryn X.; Lee, Edward R.; Harris, David J.; Jiang, Canwen; Yew, Nelson S.; Smith, Alan E.; Cheng, Seng H.
CORPORATE SOURCE: Genzyme Corporation, Framingham, MA, 01701-9322, USA
SOURCE: Human Gene Therapy (1997), 8(6), 689-707
CODEN: HGTHE3; ISSN: 1043-0342
PUBLISHER: Liebert
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Studies have indicated that although abundant levels of transgene expression could be achieved in the lungs of mice instilled with cationic lipid:pDNA complexes, the efficiency of gene transfer is low. As a consequence, a relatively large amt. of the complex will need to be administered to the human lungs to achieve therapeutic efficacy for indications such as cystic fibrosis. Because all cationic lipids exhibit some level of cytotoxicity in vitro, the authors assessed the safety profile of one such cationic lipid, GL-67, following administration into the lungs of BALB/c mice. Dose-dependent pulmonary inflammation was obsd. that was characterized by infiltrates of neutrophils, and, to a lesser extent, macrophages and lymphocytes. The lesions in the lung were multifocal in nature and were manifested primarily at the junction of the terminal bronchioles and alveolar ducts. The degree of inflammation abated with time and there were no apparent permanent fibrotic lesions, even in animals that were treated at the highest doses. Anal. of the individual components of the complex revealed that the pulmonary inflammation was primarily cationic lipid-mediated with a minor contribution from the neutral co-lipid DOPE. Assocd. with the lesions in the lungs were elevated levels of the pro-inflammatory cytokines interleukin-6 (IL-6), tumor necrosis factor-.alpha. (TNF-.alpha.), and interferon-.gamma. (IFN-.gamma.) that peaked at days 1-2 post-instillation but resolved to normal limits by day 14. Total cell counts, primarily of neutrophils, were also significantly elevated in the bronchoalveolar lavage fluids of GL-67:pDNA-treated mice between days 1 and 3 but returned to normal limits by day 14. No specific immune responses were detected against the cationic lipid or plasmid DNA in mice that had been either instilled or immunized with the individual components or complex, nor was there any evidence of complement activation. These studies indicate that a significant improvement in the potency of cationic lipid:pDNA formulations is desirable to minimize the toxicity assocd. with cationic lipids.

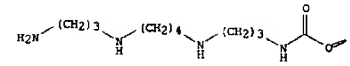
IT **165673-46-1**
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study) (basis of pulmonary toxicity assocd. with cationic lipid-mediated gene transfer to mammalian lung when used with plasmid DNA and DOPE in relation to cytokines and neutrophils)

RN 165673-46-1 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, [[3-[4-[(3-aminopropyl)amino]butyl]amino]propyl]carbamate (9CI) (CA INDEX NAME)

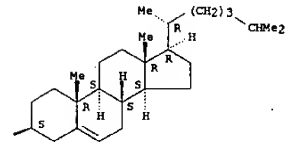
Absolute stereochemistry.

L39 ANSWER 8 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



PAGE 1-B



L39 ANSWER 9 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:269701 CAPLUS
DOCUMENT NUMBER: 126:340122
TITLE: Transmembrane ion transport mediated by amphiphilic polyamine dendrimers
AUTHOR(S): Sakai, Naomi; Matile, Stefan
CORPORATE SOURCE: Department of Chemistry, Georgetown University, Washington, DC, 20057-1227, USA
SOURCE: Tetrahedron Letters (1997), 38(15), 2613-2616
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 126:340122

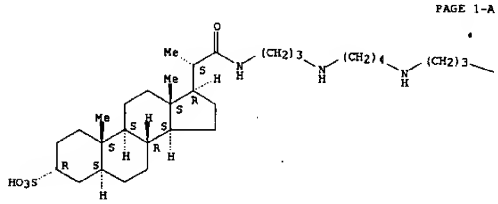
AB A series of amphiphilic polyamine dendrimers was efficiently prepd. from cholestamine to probe the hypothesis that an increasing no. of ammonium cations attached to a hydrophobic anchoring group should increasingly facilitate transmembrane ion transport. Results from transport expts. using large unilamellar vesicles are consistent with this new concept.

IT **189879-66-1**
RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process) (transmembrane ion transport mediated by amphiphilic polyamine dendrimers)

RN 189879-66-1 CAPLUS
CN Pregnane-3-sulfonic acid, 21-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-20-methyl-21-oxo-, (3.alpha.,5.alpha.,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

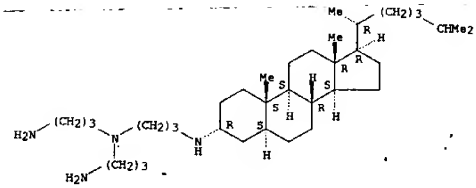
IT **189879-70-7P**
RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)
(transmembrane ion transport mediated by amphiphilic polyamine dendrimers)

RN 189879-70-7 CAPLUS
CN 1,3-Propanediamine, N,N-bis(3-aminopropyl)-N'-[(3.alpha.,5.alpha.)-cholestan-3-yl]-, tetrakis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 189879-69-4
CMF C36 H70 N4

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

IT **189879-77-4P**
RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process) (transmembrane ion transport mediated by amphiphilic polyamine dendrimers)

RN 189879-77-4 CAPLUS
CN 1,3-Propanediamine, N,N-bis[3-aminopropyl)-N'-[3-[bis(3-aminopropyl)amino]propyl]-N'-[3-[[(3.alpha.,5.alpha.)-cholestan-3-yl]amino]propyl]-, octakis(trifluoroacetate) (9CI) (CA INDEX NAME)

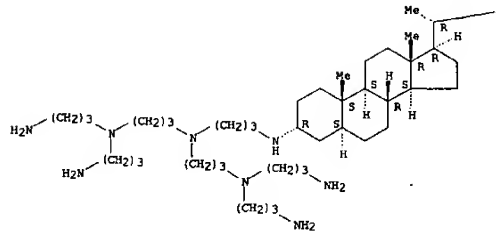
CM 1

CRN 189879-76-3
CMF C48 H98 N8

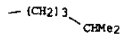
Absolute stereochemistry.

L39 ANSWER 9 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



PAGE 1-B



CM 2

CRN 76-05-1
CMF C2 H F3 O2

L39 ANSWER 10 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:260109 CAPLUS
DOCUMENT NUMBER: 126:272386
TITLE: Amphipathic nucleic acid transporter
INVENTOR(S): Chaudhary, Nilabh; Jayaraman, Krishna; Bodepudi, Veeraiah; Hogan, Michael E.
PATENT ASSIGNEE(S): Aronex Pharmaceuticals, Inc., USA
SOURCE: U.S., 7 pp., Cont. of U. S. Ser. No. 303,554, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5614503	A	19970325	US 1995-467114	19950606
PRIORITY APPLN. INFO.:			US 1993-152544	19931112
			US 1994-303554	19940908

AB A nucleic acid transporter to deliver nucleic acids into cells comprises a cationic compd. having a cationic head group for binding the nucleic acid and a lipid tail for assocn. with the membrane. The cationic compd. usually is a polyamine (preferably spermidine or spermine) or a short basic peptide. The lipid tail is usually a plant steroid, animal steroid, isoprenoid compd., aliph. lipid, pore-forming protein, pore-forming peptide, or fusogenic peptide. The cationic head and lipid tail are linked through a carbamate linkage. The nucleic acid can be a triplex-forming oligonucleotide, antisense oligonucleotide, aptamer, ribozyme, plasmid, or DNA for gene therapy. Thus, cholesteryl chloroformate reacted with spermidine to form a cationic lipid which was coadministered with 35S-labeled oligonucleotide-propylamine to Vero cells. Internalization of the oligonucleotide-cationic lipid complex occurred within 20-40 min, and a portion of the internalized oligonucleotide entered the nucleus.

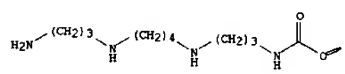
IT **165673-46-1 173738-32-4**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(amphipathic nucleic acid transporter)

RN 165673-46-1 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, [[3-[(4-[(3-aminopropyl)amino]butyl)amino]propyl]carbamate (9CI) (CA INDEX NAME)

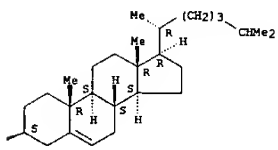
Absolute stereochemistry.

L39 ANSWER 10 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



PAGE 1-B

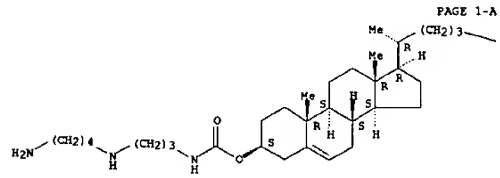


RN 173738-32-4 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, [3-[(4-aminobutyl)amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L39 ANSWER 10 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

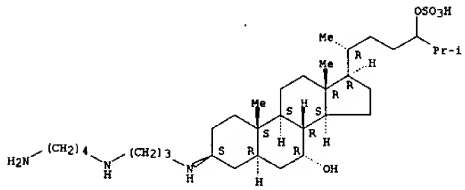
PAGE 1-A



PAGE 1-B

L39 ANSWER 11 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:669771 CAPLUS
DOCUMENT NUMBER: 126:47415
TITLE: The synthesis of 24-xi-squalamine and 3-epi-24-xi-squalamine, and, biomimetic ion channels (squalus acanthias)
AUTHOR(S): Pechulis, Anthony David
CORPORATE SOURCE: Rensselaer Polytechnic Institute, Troy, NY, USA
SOURCE: (1996) 276 pp. Avail.: Univ. Microfilms Int., Order No. DA9635693
From: Diss. Abstr. Int., B 1996, 57(6), 3744
DOCUMENT TYPE: Dissertation
LANGUAGE: English
AB Unavailable
IT **184851-39-6P 184851-40-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of 24-.xi.-squalamine and 3-epi-24-.xi.-squalamine)
RN 184851-39-6 CAPLUS
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

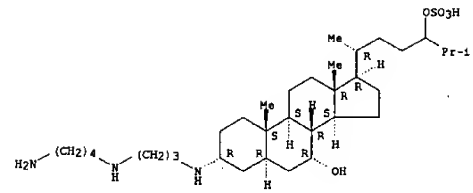
Absolute stereochemistry.



RN 184851-40-9 CAPLUS
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.alpha.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

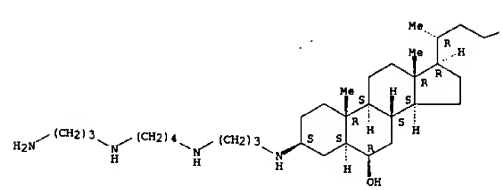
L39 ANSWER 11 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



L39 ANSWER 12 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:650265 CAPLUS
DOCUMENT NUMBER: 126:8363
TITLE: The synthesis and characterization of analogs of the antimicrobial compound squalamine: 6.beta.-hydroxy-3-aminosterols synthesized from hyodeoxycholic acid
AUTHOR(S): Jones, Stephen R.; Kinney, William A.; Zhang, Xuehai; Jones, Lisa M.; Selinsky, Barry S.
CORPORATE SOURCE: Dep. Chem., Villanova Univ., Plymouth Meeting, PA, USA
SOURCE: Steroids (1996), 61(10), 565-571
CODEN: STEDAM; ISSN: 0039-128X
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 126:8363
AB- Analogs of the aminosterol antimicrobial agent squalamine have been synthesized beginning from hyodeoxycholic acid. After carboxylic acid esterification and oxidn. of both alc. functions to ketones, the A/B ring junction was converted from cis to trans by acid-catalyzed isomerization. Different polyamines were added to the 3-keto group by reductive amination, yielding both the 3.alpha. and 3.beta. addn. products. The synthetic products exhibited potent, broad-spectrum antimicrobial activity similar to that of the parent compd. Changing the identity of the polyamine or the stereochem. of addn. has little effect upon antimicrobial activity but appears to change the selectivity of the agents. The analogs are synthesized with high yield from inexpensive starting materials and are promising alternatives to squalamine as potential antibiotics.
IT **183867-20-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(6.beta.-hydroxy-3-aminosterols with antimicrobial activity synthesized from hyodeoxycholic acid)
RN 183867-20-1 CAPLUS
CN Cholan-24-oic acid, 3-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-6-hydroxy-, methyl ester, (3.beta.,5.alpha.,6.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

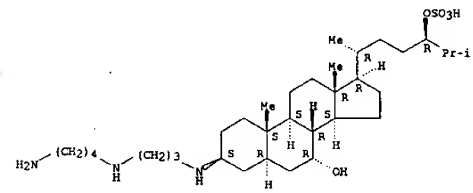


L39 ANSWER 12 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B

IT **148717-90-2DP**, Squalamine, analogs **183867-19-8P**
183867-22-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(6.beta.-hydroxy-3-aminosterols with antimicrobial activity synthesized from hyodeoxycholic acid)
RN 148717-90-2 CAPLUS
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

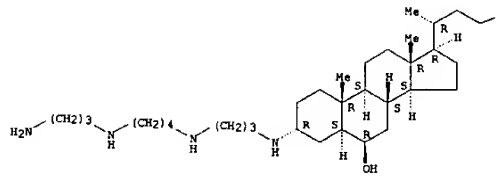


RN 183867-19-8 CAPLUS
CN Cholan-24-oic acid, 3-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-6-hydroxy-, methyl ester, (3.alpha.,5.alpha.,6.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L39 ANSWER 12 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

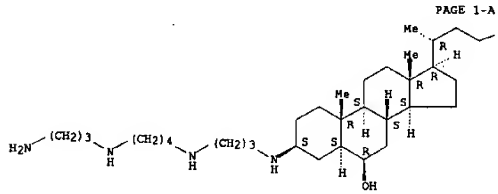


PAGE 1-B

RN 183867-22-3 CAPLUS
CN Cholan-24-oic acid, 3-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-6-hydroxy-, (3.beta.,5.alpha.,6.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

L39 ANSWER 12 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

L39 ANSWER 13 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:619728 CAPLUS
DOCUMENT NUMBER: 125:284643
TITLE: Detailed analysis of structures and formulations of cationic lipids for efficient gene transfer to the lung
AUTHOR(S): Lee, Edward R.; Marshall, John; Siegel, Craig S.; Jiang, Canwen; Yew, Nelson S.; Nichols, Margaret R.; Nietupski, Jennifer B.; Ziegler, Robin J.; Lane, Mathieu B.; et al.
CORPORATE SOURCE: Genzyme Corporation, Framingham, MA, 01701-9322, USA
SOURCE: Human Gene Therapy (1996), 7(14), 1701-1717
CODEN: HGTHE3; ISSN: 1043-0342
PUBLISHER: Liebert
DOCUMENT TYPE: Journal
LANGUAGE: English

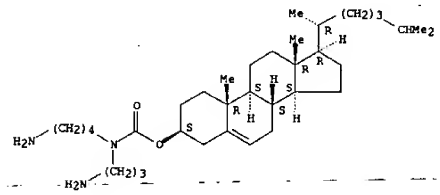
AB. Cationic lipid-mediated gene transfer of cystic fibrosis transmembrane conductance regulator (CFTR) cDNA represents a promising approach for treatment of cystic fibrosis (CF). Here, we report on the structures of several novel cationic lipids that are effective for gene delivery to the lungs of mice. An amphiphile consisting of a cholesterol anchor linked to a spermine headgroup in a "T-shape" configuration was shown to be particularly efficacious. An optimized formulation of amphiphile and plasmid vector encoding chloramphenicol acetyltransferase (CAT) was capable of generating up to 1 .mu.g of CAT enzyme/lung following intranasal instillation into BALB/c mice. This represents a 1,000-fold increase in expression above that obtained in animals instilled with naked pDNA alone and is greater than 100-fold more active than cationic lipids used previously for CFTR gene expression. When directly compared with adenovirus-based vectors contg. similar transcription units, the no. of mols. of gene product expressed using lipid-mediated transfer was equiv. to vector administration at multiplicities of infection ranging from 1 to 20. The level of transgene expression in the lungs of BALB/c mice peaked between days 1 and 4 post-instillation, followed by a rapid decline to approx. 20% of the maximal value by day 7. Undiminished levels of transgene expression in the lung could be obtained following repeated intranasal administration of amphiphile:DOPE:pCF1-CAT in nude mice. Transfection of cells with formulations of amphiphile:DOPE:pCF1-CFTR generated cAMP-stimulated CFTR chloride channel and fluid transport activities, two well-characterized defects assocd. with CF cells. Taken together, the data demonstrate that cationic lipid-mediated gene delivery and expression of CFTR in CF lungs is a viable and promising approach for treatment of the disease.

IT **179075-25-3P**
RL: BPR (Biological process); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(anal. of structures and formulations of cationic lipids for efficient gene transfer to the lung)

RN 179075-25-3 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, (4-aminobutyl)(3-aminopropyl)carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L39 ANSWER 13 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

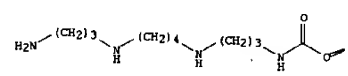


IT **165673-46-1 173738-32-4 179075-01-5**
179075-30-0 179075-36-6 179075-43-5
179075-50-4 182933-85-3
RL: BPR (Biological process); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(anal. of structures and formulations of cationic lipids for efficient gene transfer to the lung)

RN 165673-46-1 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, [[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]carbamate (9CI) (CA INDEX NAME)

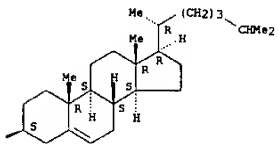
Absolute stereochemistry.

PAGE 1-A



L39 ANSWER 13 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

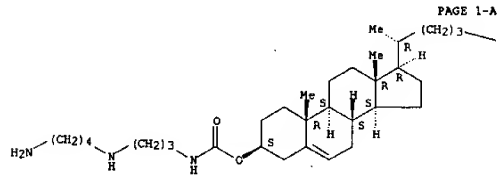
PAGE 1-B



RN 173738-32-4 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, [3-[(4-aminobutyl)amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

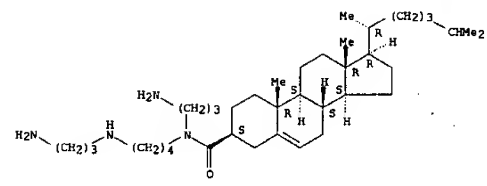


PAGE 1-B

RN 179075-01-5 CAPLUS
CN Cholest-5-ene-3-carboxamide, N-(3-aminopropyl)-N-[4-[(3-aminopropyl)amino]butyl]-, (3.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

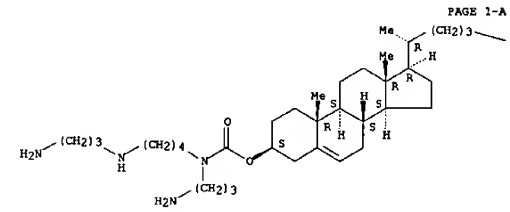
L39 ANSWER 13 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 179075-30-0 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, (3-aminopropyl)[4-[(3-aminopropyl)amino]butyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



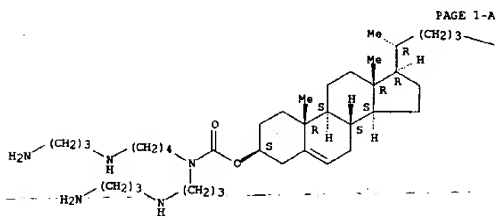
PAGE 1-B

RN 179075-36-6 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, [4-[(3-aminopropyl)amino]butyl][3-[(3-aminopropyl)amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L39 ANSWER 13 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

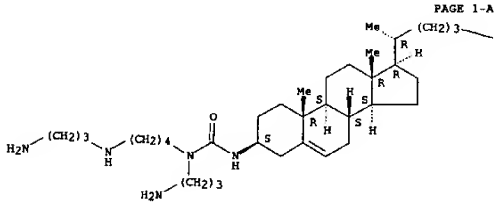


PAGE 1-B

RN 179075-43-5 CAPLUS
CN Urea, N-(3-aminopropyl)-N-[4-[(3-aminopropyl)amino]butyl]-N'-[(3.beta.)-cholest-5-en-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

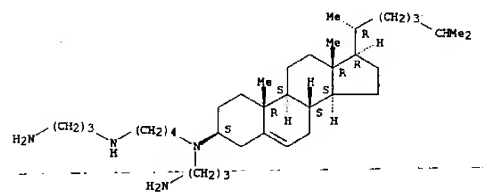


PAGE 1-B

RN 179075-50-4 CAPLUS
CN 1,4-Butanediamine, N,N'-bis(3-aminopropyl)-N-[(3.beta.)-cholest-5-en-3-yl]- (9CI) (CA INDEX NAME)

L39 ANSWER 13 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

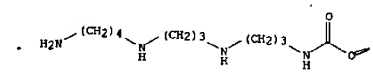
Absolute stereochemistry.



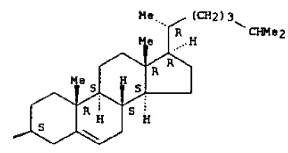
RN 182933-85-3 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, [3-[[3-[(4-aminobutyl)amino]propyl]amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



L39 ANSWER 14 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:619188 CAPLUS
DOCUMENT NUMBER: 126:14351
TITLE: A Synthetic Ionophore That Recognizes Negatively Charged Phospholipid Membranes
AUTHOR(S): Deng, Gang; Dewa, Takehisa; Regen, Steven L.
CORPORATE SOURCE: Department of Chemistry, Lehigh University, Bethlehem, PA, 18015, USA
SOURCE: Journal of the American Chemical Society (1996), 118(37), 8975-8976
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

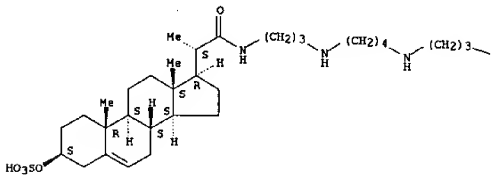
AB A synthetic mimic of the naturally occurring sterol, squalamine, has been found to possess unusual ionophoric properties. It promotes the transport of ions across neg. charged bilayers (egg phosphatidylglycerol, egg PG) over ones that are elec. neutral (egg phosphatidylcholine, egg PC). Anal. of the kinetics of discharge of a pH gradient across egg PG vesicle membranes has provided compelling evidence for the existence of two discrete forms of active ionophore. In particular, a plate of the obsd. pseudo first-order rate const. as a function of ionophore concn. generated two discrete linear regions with a discontinuity occurring at ca. 05. mol%. It is proposed that monomers of the ionophore (favoring the inner and outer surface of the bilayer) are solely responsible for promoting the pH discharge in the low concn. regime; 0.5 mol% of the ionophore, a crit. micelle concn. is reached on the membrane surface, which leads to a cooperative insertion of an aggregate-active form. An ion channel model has also been used to account for both the membrane as well as the ion selectivity of the ionophore. Its potential as a paradigm for the design of new classes of antibacterial agents is briefly discussed.

IT **165336-10-7**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(synthetic ionophore that recognizes neg. charged phospholipid membranes)

RN 165336-10-7 CAPLUS
CN Pregn-5-ene-20-carboxamide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-(sulfooxy)-, (3.beta.,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



L39 ANSWER 14 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B

L39 ANSWER 15 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:365707 CAPLUS
DOCUMENT NUMBER: 125:41725
TITLE: Combinations of magainins and squalamines for prevention of sexually transmitted disease
INVENTOR(S): Jacob, Leonard; Zasloff, Michael; Williams, Taffy; Bedi, Gurrinder
PATENT ASSIGNEE(S): Magainin Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

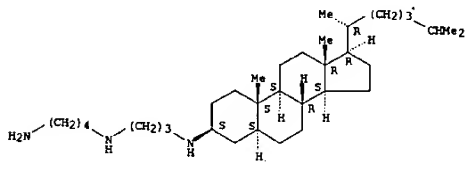
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9608270	A2	19960321	WO 1995-US11675	19950913
WO 9608270	A3	19960517		
W: AU, CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9535125	A1	19960329	AU 1995-35125	19950913
PRIORITY APPLN. INFO.:			US 1994-305475	19940913
			WO 1995-US11675	19950913

AB Transmission of sexually transmitted disease in humans is inhibited by administering magainins or squalamine, or combinations of magainins and squalamine. Magainins and squalamines provide a safe, effective female-controlled barrier to the transmission of sexually transmitted disease. Magainin peptidomimetics may also be used. A series of magainins and derivs. and mimetics were tested for their in vitro effectiveness against a no. of sexually transmitted pathogens.

IT **160348-64-1 160348-65-2 160348-66-3**
160348-67-4 167076-07-5 177745-14-1
177745-15-2 177745-16-3 177745-17-4
177745-18-5 177745-19-6
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antimicrobial squalamine analog; combinations of magainins and squalamines for prevention of sexually transmitted disease)

RN 160348-64-1 CAPLUS
CN 1,4-Butanediamine, N-[3-[[(3.beta.,5.alpha.)-cholestan-3-yl]amino]propyl]- (9CI) (CA INDEX NAME)

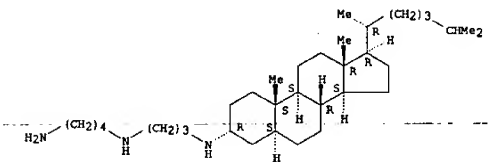
Absolute stereochemistry.



RN 160348-65-2 CAPLUS

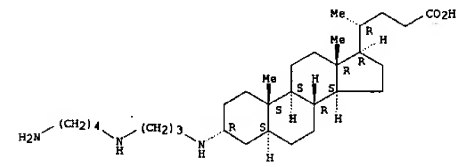
L39 ANSWER 15 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN 1,4-Butanediamine, N-[3-[[(3.alpha.,5.alpha.)-cholestan-3-yl]amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



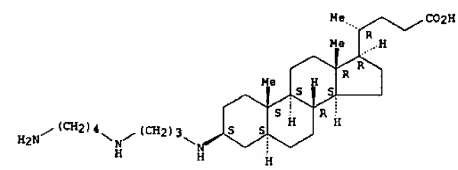
RN 160348-66-3 CAPLUS
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160348-67-4 CAPLUS
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

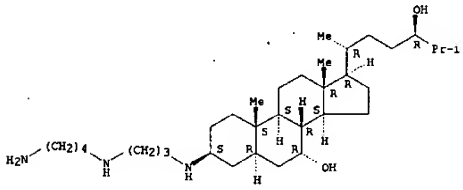
Absolute stereochemistry.



RN 167076-07-5 CAPLUS

L39 ANSWER 15 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

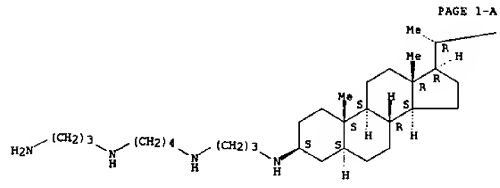
Absolute stereochemistry.



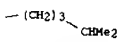
RN 177745-14-1 CAPLUS
CN 1,4-Butanediamine, N-(3-aminopropyl)-N'-[3-[[(3.beta.,5.alpha.)-cholestan-3-yl]amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

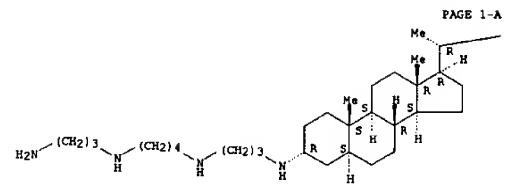


RN 177745-15-2 CAPLUS
CN 1,4-Butanediamine, N-(3-aminopropyl)-N'-[3-[[(3.alpha.,5.alpha.)-cholestan-3-yl]amino]propyl]- (9CI) (CA INDEX NAME)

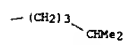
Absolute stereochemistry.

L39 ANSWER 15 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

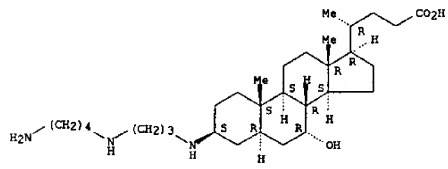


PAGE 1-B



RN 177745-16-3 CAPLUS
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

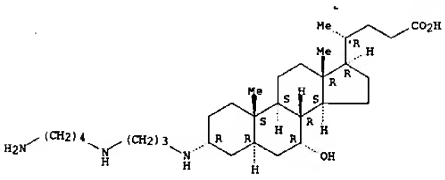
Absolute stereochemistry.



RN 177745-17-4 CAPLUS
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-, (3.alpha.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

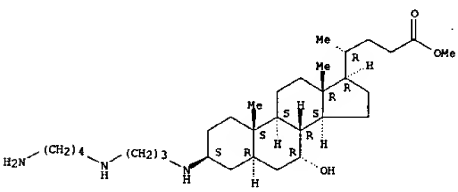
Absolute stereochemistry.

L39 ANSWER 15 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



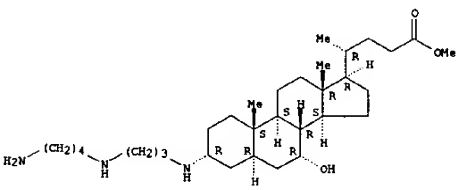
RN 177745-18-5 CAPLUS
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-, methyl ester, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 177745-19-6 CAPLUS
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-, methyl ester, (3.alpha.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

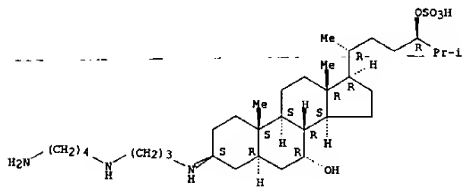
Absolute stereochemistry.



IT 148717-90-2D, Squalamine, derivs.

L39 ANSWER 15 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combinations of magainins and squalamines for prevention of sexually transmitted disease)
RN 148717-90-2 CAPLUS
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L39 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:234329 CAPLUS
DOCUMENT NUMBER: 124:280488
TITLE: Efficient gene transfer into mammalian cells with cholesteryl-spermidine
AUTHOR(S): Moradpour, Darius; Schauer, Julia I.; Zurawski, Vincent R., Jr.; Wands, Jack R.; Boutin, Raymond H.
CORPORATE SOURCE: Mol. Hepatol. Lab., Harvard Med. Sch., Charlestown, MA, USA
SOURCE: Biochemical and Biophysical Research Communications (1996), 221(1), 82-8
CODEN: BBRCA9; ISSN: 0006-291X
PUBLISHER: Academic
DOCUMENT TYPE: Journal
LANGUAGE: English

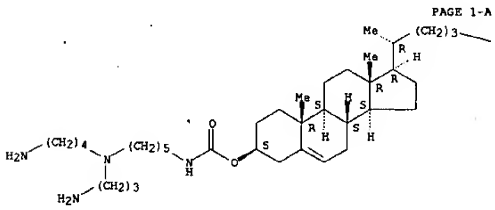
AB The naturally occurring polyamine spermidine was covalently conjugated with cholesterol, resulting in a novel cationic compd. that mediates efficient gene transfer into mammalian cells. Using reporter plasmids coding for firefly luciferase and .beta.-galactosidase, a simple procedure was developed allowing highly reproducible and efficient transient and stable transfection of HuH-7 cells. Transfection efficiency could be further increased when a fusogenic peptide derived from the influenza virus hemagglutinin HA2 aminoterminal sequence was included in the cholesteryl-spermidine-DNA complex. Cholesteryl-spermidine (Transfectall) represents a novel cationic compd. for efficient transfection of cultured cells in vitro and has the potential to be used for gene transfer in vivo.

IT **175922-61-9**
RL: BOC (Biological occurrence); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); OCCU (Occurrence)
(efficient gene transfer into mammalian cells with cholesteryl-spermidine)

RN 175922-61-9 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, [5-[(4-aminobutyl)(3-aminopropyl)amino]pentyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



L39 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B

L39 ANSWER 17 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:123444 CAPLUS
DOCUMENT NUMBER: 124:241891
TITLE: Cationic facial amphiphiles: a promising class of transfection agents
AUTHOR(S): Walker, Suzanne; Sofia, Michael J.; Kakarla, Ramesh; Kogan, Natan A.; Wierichs, Leigh; Longley, Clifford B.; Bruker, Karen; Axelrod, Helena R.; Midha, Sunita; et al.
CORPORATE SOURCE: Dep. Chem., Princeton Univ., Princeton, NJ, 08544, USA
SOURCE: Proceedings of the National Academy of Sciences of the United States of America (1996), 93(4), 1585-90
CODEN: PNASA6; ISSN: 0027-8424
PUBLISHER: National Academy of Sciences
DOCUMENT TYPE: Journal
LANGUAGE: English

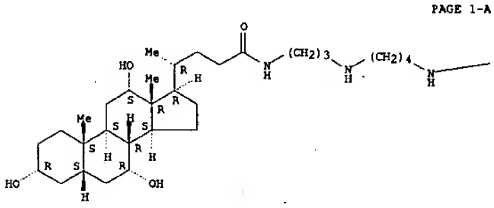
AB A promising class of compds. for DNA transfection have been designed by conjugating various polyamines to bile-acid-based amphiphiles. Formulations contg. these compds. were tested for their ability to facilitate the uptake of a .beta.-galactosidase reporter plasmid into COS-7 cells. Dioleoyl phosphatidylethanolamine (DOPE) formulations of some of the compds. were several times better than Lipofectin at promoting DNA uptake. The most active compds. contained the most hydrophilic bile acid components. The activity is clearly not related to affinity for DNA: the hydrophobic bile acid conjugates were found to form stable complexes with DNA at lower charge ratios than the hydrophilic conjugates. We suggest that the high activity of the best compds. is related to their facial amphiphilicity, which may confer an ability to destabilize membranes. The success of these unusual cationic transfection agents may inspire the design of even more effective gene delivery agents.

IT **174068-99-6P 174069-02-4P 174069-18-2P**
174069-20-6P 174180-24-6P 175089-94-8P
175089-95-9P 175089-96-0P 175089-97-1P
175089-98-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(cationic facial amphiphiles as a class of transfection agents)

RN 174068-99-6 CAPLUS
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7,12-trihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



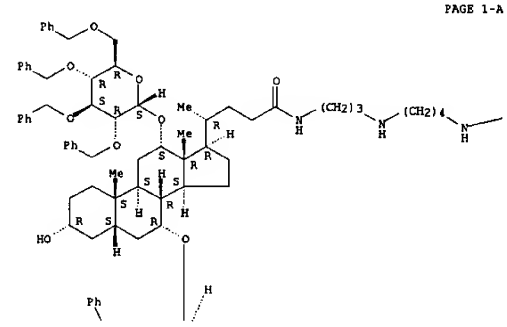
L39 ANSWER 17 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B

RN 174069-02-4 CAPLUS
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-hydroxy-7,12-bis[[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]oxy]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

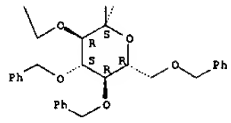
PAGE 1-A



PAGE 1-B

L39 ANSWER 17 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

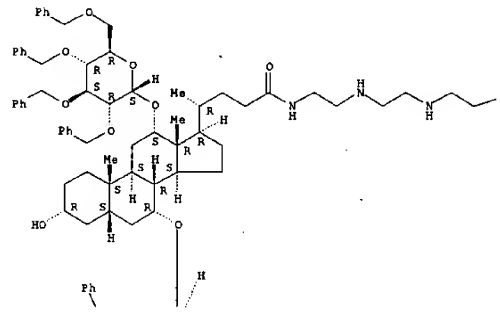
PAGE 2-A



RN 174069-18-2 CAPLUS
CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3-hydroxy-7,12-bis[[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]oxy]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

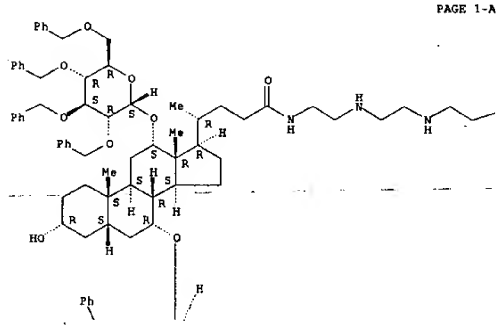
Absolute stereochemistry.

PAGE 1-A

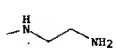


L39 ANSWER 17 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

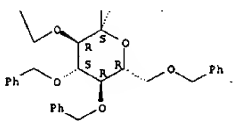
PAGE 1-A



PAGE 1-B



PAGE 2-A

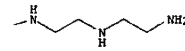


RN 174180-24-6 CAPLUS
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7-dihydroxy-, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

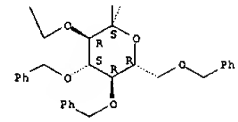
Absolute stereochemistry.

L39 ANSWER 17 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B



PAGE 2-A

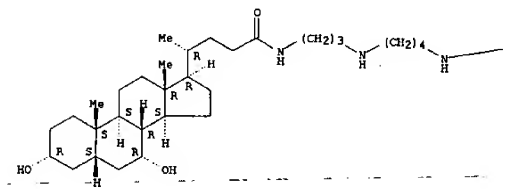


RN 174069-20-6 CAPLUS
CN Cholan-24-amide, N-[2-[[2-[[2-[[2-(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-3-hydroxy-7,12-bis[[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]oxy]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

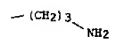
Absolute stereochemistry.

L39 ANSWER 17 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



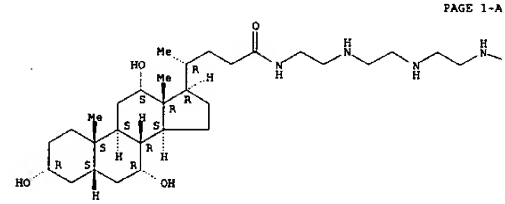
PAGE 1-B



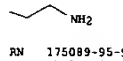
RN 175089-94-8 CAPLUS
CN Cholan-24-amide, N-[2-[[2-[[2-[[2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-3,7,12-trihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

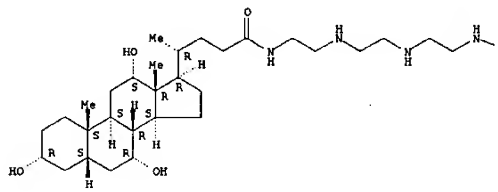


RN 175089-95-9 CAPLUS
CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3,7,12-

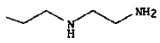
L39 ANSWER 17 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
trihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



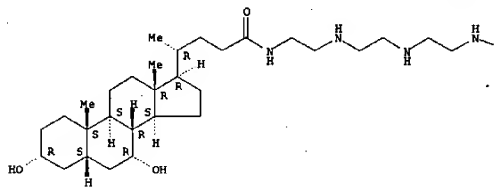
PAGE 1-B



RN 175089-96-0 CAPLUS
CN Cholan-24-amide, N-[2-[[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-3,7-dihydroxy-, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

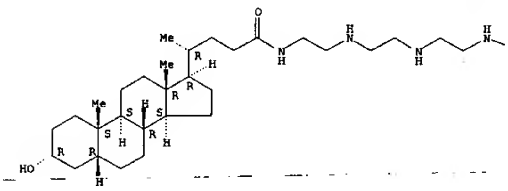
Absolute stereochemistry.

PAGE 1-A

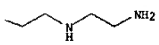


L39 ANSWER 17 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



PAGE 1-B



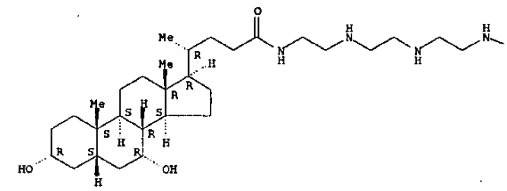
L39 ANSWER 17 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B

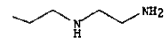
RN 175089-97-1 CAPLUS
CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3,7-dihydroxy-, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



RN 175089-98-2 CAPLUS
CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3-hydroxy-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L39 ANSWER 18 OF 37 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996:29138 CAPLUS
DOCUMENT NUMBER: 124:155802
TITLE: Novel polyaminolipids enhance the cellular uptake of oligonucleotides
AUTHOR(S): Guy-Caffey, Judith K.; Bodepudi, Veeraiah; Bishop, Jeffrey S.; Jayaraman, Krishna; Chaudhary, Nilabh
CORPORATE SOURCE: Aronex Pharmaceuticals, Inc., The Woodlands, TX, 77381, USA
SOURCE: Journal of Biological Chemistry (1995), 270(52), 31391-6
CODEN: JBCHA3; ISSN: 0021-9258
PUBLISHER: American Society for Biochemistry and Molecular Biology
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Two new polyaminolipids have been synthesized for the purpose of improving cellular uptake of oligonucleotides. The amphipathic compds. are conjugates of spermidine or spermine linked through a carbamate bond to cholesterol. The polyaminolipids are relatively nontoxic to mammalian cells. In tissue culture assays, using fluorescent-tagged or radiolabeled triple helix-forming oligonucleotides, spermine-cholesterol and spermidine-cholesterol significantly enhance cellular uptake of the oligomers in the presence of serum. Spermine-cholesterol is comparable with DOTMA/DOPE (a 1:1 (wt./wt.) formulation of the cationic lipid N-[1-(2,3-dioleyloxy)-propyl]-N,N,N-trimethylammonium chloride (DOTMA) and the neutral lipid dioleylphosphatidylethanolamine (DOPE)) in increasing cellular uptake of oligonucleotides, while spermidine-cholesterol is more efficient. The internalized oligonucleotides are routed to the nucleus as early as 20 min after treatment, suggesting that the polyaminolipids increase the permeability of cellular membranes to oligonucleotides. At later times, much of the incoming oligonucleotides are sequestered within punctate cytoplasmic granules, presumably compartments of endosomal origin. Co-administration with polyaminolipids markedly improves the cellular stability of the oligonucleotides; more than 80% of the material can be recovered intact up to 24 h after addn. to cells. In the absence of the polyaminolipids, nearly all of the material is degraded within 6 h. These data suggest that the new polyaminolipids may be useful for the delivery of nucleic acid-based therapeutics into cells.

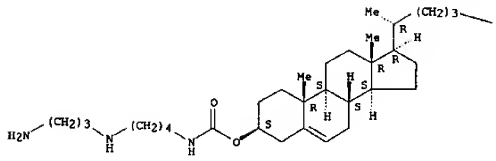
IT **165673-45-0 165673-46-1 173738-32-4**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(polyaminolipids for enhancement of cellular uptake of oligonucleotides)

RN 165673-45-0 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, [4-[(3-aminopropyl)amino]butyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L39 ANSWER 18 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

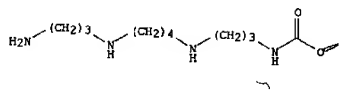


PAGE 1-B

RN 165673-46-1 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, [[3-[4-[(3-aminopropyl)amino]butyl]amino]propyl]carbamate (9CI) (CA INDEX NAME)

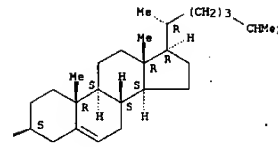
Absolute stereochemistry.

PAGE 1-A



L39 ANSWER 18 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

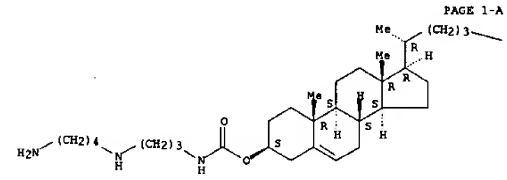
PAGE 1-B



RN 173738-32-4 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, [3-[(4-aminobutyl)amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

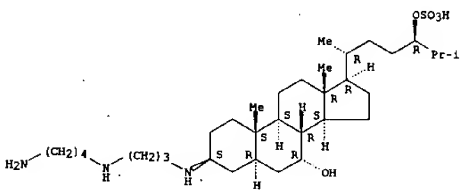


PAGE 1-B

L39 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1995:914477 CAPLUS
DOCUMENT NUMBER: 124:9086
TITLE: Part 1. the design and synthesis of potential mechanism based inactivators of ergosterol biosynthesis. Part 2. the synthesis of squalamine and 3-episqualamine. Part 3. the synthesis of a dual-action inhibitor of cholesterol biosynthesis
AUTHOR(S): Bellevue, Frank H., III
CORPORATE SOURCE: Rensselaer Polytechnic Institute, Troy, NY, USA
SOURCE: (1994) 213 pp. Avail.: Univ. Microfilms Int., Order No. DA9526368
From: Diss. Abstr. Int., B 1995, 56(4), 2018
DOCUMENT TYPE: Dissertation
LANGUAGE: English
AB Unavailable
IT **148717-90-2P**, Squalamine-**171252-30-5P**, 3-Episqualamine
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of squalamine and 3-episqualamine)
RN 148717-90-2 CAPLUS
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

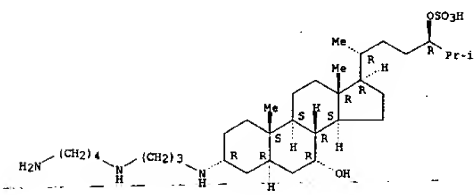
Absolute stereochemistry.



RN 171252-30-5 CAPLUS
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.alpha.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L39 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



L39 ANSWER 20 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:843495 CAPLUS
DOCUMENT NUMBER: 124:37597
TITLE: Synthesis of multivalent cationic cholesteryl lipids for use as gene delivery vehicles
AUTHOR(S): Wang, Jinkang; Szoka, Francis C. Jr.
CORPORATE SOURCE: School Pharmacy, University California, San Francisco, CA, 94143-0446, USA
SOURCE: Proceedings of the International Symposium on Controlled Release of Bioactive Materials (1995), 22nd, 414-15
CODEN: PCRMEY; ISSN: 1022-0178
PUBLISHER: Controlled Release Society, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

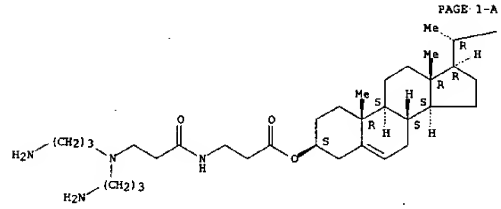
AB The synthesis and transfection efficiency of 2 new cationic derivs. are reported. Liposomes made form the cationic lipids and dioleoylphosphatidylethanolamine showed good transfection efficiency for use as gene delivery vehicles.

IT **171977-78-9P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of multivalent cationic cholesteryl lipids as gene delivery vehicles)

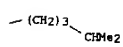
RN 171977-78-9 CAPLUS
CN .beta.-Alanine, N-[N,N-bis(3-aminopropyl)-.beta.-alanyl]-, (3.beta.)-cholest-5-en-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



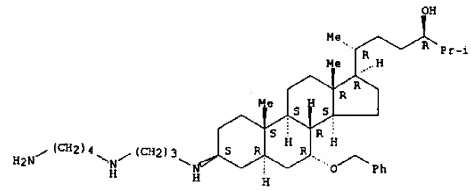
L39 ANSWER 21 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:714146 CAPLUS
DOCUMENT NUMBER: 123:169963
TITLE: Synthesis of 24.xi.-Squalamine, an Anti-Infective Steroidal Polyamine
AUTHOR(S): Pechulis, Anthony D.; Bellevue, Frank H., III; Cioffi, Christopher L.; Trapp, Sean G.; Fojtik, John P.; McKitty, Anthony A.; Kinney, William A.; Frye, Leah L.
CORPORATE SOURCE: Department of Chemistry, Rensselaer Polytechnic Institute, Troy, NY, 12180, USA
SOURCE: Journal of Organic Chemistry (1995), 60(16), 5121-6
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The total synthesis of 24.xi.-squalamine was accomplished in 17 steps from 3.beta.-hydroxy-5-cholenic acid. The stereospecific introduction of the 7.alpha.-hydroxyl group was achieved by allylic oxidn. followed by hydrogenation of the .DELTA.5 olefin and redn. of the 7-keto group with K-selectride. The polyamine side chain was introduced via reductive amination of an appropriately functionalized 3-keto steroid with a suitably protected spermadine utilizing sodium cyanoborohydride as the reducing agent. The required 24-sulfate was introduced by selective sulfation of the 7.alpha.,24.xi.-diol with sulfur trioxide-pyridine complex.

IT **166896-87-3P 166896-95-3P 167076-07-5P**
167076-08-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of 24.xi.-squalamine, an antiinfective steroidal polyamine)

RN 166896-87-3 CAPLUS
CN Cholestan-24-ol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-(phenylmethoxy)-, (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

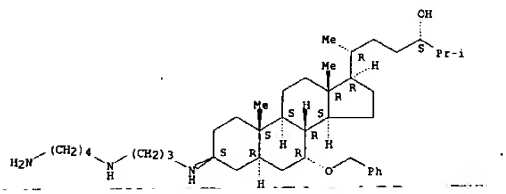
Absolute stereochemistry.



RN 166896-95-3 CAPLUS
CN Cholestan-24-ol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-(phenylmethoxy)-, (3.beta.,5.alpha.,7.alpha.,24S)- (9CI) (CA INDEX NAME)

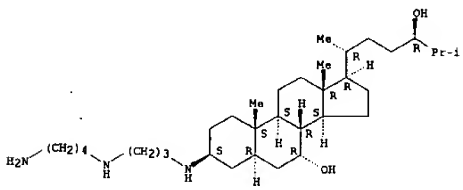
Absolute stereochemistry.

L39 ANSWER 21 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



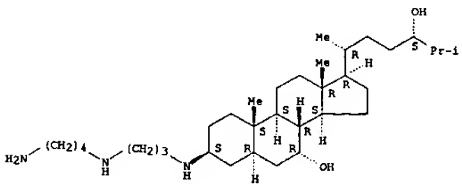
RN 167076-07-5 CAPLUS
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 167076-08-6 CAPLUS
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.beta.,5.alpha.,7.alpha.,24S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

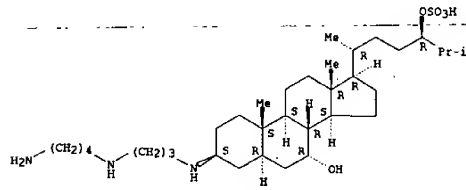


L39 ANSWER 21 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

IT **148717-90-2P 166896-93-1P 166896-94-2P**
167076-10-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of 24.xi.-squalamine, an antiinfective steroidal polyamine)

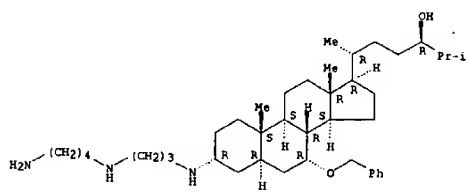
RN 148717-90-2 CAPLUS
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 166896-93-1 CAPLUS
CN Cholestan-24-ol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-(phenylmethoxy)-, (3.alpha.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

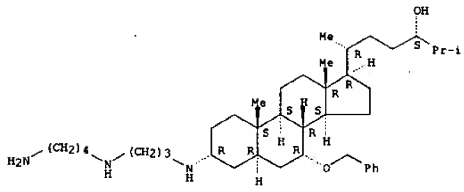
Absolute stereochemistry.



RN 166896-94-2 CAPLUS
CN Cholestan-24-ol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-(phenylmethoxy)-, (3.alpha.,5.alpha.,7.alpha.,24S)- (9CI) (CA INDEX NAME)

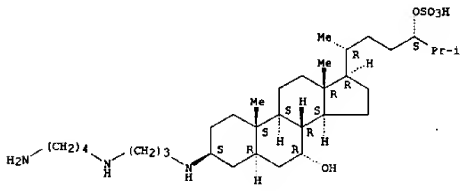
Absolute stereochemistry.

L39 ANSWER 21 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

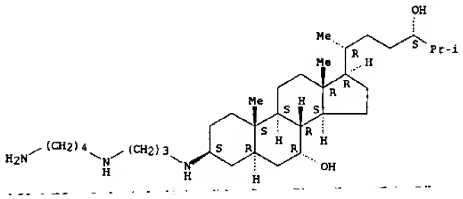


RN 167076-10-0 CAPLUS
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



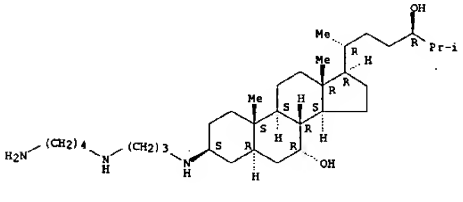
L39 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



●3 HCl

RN 169127-71-3 CAPLUS
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, trihydrochloride, (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

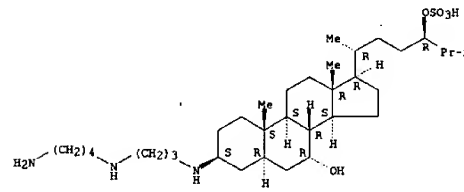
Absolute stereochemistry.



●3 HCl

L39 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:711921 CAPLUS
DOCUMENT NUMBER: 124:202720
TITLE: Stereoselective synthesis of squalamine dessulfate
AUTHOR(S): Moriarty, Robert M.; Enache, Livia A.; Kinney, William A.; Allen, Craig S.; Canary, James W.; Tuladhar, Sudersan M.; Guo, Liang
CORPORATE SOURCE: Dep. Chem., Univ. Illinois at Chicago, Chicago, IL, 60607-7061, USA
SOURCE: Tetrahedron Letters (1995), 36(29), 5139-42
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 124:202720
AB Squalamine dessulfate (24R) (I) and the unnatural product squalamine dessulfate (24S) were synthesized from stigmasterol. The key step in establishing the C24 stereochem. is attachment of the side-chain at C22 using either (2R)- or (2S)-1,2-epoxy-3-methylbutane to yield the cholesteryl precursors of the epimeric squalamine dessulfates.
IT **160022-48-0P 169127-67-7P 169127-71-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(stereoselective synthesis of squalamine dessulfate)
RN 160022-48-0 CAPLUS
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), trihydrochloride, (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



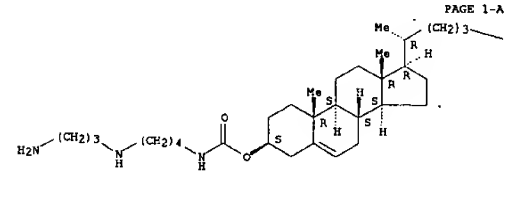
●3 HCl

RN 169127-67-7 CAPLUS
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, trihydrochloride, (3.beta.,5.alpha.,7.alpha.,24S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L39 ANSWER 23 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:631120 CAPLUS
DOCUMENT NUMBER: 123:136097
TITLE: Approaches to enhance the binding affinity and nuclease stability of triplex forming oligonucleotides
AUTHOR(S): Jayaraman, K.; Durland, R. H.; Rao, T. S.; Revankar, G. R.; Bodepudi, V.; Chaudhary, N.; Guy-Caffey, J.
CORPORATE SOURCE: Triplex Pharmaceutical Corp., The Woodlands, TX, 77380, USA
SOURCE: Nucleosides & Nucleotides (1995), 14(3-5), 951-5
CODEN: NUNUD5; ISSN: 0732-8311
PUBLISHER: Dekker
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The ability of simple azole nucleosides to promote antiparallel triplex formation at non-homopurine duplex targets was explored. It was also shown that spermidine-cholesterol conjugation is effective in enhancing cellular uptake and stability of oligonucleotides.
IT **165673-45-0 165673-46-1**
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(approaches to enhance binding affinity and nuclease stability of triplex forming oligonucleotides)
RN 165673-45-0 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, [4-[(3-aminopropyl)amino]butyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



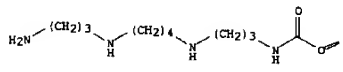
CHMe2

RN 165673-46-1 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, [[3-[4-[(3-aminopropyl)amino]butyl]amino]propyl]carbamate (9CI) (CA INDEX NAME)

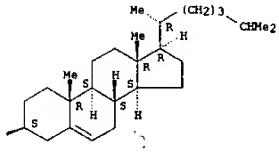
Absolute stereochemistry.

L39 ANSWER 23 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



PAGE 1-B



L39 ANSWER 24 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B

L39 ANSWER 24 OF 37 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1995:592050 CAPLUS
DOCUMENT NUMBER: 123:83819
TITLE: Rapid Construction of a Squalamine Mimic
AUTHOR(S): Sadownik, Andrzej; Deng, Gang; Janout, Vaclav; Regen, Steven L.; Bernard, Edward M.; Kikuchi, Ken; Armstrong, Donald
CORPORATE SOURCE: Department of Chemistry, Lehigh University, Bethlehem, PA, 18015, USA
SOURCE: Journal of the American Chemical Society (1995), 117(22), 6138-9
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

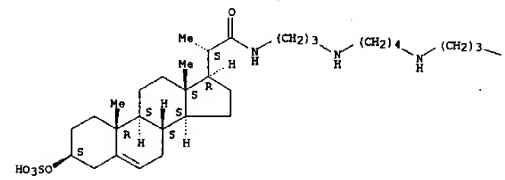
AB Activation of the carboxylic acid group of 23,24-bisnor-5-cholenic acid-3.beta.-ol by conversion to its N-hydroxysuccinimide, followed by sulfation and condensation with spermine produced the amide I [R = (CH2)3NH(CH2)4NH(CH2)3NH2] in 17% overall yield. The finding that this compd. mimics the structure and bactericidal and fungicidal activity of squalamine demonstrates that the placement of a pendant spermidine and sulfate group on the A and D rings of a closely related sterol can be reversed with retention of antimicrobial activity, and that much more accessible mimics are possible. Analog I [R = (CH2CH2O)5CH2CH2NH2] had practically no bactericidal and fungicidal activity, but does form Na channels in unilamellar vesicles.

IT **165336-10-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and microbicidal activity of a sqalamine isomer)

RN 165336-10-7 CAPLUS
CN Pregn-5-ene-20-carboxamide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-(sulfooxy)-, (3.beta.,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



L39 ANSWER 25 OF 37 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1995:257822 CAPLUS
DOCUMENT NUMBER: 122:56298
TITLE: Chemical synthesis of squalamine
INVENTOR(S): Moriarty, Robert M.; Guo, Liang; Tuladhar, Sudersan M.
PATENT ASSIGNEE(S): Magainin Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9419366	A1	19940901	WO 1994-US1822	19940224
W: AU, CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9463928	A1	19940914	AU 1994-63928	19940224

PRIORITY APPLN. INFO.: US 1993-23347 19930226
WO 1994-US1822 19940224

OTHER SOURCE(S): CASREACT 122:56298

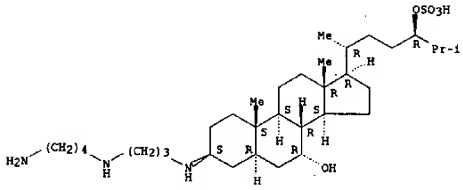
AB Methods for the chem. prepn. of the sterol antibiotic squalamine (I) are disclosed. Preferably, the prepn. involves: (1) modifying the 3-position of a 3-oxo-7.alpha.-hydroxy-24.zeta.-(ether-protected hydroxy)-5.alpha.-cholestane with a spermidino moiety to form a 3.beta.-spermidino-7.alpha.-hydroxy-24.zeta.-(ether-protected hydroxy)-5.alpha.-cholestane; (2) deprotecting the 24-position to the free hydroxyl; and (3) sulfating the 24-position hydroxy. For example, the key intermediate II underwent a sequence of: (a) oximation with PhCH2ONH2.HCl (97%); (b) redn. with LiAlH4 to the 3.beta.-amine (100%); (c) N-alkylation with I(CH2)3N(Tos)(CH2)3CN (Tos = p-MeC6H4SO2) (100%); (d) detosylation with Na/NH3; (e) redn. of cyano to amino with LiAlH4 (93%); (f) benzyloxycarbonylation of all 3 amino groups (99%); (g) 7-O-acetylation (86%); (h) hydrogenolytic deprotection of the amino groups and desilylation (90%); and (i) 24-O-sulfation, 7-O-deacetylation, and acidification, to give I as its tri-HCl salt. In addn. to the exemplified 12-step prepn. of precursor II from 3.beta.-hydroxy-5-cholenic acid, addnl. possible prepns. from chenodeoxycholic acid, fucosterol, dehydroepiandrosterone, and pregnenolone acetate are described and claimed.

IT **148717-90-2P**, Squalamine **160022-48-0P**, Squalamine trihydrochloride
RL: SPN (Synthetic preparation); PREP (Preparation)
(chem. synthesis of squalamine)

RN 148717-90-2 CAPLUS
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

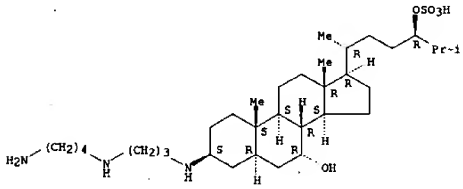
Absolute stereochemistry.

L39 ANSWER 25 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 160022-48-0 CAPLUS
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), trihydrochloride, (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●3 HCl

IT **159791-04-5P 159791-07-8P 159791-09-0P 160022-47-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; chem. synthesis of squalamine)
RN 159791-04-5 CAPLUS
CN Cholestan-7-ol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-24-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

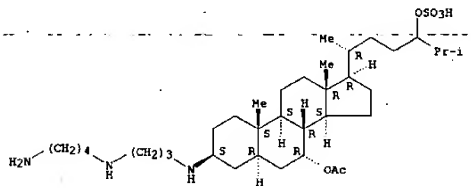
Absolute stereochemistry.

L39 ANSWER 25 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 7-acetate 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.)-, compd. with pyridine (1:1), trihydrochloride (9CI) (CA INDEX NAME)

CM 1

CRN 159791-08-9
CMF C36 H67 N3 O6 S

Absolute stereochemistry.



CM 2

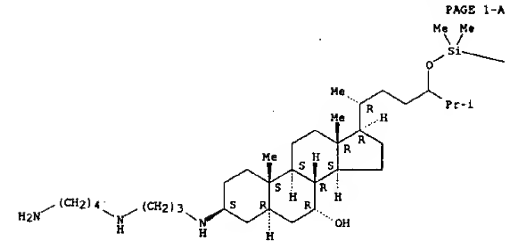
CRN 110-86-1
CMF C5 H5 N

RN 160022-47-9 CAPLUS
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), monosodium salt, (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L39 ANSWER 25 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

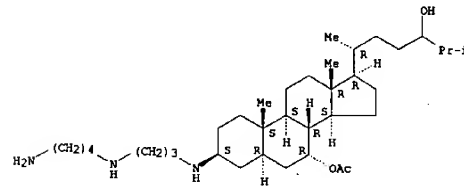


PAGE 1-B

—Bu-t

RN 159791-07-8 CAPLUS
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 7-acetate, trihydrochloride, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

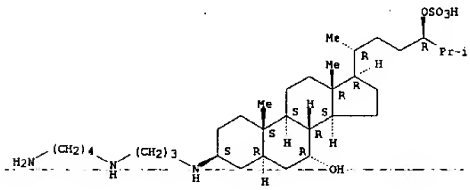
Absolute stereochemistry.



●3 HCl

RN 159791-09-0 CAPLUS

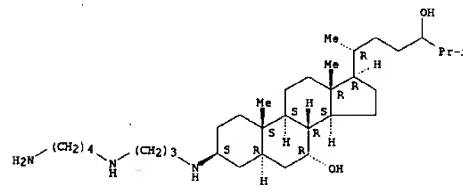
L39 ANSWER 25 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



● Na

IT **159791-14-7DP**, 3.beta.-Spermidino-7.alpha.,24-dihydroxy-5.alpha.-cholestane, 24-ether-protected derivs.
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediates; chem. synthesis of squalamine)
RN 159791-14-7 CAPLUS
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

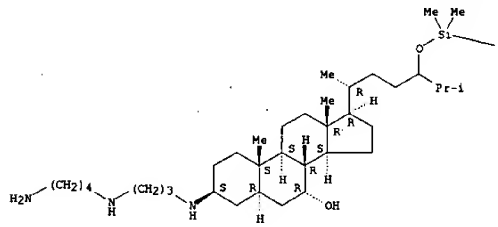
Absolute stereochemistry.



L39 ANSWER 26 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:204023 CAPLUS
DOCUMENT NUMBER: 122:187866
TITLE: Synthesis of squalamine. A steroidal antibiotic from the shark
AUTHOR(S): Moriarty, Robert M.; Tuladhar, Sudersan M.; Guo, Liang; Wehrli, Suzanne
CORPORATE SOURCE: Steroids, Ltd., Chicago, IL, 60612, USA
SOURCE: Tetrahedron Letters (1994), 35(44), 8103-6
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The title compd. (I) was synthesized from 3.beta.-acetoxy-5-cholenoic acid in 17 steps.
IT **159791-04-5P 160348-70-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(total synthesis of squalamine)
RN 159791-04-5 CAPLUS
CN Cholestan-7-ol, 3-[[3-[[4-aminobutyl]amino]propyl]amino]-24-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



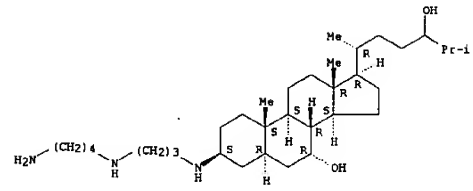
PAGE 1-B

—Bu-t

RN 160348-70-9 CAPLUS
CN Cholestane-7,24-diol, 3-[[3-[[4-aminobutyl)amino)propyl]amino]-, trihydrochloride, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

L39 ANSWER 26 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

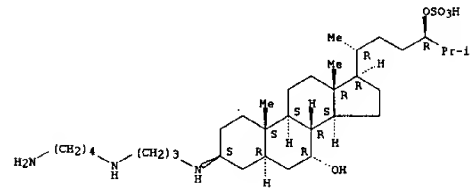
Absolute stereochemistry.



●3 HCl

IT **148717-90-2P**, Squalamine
RL: SPN (Synthetic preparation); PREP (Preparation)
(total synthesis of squalamine)
RN 148717-90-2 CAPLUS
CN Cholestane-7,24-diol, 3-[[3-[[4-aminobutyl]amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



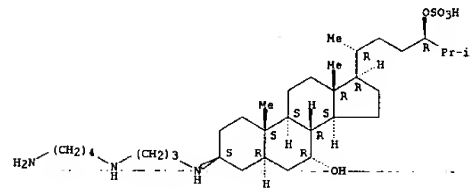
L39 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1994:253366 CAPLUS
DOCUMENT NUMBER: 120:253366
TITLE: Compositions and methods for enhanced drug delivery
INVENTOR(S): Hale, Ron L.; Lu, Amy; Solas, Dennis; Selick, Harold E.; Oldenburg, Kevin R.; Zaffaroni, Alejandro C.
PATENT ASSIGNEE(S): Affymax Technologies N.V., Neth.
SOURCE: PCT Int. Appl., 155 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9325197	A1	19931223	WO 1993-US5631	19930611
W: AT, AU, BB, BG, BR, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9345345	A1	19940104	AU 1993-45345	19930611
EP 647133	A1	19950412	EP 1993-915319	19930611
R: CH, DE, FR, GB, IT, LI, NL				
US 5607691	A	19970304	US 1995-449188	19950524
PRIORITY APPLN. INFO.:			US 1992-898219	19920612
			US 1993-9463	19930127
			WO 1993-US5631	19930611
			US 1993-77296	19930614
			US 1993-164293	19931209

AB The present invention relates to methods of delivering pharmaceutical agents across membranes, including the skin layer or mucosal membranes of a patient. A pharmaceutical agent is covalently bonded to a chem. modifier, via a physiol. cleavable bond, such that the membrane transport and delivery of the agent is enhanced. Progesterone 3-{2-O-[10-O-(O-acetylcarnitinyl)decanoyl]glycolic acid} enol ester was prepd. from progesterone by prepn. of the enol acetate, reaction with 10-hydroxydecanoic acid, and reaction of the hydroxyl diester with 3-O-acetyl-L-carnitine acid chloride (prepn. given). In vitro serum half-lives of some pharmaceutical agent-chem. modifier complexes are given.
IT **148717-90-2D**, Squalamine, drug conjugates
RL: BIOL (Biological study)
(through physiol. cleavable bond, drug enhanced transport across membranes in relation to)
RN 148717-90-2 CAPLUS
CN Cholestane-7,24-diol, 3-[[3-[[4-aminobutyl]amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

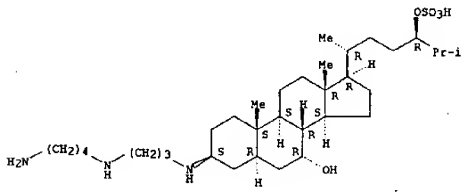
Absolute stereochemistry.

L39 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



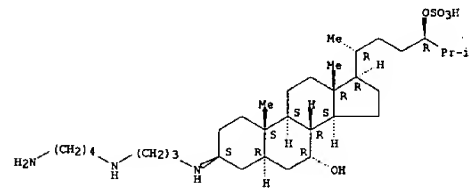
L39 ANSWER 28 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1993:650240 CAPLUS
DOCUMENT NUMBER: 119:250240
TITLE: Structure of the novel steroidal antibiotic squalamine determined by two-dimensional NMR spectroscopy
AUTHOR(S): Wehrli, Suzanne L.; Moore, Karen S.; Roder, Heinrich; Durell, Stewart; Zasloff, Michael
CORPORATE SOURCE: Div. Biochem. Dev. Mol. Dis., Child. Hosp. Philadelphia, Philadelphia, PA, USA
SOURCE: Steroids (1993), 58(8), 370-8
CODEN: STEDAM; ISSN: 0039-128X
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Squalamine is a novel aminosterol recently isolated from the dogfish shark, Squalus acanthias. This water-sol. steroid exhibits potent antibacterial activity against both gram-neg. and gram-pos. bacteria. In addn., squalamine is fungicidal and induces osmotic lysis of protozoa. The authors report here the structural detn. of squalamine, 3.beta.-N-1-[N(3-[4-aminobutyl]-1,3 diaminopropane]-7.alpha.,24.zeta.-dihydroxy-5.alpha.-cholestane 24-sulfate, which was deduced from the anal. of fast atom bombardment spectra and a series of 2-dimensional NMR spectra. Squalamine is a cationic steroid characterized by a condensation of an anionic bile salt intermediate with the polyamine, spermidine. This mol. is a potential host-defense agent in the shark, and provides insight into a new class of vertebrate antimicrobial mols.
IT **148717-90-2**, Squalamine
RL: PRP (Properties)
(mol. structure of)
RN 148717-90-2 CAPLUS
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L39 ANSWER 29 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1993:445050 CAPLUS
DOCUMENT NUMBER: 119:45050
TITLE: Squalamine: An aminosterol antibiotic from the shark
AUTHOR(S): Moore, Karen S.; Wehrli, Suzanne; Roder, Heinrich; Rogers, Mark; Forrest, John N., Jr.; McCrimmon, Donald; Zasloff, Michael
CORPORATE SOURCE: Div. Hum. Genet. Mol. Biol., Child. Hosp. Philadelphia, Philadelphia, PA, 19104, USA
SOURCE: Proceedings of the National Academy of Sciences of the United States of America (1993), 90(4), 1354-8
CODEN: PNASA6; ISSN: 0027-8424
DOCUMENT TYPE: Journal
LANGUAGE: English
AB In recent years, a variety of low mol. wt. antibiotics have been isolated from diverse animal species. These agents, which include peptides, lipids, and alkaloids, exhibit antibiotic activity against environmental microbes and are thought to play a role in innate immunity. The authors report here the discovery of a broad-spectrum steroidal antibiotic isolated from tissues of the dogfish shark Squalus acanthias. This water-sol. antibiotic, which the authors have named squalamine, exhibits potent bactericidal activity against both Gram-neg. and Gram-pos. bacteria. In addn., squalamine is fungicidal and induces osmotic lysis of protozoa. The chem. structure of the antibiotic 3.beta.-N-1[N-[3-(4-aminobutyl)]-1,3-diaminopropane)-7.alpha.,24.zeta.-dihydroxy-5.alpha.-cholestane 24-sulfate has been detd. by fast atom bombardment mass spectroscopy and NMR. Squalamine is a cationic steroid characterized by a condensation of an anionic bile salt intermediate with spermidine. The discovery of squalamine in the shark implicates a steroid as a potential host-defense agent in vertebrates and provides insights into the chem. design of a family of broad-spectrum antibiotics.
IT **148717-90-2**, Squalamine
RL: BIOL (Biological study)
(isolation from shark and as antibiotic)
RN 148717-90-2 CAPLUS
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



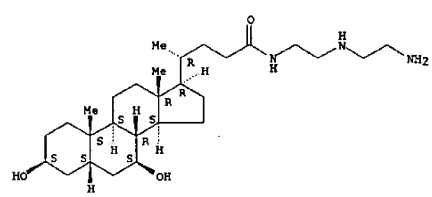
L39 ANSWER 29 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

L39 ANSWER 30 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1992:490587 CAPLUS
DOCUMENT NUMBER: 117:90587
TITLE: Ursodeoxycholyldiethylenetriaminetriacetic acid alkyl esters and their manufacture
INVENTOR(S): Takahashi, Makoto; Kakehi, Norihiko; Takagi, Jun; Sakakura, Hiroo
PATENT ASSIGNEE(S): Takahashi, Makoto, Japan; Tokyo Tanabe Seiyaku K. K.
SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04059790	A2	19920226	JP 1990-168363	19900628
JP 06035470	B4	19940511		

PRIORITY APPLN. INFO.: JP 1990-168363 19900628
OTHER SOURCE(S): MARPAT 117:90587
AB Title esters I (R1 = C1-5 alkyl; R2 = H, R1), useful as oral drugs for dissoln. of Ca-contg. gallstone, are manufd. by esterifying N''-ursodeoxycholyldiethylenetriamine-N,N,N'-triacetic acid (II) with R1OH or R3CHN2 (R3 = H, C1-4 alkyl) or esterifying tri-K salt of II with R1X (X = Cl, Br, I) or treating N-ursodeoxycholyldiethylenetriamine with XCH2CO2R1. Thus, refluxing a mixt. of II, MeOH, and concd. H2SO4 for 20 h gave 66% I (R1 = R2 = Me).
IT **142271-84-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with Me bromoacetate)
RN 142271-84-9 CAPLUS
CN Cholan-24-amide, N-[2-[(2-aminoethyl)amino]ethyl]-3,7-dihydroxy-, (3.beta.,5.beta.,7.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

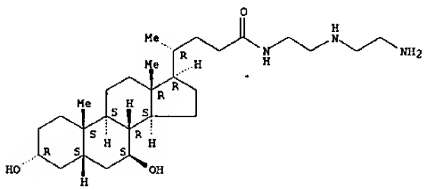


L39 ANSWER 31 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1991:583664 CAPLUS
DOCUMENT NUMBER: 115:183664
TITLE: Preparation of ursodeoxycholamide derivative as gallstone-dissolving agent
INVENTOR(S): Takahashi, Makoto; Maeda, Yorinobu; Kakehi, Norihiko
PATENT ASSIGNEE(S): Tokyo Tanabe Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03099095	A2	19910424	JP 1989-235799	19890913
JP 06035469	B4	19940511		

PRIORITY APPLN. INFO.: JP 1989-235799 19890913
OTHER SOURCE(S): MARPAT 115:183664
AB The title compd. I (R = CH2CO2H) (II) is prepd. by, e.g., reaction of triamine I (R = H) (III) with XCH2CO2H (X = Cl, Br, iodo). Excess H2NCH2CH2NHCH2CH2NH2 was added dropwise to 5.9 g Et ursodeoxycholyl carbonate in dioxane with stirring at 5-10.degree. to give 3.6 g III, which was treated with BrCH2CO2H in H2O with stirring at 50.degree. and pH 7.2, the mixt. was adjusted to pH 7.5-8.5 with 8% Na2CO3, cooled, and acidified to pH 2.5 to give 48.1% II, which dissolved 107.5 mg/dL CaCO3 at pH 7.4, vs. 11.1 mg/dL with glycochemodeoxycholic acid.
IT **136665-34-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of gallstone-dissolving agent)
RN 136665-34-4 CAPLUS
CN Cholan-24-amide, N-[2-[(2-aminoethyl)amino]ethyl]-3,7-dihydroxy-, (3.alpha.,5.beta.,7.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L39 ANSWER 32 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B

RN 118573-50-5 CAPLUS
CN 1,3-Propanediamine, N-(2-aminoethyl)-N'-[(3.beta.)-cholest-5-en-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

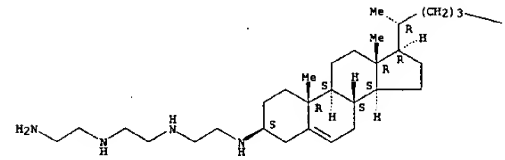
L39 ANSWER 32 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1991:108972 CAPLUS
DOCUMENT NUMBER: 114:108972
TITLE: Lamellar vesicles formed by cholesterol derivatives
INVENTOR(S): Li, Ming P.; Baldeschwieler, John D.
PATENT ASSIGNEE(S): California Institute of Technology, USA
SOURCE: U.S., 12 pp. Cont. of U.S. Ser. No. 720,957, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4971803	A	19901120	US 1988-259453	19881017

PRIORITY APPLN. INFO.: US 1985-720957 19850408
OTHER SOURCE(S): MARPAT 114:108972
AB Closed, unilamellar vesicles are spontaneously formed by adding a cholesteryl compd. substituted with a hydroxyl-terminated polyethylene oxide, contg. 1 to 4 ethylene oxide groups, to a polar liq. Multilamellar vesicles are formed by sonicating a cholesteryl compd. contg. polyethylene oxide or polyamine side-chains. The vesicles can be utilized to dispense polar, nonpolar or amphophilic compds. 3,6,9-Trioxaoctan-1-olcholesteryl-3.epsilon.-ol (I) was prepd. by refluxing under N a soln. of cholesteryl p-toluenesulfonate in dry dioxane, with an excess of triethylene glycol. Methotrexate (2.5 mg/kg i.p.), encapsulated in unsonicated I liposomes, increased to 29.1 days the av. survival time of hepatoma ascites-bearing mice. No increase in av. survival time was shown by free methotrexate (3 mg/kg; i.p.). Unlike phospholipid liposomes, the I liposomes form large multilamellar arrays, when sonicated.
IT **96860-17-2 118573-50-5**
RL: BIOL (Biological study)
(liposomes, for drug encapsulation)
RN 96860-17-2 CAPLUS
CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[2-[[(3.beta.)-cholest-5-en-3-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

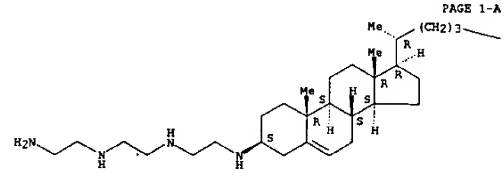
PAGE 1-A



L39 ANSWER 33 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1989:54032 CAPLUS
DOCUMENT NUMBER: 110:54032
TITLE: Alteration of immunolysis reaction on liposome membrane by various cholesterol analogs
AUTHOR(S): Glagasigij, Usa; Sato, Yukio; Suzuki, Yasuo
CORPORATE SOURCE: Pharm. Inst., Tohoku Univ., Sendai, 980, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1988), 36(10), 4192-9
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Complement-mediated immunolysis was employed to examine the effect of incorporation of various cholesterol analogs, having a terminal hydroxyl group or terminal primary amine group at the 3-position of the cholestene nucleus, into the bilayer membrane of haptenated reverse-phase evapn. vesicles. An enhancement of immunolysis was obsd. when triethoxycholesterol (I) was incorporated, while no measurable change was detected when the chain length of the substituted groups in hydroxy cholesterols was shorter than that of I. For amino cholesterol analogs, a remarkable decrease in immunolysis was seen. The results may arise from changes of membrane properties such as bilayer fluidity, lateral hapten mobility and complement fixation.
IT **96860-17-2 118573-50-5**
RL: ANST (Analytical study)
(haptenated liposomes modification by, complement immunolysis response to, structure in relation to)
RN 96860-17-2 CAPLUS
CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[2-[[(3.beta.)-cholest-5-en-3-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

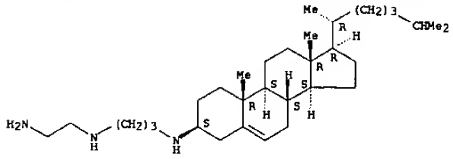


PAGE 1-B

RN 118573-50-5 CAPLUS
CN 1,3-Propanediamine, N-(2-aminoethyl)-N'-[(3.beta.)-cholest-5-en-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L39 ANSWER 33 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



L39 ANSWER 34 OF 37 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1988:75714 CAPLUS
DOCUMENT NUMBER: 108:75714
TITLE: Steroids and their cyclic hydrocarbon analogs with amino-containing sidechains, useful as antidiabetic agents and inhibitors of phospholipase A2
INVENTOR(S): Johnson, Roy A.; Bundy, Gordon L.; Youngdale, Gilbert A.; Morton, Douglas R.
PATENT ASSIGNEE(S): Upjohn Co. , USA
SOURCE: PCT Int. Appl., 177 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8702367	A2	19870423	WO 1986-US2116	19861007
WO 8702367	A3	19880630		
W: JP, US, US				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
EP 243449	A1	19871104	EP 1986-906569	19861007
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 63501217	T2	19880512	JP 1986-505710	19861007
US 4917826	A	19900417	US 1987-117851	19870616
US 5196542	A	19930323	US 1991-657721	19910220
US 5145874	A	19920908	US 1991-663037	19910225
US 5187299	A	19930216	US 1991-793486	19911113
US 5274089	A	19931228	US 1992-972693	19921106
US 5334712	A	19940802	US 1992-976751	19921116
US 5373095	A	19941213	US 1993-126153	19930923
US 5621123	A	19970415	US 1994-247169	19940520

PRIORITY APPLN. INFO.:
US 1985-788995 19851018
US 1986-843120 19860324
WO 1986-US2116 19861007
US 1987-117851 19870616
US 1989-394396 19890815
US 1991-657721 19910220
US 1991-657729 19910220
US 1991-793486 19911113
US 1992-972693 19921106
US 1992-976751 19921116

OTHER SOURCE(S): CASREACT 108:75714

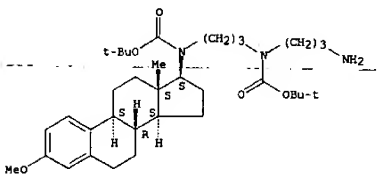
AB A wide variety of steroids and nonsteroidal analogs bearing amino-contg. sidechains were prepd. for use as antidiabetic agents and in the treatment or prevention of phospholipase A2-mediated conditions. Reductive amination of estrone Me ether with Me2N(CH2)3NH2 and HCO2H at 160-170.degree. gave N-[3-(dimethylamino)propyl]-N-formyl-3-methoxyestra-1,3,5(10)-trien-17.beta.-amine, which was reduced by LiAlH4 in dioxane to the N-Me deriv. This underwent Birch redn., followed by 3 recrystns. in Et2O-MeCN, to give estradienamine deriv. I. In the perfused guinea pig lung, I completely inhibited phospholipase A2 at 4 .times. 10-7 M.

IT **112663-41-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in synthesis of phospholipase A2-inhibiting

L39 ANSWER 34 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
amino steroids and analogs)

RN 112663-41-9 CAPLUS
CN Carbamic acid, (3-aminopropyl)[3-[[(1,1-dimethylethoxy)carbonyl][(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

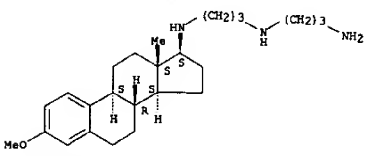
Absolute stereochemistry.



IT **112647-74-2P 112647-76-4P 112647-77-5P**
112647-80-0P 112647-81-1P 112647-83-3P
112647-84-4P 112647-85-5P 112647-86-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as phospholipase A2 inhibitor and/or antidiabetic agent)

RN 112647-74-2 CAPLUS
CN 1,3-Propanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



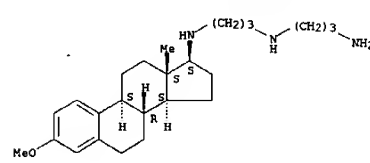
RN 112647-76-4 CAPLUS
CN Butanedioic acid, compd. with N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-1,3-propanediamine (3:1) (9CI) (CA INDEX NAME)

CM 1

CRN 112647-74-2
CMF C25 H41 N3 O

Absolute stereochemistry.

L39 ANSWER 34 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



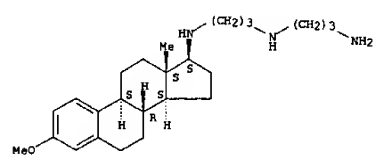
CM 2

CRN 110-15-6
CMF C4 H6 O4

$HO_2C-CH_2-CH_2-CO_2H$

RN 112647-77-5 CAPLUS
CN 1,3-Propanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

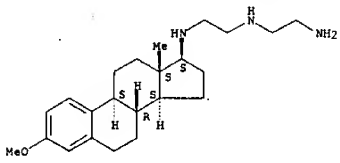


●3 HCl

RN 112647-80-0 CAPLUS
CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

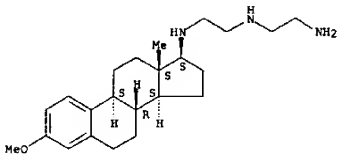
Absolute stereochemistry.

L39 ANSWER 34 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 112647-81-1 CAPLUS
CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

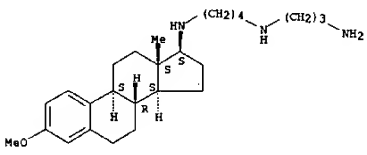
Absolute stereochemistry.



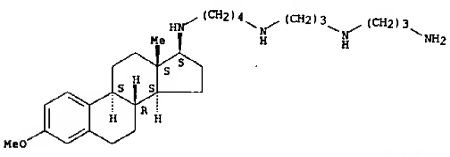
●3 HCl

RN 112647-83-3 CAPLUS
CN 1,4-Butanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



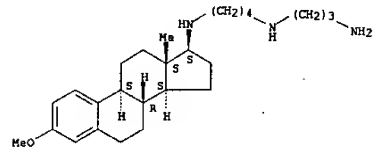
L39 ANSWER 34 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



●4 HCl

L39 ANSWER 34 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 112647-84-4 CAPLUS
CN 1,4-Butanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

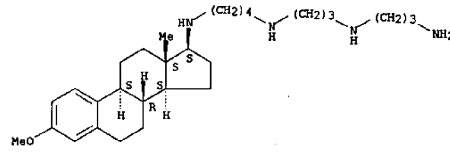
Absolute stereochemistry.



●3 HCl

RN 112647-85-5 CAPLUS
CN 1,4-Butanediamine, N-[3-[(3-aminopropyl)amino]propyl]-N-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 112647-86-6 CAPLUS
CN 1,4-Butanediamine, N-[3-[(3-aminopropyl)amino]propyl]-N-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, tetrahydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L39 ANSWER 35 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1986:553396 CAPLUS
DOCUMENT NUMBER: 105:153396
TITLE: Estracyt compounds bound to anticancer agents
INVENTOR(S): Yoshida, Masaru; Asano, Masaharu; Kaetsu, Isao; Yamanaka, Eiju; Nakai, Katsuyuki; Yuasa, Hisako; Shida, Keizo
PATENT ASSIGNEE(S): Japan Atomic Energy Research Institute, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 61007292	A2	19860113	JP 1984-127117	19840620
US 4584136	A	19860422	US 1985-707219	19850301

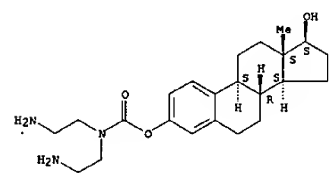
PRIORITY APPLN. INFO.: JP 1984-127117 19840620

AB Compds. of Estracyt (I), with one or both of the Cl atoms of I replaced by NH2, are treated with anticancer agents contg. .gtoreq.1 such functional groups as CO2H, Cl, NH2, and/or OH to form the functionalized derivs. The complex derivs. were useful in treating prostate gland cancer. Thus, heating 0.5 g I with NH4OH in phosphate buffer soln. (pH 7.2) at 50.degree. gave the aminated I deriv., which was treated with a soln. of 50 mg doxorubicin-HCl (II) in the buffer soln. (pH 7.2) contg. 0.1% glutaraldehyde at 40.degree. to give a complex of I with II, which at 70 .mu.g/kg effected a 40% shrinkage of prostate glands in Wistar rats in vitro.

IT **104448-80-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, with anticancer agent)

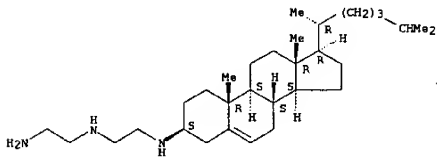
RN 104448-80-8 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol (17.beta.)-, 3-[bis(2-aminoethyl)carbamate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L39 ANSWER 36 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1985:411328 CAPLUS
DOCUMENT NUMBER: 103:11328
TITLE: Modification of vesicle surfaces with amphiphilic sterols. Effect on permeability and in vivo tissue distribution
AUTHOR(S): Patel, K. R.; Li, M. P.; Schuh, J. R.; Baldeschwieler, J. D.
CORPORATE SOURCE: Div. Chem. Chem. Eng., California Inst. Technol., Pasadena, CA, 91125, USA
SOURCE: Biochimica et Biophysica Acta (1985), 814(2), 256-64
CODEN: BBACAQ; ISSN: 0006-3002
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The permeability of vesicles prepd. with various synthetic cholesterol derivs. [I where R = O(CH2)2OH, NH(CH2)2NH2, etc.], is described. Cholesterol derivs. with side-chains ending in hydroxyl groups reduced the permeability of unilamellar vesicles. However, addn. of cholesterol derivs. with terminal amino groups make the vesicles more permeable. Vesicles prepd. with a short-chain aminocholesterol deriv. were less permeable in phosphate-buffered saline, but not in bovine serum, whereas long-chain aminocholesterol-contg. vesicles were very permeable in both media. Studies in vivo indicate a rapid clearance rate for i.v. administered aminocholesterol-contg. vesicles with a concomitant increase in liver uptake. However, no difference was found in either the clearance or tissue distribution of control vesicles and the less permeable hydroxylcholesterol-contg. vesicles.
IT **96860-16-1 96860-17-2**
RL: BIOL (Biological study)
(liposomes contg., metab. and permeability of)
RN 96860-16-1 CAPLUS
CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[(3.beta.)-cholest-5-en-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

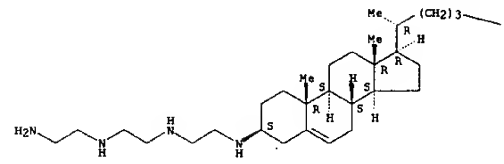


RN 96860-17-2 CAPLUS
CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[2-[[(3.beta.)-cholest-5-en-3-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L39 ANSWER 36 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

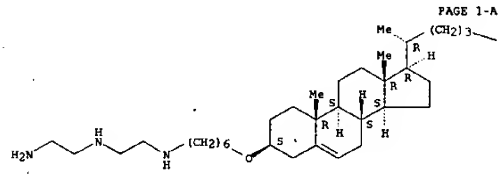


PAGE 1-B

L39 ANSWER 37 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1983:536168 CAPLUS
DOCUMENT NUMBER: 99:136168
TITLE: The retention and distribution in the rabbit knee of a radionuclide complexed with a lipophilic chelator in liposomes
AUTHOR(S): Bard, David R.; Knight, C. G.; Page-Thomas, D. P.
CORPORATE SOURCE: Strangeways Res. Lab., Cambridge, CB1 4RN, UK
SOURCE: Clinical and Experimental Rheumatology (1983), 1(2), 113-17
CODEN: CERHDP; ISSN: 0392-856X
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The lipophilic chelator, 3-cholesteryl 6-[N1-iminobis(ethylenenitrilo)-tetraacetic acid]hexyl ether (I), which can complex with a variety of .beta.-emitting radionuclides was synthesized and incorporated into the lipid phase of liposomes. The retention in the synovial cavities of rabbit knees of liposomes contg. I complexed with the .gamma.-emitting tracer 51Cr, was measured over a period of 21 days and compared with colloidal and water-sol. prepns. The distribution of the radionuclide between the tissues of the joint was also exam'd. Results show retention of 51Cr delivered in chelator liposomes to be >99% after 24h. At this time, >93% of the radioactivity had become assocd. with the synovium. Thus, chelator liposomes appear to offer considerable promise as vehicles for radioisotopes in radiosynovectomy.
IT **87259-25-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with diethylene triamine and cholesteryl iodohexyl ether)
RN 87259-25-4 CAPLUS
CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[6-[[(3.beta.)-cholest-5-en-3-yl]oxy]hexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

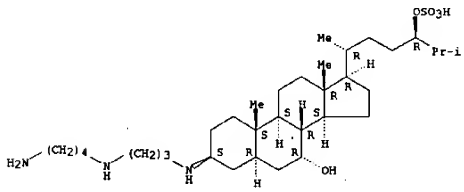
=> d ibib ab hitstr 1-40

L41 ANSWER 1 OF 40 USPATFULL
ACCESSION NUMBER: 1998:157363 USPATFULL
TITLE: Peripherally active anti-hyperalgesic opiates
INVENTOR(S): Yaksh, Tony L., San Diego, CA, United States
PATENT ASSIGNEE(S): Regents of the University of California, Oakland, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5849761		19981215
APPLICATION INFO.:	US 1995-528510		19950912 (8)

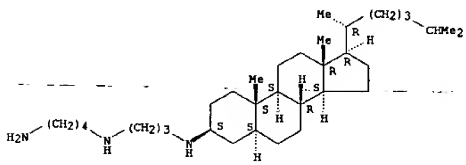
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Spivack, Phyllis G.
LEGAL REPRESENTATIVE: Seidman, Stephanie L.Heller Ehrman White & McAuliffe
NUMBER OF CLAIMS: 11
EXEMPLARY CLAIM: 1
LINE COUNT: 3472
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Methods using compositions for the treatment of peripheral hyperalgesia are provided. The compositions contain an anti-hyperalgesia effective amount of one or more compounds that directly or indirectly interact with peripheral opiate receptors, but that do not, upon topical or local administration, elicit central nervous system side effects. The anti-diarrheal compound 4-(p-chlorophenyl)-4-hydroxy-N-N-dimethyl-.alpha.,.alpha.-diphenyl-1-piperidinebutyramide hydrochloride is preferred for use in the compositions of the claimed methods.
IT **148717-90-2**, Squalamine
(peripherally active anti-hyperalgesic opiates)
RN 148717-90-2 USPATFULL
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



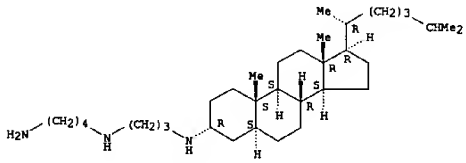
L41 ANSWER 2 OF 40 USPATFULL (Continued)
RN 160348-64-1 USPATFULL
CN 1,4-Butanediamine, N-[3-[[(3.beta.,5.alpha.)-cholestan-3-yl]amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



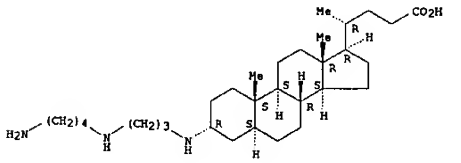
RN 160348-65-2 USPATFULL
CN 1,4-Butanediamine, N-[3-[[(3.alpha.,5.alpha.)-cholestan-3-yl]amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160348-66-3 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

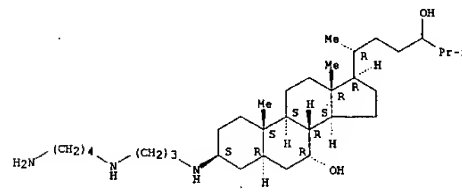


L41 ANSWER 2 OF 40 USPATFULL
ACCESSION NUMBER: 1998:154470 USPATFULL
TITLE: Certain aminosterol compounds and pharmaceutical compositions including these compounds
INVENTOR(S): Zasloff, Michael, Merion Station, PA, United States
Shinnar, Ann, Teaneck, NJ, United States
Kinney, William, Churchville, PA, United States
Jones, Steven, West Chester, PA, United States
PATENT ASSIGNEE(S): Magainin Pharmaceuticals Inc., Plymouth Meeting, PA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5847172		19981208
APPLICATION INFO.:	US 1995-487443		19950607 (8)

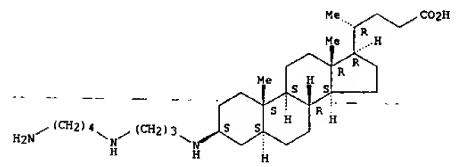
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Prior, Kimberly J.
LEGAL REPRESENTATIVE: Finnegan, Henderson, Farabow, Garrett & Dunner, L.L.P.
NUMBER OF CLAIMS: 10
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 27 Drawing Figure(s); 20 Drawing Page(s)
LINE COUNT: 3533
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Aminosterol compounds are described that are useful as inhibitors of the sodium/proton exchanger (NHE). Methods of using such aminosterols compounds are also enclosed, including those employing compounds that are inhibitors of a spectrum of NHEs as well as those using compounds that are inhibitors of only one specific NHE. Advantageous screening techniques and assays for evaluating a compound's therapeutic activity are also disclosed.
IT **159791-14-7P 160348-64-1P 160348-65-2P**
160348-66-3P 160348-67-4P 160348-70-9P
160348-90-3P 160348-91-4P
(prepn. of polyaminosteroids as bactericides and antifungals)
RN 159791-14-7 USPATFULL
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



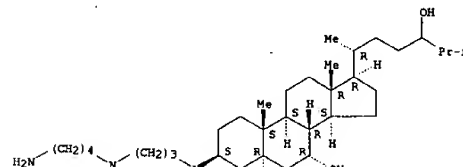
L41 ANSWER 2 OF 40 USPATFULL (Continued)
RN 160348-67-4 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160348-70-9 USPATFULL
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, trihydrochloride, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

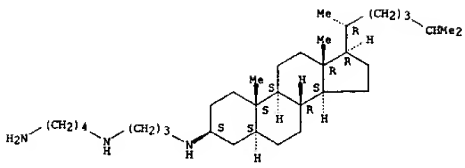


●3 HCl

RN 160348-90-3 USPATFULL
CN 1,4-Butanediamine, N-[3-[[(3.beta.,5.alpha.)-cholestan-3-yl]amino]propyl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

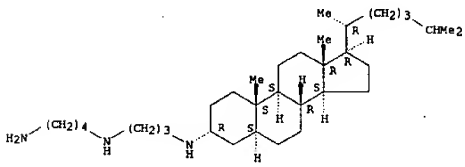
L41 ANSWER 2 OF 40 USPATFULL (Continued)



●3 HCl

RN 160348-91-4 USPATFULL
CN 1,4-Butanediamine, N-[3-[[(3.alpha.,5.alpha.)-cholestan-3-yl]amino]propyl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

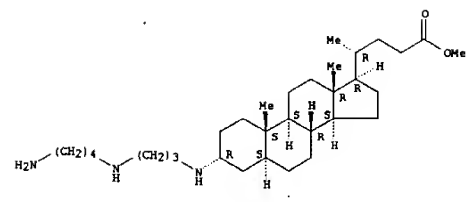


●3 HCl

IT **160348-77-6P 160348-78-7P**
(prepn. of polyaminosteroids as bactericides and antifungals)
RN 160348-77-6 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, methyl ester, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

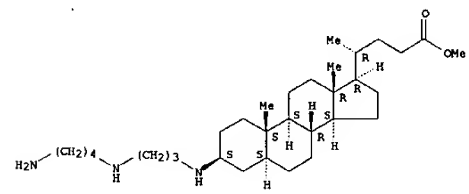
Absolute stereochemistry.

L41 ANSWER 2 OF 40 USPATFULL (Continued)



RN 160348-78-7 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, methyl ester, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L41 ANSWER 3 OF 40 USPATFULL

ACCESSION NUMBER:	1998:147645 USPATFULL
TITLE:	Aminosterol compounds useful as inhibitors of the sodium/proton exchanger (NHE)
INVENTOR(S):	Zasloff, Michael, Merion Station, PA, United States Shinnar, Ann, Teaneck, NJ, United States Rao, Meena, Horsham, PA, United States Kinney, William, Churchville, PA, United States
PATENT ASSIGNEE(S):	Magainin Pharmaceuticals Inc., Plymouth Meeting, PA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5840936		19981124
APPLICATION INFO.:	US 1995-475572		19950607 (8)

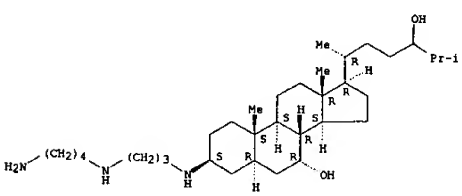
DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Geist, Gary
ASSISTANT EXAMINER:	Frazier, Barbara S.
LEGAL REPRESENTATIVE:	Finnegan, Henderson, Farabow, Garrett & Dunner, L.L.P.
NUMBER OF CLAIMS:	10
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	27 Drawing Figure(s); 20 Drawing Page(s)
LINE COUNT:	3497

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Aminosterol compounds are described that are useful as inhibitors of the sodium/proton exchanger (NHE). Methods of using such aminosterols compounds are also enclosed, including those employing compounds that are inhibitors of a spectrum of NHEs as well as those using compounds that are inhibitors of only one specific NHE. Advantageous screening techniques and assays for evaluating a compound's therapeutic activity are also disclosed.

IT **159791-14-7P 160348-64-1P 160348-65-2P**
160348-66-3P 160348-67-4P 160348-70-9P
160348-90-3P 160348-91-4P
(prepn. of polyaminosteroids as bactericides and antifungals)
RN 159791-14-7 USPATFULL
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

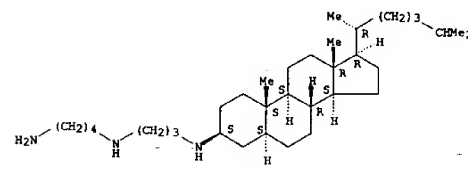
Absolute stereochemistry.



RN 160348-64-1 USPATFULL
CN 1,4-Butanediamine, N-[3-[[(3.beta.,5.alpha.)-cholestan-3-yl]amino]propyl]- (9CI) (CA INDEX NAME)

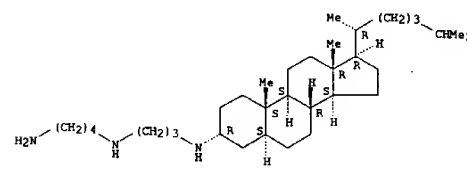
L41 ANSWER 3 OF 40 USPATFULL (Continued)

Absolute stereochemistry.



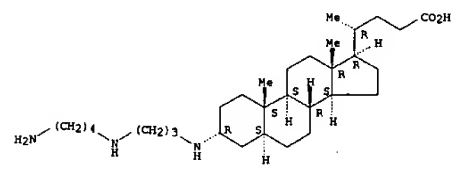
RN 160348-65-2 USPATFULL
CN 1,4-Butanediamine, N-[3-[[(3.alpha.,5.alpha.)-cholestan-3-yl]amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160348-66-3 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

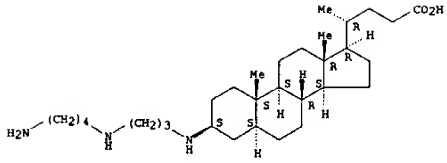
Absolute stereochemistry.



RN 160348-67-4 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

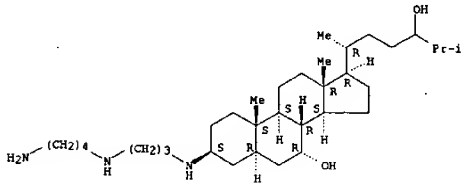
L41 ANSWER 3 OF 40 USPATFULL (Continued)

Absolute stereochemistry.



RN 160348-70-9 USPATFULL
CN Cholestane-7,24-diol, 3-[[3-[[(4-aminobutyl)amino]propyl]amino]-, trihydrochloride, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

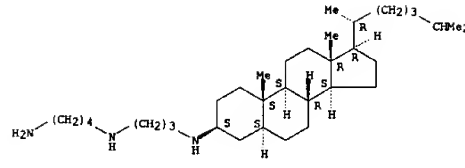


●3 HCl

RN 160348-90-3 USPATFULL
CN 1,4-Butanediamine, N-[3-[[(3.beta.,5.alpha.)-cholestan-3-yl]amino]propyl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

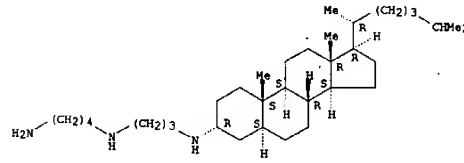
L41 ANSWER 3 OF 40 USPATFULL (Continued)



●3 HCl

RN 160348-91-4 USPATFULL
CN 1,4-Butanediamine, N-[3-[[(3.alpha.,5.alpha.)-cholestan-3-yl]amino]propyl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

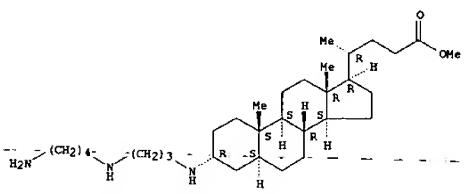


●3 HCl

IT **160348-77-6P 160348-78-7P**
(prepn. of polyaminosteroids as bactericides and antifungals)
RN 160348-77-6 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, methyl ester, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

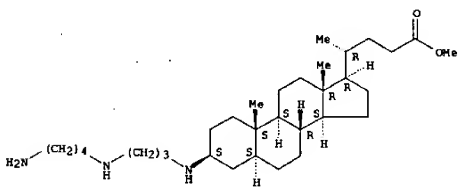
Absolute stereochemistry.

L41 ANSWER 3 OF 40 USPATFULL (Continued)



RN 160348-78-7 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[[(4-aminobutyl)amino]propyl]amino]-, methyl ester, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L41 ANSWER 4 OF 40 USPATFULL

ACCESSION NUMBER:	1998:147455 USPATFULL		
TITLE:	Aminosterol compounds and a method of treating infection using the aminosterol compounds		
INVENTOR(S):	Zasloff, Michael, Merion Station, PA, United States Shinnar, Ann, Teaneck, NJ, United States Kinney, William, Churchville, PA, United States Rao, Meena, Horsham, PA, United States		
PATENT ASSIGNEE(S):	Magainin Pharmaceuticals Inc., Plymouth Meeting, PA, United States (U.S. corporation)		
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5840740		19981124
APPLICATION INFO.:	US 1995-483059		19950607 (8)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Dees, Jose G.		
ASSISTANT EXAMINER:	Badio, Barbara		
LEGAL REPRESENTATIVE:	Finnegan, Henderson, Farabow, Garrett & Dunner		
NUMBER OF CLAIMS:	16		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	27 Drawing Figure(s); 20 Drawing Page(s)		
LINE COUNT:	3513		

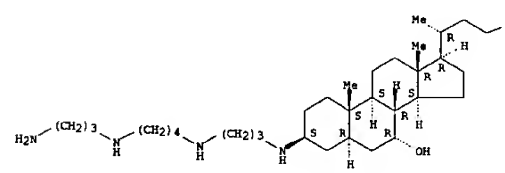
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Disclosed are aminosterol compounds 1360 and 1361: ##STR1## which can be obtained in isolated or purified form from the liver of the dogfish shark.

IT **186139-09-3P**
(isolation, prepn., and Na+-H+ exchanger-inhibiting activity of aminosterols)
RN 186139-09-3 USPATFULL
CN Cholestane-7,24-diol, 3-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



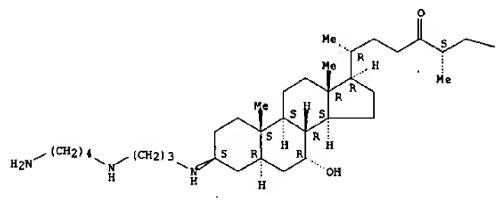
L41 ANSWER 4 OF 40 USPATFULL (Continued)

PAGE 1-B

IT **186139-06-0P 186139-08-2P 186139-11-7P**
(isolation, prepn., and Na+-H+ exchanger-inhibiting activity of aminosterols)
RN 186139-06-0 USPATFULL
CN Cholestan-24-one, 3-[[3-[[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-26-(sulfooxy)-, (3.beta.,5.alpha.,7.alpha.,25S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

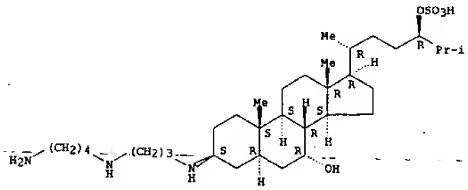


PAGE 1-B

RN 186139-08-2 USPATFULL
CN Cholest-25-en-24-one, 3-[[3-[[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

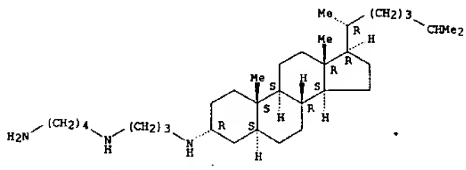
Absolute stereochemistry.

L41 ANSWER 4 OF 40 USPATFULL (Continued)



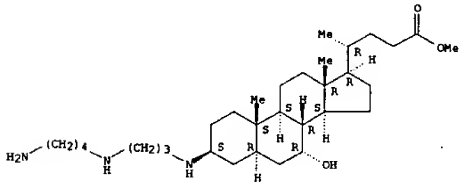
RN 160348-65-2 USPATFULL
CN 1,4-Butanediamine, N-[3-[[(3.alpha.,5.alpha.)-cholestan-3-yl]amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



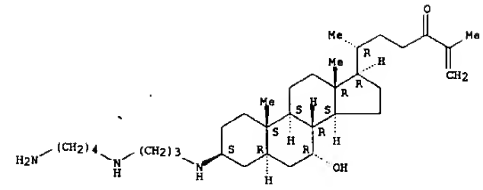
RN 177745-18-5 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-, methyl ester, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



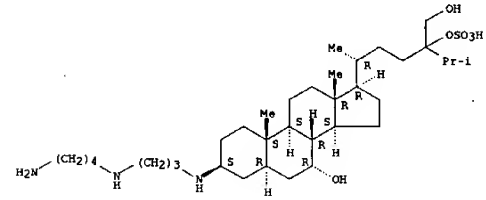
IT **171252-30-5P**, 3-Episqualamine **177745-17-4P**

L41 ANSWER 4 OF 40 USPATFULL (Continued)



RN 186139-11-7 USPATFULL
CN Ergostane-7,24,28-triol, 3-[[3-[[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24.xi.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

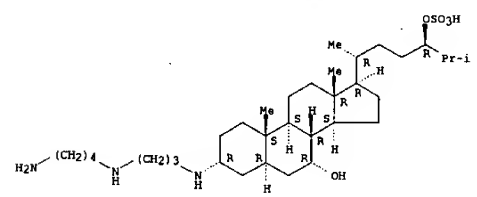


IT **148717-90-2P**, Squalamine **160348-65-2P**
177745-18-5P
(isolation, prepn., and Na+-H+ exchanger-inhibiting activity of aminosterols)
RN 148717-90-2 USPATFULL
CN Cholestane-7,24-diol, 3-[[3-[[(4-aminobutyl)amino]propyl]amino)-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

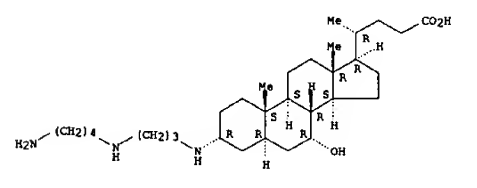
L41 ANSWER 4 OF 40 USPATFULL (Continued)
163867-19-8P 163867-20-1P 163867-22-3P
186139-13-9P 186139-15-1P 186139-18-4P
186139-20-8P 186139-26-4P 186139-28-6P
186139-30-0P 186139-32-2P 186139-38-8P
186139-40-2P 186139-47-9P 186139-48-0P
186139-52-6P 186139-53-7P 186139-55-9P
186139-58-2P 186139-59-3P 186139-61-7P
186139-77-5P
(isolation, prepn., and Na+-H+ exchanger-inhibiting activity of aminosterols)
RN 171252-30-5 USPATFULL
CN Cholestane-7,24-diol, 3-[[3-[[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.alpha.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 177745-17-4 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-, (3.alpha.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

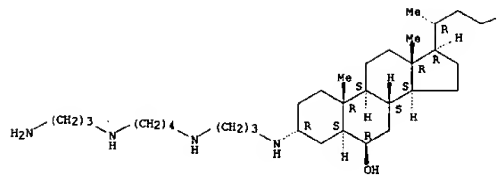


RN 183867-19-8 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-6-hydroxy-, methyl ester, (3.alpha.,5.alpha.,6.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 4 OF 40 USPATFULL (Continued)

PAGE 1-A

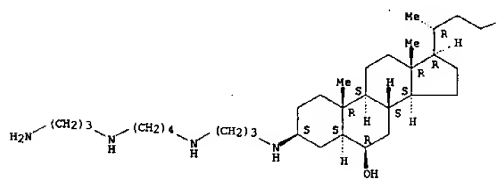


PAGE 1-B

RN 183867-20-1 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-6-hydroxy-, methyl ester, (3.beta.,5.alpha.,6.beta.)- (9CI) (CA INDEX NAME)

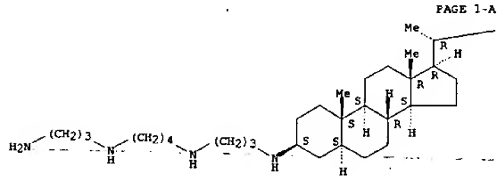
Absolute stereochemistry.

PAGE 1-A



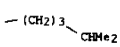
L41 ANSWER 4 OF 40 USPATFULL (Continued)

PAGE 1-A



●4 HCl

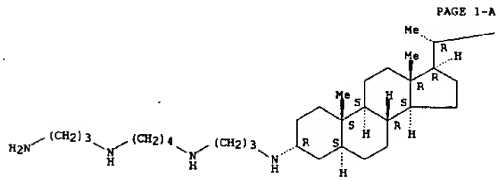
PAGE 1-B



RN 186139-15-1 USPATFULL
CN 1,4-Butanediamine, N-(3-aminopropyl)-N'-[3-[[(3.alpha.,5.alpha.)-cholestan-3-yl]amino]propyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)

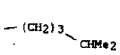
Absolute stereochemistry.

PAGE 1-A



●4 HCl

PAGE 1-B



RN 186139-18-4 USPATFULL

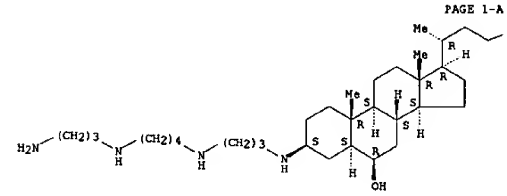
L41 ANSWER 4 OF 40 USPATFULL (Continued)

PAGE 1-B

RN 183867-22-3 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-6-hydroxy-, (3.beta.,5.alpha.,6.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

RN 186139-13-9 USPATFULL
CN 1,4-Butanediamine, N-(3-aminopropyl)-N'-[3-[[(3.beta.,5.alpha.)-cholestan-3-yl]amino]propyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)

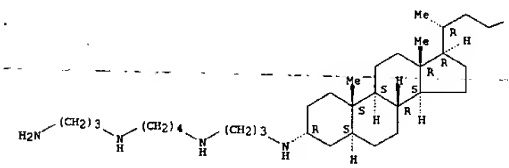
Absolute stereochemistry.

L41 ANSWER 4 OF 40 USPATFULL (Continued)

CN Cholan-24-oic acid, 3-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-, methyl ester, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

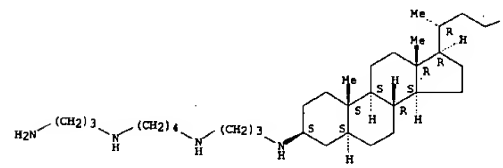


PAGE 1-B

RN 186139-20-8 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-, methyl ester, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

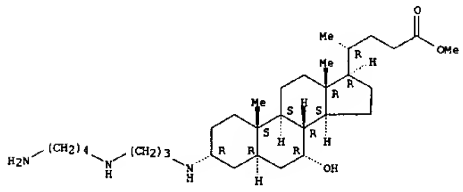


PAGE 1-B

L41 ANSWER 4 OF 40 USPATFULL (Continued)

RN 186139-26-4 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-, methyl ester, trihydrochloride, (3.alpha.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

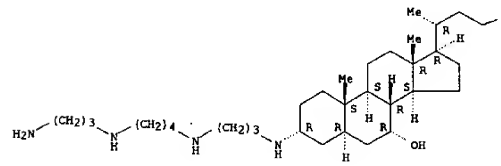


●3 HCl

RN 186139-28-6 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-7-hydroxy-, methyl ester, tetrahydrochloride, (3.alpha.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



●4 HCl

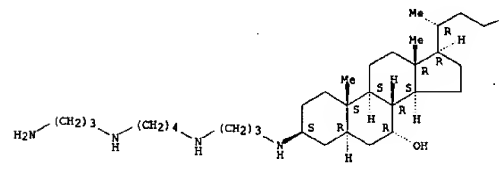
L41 ANSWER 4 OF 40 USPATFULL (Continued)

PAGE 1-B

RN 186139-30-0 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-7-hydroxy-, methyl ester, tetrahydrochloride, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



●4 HCl

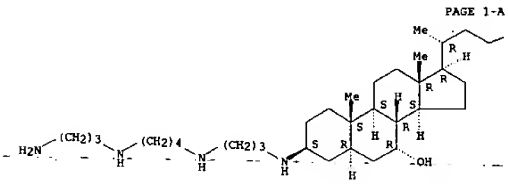
PAGE 1-B

RN 186139-32-2 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-7-hydroxy-, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 4 OF 40 USPATFULL (Continued)

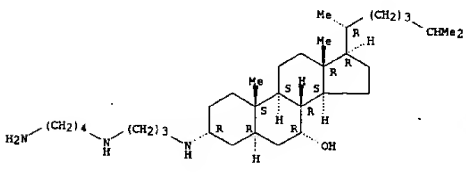
PAGE 1-A



PAGE 1-B

RN 186139-38-8 USPATFULL
CN Cholestan-7-ol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, trihydrochloride, (3.alpha.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

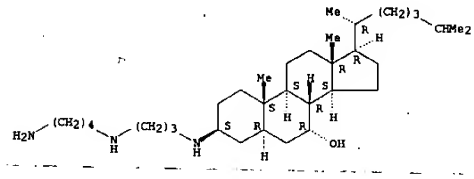


●3 HCl

RN 186139-40-2 USPATFULL
CN Cholestan-7-ol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, trihydrochloride, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

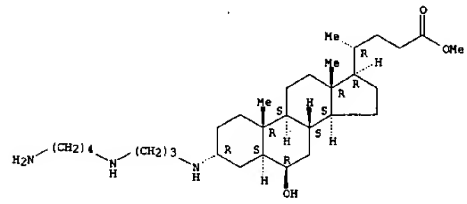
L41 ANSWER 4 OF 40 USPATFULL (Continued)



●3 HCl

RN 186139-47-9 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-6-hydroxy-, methyl ester, (3.alpha.,5.alpha.,6.beta.)- (9CI) (CA INDEX NAME)

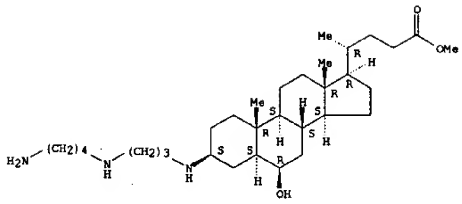
Absolute stereochemistry.



RN 186139-48-0 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-6-hydroxy-, methyl ester, (3.beta.,5.alpha.,6.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

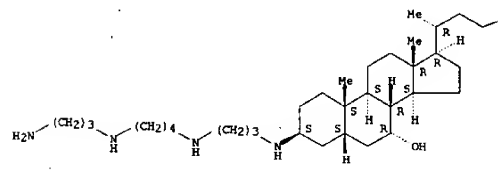
L41 ANSWER 4 OF 40 USPATFULL (Continued)



RN 186139-52-6 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-7-hydroxy-, methyl ester, (3.beta.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

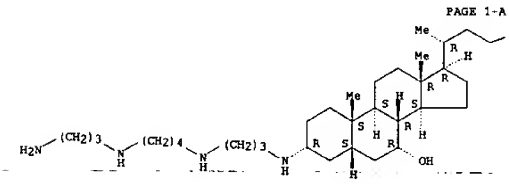


PAGE 1-B

RN 186139-53-7 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-, methyl ester, (3.beta.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

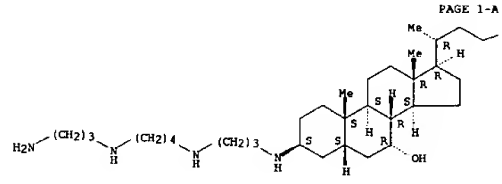
L41 ANSWER 4 OF 40 USPATFULL (Continued)



PAGE 1-B

RN 186139-59-3 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-7-hydroxy-, (3.beta.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

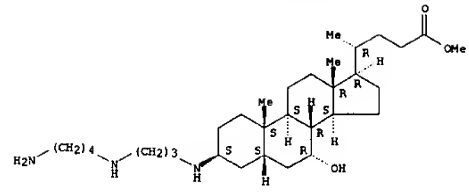


PAGE 1-B

RN 186139-61-7 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-12-hydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

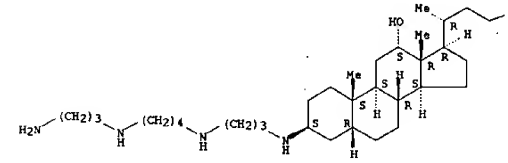
L41 ANSWER 4 OF 40 USPATFULL (Continued)



RN 186139-55-9 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-12-hydroxy-, methyl ester, (3.beta.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

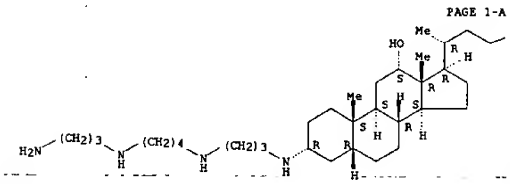


PAGE 1-B

RN 186139-58-2 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-7-hydroxy-, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

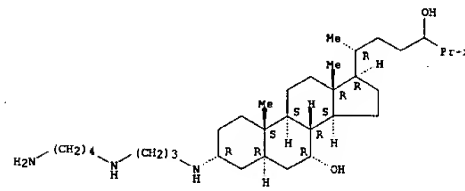
L41 ANSWER 4 OF 40 USPATFULL (Continued)



PAGE 1-B

RN 186139-77-5 USPATFULL
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.alpha.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

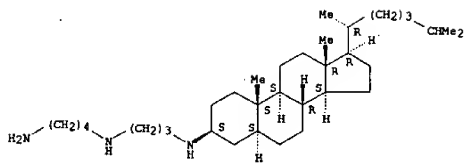
Absolute stereochemistry.



IT 160348-64-1 160348-66-3 160348-67-4
186139-61-1
(isolation, prepn., and Na+-H+ exchanger-inhibiting activity of aminosterols)
RN 160348-64-1 USPATFULL
CN 1,4-Butanediamine, N-[3-[[(3.beta.,5.alpha.)-cholestan-3-yl]amino]propyl]- (9CI) (CA INDEX NAME)

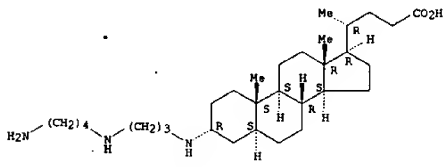
Absolute stereochemistry.

L41 ANSWER 4 OF 40 USPATFULL (Continued)



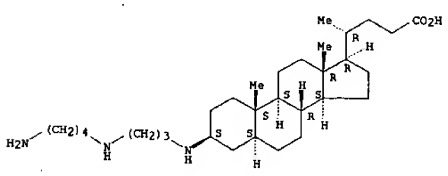
RN 160348-66-3 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



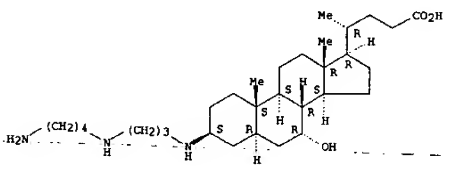
RN 160348-67-4 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



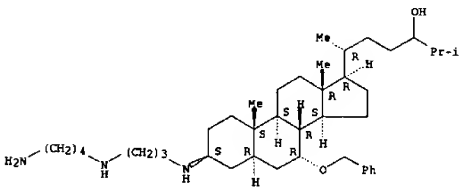
RN 186139-81-1 USPATFULL

L41 ANSWER 4 OF 40 USPATFULL (Continued)



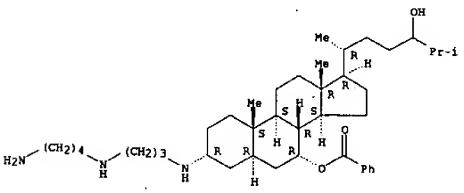
RN 186139-68-4 USPATFULL
CN Cholestan-24-ol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-(phenylmethoxy)-, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 186139-75-3 USPATFULL
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 7-benzoate, (3.alpha.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

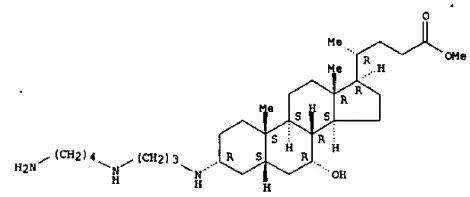
Absolute stereochemistry.



RN 186139-76-4 USPATFULL

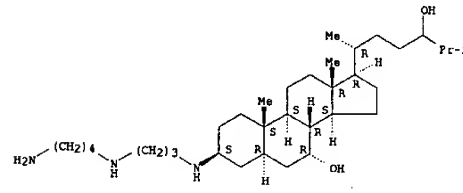
L41 ANSWER 4 OF 40 USPATFULL (Continued)
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-, methyl ester, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **159791-14-7P 177745-16-3P 186139-68-4P**
186139-75-3P 186139-76-4P 186139-78-6P
(isolation, prepn., and Na+-H+ exchanger-inhibiting activity of aminosterols)
RN 159791-14-7 USPATFULL
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

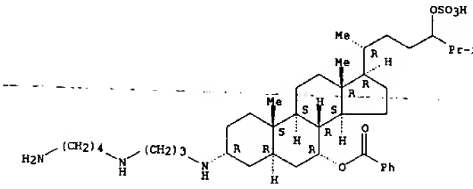


RN 177745-16-3 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

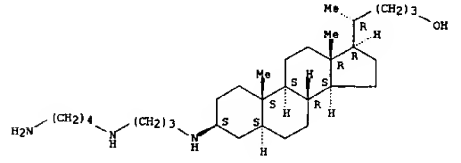
L41 ANSWER 4 OF 40 USPATFULL (Continued)
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 7-benzoate 24-(hydrogen sulfate), (3.alpha.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 186139-78-6 USPATFULL
CN Cholan-24-ol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, trihydrochloride, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

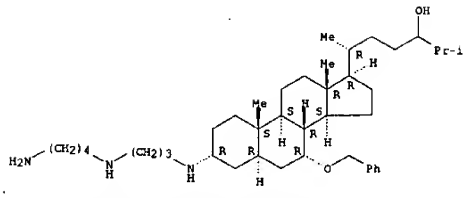


●3 HCl

IT **186139-69-5P**
(isolation, prepn., and Na+-H+ exchanger-inhibiting activity of aminosterols)
RN 186139-69-5 USPATFULL
CN Cholestan-24-ol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-(phenylmethoxy)-, (3.alpha.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 4 OF 40 USPATFULL (Continued)

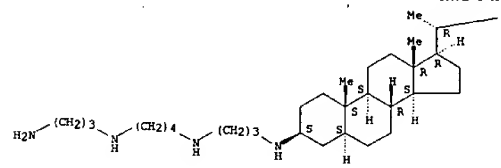


IT **177745-14-1P 186139-46-8P 186139-74-2P**
186139-80-0P 186139-82-2P 186139-83-3P
186139-84-4P 186139-85-5P
(isolation, prepn., and Na+-H+ exchanger-inhibiting activity of aminosterols)

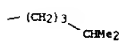
RN 177745-14-1 USPATFULL
CN 1,4-Butanediamine, N-(3-aminopropyl)-N'-[3-[[(3.beta.,5.alpha.)-cholestan-3-yl]amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



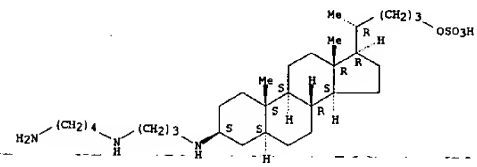
PAGE 1-B



RN 186139-46-8 USPATFULL
CN Cholane-24-carboxylic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 4 OF 40 USPATFULL (Continued)

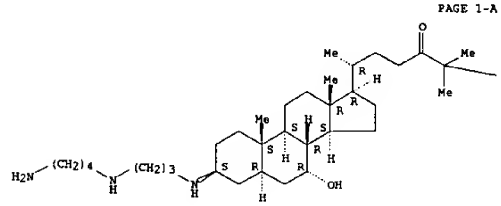


● K

RN 186139-82-2 USPATFULL
CN Cholestan-24-one, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-25-(sulfooxy)-, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

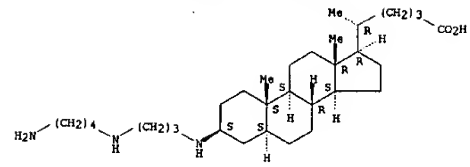


PAGE 1-B

RN 186139-83-3 USPATFULL
CN Cholestane-7,24,25-triol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 25-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

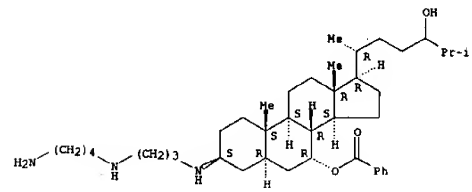
Absolute stereochemistry.

L41 ANSWER 4 OF 40 USPATFULL (Continued)



RN 186139-74-2 USPATFULL
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 7-benzoate, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

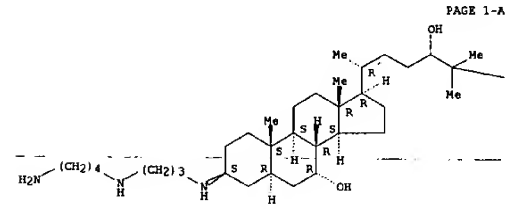


RN 186139-80-0 USPATFULL
CN Cholan-24-ol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, hydrogen sulfate (ester), monopotassium salt, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 4 OF 40 USPATFULL (Continued)

PAGE 1-A

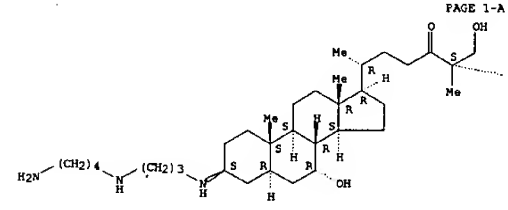


PAGE 1-B

RN 186139-84-4 USPATFULL
CN Cholestan-24-one, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7,26-dihydroxy-25-(sulfooxy)-, (3.beta.,5.alpha.,7.alpha.,25S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



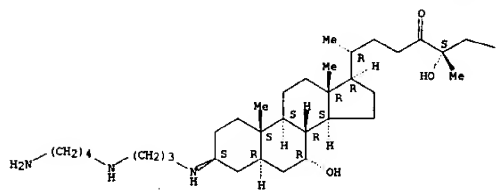
PAGE 1-B

RN 186139-85-5 USPATFULL

L41 ANSWER 4 OF 40 USPATFULL (Continued)
CN Cholestan-24-one, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7,25-dihydroxy-26-(sulfooxy)-, (3.beta.,5.alpha.,7.alpha.,25S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

L41 ANSWER 5 OF 40 USPATFULL

ACCESSION NUMBER:	1998:147425 USPATFULL
TITLE:	Cationic amphiphiles containing ester or ether-linked lipophilic groups for intracellular delivery of therapeutic molecules
INVENTOR(S):	Lee, Edward R., Quincy, MA, United States Harris, David J., Lexington, MA, United States Siegel, Craig S., Woburn, MA, United States Lane, Mathieu B., Cambridge, MA, United States Hubbard, Shirley C., Belmont, MA, United States Cheng, Seng H., Wellesley, MA, United States Eastman, Simon J., Marlboro, MA, United States Marshall, John, Milford, MA, United States Scheule, Ronald K., Hopkinton, MA, United States
PATENT ASSIGNEE(S):	Genzyme Corporation, Framingham, MA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5840710		19981124
APPLICATION INFO.:	US 1995-546087		19951020 (8)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1995-540867, filed on 11 Oct 1995 which is a continuation-in-part of Ser. No. US 1994-352479, filed on 9 Dec 1994, now patented, Pat. No. US 5650096		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Campbell, Bruce R.		
LEGAL REPRESENTATIVE:	Finnegan, Henderson, Farabow, Garrett & Dunner, L.L.P.		
NUMBER OF CLAIMS:	36		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	26 Drawing Figure(s); 22 Drawing Page(s)		
LINE COUNT:	2972		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel cationic amphiphiles are provided that facilitate transport of biologically active (therapeutic) molecules into cells. The amphiphiles contain lipophilic groups derived from steroids, from mono or dialkylamines, or from alkyl or acyl groups; and cationic groups, protonatable at physiological pH, derived from amines, alkylamines or polyalkylamines. There are provided also therapeutic compositions prepared typically by contacting a dispersion of one or more cationic amphiphiles with the therapeutic molecules. Therapeutic molecules that can be delivered into cells according to the practice of the invention include DNA, RNA, and polypeptides. Representative uses of the therapeutic compositions of the invention include providing gene therapy, and delivery of antisense polynucleotides or biologically active polypeptides to cells. With respect to therapeutic compositions for gene therapy, the DNA is provided typically in the form of a plasmid for complexing with the cationic amphiphile.

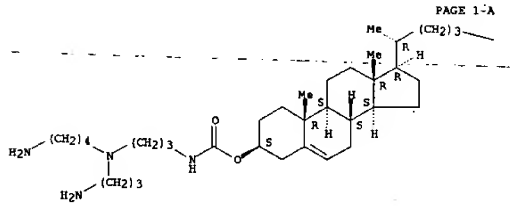
Novel and highly effective plasmid constructs are also disclosed, including those that are particularly effective at providing gene therapy for clinical conditions complicated by inflammation. Additionally, targeting of organs for gene therapy by intravenous administration of therapeutic compositions is described.

IT **179075-37-7P**
(prepn. of cationic amphiphiles contg. ester or ether-linked lipophilic

L41 ANSWER 5 OF 40 USPATFULL (Continued)
groups for intracellular delivery of therapeutic mols.)
RN 179075-37-7 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [3-[(4-aminobutyl)(3-aminopropyl)amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



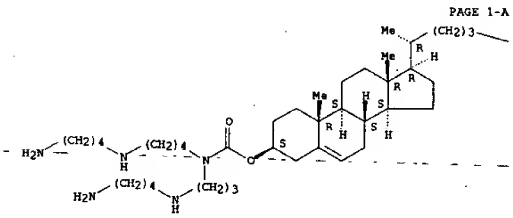
PAGE 1-B

IT **179074-99-8P 179075-01-5P 179075-04-8P**
179075-25-3P 179075-29-7P 179075-30-0P
179075-31-1P 179075-32-2P 179075-33-3P
179075-34-4P 179075-36-6P 179075-40-2P
179075-41-3P 179075-45-7P 179075-48-0P
216103-76-3P 216103-77-4P 216103-78-5P
216103-79-6P 216103-80-9P 216103-81-0P
216103-82-1P
(prepn. of cationic amphiphiles contg. ester or ether-linked lipophilic groups for intracellular delivery of therapeutic mols.)
RN 179074-99-8 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [4-[(4-aminobutyl)amino]butyl][3-[(4-aminobutyl)amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 5 OF 40 USPATFULL (Continued)

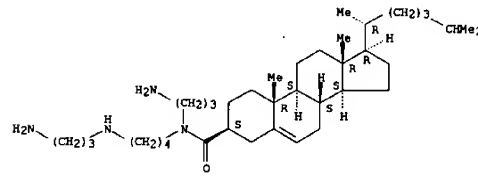
PAGE 1-A



PAGE 1-B

RN 179075-01-5 USPATFULL
CN Cholest-5-ene-3-carboxamide, N-(3-aminopropyl)-N-[4-[(3-aminopropyl)amino]butyl]-, (3.beta.)- (9CI) (CA INDEX NAME)

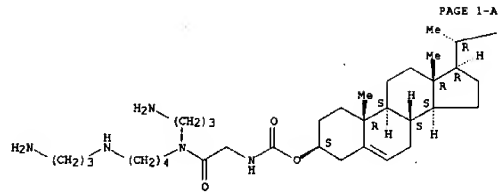
Absolute stereochemistry.



RN 179075-04-8 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [2-[(3-aminopropyl)[4-[(3-aminopropyl)amino]butyl]amino]-2-oxoethyl]carbamate (9CI) (CA INDEX NAME)

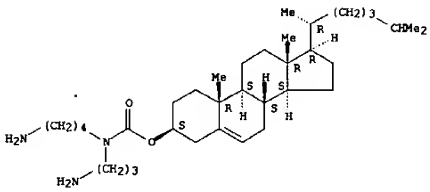
Absolute stereochemistry.

L41 ANSWER 5 OF 40 USPATFULL (Continued)



RN 179075-25-3 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, (4-aminobutyl)(3-aminopropyl)carbamate (9CI) (CA INDEX NAME)

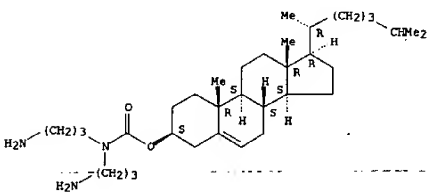
Absolute stereochemistry.



RN 179075-29-7 USPATFULL
CN Cholesta-5,7-dien-1-ol, (4-aminobutyl)(3-aminopropyl)carbamate, (3.beta.)- (9CI) (CA INDEX NAME)

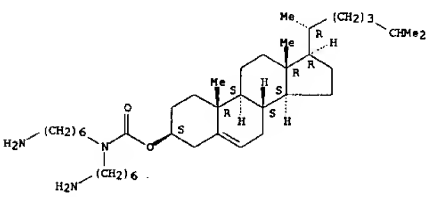
Absolute stereochemistry.

L41 ANSWER 5 OF 40 USPATFULL (Continued)



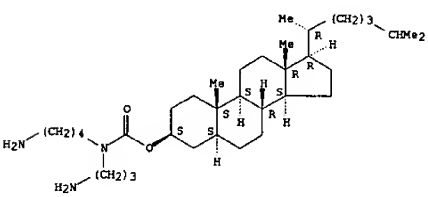
RN 179075-32-2 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, bis(6-aminohexyl)carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

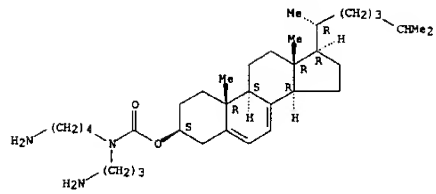


RN 179075-33-3 USPATFULL
CN Cholestan-3-ol, (4-aminobutyl)(3-aminopropyl)carbamate, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

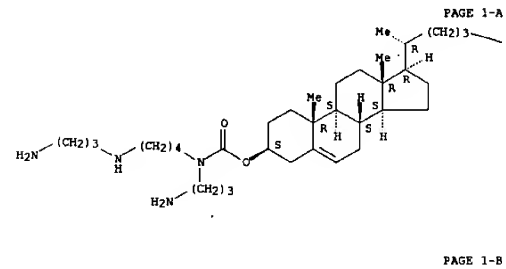


L41 ANSWER 5 OF 40 USPATFULL (Continued)



RN 179075-30-0 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, (3-aminopropyl)[4-[(3-aminopropyl)amino]butyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



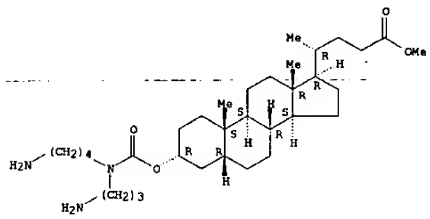
RN 179075-31-1 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, bis(3-aminopropyl)carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 5 OF 40 USPATFULL (Continued)

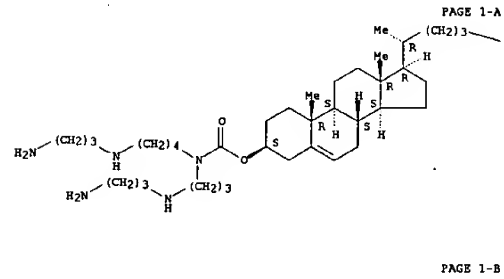
RN 179075-34-4 USPATFULL
CN Cholan-24-oic acid, 3-[[[(4-aminobutyl)(3-aminopropyl)amino]carbonyl]oxy]-, methyl ester, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



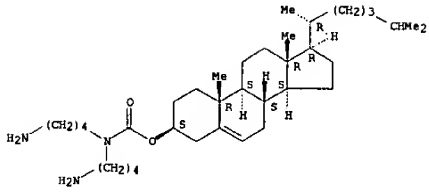
RN 179075-36-6 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [4-[(3-aminopropyl)amino]butyl][3-[(3-aminopropyl)amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



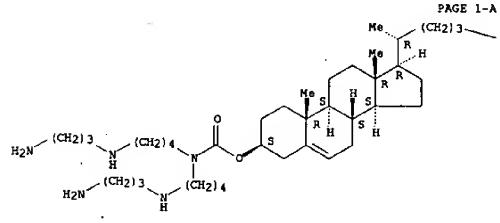
RN 179075-40-2 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, bis(4-aminobutyl)carbamate (9CI) (CA INDEX NAME)

L41 ANSWER 5 OF 40 USPATFULL (Continued)
Absolute stereochemistry.



RN 179075-41-3 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, bis[4-[(3-aminopropyl)amino]butyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-A

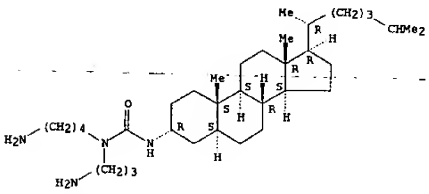
PAGE 1-B

RN 179075-45-7 USPATFULL
CN Cholest-5-ene-3-carboxamide, N-(4-aminobutyl)-N-(3-aminopropyl)-, (3.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

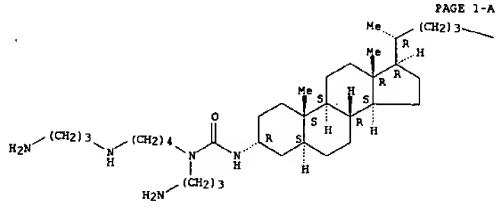
L41 ANSWER 5 OF 40 USPATFULL (Continued)
RN 216103-76-3 USPATFULL
CN Urea, N-(4-aminobutyl)-N-(3-aminopropyl)-N'-(3.alpha.,5.alpha.)-cholestan-3-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 216103-77-4 USPATFULL
CN Urea, N-(3-aminopropyl)-N-[4-[(3-aminopropyl)amino]butyl]-N'-(3.alpha.,5.alpha.)-cholestan-3-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



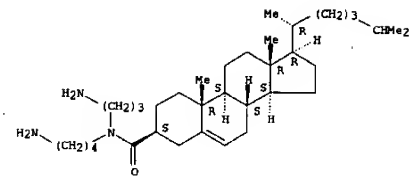
PAGE 1-A

PAGE 1-B

RN 216103-78-5 USPATFULL
CN Urea, N-(4-aminobutyl)-N-(3-aminopropyl)-N'-(3.alpha.)-cholest-5-en-3-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

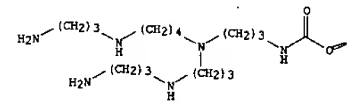
L41 ANSWER 5 OF 40 USPATFULL (Continued)



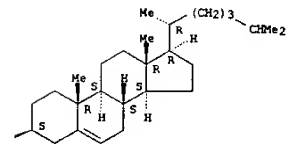
RN 179075-48-0 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [3-[[4-[(3-aminopropyl)amino]butyl][3-[(3-aminopropyl)amino]propyl]amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

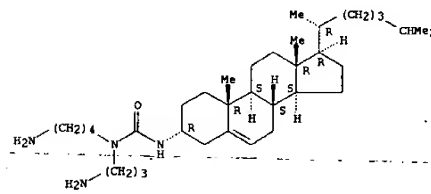
PAGE 1-A



PAGE 1-B

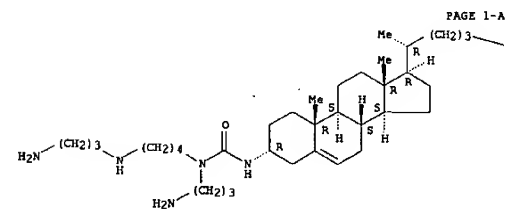


L41 ANSWER 5 OF 40 USPATFULL (Continued)



RN 216103-79-6 USPATFULL
CN Urea, N-(3-aminopropyl)-N-[4-[(3-aminopropyl)amino]butyl]-N'-(3.alpha.)-cholest-5-en-3-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



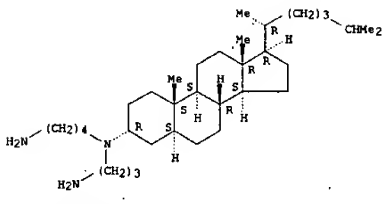
PAGE 1-A

PAGE 1-B

RN 216103-80-9 USPATFULL
CN 1,4-Butanediamine, N-(3-aminopropyl)-N-(3.alpha.,5.alpha.)-cholestan-3-yl- (9CI) (CA INDEX NAME)

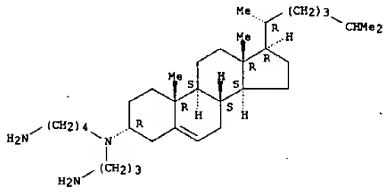
Absolute stereochemistry.

L41 ANSWER 5 OF 40 USPATFULL (Continued)



RN 216103-81-0 USPATFULL
CN 1,4-Butanediamine, N-(3-aminopropyl)-N-(3.alpha.)-cholest-5-en-3-yl- (9CI) (CA INDEX NAME)

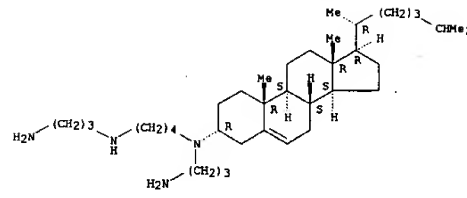
Absolute stereochemistry.



RN 216103-82-1 USPATFULL
CN 1,4-Butanediamine, N,N'-bis(3-aminopropyl)-N-(3.alpha.)-cholest-5-en-3-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 5 OF 40 USPATFULL (Continued)



L41 ANSWER 6 OF 40 USPATFULL

ACCESSION NUMBER: 1998:143936 USPATFULL
TITLE: Complexes comprising a nucleic acid bound to a cationic polyamine having an endosome disruption agent
INVENTOR(S): Boutin, Raymond H., Thornton, PA, United States
PATENT ASSIGNEE(S): American Home Products Corporation, Madison, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5837533		19981117
APPLICATION INFO.:	US 1994-314060		19940928 (8)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Crouch, Deborah
LEGAL REPRESENTATIVE: Howson and Howson
NUMBER OF CLAIMS: 49
EXEMPLARY CLAIM: 1
LINE COUNT: 3984
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A multifunctional molecular complex for the transfer of a nucleic acid composition to a target cell is provided which comprises in any functional combination: A) said nucleic acid composition; and B) a transfer moiety comprising 1) one or more cationic polyamine components bound to said nucleic acid composition, each comprising from three to twelve nitrogen atoms; 2) one or more endosome membrane disruption promoting components attached to at least one nitrogen atom of at least one of said polyamine components, through an alkyl, carboxamide, carbamate, thiocarbamate, or carbamoyl bridging group, comprising a) at least one lipophilic long chain alkyl group, b) a fusogenic peptide comprising spike glycoproteins of enveloped animal viruses, or c) cholic acid or cholesteryl or derivatives; and optionally 3) one or more receptor specific binding components which are ligands for natural receptors of said target cell, attached through an alkyl, carboxamide, carbamate, thiocarbamate, or carbamoyl bridging group to either i) a further nitrogen atom of at least one of said polyamine components to which said one or more endosome membrane disruption promoting components is attached, or ii) a nitrogen atom of at least one further polyamine component which does not have attached thereto any endosome membrane disruption promoting component. Also provided are the transfer moiety alone, or in combination with the nucleic acid composition as a self-assembling combination, and the use of these compositions in methods for transfering nucleic acid compositions to cells or to cells of individuals, for immunizing individuals against a pathogen or disease, and for treating an individual with a disease.

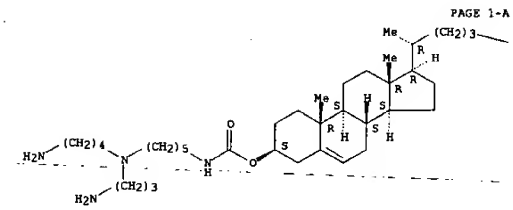
IT **175922-61-9P 178212-69-6P 178212-83-4P**
(multifunctional mol. complexes for gene transfer to cells)

RN 175922-61-9 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [5-[(4-aminobutyl)(3-aminopropyl)amino]pentyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 6 OF 40 USPATFULL (Continued)

PAGE 1-A

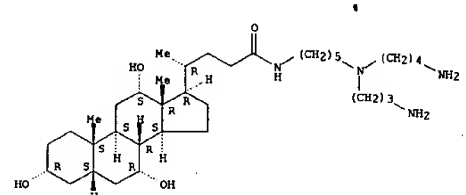


PAGE 1-B

CHMe2

RN 178212-69-6 USPATFULL
CN Cholan-24-amide, N-[5-[(4-aminobutyl)(3-aminopropyl)amino]pentyl]-3,7,12-trihydroxy-, trihydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



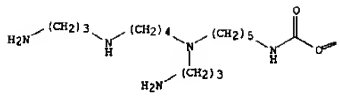
●3 HCl

RN 178212-83-4 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [5-[(3-aminopropyl)[4-[(3-aminopropyl)amino]butyl]amino]pentyl]carbamate, tetrahydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

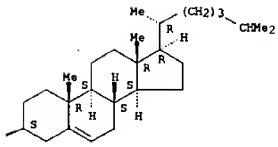
L41 ANSWER 6 OF 40 USPATFULL (Continued)

PAGE 1-A



●4 HCl

PAGE 1-B



L41 ANSWER 7 OF 40 USPATFULL

ACCESSION NUMBER:	1998:138886 USPATFULL
TITLE:	Methods for the manufacture and use of antimicrobial sterol conjugates
INVENTOR(S):	Regen, Steven L., Allentown, PA, United States
PATENT ASSIGNEE(S):	Lehigh University, Bethlehem, PA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5834453		19981110
APPLICATION INFO.:	US 1997-877618		19970617 (8)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1996-711161, filed on 9 Sep 1996, now abandoned which is a continuation-in-part of Ser. No. US 1995-452846, filed on 30 May 1995, now patented, Pat. No. US 5583239

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Robinson, Allen J.
ASSISTANT EXAMINER:	Badio, Barbara
LEGAL REPRESENTATIVE:	Yahwak & Associates
NUMBER OF CLAIMS:	5
EXEMPLARY CLAIM:	1
LINE COUNT:	711

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A method of forming a pharmaceutical composition of antimicrobial sterol conjugates having the following formulae: ##STR1## wherein R.sub.1, R.sub.2, R.sub.3, R.sub.4 and Y are as defined in the specification. Also disclosed is a method of inducing an antimicrobial effect by administrating these pharmaceutical compositions.

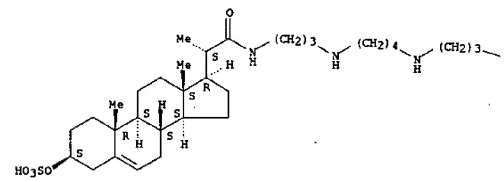
IT **165336-10-7P 174068-84-9P 174068-99-6P**
185307-17-9P 185307-23-7P 185307-24-8P
185307-25-9P 185307-26-0P 185307-28-2P
(prepn. of sterol polyamine conjugates with antimicrobial activity)

RN 165336-10-7 USPATFULL

CN Pregn-5-ene-20-carboxamide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-(sulfooxy)-, (3.beta.,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



L41 ANSWER 7 OF 40 USPATFULL (Continued)

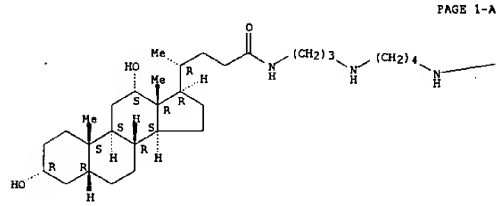
PAGE 1-B

RN 174068-84-9 USPATFULL

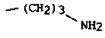
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



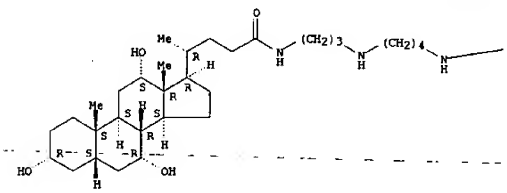
RN 174068-99-6 USPATFULL

CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7,12-trihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

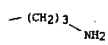
Absolute stereochemistry.

L41 ANSWER 7 OF 40 USPATFULL (Continued)

PAGE 1-A



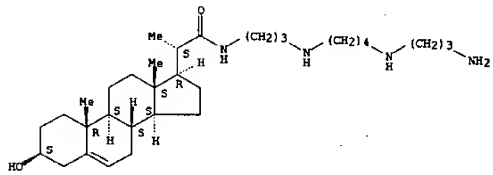
PAGE 1-B



RN 185307-17-9 USPATFULL

CN Pregn-5-ene-20-carboxamide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-hydroxy-, (3.beta.,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

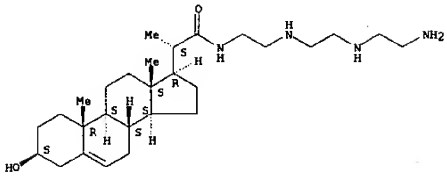


RN 185307-23-7 USPATFULL

CN Pregn-5-ene-20-carboxamide, N-[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]-3-hydroxy-, (3.beta.,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

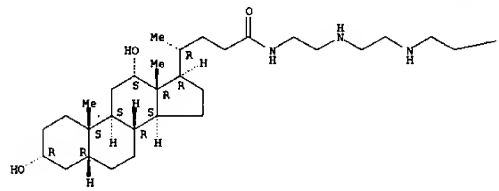
L41 ANSWER 7 OF 40 USPATFULL (Continued)



RN 185307-24-8 USPATFULL
CN Cholan-24-amide, N-[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

—NH2

RN 185307-25-9 USPATFULL
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7,12-tris(sulfooxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

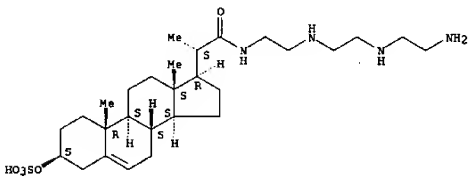
L41 ANSWER 7 OF 40 USPATFULL (Continued)

PAGE 1-B

—NH2

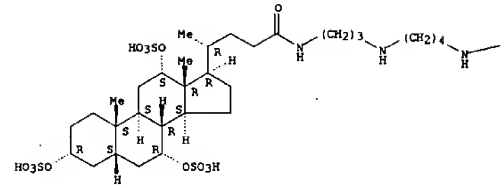
RN 185307-28-2 USPATFULL
CN Pregn-5-ene-20-carboxamide, N-[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]-3-(sulfooxy)-, (3.beta.,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L41 ANSWER 7 OF 40 USPATFULL (Continued)

PAGE 1-A



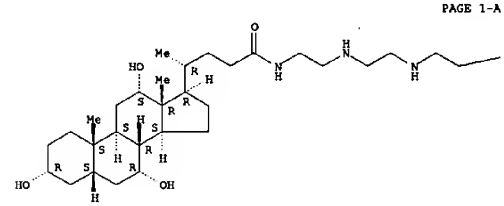
PAGE 1-B

—(CH2)3—NH2

RN 185307-26-0 USPATFULL
CN Cholan-24-amide, N-[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]-3,7,12-trihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



L41 ANSWER 8 OF 40 USPATFULL

ACCESSION NUMBER:	1998:115721 USPATFULL
TITLE:	Dry powder formulations of polynucleotide complexes
INVENTOR(S):	Szoka, Jr., Francis C., San Francisco, CA, United States Rolland, Alain, The Woodlands, TX, United States Wang, Jinkang, San Francisco, CA, United States
PATENT ASSIGNEE(S):	Regents of the University of California, Oakland, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5811406		19980922
APPLICATION INFO.:	US 4822544		19950609 (8)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. 482110, filed on 7 Jun 1995 And Ser. No. 485430, filed on 7 Jun 1995		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Ketter, James		
ASSISTANT EXAMINER:	Yucel, Irem		
LEGAL REPRESENTATIVE:	Crosby, Heafey, Roach & May		
NUMBER OF CLAIMS:	19		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	32 Drawing Figure(s); 23 Drawing Page(s)		
LINE COUNT:	763		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Polynucleotide complexes are stabilized by adding a cryoprotectant compound and lyophilizing the resulting formulation. The lyophilized formulations are milled or sieved into a dry powder formulation which may be used to deliver the polynucleotide complex. Delivery of the polynucleotide to a desired cell tissue is accomplished by contacting the tissue with the powder to rehydrate it. In a preferred embodiment, a dry powder formulation is used to transfer genetic information to the cells of the respiratory tract.

IT **186743-48-6D**, polynucleotide complexes
(dry powder formulations of polynucleotide complexes for inhalation delivery to the respiratory tract)

RN 186743-48-6 USPATFULL
CN .beta.-Alanine, N-[N,N-bis(3-aminopropyl)-.beta.-alanyl]-, (3.beta.)-cholest-5-en-3-yl ester, tris(trifluoroacetate) (9CI) (CA INDEX NAME)

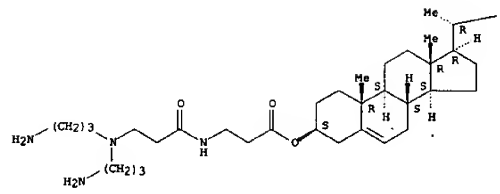
CM 1

CRN 171977-78-9
CMF C39 H70 N4 O3
CDES 4:3B.CHOLEST

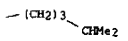
Absolute stereochemistry.

L41 ANSWER 8 OF 40 USPATFULL (Continued)

PAGE 1-A



PAGE 1-B



CM 2

CRN 76-05-1
CMF C2 H F3 O2

L41 ANSWER 9 OF 40 USPATFULL

ACCESSION NUMBER:	1998:115397 USPATFULL
TITLE:	Spray formulations of antihyperalgesic opiates and method of treating topical hyperalgesic conditions therewith
INVENTOR(S):	Maycock, Alan L., Malvern, PA, United States Chang, An-Chih, Phoenixville, PA, United States Farrar, John J., Chester Springs, PA, United States Balogh, Imre, Perkasie, PA, United States
PATENT ASSIGNEE(S):	Adolor Corporation, Malvern, PA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5811078		19980922
APPLICATION INFO.:	US 818559&		19970314 (8)

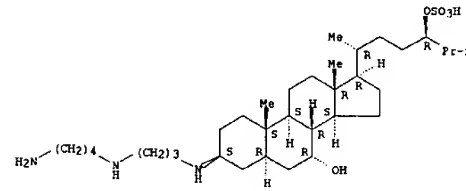
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Page, Thurman K.
ASSISTANT EXAMINER: Shelborne, Kathryne E.
LEGAL REPRESENTATIVE: Balogh, Imre
NUMBER OF CLAIMS: 16
EXEMPLARY CLAIM: 1
LINE COUNT: 696
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Spray formulations of anti-hyperalgesic opiates having a peripheral selectivity of 251 to 1,280 in a solvent mixture of up to 15% w/w alcohol selected from the group consisting of ethyl propyl and isopropyl alcohol and water greater than or equal to 85% w/w water.

IT **148717-90-2**, Squalamine
(topical sprays contg. anti-hyperalgesic opiates and active ingredients to promote wound healing)

RN 148717-90-2 USPATFULL
CN Cholestane-7,24-diol, 3-[[3-[[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L41 ANSWER 10 OF 40 USPATFULL

ACCESSION NUMBER:	1998:98909 USPATFULL
TITLE:	Method of inhibiting profileration of cells by administering an aminosterol compound
INVENTOR(S):	Zasloff, Michael, Merion Station, PA, United States Shinnar, Ann, Teaneck, NJ, United States Kinney, William, Churchville, PA, United States Anderson, Mark, Norristown, PA, United States Williams, Jon, Robbinsville, NJ, United States McLane, Michael, Lansdale, PA, United States
PATENT ASSIGNEE(S):	Magainin Pharmaceuticals Inc., Plymouth Meeting, PA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5795885		19980818
APPLICATION INFO.:	US 1995-483057		19950607 (8)

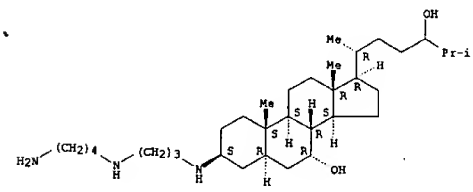
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Rollins, John W.
LEGAL REPRESENTATIVE: Finnegan, Henderson, Farabow, Garrett & Dunner, L.L.P.
NUMBER OF CLAIMS: 5
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 27 Drawing Figure(s); 20 Drawing Page(s)
LINE COUNT: 3513
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Aminosterol compounds are described that are useful as inhibitors of the sodium/proton exchanger (NHE). Methods of using such aminosterols compounds are also disclosed, including those employing compounds that are inhibitors of a spectrum of NHEs as well as those using compounds that are inhibitors of only one specific NHE. Advantageous screening techniques and assays for evaluating a compound's therapeutic activity are also disclosed.

IT **159791-14-7P 160348-64-1P 160348-65-2P**
160348-66-3P 160348-67-4P 160348-70-9P
160348-90-3P 160348-91-4P
(prepn. of polyaminosteroids as bactericides and antifungals)

RN 159791-14-7 USPATFULL
CN Cholestane-7,24-diol, 3-[[3-[[(4-aminobutyl)amino]propyl]amino]-, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

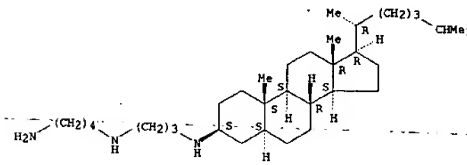
Absolute stereochemistry.



RN 160348-64-1 USPATFULL
CN 1,4-Butanediamine, N-[3-[[(3.beta.,5.alpha.)-cholestan-3-yl]amino]propyl]-

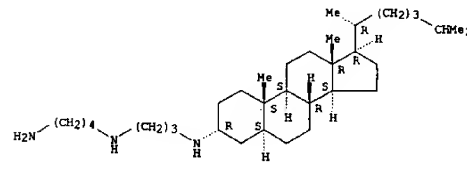
L41 ANSWER 10 OF 40 USPATFULL (Continued)
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



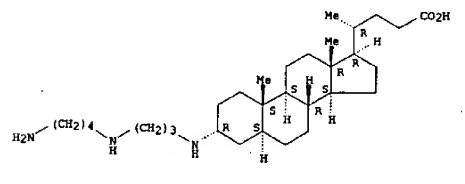
RN 160348-65-2 USPATFULL
CN 1,4-Butanediamine, N-[3-[[(3.alpha.,5.alpha.)-cholestan-3-yl]amino]propyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160348-66-3 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

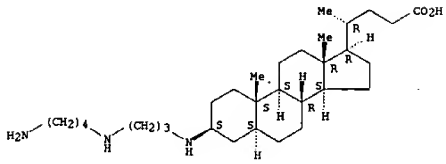
Absolute stereochemistry.



RN 160348-67-4 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-,

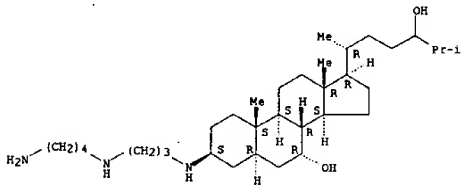
L41 ANSWER 10 OF 40 USPATFULL (Continued)
(3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160348-70-9 USPATFULL
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, trihydrochloride, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

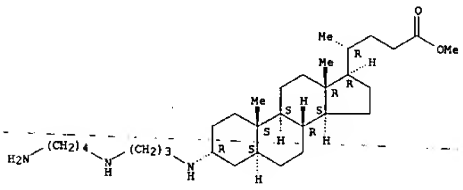


●3 HCl

RN 160348-90-3 USPATFULL
CN 1,4-Butanediamine, N-[3-[[(3.beta.,5.alpha.)-cholestan-3-yl]amino]propyl]-, trihydrochloride (9CI) (CA INDEX NAME)

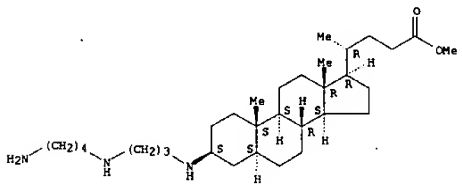
Absolute stereochemistry.

L41 ANSWER 10 OF 40 USPATFULL (Continued)

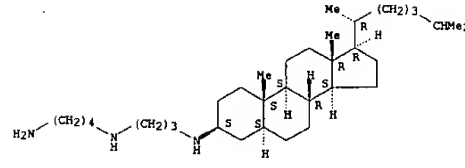


RN 160348-78-7 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, methyl ester, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



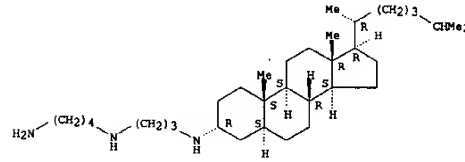
L41 ANSWER 10 OF 40 USPATFULL (Continued)



●3 HCl

RN 160348-91-4 USPATFULL
CN 1,4-Butanediamine, N-[3-[[(3.alpha.,5.alpha.)-cholestan-3-yl]amino]propyl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●3 HCl

IT **160348-77-6P 160348-78-7P**
(prepn. of polyaminosteroids as bactericides and antifungals)
RN 160348-77-6 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, methyl ester, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 11 OF 40 USPATFULL

ACCESSION NUMBER:	1998:98894 USPATFULL
TITLE:	Compositions and methods for cell transformation
INVENTOR(S):	Kahne, Suzanne Walker, Princeton, NJ, United States
PATENT ASSIGNEE(S):	Trustees of Princeton University, Princeton, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5795870		19980818
APPLICATION INFO.:	US 1994-336675		19941107 (8)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1994-264488, filed on 23 Jun 1994, now patented, Pat. No. US 5627270 which is a continuation-in-part of Ser. No. US 1994-230685, filed on 20 Apr 1994 which is a continuation-in-part of Ser. No. US 1992-989667, filed on 14 Dec 1992, now patented, Pat. No. US 5571795 which is a continuation-in-part of Ser. No. US 1991-806985, filed on 13 Dec 1991, now patented, Pat. No. US 5338837

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Kight, John
ASSISTANT EXAMINER:	Lee, Howard C.
LEGAL REPRESENTATIVE:	Lowe, Price, LeBlanc & Becker
NUMBER OF CLAIMS:	3
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	11 Drawing Figure(s); 11 Drawing Page(s)
LINE COUNT:	1762

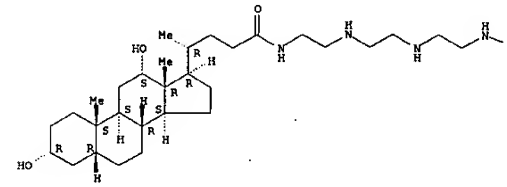
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to methods and compositions for the transformation of cells. In particular, compositions and methods are disclosed which include combinations of the nucleic acid of interest and polyhydroxylated or polyglycosylated steroid molecules. Most preferably, exogenous or endogenous nucleic acid is contacted with the cell in the presence of a bile acid (e.g., cholic acid) derivatized with an amine-containing side chain.

IT **174069-05-7 174180-24-6 206439-79-4**
(liposome prepns. using; bile acid derivs. for use in liposome-mediated transformation)
RN 174069-05-7 USPATFULL
CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

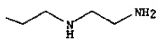
Absolute stereochemistry.

PAGE 1-A



L41 ANSWER 11 OF 40 USPATFULL (Continued)

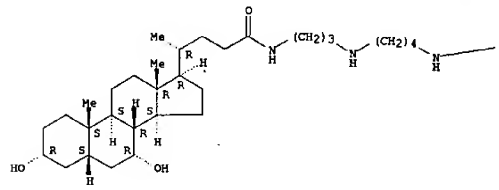
PAGE 1-B



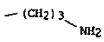
RN 174180-24-6 USPATFULL
CN Cholan-24-amide, N-[3-[[4-[[3-aminopropyl]amino]butyl]amino]propyl]-3,7-dihydroxy-, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

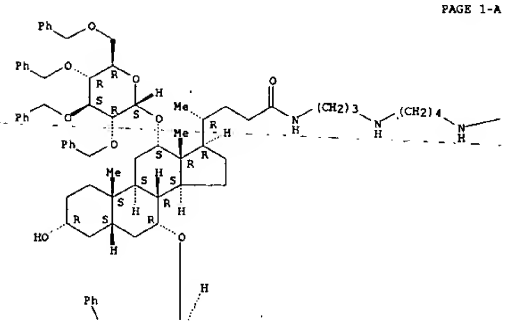


RN 206439-79-4 USPATFULL
CN Cholan-24-amide, N-[2-[[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

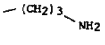
Absolute stereochemistry.

L41 ANSWER 11 OF 40 USPATFULL (Continued)

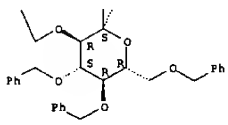
PAGE 1-A



PAGE 1-B



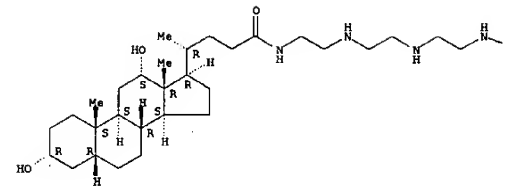
PAGE 2-A



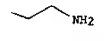
RN 206439-86-3 USPATFULL
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-azido-7,12-bis[[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]oxy]-, (3.beta.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

L41 ANSWER 11 OF 40 USPATFULL (Continued)

PAGE 1-A



PAGE 1-B



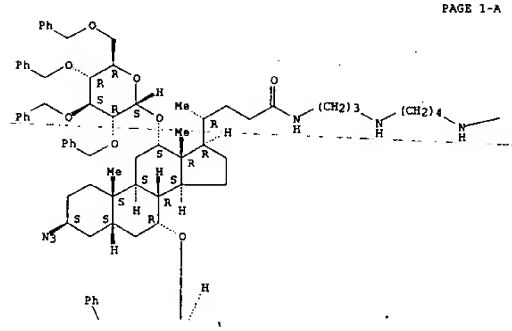
IT 174069-02-4P 206439-86-3P 206439-87-4P
(prepn. and reactions of, in prepn. glycosylated bile acid derivs.; bile acid derivs. for use in liposome-mediated transformation)
RN 174069-02-4 USPATFULL
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-hydroxy-7,12-bis[[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]oxy]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

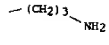
L41 ANSWER 11 OF 40 USPATFULL (Continued)

Absolute stereochemistry.

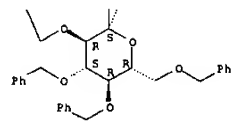
PAGE 1-A



PAGE 1-B



PAGE 2-A

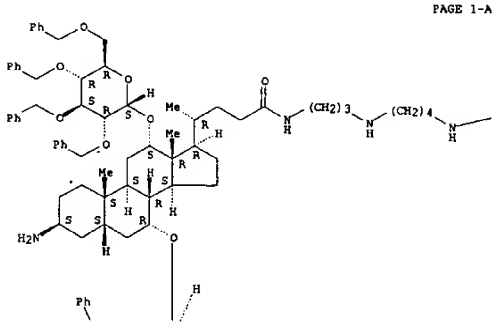


RN 206439-87-4 USPATFULL
CN Cholan-24-amide, 3-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7,12-bis[[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-

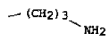
L41 ANSWER 11 OF 40 USPATFULL (Continued)
glucopyranosyl]oxy]-, (3.beta.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

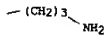


PAGE 1-B

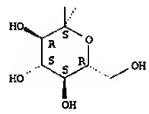


L41 ANSWER 11 OF 40 USPATFULL (Continued)

PAGE 1-B



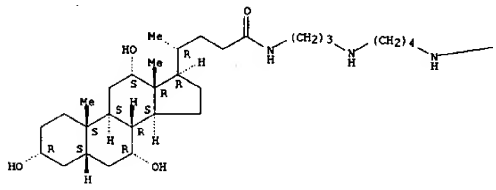
PAGE 2-A



RN 174068-99-6 USPATFULL
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7,12-trihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

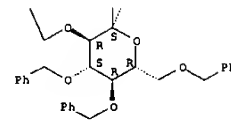
Absolute stereochemistry.

PAGE 1-A



L41 ANSWER 11 OF 40 USPATFULL (Continued)

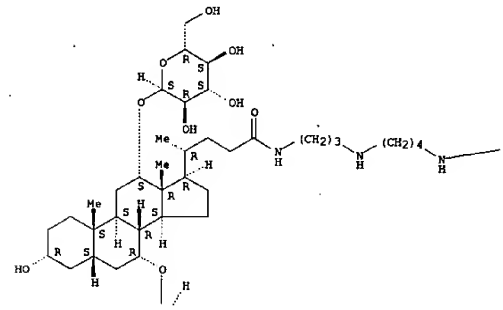
PAGE 2-A



IT 174068-86-1P 174068-99-6P 206439-78-3P
206553-50-6P 210174-02-0P
(prepn. of, liposome prepns. using; bile acid derivs. for use in liposome-mediated transformation)
RN 174068-86-1 USPATFULL
CN Cholan-24-amide, N-[3-[[4-[[3-aminopropyl]amino]butyl]amino]propyl]-7,12-bis(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

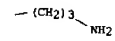
Absolute stereochemistry.

PAGE 1-A



L41 ANSWER 11 OF 40 USPATFULL (Continued)

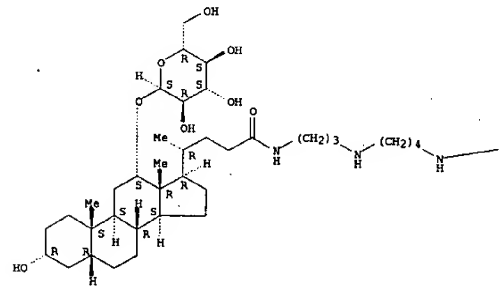
PAGE 1-B



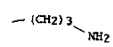
RN 206439-78-3 USPATFULL
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-12-(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



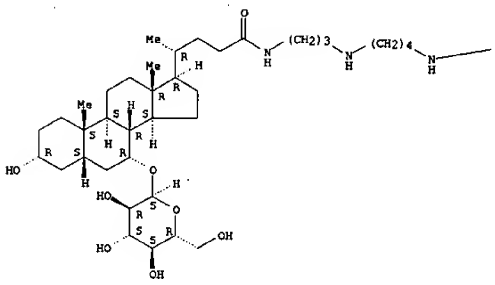
PAGE 1-B



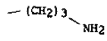
L41 ANSWER 11 OF 40 USPATFULL (Continued)
RN 206553-50-6 USPATFULL
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7-(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

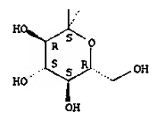


RN 210174-02-0 USPATFULL
CN Cholan-24-amide, 3-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7,12-bis(.alpha.-D-glucopyranosyloxy)-, tetrahydrochloride, (3.beta.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 11 OF 40 USPATFULL (Continued)

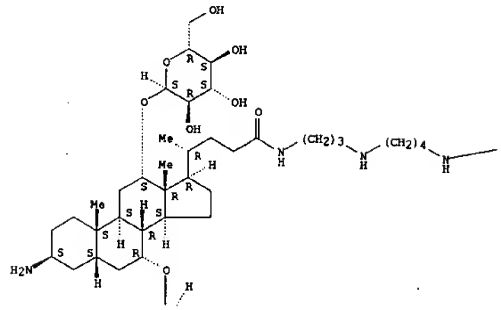
PAGE 2-A



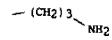
●4 HCl

L41 ANSWER 11 OF 40 USPATFULL (Continued)

PAGE 1-A



PAGE 1-B



L41 ANSWER 12 OF 40 USPATFULL

ACCESSION NUMBER:	1998:95412 USPATFULL
TITLE:	Method of inhibiting the sodium/proton exchanger NHE3 and method of inhibiting growth by administering squalamine
INVENTOR(S):	Zasloff, Michael, Merion Station, PA, United States
PATENT ASSIGNEE(S):	Magainin Pharmaceuticals, Inc., Plymouth Meeting, PA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5792635		19980811
APPLICATION INFO.:	US 1995-474799		19950607 (8)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Gitomer, Ralph		
LEGAL REPRESENTATIVE:	Finnegan, Henderson, Farabow, Garrett & Dunner		
NUMBER OF CLAIMS:	8		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	27 Drawing Figure(s); 20 Drawing Page(s)		
LINE COUNT:	3485		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

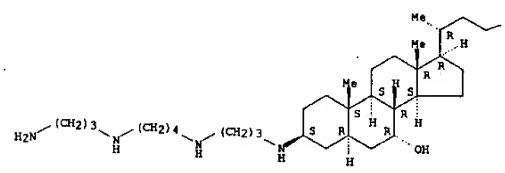
AB Aminosterol compounds are described that are useful as inhibitors of the sodium/proton exchanger (NHE). Methods of using such aminosterols compounds are also disclosed, including those employing compounds that are inhibitors of a spectrum of NHEs as well as those using compounds that are inhibitors of only one specific NHE. Advantageous screening techniques and assays for evaluating a compound's therapeutic activity are also disclosed.

IT **186139-09-3P**
(use of squalamine for the manuf. of a medicament for inhibiting the sodium-proton exchanger)

RN 186139-09-3 USPATFULL
CN Cholestane-7,24-diol, 3-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



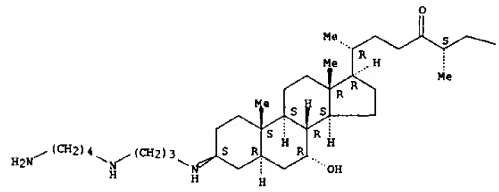
L41 ANSWER 12 OF 40 USPATFULL (Continued)

PAGE 1-B

IT **186139-06-0P 186139-08-2P 186139-11-7P**
(use of squalamine for the manuf. of a medicament for inhibiting the sodium-proton exchanger)
RN 186139-06-0 USPATFULL
CN Cholestan-24-one, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-26-(sulfooxy)-, (3.beta.,5.alpha.,7.alpha.,25S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

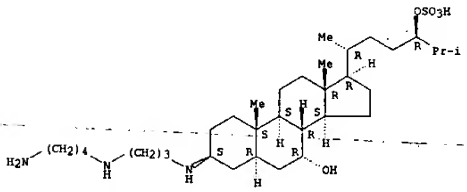


PAGE 1-B

RN 186139-08-2 USPATFULL
CN Cholest-25-en-24-one, 3-[[3-[[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

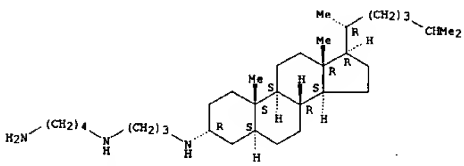
Absolute stereochemistry.

L41 ANSWER 12 OF 40 USPATFULL (Continued)



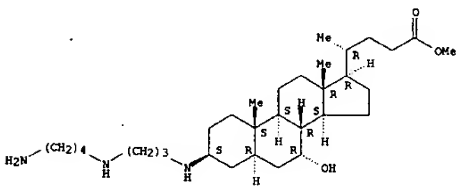
RN 160348-65-2 USPATFULL
CN 1,4-Butanediamine, N-[3-[[(3.alpha.,5.alpha.)-cholestan-3-yl]amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



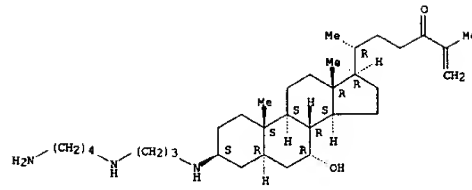
RN 177745-18-5 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-, methyl ester, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



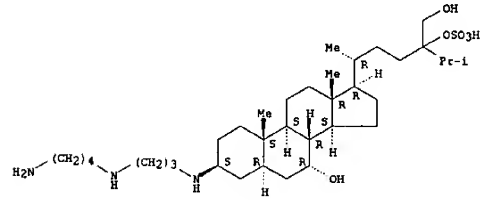
IT **171252-30-5P 177745-17-4P 183867-19-8P**

L41 ANSWER 12 OF 40 USPATFULL (Continued)



RN 186139-11-7 USPATFULL
CN Ergostane-7,24,28-triol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24.xi.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

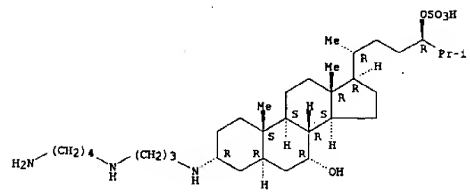


IT **148717-90-2P 160348-65-2P 177745-18-5P**
(use of squalamine for the manuf. of a medicament for inhibiting the sodium-proton exchanger)
RN 148717-90-2 USPATFULL
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

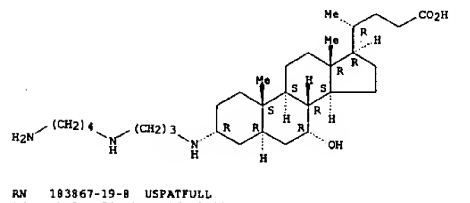
L41 ANSWER 12 OF 40 USPATFULL (Continued)
183867-20-1P 183867-22-3P 186139-13-9P
186139-15-1P 186139-18-4P 186139-20-8P
186139-26-4P 186139-28-6P 186139-30-0P
186139-32-2P 186139-38-8P 186139-40-2P
186139-47-9P 186139-48-0P 186139-52-6P
186139-53-7P 186139-55-9P 186139-58-2P
186139-59-3P 186139-61-7P 186139-77-5P
(use of squalamine for the manuf. of a medicament for inhibiting the sodium-proton exchanger)
RN 171252-30-5 USPATFULL
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.alpha.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 177745-17-4 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-, (3.alpha.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

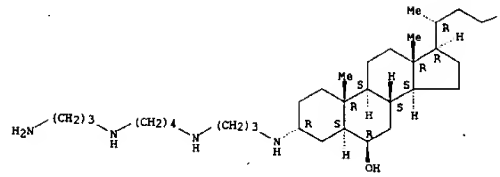


RN 183867-19-8 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-6-hydroxy-, methyl ester, (3.alpha.,5.alpha.,6.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 12 OF 40 USPATFULL (Continued)

PAGE 1-A

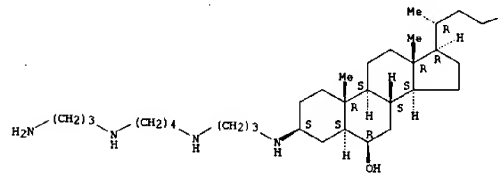


PAGE 1-B

RN 183867-20-1 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-6-hydroxy-, methyl ester, (3.beta.,5.alpha.,6.beta.)- (9CI) (CA INDEX NAME)

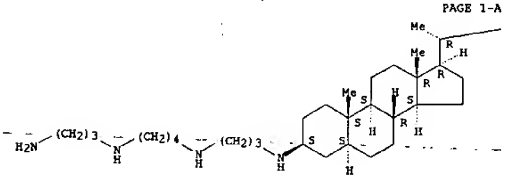
Absolute stereochemistry.

PAGE 1-A



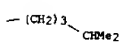
L41 ANSWER 12 OF 40 USPATFULL (Continued)

PAGE 1-A



●4 HCl

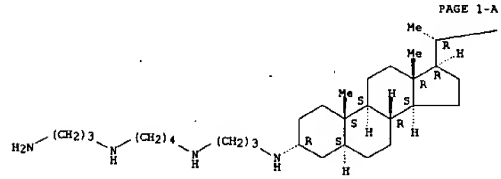
PAGE 1-B



RN 186139-15-1 USPATFULL
CN 1,4-Butanediamine, N-(3-aminopropyl)-N'-[3-[[(3.alpha.,5.alpha.)-cholestan-3-yl]amino]propyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)

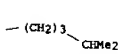
Absolute stereochemistry.

PAGE 1-A



●4 HCl

PAGE 1-B



RN 186139-18-4 USPATFULL

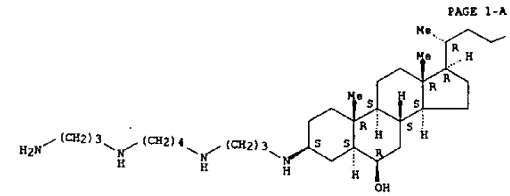
L41 ANSWER 12 OF 40 USPATFULL (Continued)

PAGE 1-B

RN 183867-22-3 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-6-hydroxy-, (3.beta.,5.alpha.,6.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

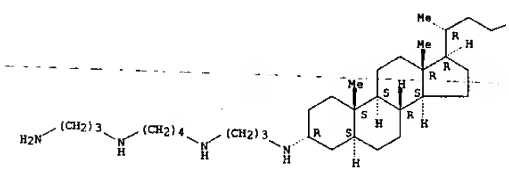
RN 186139-13-9 USPATFULL
CN 1,4-Butanediamine, N-(3-aminopropyl)-N'-[3-[[(3.beta.,5.alpha.)-cholestan-3-yl]amino]propyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 12 OF 40 USPATFULL (Continued)
CN Cholan-24-oic acid, 3-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-, methyl ester, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

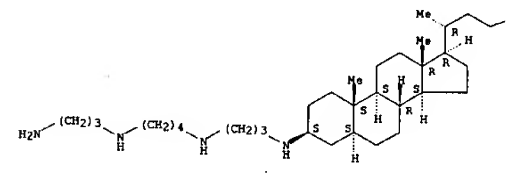


PAGE 1-B

RN 186139-20-8 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-, methyl ester, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

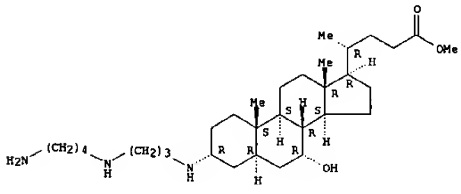


PAGE 1-B

L41 ANSWER 12 OF 40 USPATFULL (Continued)

RN 186139-26-4 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-, methyl ester, trihydrochloride, (3.alpha.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

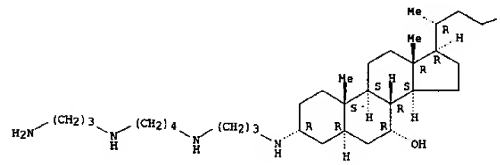


●3 HCl

RN 186139-28-6 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-7-hydroxy-, methyl ester, tetrahydrochloride, (3.alpha.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

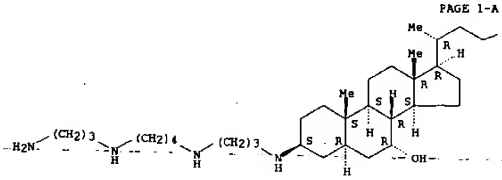
PAGE 1-A



●4 HCl

L41 ANSWER 12 OF 40 USPATFULL (Continued)

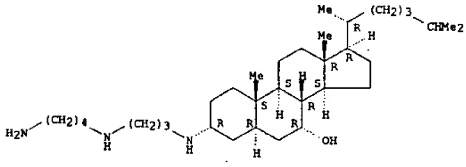
PAGE 1-A



PAGE 1-B

RN 186139-38-8 USPATFULL
CN Cholestan-7-ol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, trihydrochloride, (3.alpha.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●3 HCl

RN 186139-40-2 USPATFULL
CN Cholestan-7-ol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, trihydrochloride, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

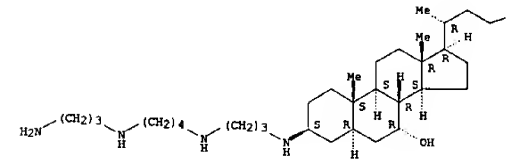
L41 ANSWER 12 OF 40 USPATFULL (Continued)

PAGE 1-B

RN 186139-30-0 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-7-hydroxy-, methyl ester, tetrahydrochloride, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



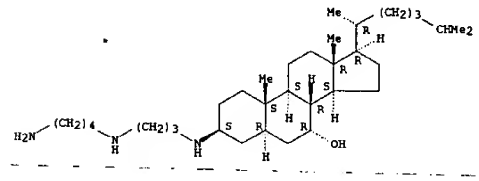
●4 HCl

PAGE 1-B

RN 186139-32-2 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-7-hydroxy-, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

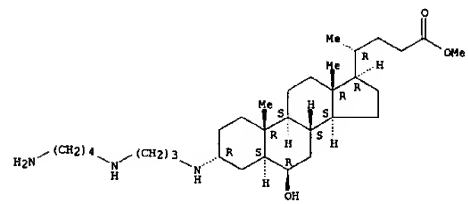
L41 ANSWER 12 OF 40 USPATFULL (Continued)



●3 HCl

RN 186139-47-9 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-6-hydroxy-, methyl ester, (3.alpha.,5.alpha.,6.beta.)- (9CI) (CA INDEX NAME)

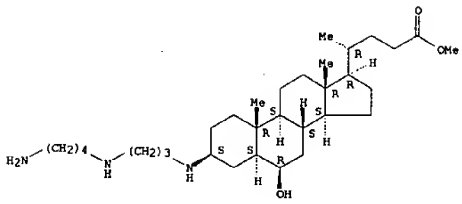
Absolute stereochemistry.



RN 186139-48-0 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-6-hydroxy-, methyl ester, (3.beta.,5.alpha.,6.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

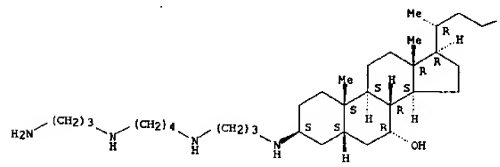
L41 ANSWER 12 OF 40 USPATFULL (Continued)



RN 186139-52-6 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-7-hydroxy-, methyl ester, (3.beta.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



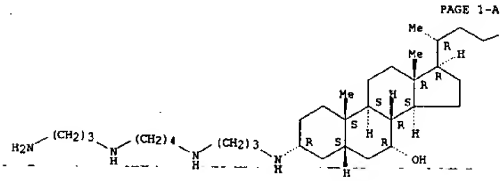
PAGE 1-B

RN 186139-53-7 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-, methyl ester, (3.beta.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 12 OF 40 USPATFULL (Continued)

PAGE 1-A

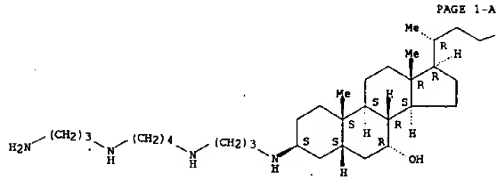


PAGE 1-B

RN 186139-59-3 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-7-hydroxy-, (3.beta.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

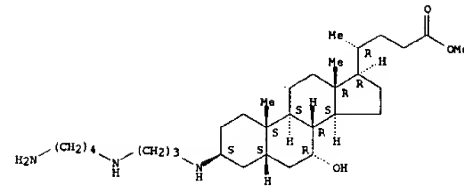


PAGE 1-B

RN 186139-61-7 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-12-hydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

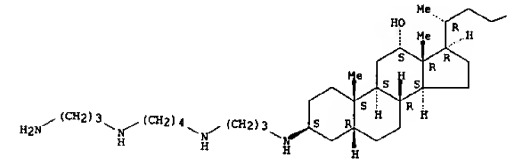
L41 ANSWER 12 OF 40 USPATFULL (Continued)



RN 186139-55-9 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-12-hydroxy-, methyl ester, (3.beta.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



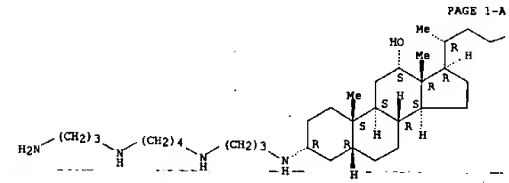
PAGE 1-B

RN 186139-58-2 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-7-hydroxy-, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 12 OF 40 USPATFULL (Continued)

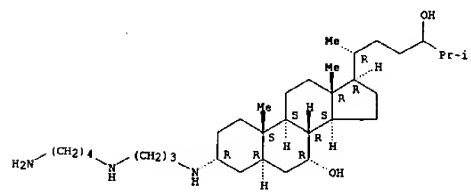
PAGE 1-A



PAGE 1-B

RN 186139-77-5 USPATFULL
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.alpha.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

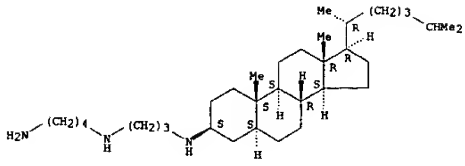
Absolute stereochemistry.



IT 160348-64-1 160348-66-3 160348-67-4
186139-81-1
(use of squalamine for the manuf. of a medicament for inhibiting the sodium-proton exchanger)
RN 160348-64-1 USPATFULL
CN 1,4-Butanediamine, N-[3-[[(3.beta.,5.alpha.)-cholestan-3-yl]amino]propyl]- (9CI) (CA INDEX NAME)

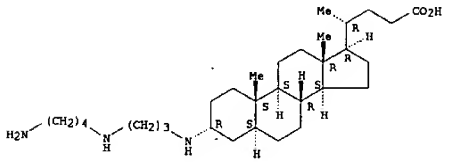
Absolute stereochemistry.

L41 ANSWER 12 OF 40 USPATFULL (Continued)



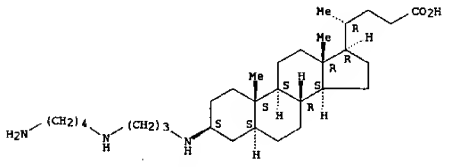
RN 160348-66-3 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



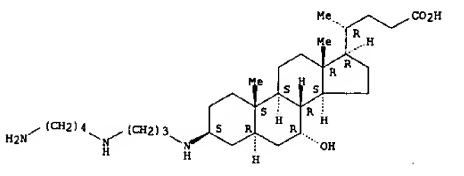
RN 160348-67-4 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



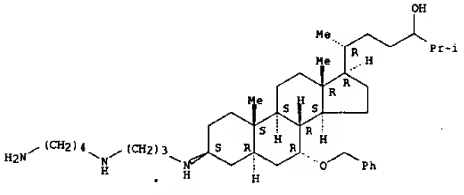
RN 186139-81-1 USPATFULL

L41 ANSWER 12 OF 40 USPATFULL (Continued)



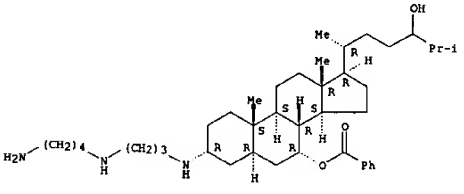
RN 186139-68-4 USPATFULL
CN Cholestan-24-ol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-(phenylmethoxy)-, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 186139-75-3 USPATFULL
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 7-benzoate, (3.alpha.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

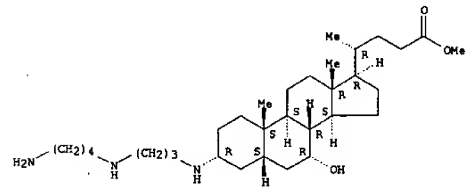
Absolute stereochemistry.



RN 186139-76-4 USPATFULL

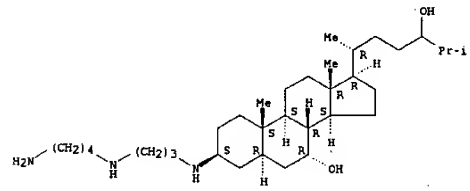
L41 ANSWER 12 OF 40 USPATFULL (Continued)
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-, methyl ester, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **159791-14-7P 177745-16-3P 186139-68-4P 186139-75-3P 186139-76-4P 186139-78-6P**
(use of squalamine for the manuf. of a medicament for inhibiting the sodium-proton exchanger)
RN 159791-14-7 USPATFULL
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

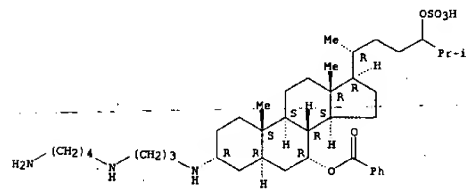


RN 177745-16-3 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

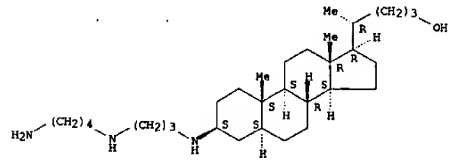
L41 ANSWER 12 OF 40 USPATFULL (Continued)
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 7-benzoate 24-(hydrogen sulfate), (3.alpha.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 186139-78-6 USPATFULL
CN Cholan-24-ol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, trihydrochloride, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

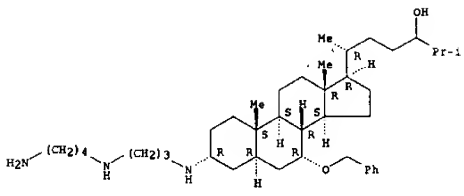


●3 HCl

IT **186139-69-5P**
(use of squalamine for the manuf. of a medicament for inhibiting the sodium-proton exchanger)
RN 186139-69-5 USPATFULL
CN Cholestan-24-ol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-(phenylmethoxy)-, (3.alpha.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

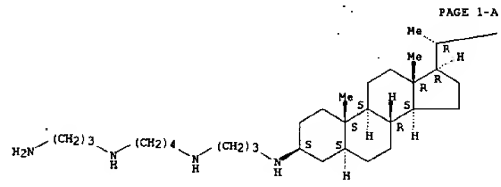
L41 ANSWER 12 OF 40 USPATFULL (Continued)



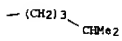
IT **177745-14-1P 186139-46-8P 186139-74-2P**
186139-80-0P 186139-82-2P 186139-83-3P
186139-84-4P 186139-85-5P
(use of squalamine for the manuf. of a medicament for inhibiting the sodium-proton exchanger)

RN 177745-14-1 USPATFULL
CN 1,4-Butanediamine, N-(3-aminopropyl)-N'-[3-[[(3.beta.,5.alpha.)-cholestan-3-yl]amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



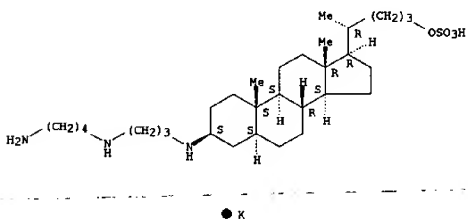
PAGE 1-B



RN 186139-46-8 USPATFULL
CN Cholane-24-carboxylic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

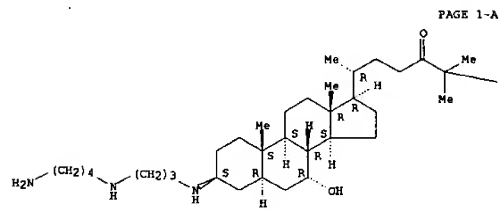
L41 ANSWER 12 OF 40 USPATFULL (Continued)



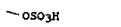
● K

RN 186139-82-2 USPATFULL
CN Cholestan-24-one, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-25-(sulfooxy)-, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



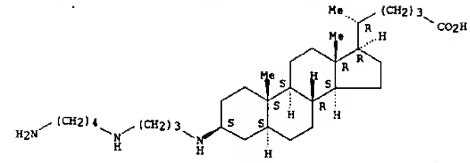
PAGE 1-B



RN 186139-83-3 USPATFULL
CN Cholestane-7,24,25-triol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 25-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

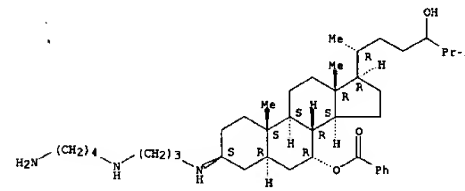
Absolute stereochemistry.

L41 ANSWER 12 OF 40 USPATFULL (Continued)



RN 186139-74-2 USPATFULL
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 7-benzoate, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

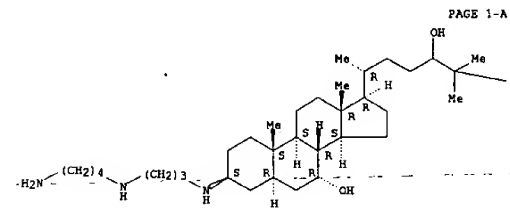
Absolute stereochemistry.



RN 186139-80-0 USPATFULL
CN Cholan-24-ol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, hydrogen sulfate (ester), monopotassium salt, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

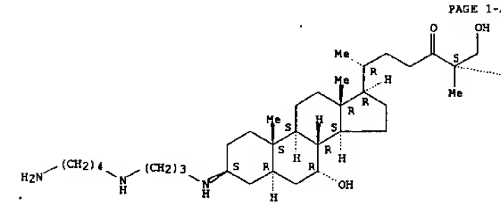
L41 ANSWER 12 OF 40 USPATFULL (Continued)



PAGE 1-B

RN 186139-84-4 USPATFULL
CN Cholestan-24-one, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7,26-dihydroxy-25-(sulfooxy)-, (3.beta.,5.alpha.,7.alpha.,25S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



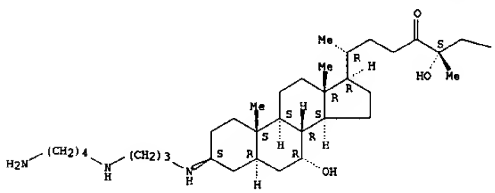
PAGE 1-B

RN 186139-85-5 USPATFULL

L41 ANSWER 12 OF 40 USPATFULL (Continued)
CN Cholestan-24-one, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7,25-dihydroxy-26-(sulfooxy)-, (3.beta.,5.alpha.,7.alpha.,25S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

OSO3H

L41 ANSWER 13 OF 40 USPATFULL

ACCESSION NUMBER:	1998:85940 USPATFULL
TITLE:	Cationic amphiphiles containing spermine or spermidine cationic group for intracellular delivery of therapeutic molecules
INVENTOR(S):	Lee, Edward R., Quincy, MA, United States Harris, David J., Lexington, MA, United States Siegel, Craig S., Woburn, MA, United States Cheng, Seng H., Wellesley, MA, United States Eastman, Simon J., Marlboro, MA, United States Marshall, John, Milford, MA, United States Scheule, Ronald K., Hopkinton, MA, United States
PATENT ASSIGNEE(S):	Genzyme Corporation, Framingham, MA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5783565		19980721
APPLICATION INFO.:	US 1996-595375		19960201 (8)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1995-546087, filed on 20 Oct 1995 which is a continuation-in-part of Ser. No. US 1995-540867, filed on 11 Oct 1995, now patented, Pat. No. US 5747471 which is a continuation-in-part of Ser. No. US 1994-352479, filed on 9 Dec 1994, now patented, Pat. No. US 5650096

	NUMBER	DATE
PRIORITY INFORMATION:	US 1995-4344P	19950926 (60)
	US 1995-4399P	19950927 (60)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Chambers, Jasemine C.
ASSISTANT EXAMINER:	Razzaque, Abdur
LEGAL REPRESENTATIVE:	Finnegan, Henderson, Farabow, Garrett & Dunner, L.L.P.
NUMBER OF CLAIMS:	4
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	25 Drawing Figure(s); 22 Drawing Page(s)
LINE COUNT:	2759

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel cationic amphiphiles are provided that facilitate transport of biologically active (therapeutic) molecules into cells. The amphiphiles contain lipophilic groups derived from steroids, from mono or dialkylamines, or from alkyl or acyl groups; and cationic groups, protonatable at physiological pH, derived from amines, alkylamines or polyalkylamines. There are provided also therapeutic compositions prepared typically by contacting a dispersion of one or more cationic amphiphiles with the therapeutic molecules. Therapeutic molecules that can be delivered into cells according to the practice of the invention include DNA, RNA, and polypeptides. Representative uses of the therapeutic compositions of the invention include providing gene therapy, and delivery of antisense polynucleotides or biologically active polypeptides to cells. With respect to therapeutic compositions for gene therapy, the DNA is provided typically in the form of a plasmid for complexing with the cationic amphiphile.

Novel and highly effective plasmid constructs are also disclosed,

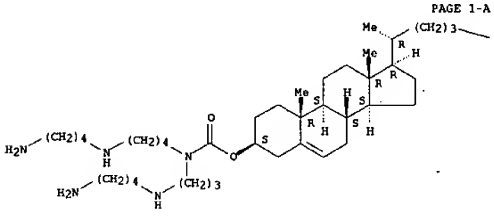
L41 ANSWER 13 OF 40 USPATFULL (Continued)
including those that are particularly effective at providing gene therapy for clinical conditions complicated by inflammation. Additionally, targeting of organs for gene therapy by intravenous administration of therapeutic compositions is described.

IT **179074-99-8 179075-00-4 179075-01-5**
179075-02-6 179075-03-7 179075-04-8
179075-09-3 179075-25-3 179075-28-6
179075-29-7 179075-30-0 179075-31-1
179075-32-2 179075-33-3 179075-34-4
179075-36-6 179075-37-7 179075-38-8
179075-39-9 179075-40-2 179075-41-3
179075-42-4 179075-43-5 179075-45-7
179075-48-0 179075-50-4
(transfection-enhancing agent; cationic amphiphiles and plasmids for intracellular delivery of therapeutic mols.)

RN 179074-99-8 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [4-[(4-aminobutyl)amino]butyl][3-[(4-aminobutyl)amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



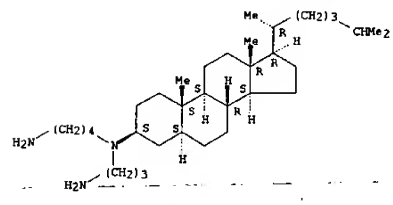
PAGE 1-B

CHMe2

RN 179075-00-4 USPATFULL
CN 1,4-Butanediamine, N-(3-aminopropyl)-N-[(3.beta.,5.alpha.)-cholestan-3-yl]- (9CI) (CA INDEX NAME)

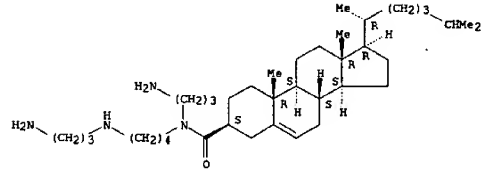
Absolute stereochemistry.

L41 ANSWER 13 OF 40 USPATFULL (Continued)



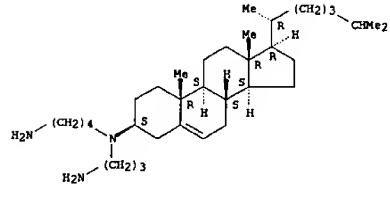
RN 179075-01-5 USPATFULL
CN Cholest-5-ene-3-carboxamide, N-(3-aminopropyl)-N-[4-[(3-aminopropyl)amino]butyl]-, (3.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



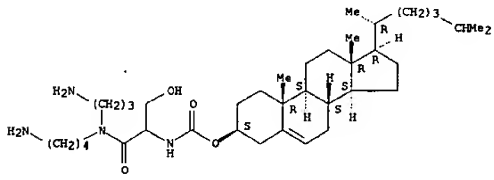
RN 179075-02-6 USPATFULL
CN 1,4-Butanediamine, N-(3-aminopropyl)-N-[(3.beta.)-cholest-5-en-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



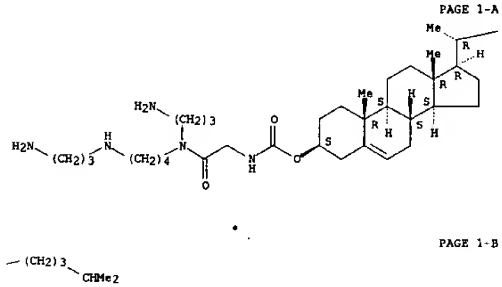
L41 ANSWER 13 OF 40 USPATFULL (Continued)
RN 179075-03-7 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [2-[[4-aminobutyl](3-aminopropyl)amino]-1-(hydroxymethyl)-2-oxoethyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 179075-04-8 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [2-[(3-aminopropyl)[4-[(3-aminopropyl)amino]butyl]amino]-2-oxoethyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

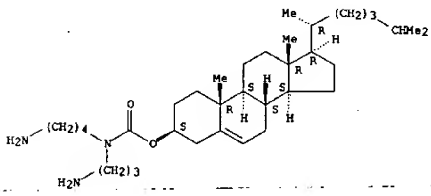


PAGE 1-A

PAGE 1-B

RN 179075-09-3 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [3-[(4-aminobutyl)(3-aminopropyl)amino]-1-[[(4-aminobutyl)(3-aminopropyl)amino]carbonyl]-3-oxopropyl]carbamate (9CI) (CA INDEX NAME)

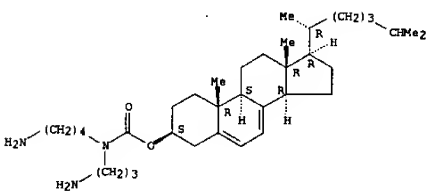
L41 ANSWER 13 OF 40 USPATFULL (Continued)



●2 HCl

RN 179075-29-7 USPATFULL
CN Cholesta-5,7-dien-1-ol, (4-aminobutyl)(3-aminopropyl)carbamate, (3.beta.)- (9CI) (CA INDEX NAME)

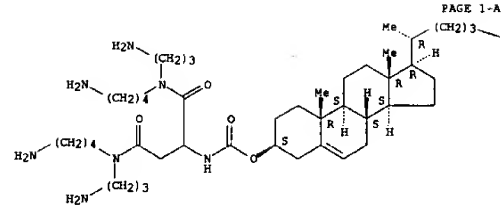
Absolute stereochemistry.



RN 179075-30-0 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, (3-aminopropyl)[4-[(3-aminopropyl)amino]butyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 13 OF 40 USPATFULL (Continued)
Absolute stereochemistry.

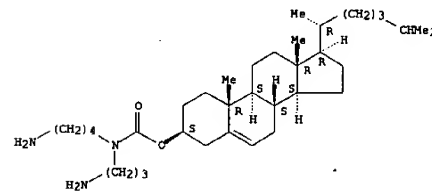


PAGE 1-A

PAGE 1-B

RN 179075-25-3 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, (4-aminobutyl)(3-aminopropyl)carbamate (9CI) (CA INDEX NAME)

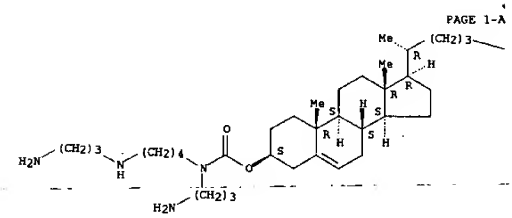
Absolute stereochemistry.



RN 179075-28-6 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, (4-aminobutyl)(3-aminopropyl)carbamate, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 13 OF 40 USPATFULL (Continued)

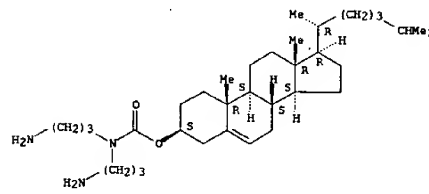


PAGE 1-A

PAGE 1-B

RN 179075-31-1 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, bis(3-aminopropyl)carbamate (9CI) (CA INDEX NAME)

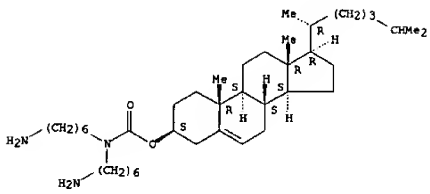
Absolute stereochemistry.



RN 179075-32-2 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, bis(6-aminohexyl)carbamate (9CI) (CA INDEX NAME)

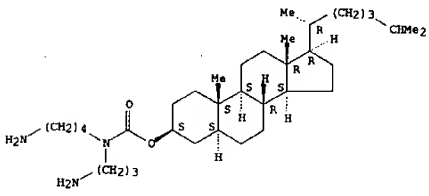
Absolute stereochemistry.

L41 ANSWER 13 OF 40 USPATFULL (Continued)



RN 179075-33-3 USPATFULL
CN Cholestan-3-ol, (4-aminobutyl)(3-aminopropyl)carbamate, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

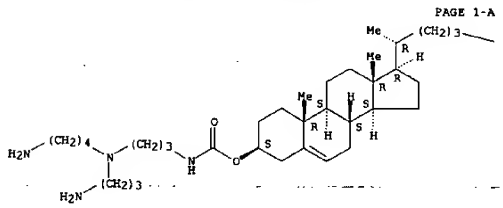
Absolute stereochemistry.



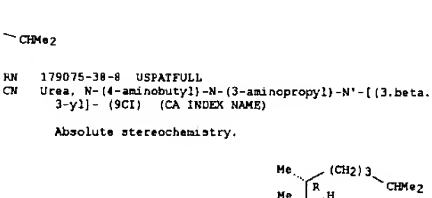
RN 179075-34-4 USPATFULL
CN Cholan-24-oic acid, 3-[[[(4-aminobutyl)(3-aminopropyl)amino]carbonyl]oxy]-, methyl ester, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 13 OF 40 USPATFULL (Continued)

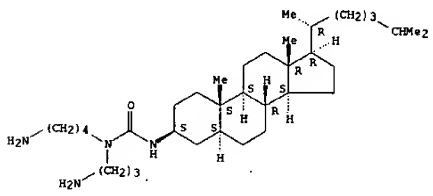


PAGE 1-B



RN 179075-38-8 USPATFULL
CN Urea, N-(4-aminobutyl)-N-(3-aminopropyl)-N'-[(3.beta.,5.alpha.)-cholestan-3-yl]- (9CI) (CA INDEX NAME)

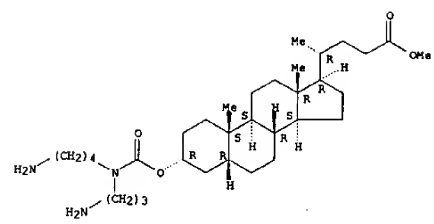
Absolute stereochemistry.



RN 179075-39-9 USPATFULL
CN Urea, N-(3-aminopropyl)-N-[4-[(3-aminopropyl)amino]butyl]-N'-[(3.beta.,5.alpha.)-cholestan-3-yl]- (9CI) (CA INDEX NAME)

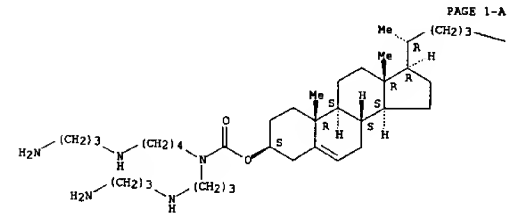
Absolute stereochemistry.

L41 ANSWER 13 OF 40 USPATFULL (Continued)



RN 179075-36-6 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [4-[(3-aminopropyl)amino]butyl][3-[(3-aminopropyl)amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

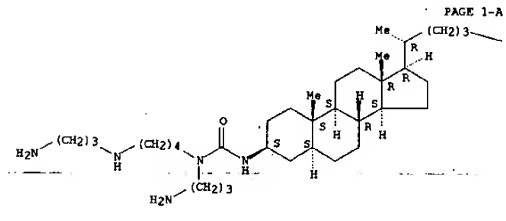


PAGE 1-B

RN 179075-37-7 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [3-[(4-aminobutyl)(3-aminopropyl)amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

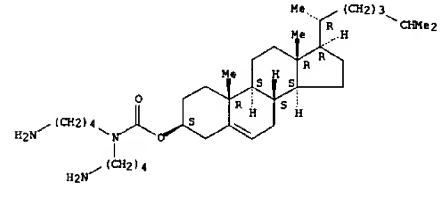
L41 ANSWER 13 OF 40 USPATFULL (Continued)



PAGE 1-B

RN 179075-40-2 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, bis(4-aminobutyl)carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

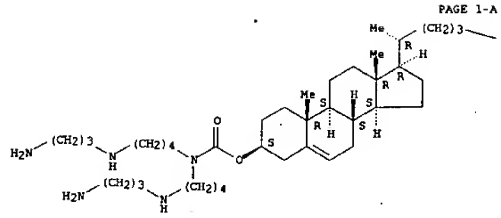


RN 179075-41-3 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, bis[4-[(3-aminopropyl)amino]butyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 13 OF 40 USPATFULL (Continued)

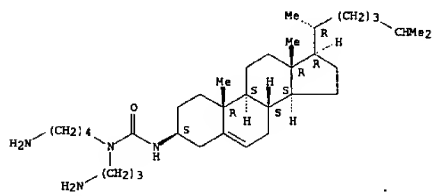
PAGE 1-A



PAGE 1-B

RN 179075-42-4 USPATFULL
CN Urea, N-(4-aminobutyl)-N-(3-aminopropyl)-N'-[(3.beta.)-cholest-5-en-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

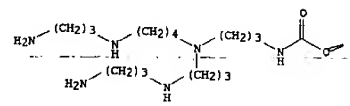


RN 179075-43-5 USPATFULL
CN Urea, N-(3-aminopropyl)-N-[4-[(3-aminopropyl)amino]butyl]-N'-[(3.beta.)-cholest-5-en-3-yl]- (9CI) (CA INDEX NAME)

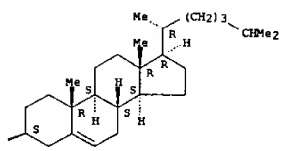
Absolute stereochemistry.

L41 ANSWER 13 OF 40 USPATFULL (Continued)

PAGE 1-A

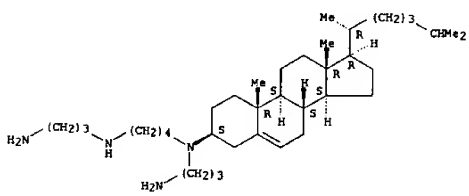


PAGE 1-B



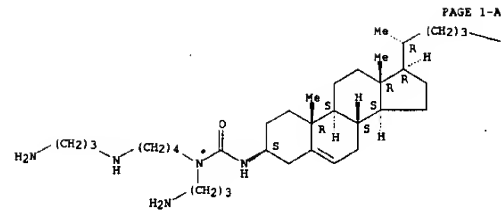
RN 179075-50-4 USPATFULL
CN 1,4-Butanediamine, N,N'-bis(3-aminopropyl)-N-[(3.beta.)-cholest-5-en-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L41 ANSWER 13 OF 40 USPATFULL (Continued)

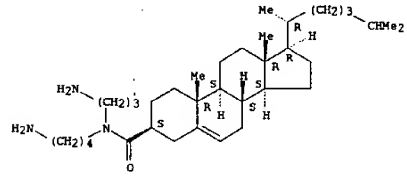
PAGE 1-A



PAGE 1-B

RN 179075-45-7 USPATFULL
CN Cholest-5-ene-3-carboxamide, N-(4-aminobutyl)-N-(3-aminopropyl)-, (3.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 179075-48-0 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [3-[[4-[(3-aminopropyl)amino]butyl][3-[(3-aminopropyl)amino]propyl]amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 14 OF 40 USPATFULL

ACCESSION NUMBER:	1998:82732 USPATFULL		
TITLE:	Compositions and methods for cell transformation		
INVENTOR(S):	Kahne, Suzanne Walker, Princeton, NJ, United States		
PATENT ASSIGNEE(S):	Trustees of Princeton University, Princeton, NJ, United States (U.S. corporation)		
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5780444		19980714
APPLICATION INFO.:	US 1995-425118		19950420 (8)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1994-336675, filed on 7 Nov 1994 which is a continuation-in-part of Ser. No. US 1994-264488, filed on 23 Jun 1994, now patented, Pat. No. US 5627270 which is a continuation-in-part of Ser. No. US 1994-230685, filed on 20 Apr 1994 which is a continuation-in-part of Ser. No. US 1992-989667, filed on 14 Dec 1992, now patented, Pat. No. US 5571795 which is a continuation-in-part of Ser. No. US 1991-806985, filed on 13 Dec 1991, now patented, Pat. No. US 5338837		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Kight, John		
ASSISTANT EXAMINER:	Lee, Howard C.		
LEGAL REPRESENTATIVE:	Lowe, Price, LeBlanc & Becker		
NUMBER OF CLAIMS:	66		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	21 Drawing Figure(s); 21 Drawing Page(s)		
LINE COUNT:	2267		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to methods and compositions for the transformation of cells. In particular, compositions and methods are disclosed which include combinations of the nucleic acid of interest and polyhydroxylated or polyglycosylated steroid molecules. Most preferably, exogenous or endogenous nucleic acid is contacted with the cell in the presence of a bile acid (e.g., cholic acid) derivatized with an amine-containing side chain.

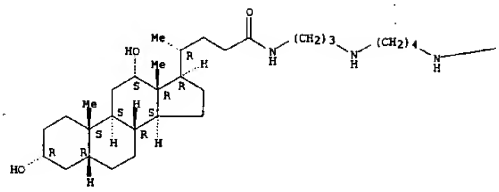
IT **174068-84-9P 174068-92-9P 174068-99-6P**
174069-03-5P 174069-05-7P 174069-15-9P
174069-19-3P 174069-21-7P 174180-24-6P
193901-99-4P 206439-78-3P 206439-79-4P
206439-80-7P 206439-81-8P 206439-89-6P
206553-50-6P 210174-02-0P 210174-03-1P
210174-04-2P 210174-10-0P 210174-12-2P
210174-13-3P 210174-14-4P 210174-15-5P
210174-16-6P 210174-17-7P 210174-18-8P
210174-21-3P
(prepn. of steroid glycosides for study of compns. and methods for cell transfomation)

RN 174068-84-9 USPATFULL
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

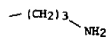
Absolute stereochemistry.

L41 ANSWER 14 OF 40 USPATFULL (Continued)

PAGE 1-A



PAGE 1-B

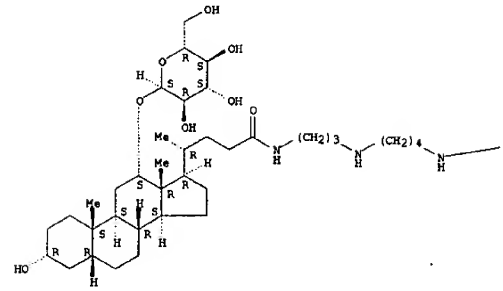


RN 174068-92-9 USPATFULL
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-12-(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, trihydrochloride, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

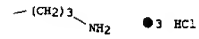
Absolute stereochemistry.

L41 ANSWER 14 OF 40 USPATFULL (Continued)

PAGE 1-A



PAGE 1-B

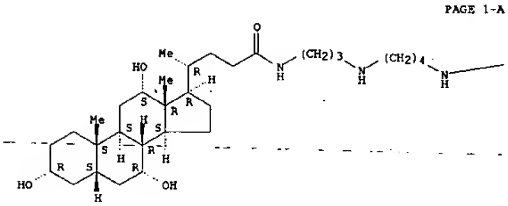


RN 174068-99-6 USPATFULL
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7,12-trihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

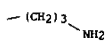
Absolute stereochemistry.

L41 ANSWER 14 OF 40 USPATFULL (Continued)

PAGE 1-A



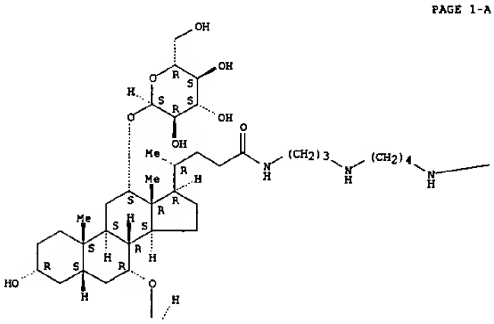
PAGE 1-B



RN 174069-03-5 USPATFULL
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7,12-bis(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, trihydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

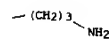
Absolute stereochemistry.

PAGE 1-A

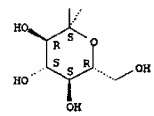


L41 ANSWER 14 OF 40 USPATFULL (Continued)

PAGE 1-B



PAGE 2-A

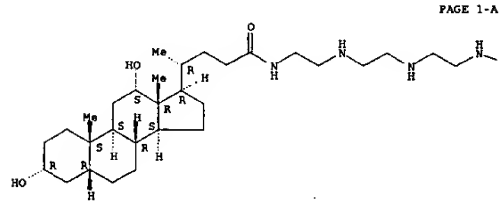


●3 HCl

RN 174069-05-7 USPATFULL
CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

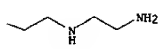
Absolute stereochemistry.

PAGE 1-A



L41 ANSWER 14 OF 40 USPATFULL (Continued)

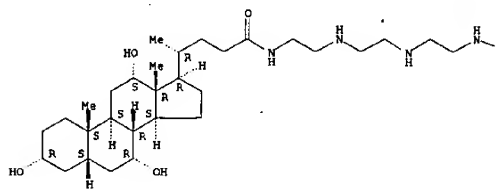
PAGE 1-B



RN 174069-15-9 USPATFULL
CN Cholan-24-amide, N-[2-[[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-3,7,12-trihydroxy-, pentahydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



●5 HCl

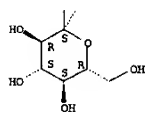
PAGE 1-B

RN 174069-19-3 USPATFULL
CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-7,12-bis(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, tetrahydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 14 OF 40 USPATFULL (Continued)

PAGE 2-A

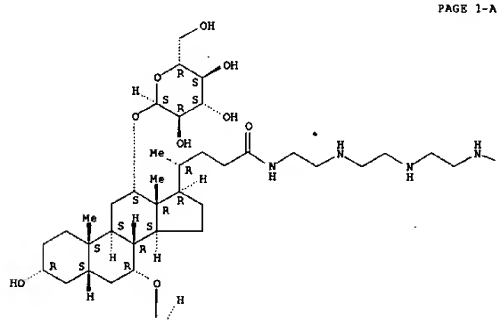


●4 HCl

RN 174069-21-7 USPATFULL
CN Cholan-24-amide, N-[2-[[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-7,12-bis(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, trihydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

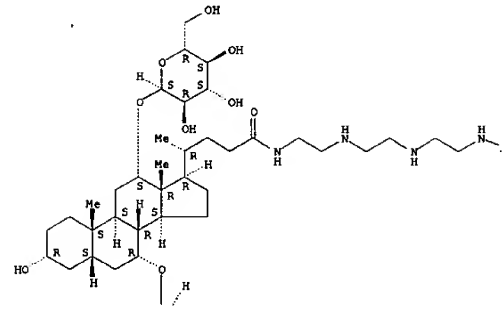
Absolute stereochemistry.

PAGE 1-A

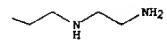


L41 ANSWER 14 OF 40 USPATFULL (Continued)

PAGE 1-A



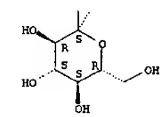
PAGE 1-B



L41 ANSWER 14 OF 40 USPATFULL (Continued)

PAGE 1-B

PAGE 2-A

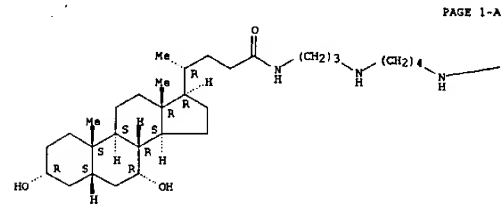


●3 HCl

RN 174180-24-6 USPATFULL
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7-dihydroxy-, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

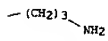
Absolute stereochemistry.

PAGE 1-A



L41 ANSWER 14 OF 40 USPATFULL (Continued)

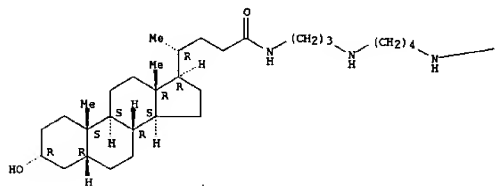
PAGE 1-B



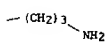
RN 193901-99-4 USPATFULL
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-hydroxy-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

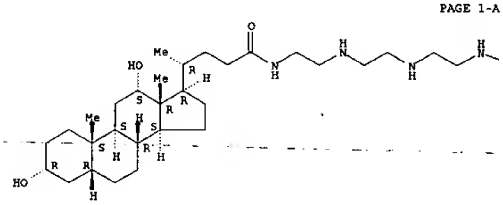


RN 206439-78-3 USPATFULL
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-12-(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 14 OF 40 USPATFULL (Continued)

PAGE 1-A

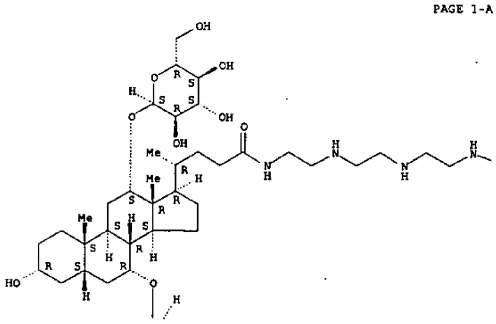


PAGE 1-B

RN 206439-80-7 USPATFULL
CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-7,12-bis(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

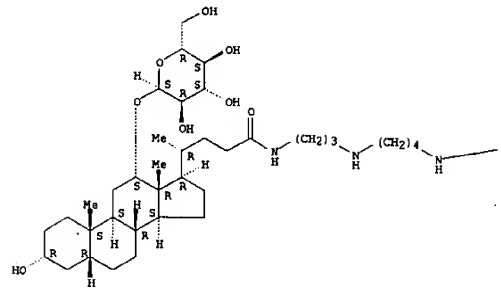
Absolute stereochemistry.

PAGE 1-A

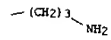


L41 ANSWER 14 OF 40 USPATFULL (Continued)

PAGE 1-A



PAGE 1-B

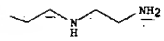


RN 206439-79-4 USPATFULL
CN Cholan-24-amide, N-[2-[[2-[[2-[[(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

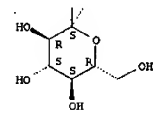
Absolute stereochemistry.

L41 ANSWER 14 OF 40 USPATFULL (Continued)

PAGE 1-B



PAGE 2-A

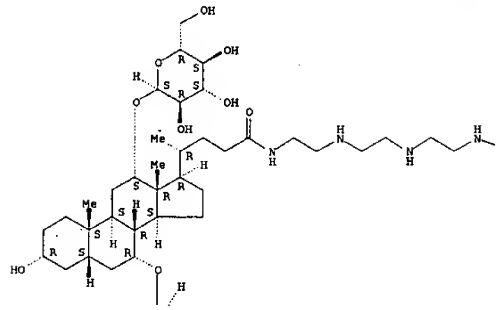


RN 206439-81-8 USPATFULL
CN Cholan-24-amide, N-[2-[[2-[[2-[[(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-7,12-bis(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

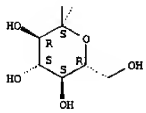
L41 ANSWER 14 OF 40 USPATFULL (Continued)

PAGE 1-A



PAGE 1-B

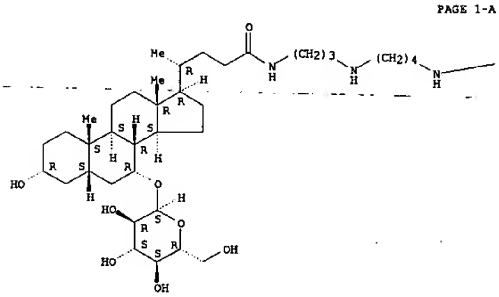
PAGE 2-A



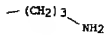
L41 ANSWER 14 OF 40 USPATFULL (Continued)
(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, (3.alpha.,5.beta.,7.alpha.)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



RN 210174-02-0 USPATFULL
CN Cholan-24-amide, 3-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl
]-7,12-bis(.alpha.-D-glucopyranosyloxy)-, tetrahydrochloride,
(3.beta.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 14 OF 40 USPATFULL (Continued)

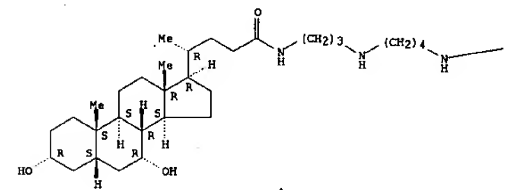
RN 206439-89-6 USPATFULL
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7-
dihydroxy-, (3.alpha.,5.beta.,7.alpha.)-, tris(trifluoroacetate) (salt)
(9CI) (CA INDEX NAME)

CM 1

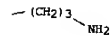
CRN 174180-24-6
CMF C34 H64 N4 O3
CDES 4:3A,5B,7A.CHOL

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



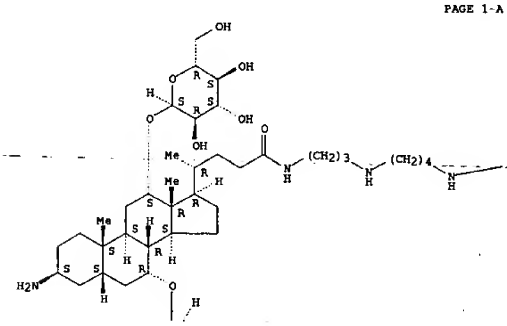
CM 2

CRN 76-05-1
CMF C2 H F3 O2

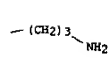
RN 206553-50-6 USPATFULL
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7-

L41 ANSWER 14 OF 40 USPATFULL (Continued)

PAGE 1-A

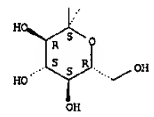


PAGE 1-B



L41 ANSWER 14 OF 40 USPATFULL (Continued)

PAGE 2-A



●4 HCl

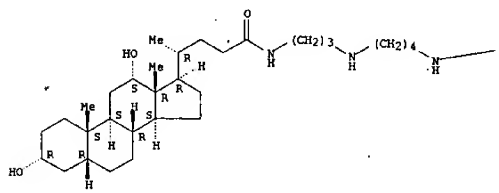
RN 210174-03-1 USPATFULL
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)-, compd. with 1-[[[(2-aminoethoxy)hydroxyphosphinyl]oxy]methyl]-1,2-ethanediyl di-(9Z)-9-octadecenoate (1:1) (9CI) (CA INDEX NAME)

CM 1

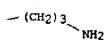
CRN 174068-84-9
CMF C34 H64 N4 O3
CDES 4:3A,5B,12A.CHOL

Absolute stereochemistry.

PAGE 1-A

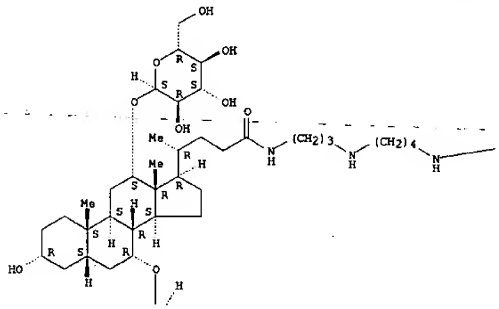


PAGE 1-B

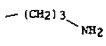


L41 ANSWER 14 OF 40 USPATFULL (Continued)

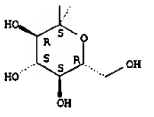
PAGE 1-A



PAGE 1-B



PAGE 2-A



CM 2

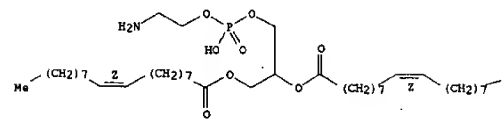
L41 ANSWER 14 OF 40 USPATFULL (Continued)

CM 2

CRN 2462-63-7
CMF C41 H78 N O8 P
CDES *

Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

RN 210174-04-2 USPATFULL
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7,12-bis(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-, compd. with 1-[[[(2-aminoethoxy)hydroxyphosphinyl]oxy]methyl]-1,2-ethanediyl di-(9Z)-9-octadecenoate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 174068-86-1
CMF C46 H84 N4 O14
CDES 4:3A,5B,7A,12A.CHOL.7(A-D-GLUCO),12(A-D-GLUCO)

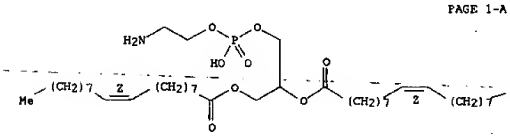
Absolute stereochemistry.

L41 ANSWER 14 OF 40 USPATFULL (Continued)

CRN 2462-63-7
CMF C41 H78 N O8 P
CDES *

Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

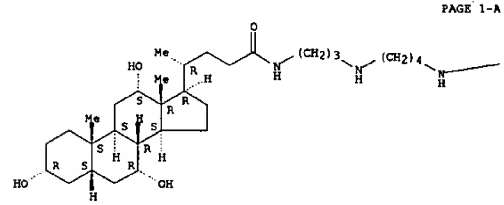
RN 210174-10-0 USPATFULL
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7,12-trihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-, compd. with 1-[[[(2-aminoethoxy)hydroxyphosphinyl]oxy]methyl]-1,2-ethanediyl di-(9Z)-9-octadecenoate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 174068-99-6
CMF C34 H64 N4 O4
CDES 4:3A,5B,7A,12A.CHOL

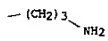
Absolute stereochemistry.

PAGE 1-A



L41 ANSWER 14 OF 40 USPATFULL (Continued)

PAGE 1-B

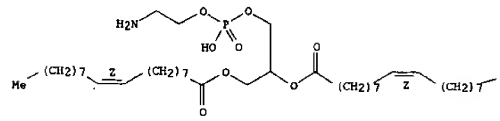


CM 2

CRN 2462-63-7
CMF C41 H78 N O8 P
CDES *

Double bond geometry as shown.

PAGE 1-A



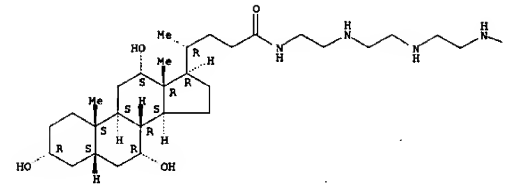
PAGE 1-B

RN 210174-12-2 USPATFULL
CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3,7,12-trihydroxy-, heptahydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

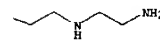
L41 ANSWER 14 OF 40 USPATFULL (Continued)

PAGE 1-A



●7 HCl

PAGE 1-B



RN 210174-13-3 USPATFULL
CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3-hydroxy-, (3.alpha.,5.beta.)-, pentaacetate (salt) (9CI) (CA INDEX NAME)

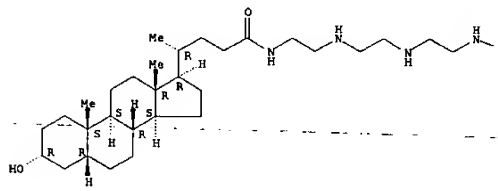
CM 1

CRN 175089-98-2
CMF C34 H66 N6 O2
CDES 4:3A,5B.CHOL

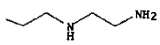
Absolute stereochemistry.

L41 ANSWER 14 OF 40 USPATFULL (Continued)

PAGE 1-A



PAGE 1-B



CM 2

CRN 64-19-7
CMF C2 H4 O2

RN 210174-14-4 USPATFULL
CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)-, triacetate (salt) dihydrochloride (9CI) (CA INDEX NAME)

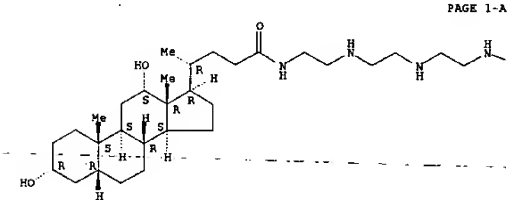
CM 1

CRN 174069-05-7
CMF C34 H66 N6 O3
CDES 4:3A,5B,12A.CHOL

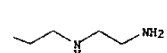
Absolute stereochemistry.

L41 ANSWER 14 OF 40 USPATFULL (Continued)

PAGE 1-A



PAGE 1-B



CM 2

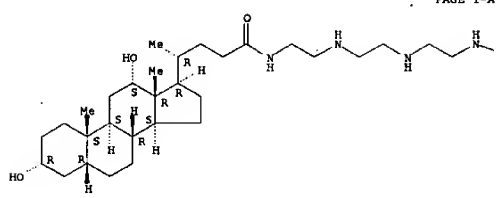
CRN 64-19-7
CMF C2 H4 O2

RN 210174-15-5 USPATFULL
CN Cholan-24-amide, N-[2-[[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-3,12-dihydroxy-, dihydrochloride, (3.alpha.,5.beta.,12.alpha.)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 14 OF 40 USPATFULL (Continued)

PAGE 1-A



●2 HCl

PAGE 1-B

RN 210174-16-6 USPATFULL
CN Cholan-24-amide, N-[2-[[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)-, tetraacetate (salt) monohydrochloride (9CI) (CA INDEX NAME)

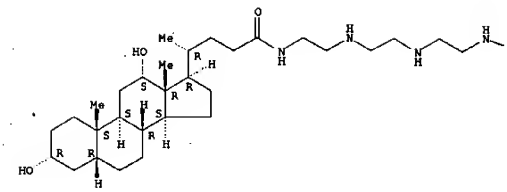
CM 1

CRN 206439-79-4
CMF C32 H61 N5 O3

Absolute stereochemistry.

L41 ANSWER 14 OF 40 USPATFULL (Continued)

PAGE 1-A



PAGE 1-B

CM 2

CRN 64-19-7
CMF C2 H4 O2

RN 210174-17-7 USPATFULL
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)-, tris(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

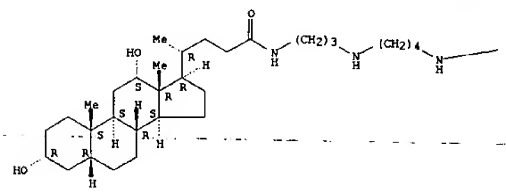
CM 1

CRN 174068-84-9
CMF C34 H64 N4 O3
CDES 4:3A,5B,12A.CHOL

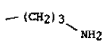
Absolute stereochemistry.

L41 ANSWER 14 OF 40 USPATFULL (Continued)

PAGE 1-A



PAGE 1-B



CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 210174-18-8 USPATFULL
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7-dihydroxy-, (3.alpha.,5.beta.,7.alpha.)-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

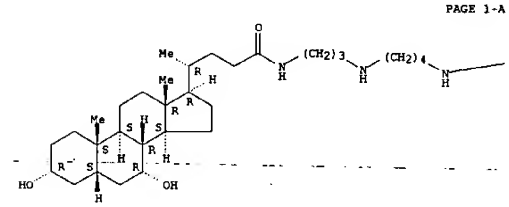
CM 1

CRN 174180-24-6
CMF C34 H64 N4 O3
CDES 4:3A,5B,7A.CHOL

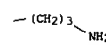
Absolute stereochemistry.

L41 ANSWER 14 OF 40 USPATFULL (Continued)

PAGE 1-A



PAGE 1-B



CM 2

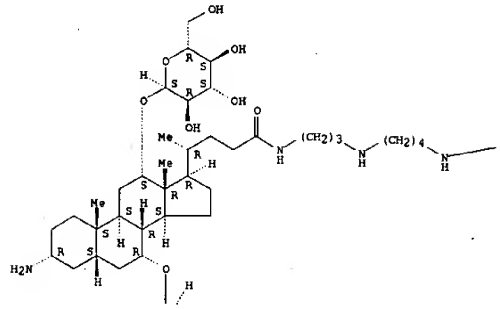
CRN 76-05-1
CMF C2 H F3 O2

RN 210174-21-3 USPATFULL
CN Cholan-24-amide, 3-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7,12-bis(.alpha.-D-glucopyranosyloxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

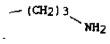
Absolute stereochemistry.

L41 ANSWER 14 OF 40 USPATFULL (Continued)

PAGE 1-A

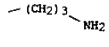


PAGE 1-B

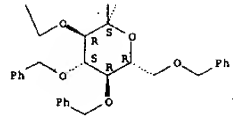


L41 ANSWER 14 OF 40 USPATFULL (Continued)

PAGE 1-B



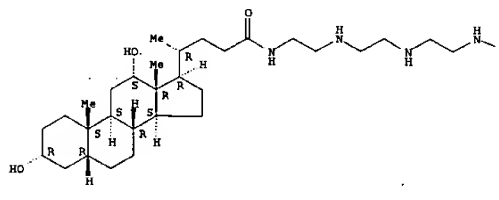
PAGE 2-A



RN 174069-04-6 USPATFULL
CN Cholan-24-amide, N-[2-[[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-3,12-dihydroxy-, trihydrochloride, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

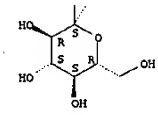
PAGE 1-A



●3 HCl

L41 ANSWER 14 OF 40 USPATFULL (Continued)

PAGE 2-A

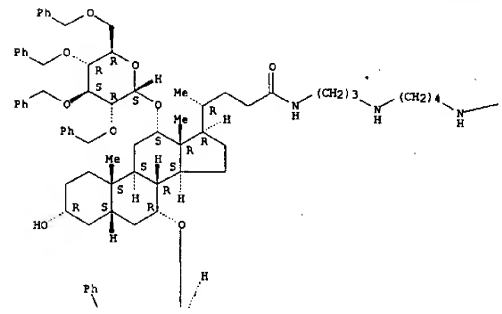


1T 174069-02-4P 174069-04-6P 174069-13-7P
174069-14-8P 174069-18-2P 174069-20-6P
206439-86-3P 206439-87-4P
(prepn. of steroid glycosides for study of compns. and methods for cell transformation)

RN 174069-02-4 USPATFULL
CN Cholan-24-amide, N-[3-[[4-[[3-aminopropyl)amino]butyl]amino]propyl]-3-hydroxy-7,12-bis[[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl)oxy]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



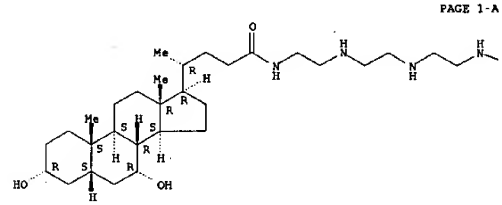
L41 ANSWER 14 OF 40 USPATFULL (Continued)

PAGE 1-B

RN 174069-13-7 USPATFULL
CN Cholan-24-amide, N-[2-[[2-[[2-[(2-aminoethyl]amino]ethyl]amino]ethyl]amino]ethyl]-3,7-dihydroxy-, tetrahydrochloride, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

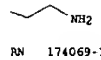
- Absolute stereochemistry.

PAGE 1-A



●4 HCl

PAGE 1-B

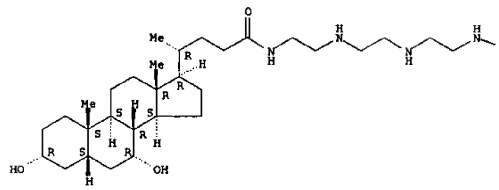


RN 174069-14-8 USPATFULL
CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3,7-dihydroxy-, trihydrochloride, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

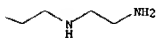
L41 ANSWER 14 OF 40 USPATFULL (Continued)

PAGE 1-A



●3 HCl

PAGE 1-B

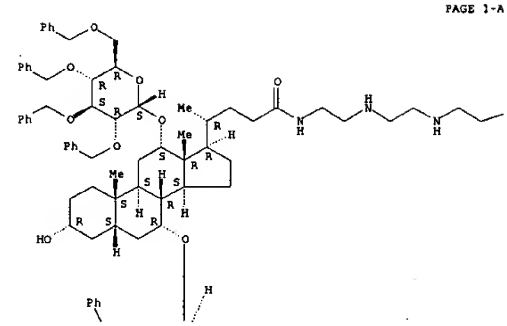


RN 174069-18-2 USPATFULL
CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3-hydroxy-7,12-bis[[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]oxy]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

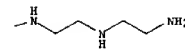
Absolute stereochemistry.

L41 ANSWER 14 OF 40 USPATFULL (Continued)

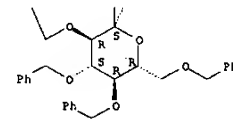
PAGE 1-A



PAGE 1-B



PAGE 2-A

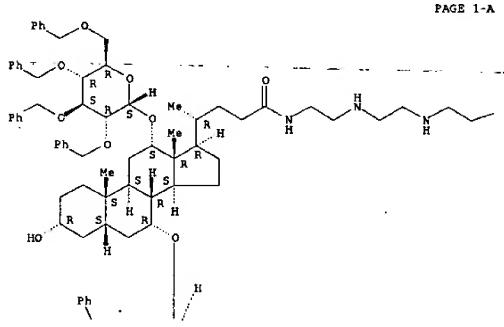


RN 174069-20-6 USPATFULL

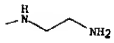
L41 ANSWER 14 OF 40 USPATFULL (Continued)
CN Cholan-24-amide, N-[2-[[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-3-hydroxy-7,12-bis[[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]oxy]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

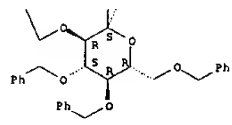
PAGE 1-A



PAGE 1-B



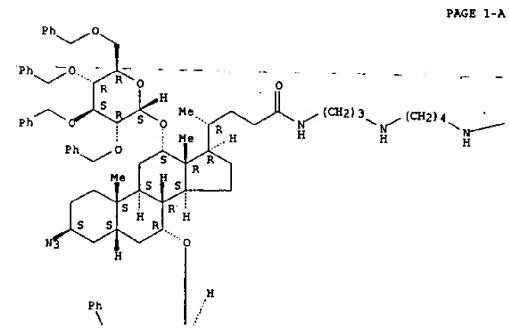
PAGE 2-A



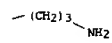
L41 ANSWER 14 OF 40 USPATFULL (Continued)
RN 206439-86-3 USPATFULL
CN Cholan-24-amide, N-{3-{[4-{(3-aminopropyl)amino]butyl]amino]propyl]-3-azido-7,12-bis[[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]oxy]-, (3.beta.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

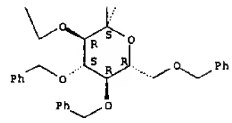


PAGE 1-B



L41 ANSWER 14 OF 40 USPATFULL (Continued)

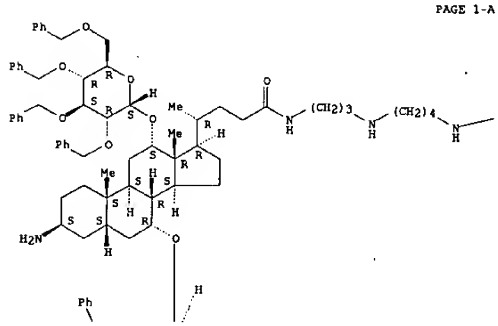
PAGE 2-A



RN 206439-87-4 USPATFULL
CN Cholan-24-amide, 3-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7,12-bis[[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]oxy]-, (3.beta.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

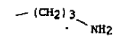
Absolute stereochemistry.

PAGE 1-A

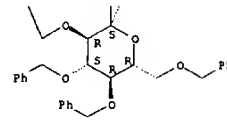


L41 ANSWER 14 OF 40 USPATFULL (Continued)

PAGE 1-B



PAGE 2-A



L41 ANSWER 15 OF 40 USPATFULL

ACCESSION NUMBER: 1998:69019 USPATFULL
TITLE: Cationic amphiphiles containing amino acid or dervatized amino acid groups for intracellular delivery of therapeutic molecules
INVENTOR(S): Harris, David J., Lexington, MA, United States
Lee, Edward R., Quincy, MA, United States
Siegel, Craig S., Woburn, MA, United States
Rowe, Eric A., Malden, MA, United States
Hubbard, Shirley C., Belmont, MA, United States
PATENT ASSIGNEE(S): Genzyme Corporation, Cambridge, MA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5767099		19980616
APPLICATION INFO.:	US 1995-546086		19951020 (8)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1995-540867, filed on 11 Oct 1995, now patented, Pat. No. US 5747471 which is a continuation-in-part of Ser. No. US 1994-352479, filed on 9 Dec 1994, now patented, Pat. No. US 5650096		

	NUMBER	DATE
PRIORITY INFORMATION:	US 1995-4344P	19950926 (60)
	US 1995-4399P	19950927 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Stone, Jacqueline M.
ASSISTANT EXAMINER: Twomey, Patrick
LEGAL REPRESENTATIVE: Donahue, E. Victor
NUMBER OF CLAIMS: 22
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 25 Drawing Figure(s); 22 Drawing Page(s)
LINE COUNT: 2894
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel cationic amphiphiles are provided that facilitate transport of biologically active (therapeutic) molecules into cells. The amphiphiles contain lipophilic groups derived from steroids, from mono or dialkylamines, or from alkyl or acyl groups; and cationic groups, protonatable at physiological pH, derived from amines, alkylamines or polyalkylamines. There are provided also therapeutic compositions prepared typically by contacting a dispersion of one or more cationic amphiphiles with the therapeutic molecules. Therapeutic molecules that can be delivered into cells according to the practice of the invention include DNA, RNA, and polypeptides. Representative uses of the therapeutic compositions of the invention include providing gene therapy, and delivery of antisense polynucleotides or biologically active polypeptides to cells. With respect to therapeutic compositions for gene therapy, the DNA is provided typically in the form of a plasmid for complexing with the cationic amphiphile. Novel and highly effective plasmid constructs are also disclosed, including those that are particularly effective at providing gene therapy for clinical conditions complicated by inflammation. Additionally, targeting of organs for gene therapy by intravenous administration of therapeutic compositions is described.

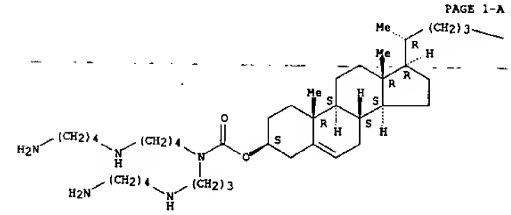
IT **179074-99-8 179075-00-4 179075-01-5 179075-02-6 179075-29-7 179075-32-2 179075-33-3 179075-34-4 179075-38-8 179075-39-9 179075-42-4 179075-43-5 179075-50-4 209112-46-9 209112-47-0**

L41 ANSWER 15 OF 40 USPATFULL (Continued)
209112-48-1 209112-50-5
[amphiphilic steroid carbamates for cell transfection and gene therapy)

RN 179074-99-8 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [4-[(4-aminobutyl)amino]butyl][3-[(4-aminobutyl)amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

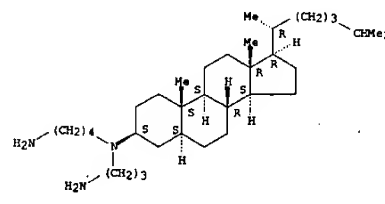
PAGE 1-A



PAGE 1-B

RN 179075-00-4 USPATFULL
CN 1,4-Butanediamine, N-(3-aminopropyl)-N-[(3.beta.,5.alpha.)-cholestan-3-yl]- (9CI) (CA INDEX NAME)

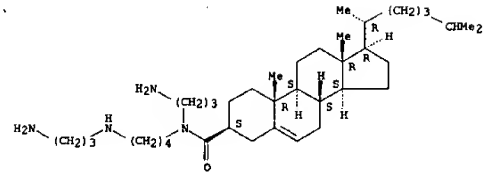
Absolute stereochemistry.



RN 179075-01-5 USPATFULL
CN Cholest-5-ene-3-carboxamide, N-[3-aminopropyl)-N-[4-[(3-aminopropyl)amino]butyl]-, (3.beta.)- (9CI) (CA INDEX NAME)

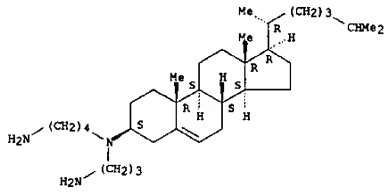
Absolute stereochemistry.

L41 ANSWER 15 OF 40 USPATFULL (Continued)



RN 179075-02-6 USPATFULL
CN 1,4-Butanediamine, N-(3-aminopropyl)-N-[(3.beta.)-cholest-5-en-3-yl]- (9CI) (CA INDEX NAME)

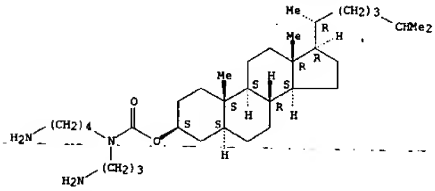
Absolute stereochemistry.



RN 179075-29-7 USPATFULL
CN Cholesta-5,7-dien-1-ol, (4-aminobutyl)(3-aminopropyl)carbamate, (3.beta.)- (9CI) (CA INDEX NAME)

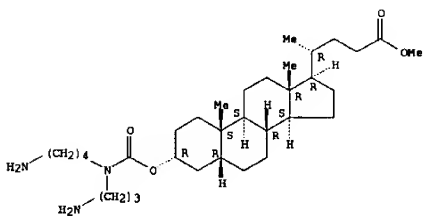
Absolute stereochemistry.

L41 ANSWER 15 OF 40 USPATFULL (Continued)



RN 179075-34-4 USPATFULL
CN Cholan-24-oic acid, 3-{[[(4-aminobutyl)(3-aminopropyl)amino]carbonyl]oxy]-, methyl ester, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

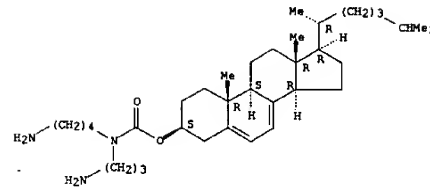
Absolute stereochemistry.



RN 179075-38-8 USPATFULL
CN Urea, N-(4-aminobutyl)-N-(3-aminopropyl)-N'-[(3.beta.,5.alpha.)-cholestan-3-yl]- (9CI) (CA INDEX NAME)

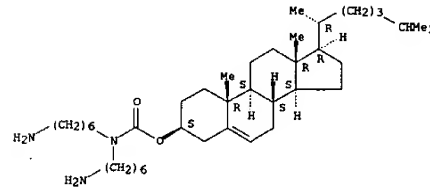
Absolute stereochemistry.

L41 ANSWER 15 OF 40 USPATFULL (Continued)



RN 179075-32-2 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, bis(6-aminohexyl)carbamate (9CI) (CA INDEX NAME)

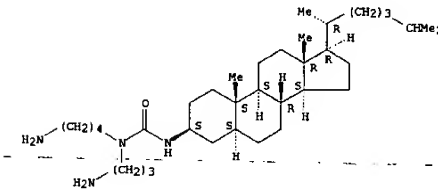
Absolute stereochemistry.



RN 179075-33-3 USPATFULL
CN Cholestan-3-ol, (4-aminobutyl)(3-aminopropyl)carbamate, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

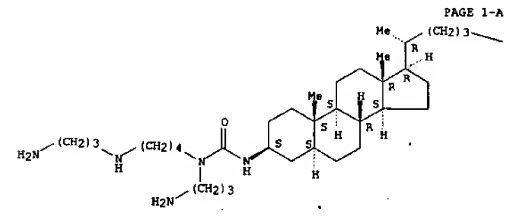
L41 ANSWER 15 OF 40 USPATFULL (Continued)



RN 179075-39-9 USPATFULL
CN Urea, N-(3-aminopropyl)-N-[4-{(3-aminopropyl)amino]butyl]-N'-[(3.beta.,5.alpha.]-cholestan-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



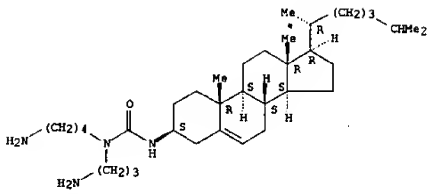
PAGE 1-B

CHMe2

RN 179075-42-4 USPATFULL
CN Urea, N-(4-aminobutyl)-N-(3-aminopropyl)-N'-[(3.beta.)-cholest-5-en-3-yl]- (9CI) (CA INDEX NAME)

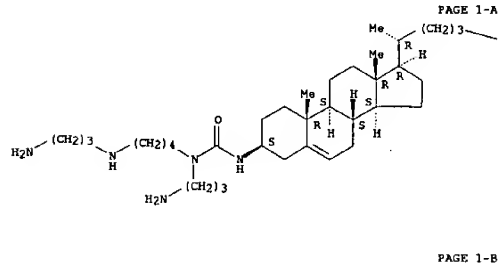
Absolute stereochemistry.

L41 ANSWER 15 OF 40 USPATFULL (Continued)



RN 179075-43-5 USPATFULL
CN Urea, N-(3-aminopropyl)-N-[4-[(3-aminopropyl)amino]butyl]-N'-[(3.beta.)-cholest-5-en-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-A

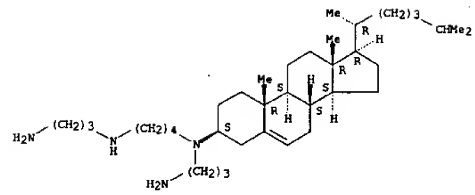
PAGE 1-B

CHMe2

RN 179075-50-4 USPATFULL
CN 1,4-Butanediamine, N,N'-bis(3-aminopropyl)-N-[(3.beta.)-cholest-5-en-3-yl]- (9CI) (CA INDEX NAME)

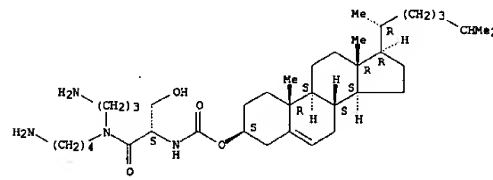
Absolute stereochemistry.

L41 ANSWER 15 OF 40 USPATFULL (Continued)



RN 209112-46-9 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [(1S)-2-[(4-aminobutyl)(3-aminopropyl)amino]-1-(hydroxymethyl)-2-oxoethyl]carbamate (9CI) (CA INDEX NAME)

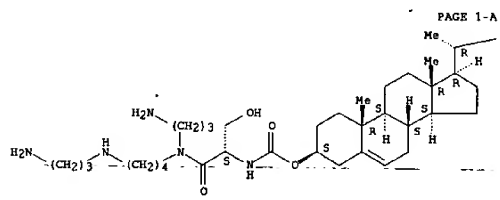
Absolute stereochemistry.



RN 209112-47-0 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [(1S)-2-[(3-aminopropyl)[4-[(3-aminopropyl)amino]butyl]amino]-1-(hydroxymethyl)-2-oxoethyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 15 OF 40 USPATFULL (Continued)



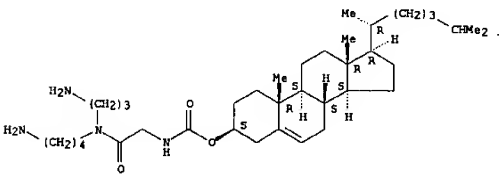
PAGE 1-A

PAGE 1-B

(CH2)3 CHMe2

RN 209112-48-1 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [2-[(4-aminobutyl)(3-aminopropyl)amino]-2-oxoethyl]carbamate (9CI) (CA INDEX NAME)

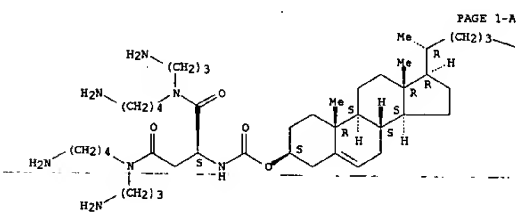
Absolute stereochemistry.



RN 209112-50-5 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [(1S)-3-[(4-aminobutyl)(3-aminopropyl)amino]-1-[[(4-aminobutyl)(3-aminopropyl)amino]carbonyl]-3-oxopropyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 15 OF 40 USPATFULL (Continued)



PAGE 1-A

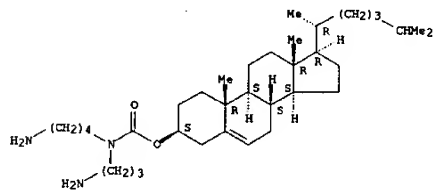
PAGE 1-B

CHMe2

IT **179075-25-3P 179075-30-0P 179075-37-7P 179075-40-2P**
(amphiphilic steroid carbamates for cell transfection and gene therapy)

RN 179075-25-3 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, (4-aminobutyl)(3-aminopropyl)carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

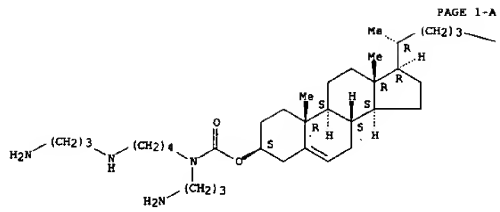


RN 179075-30-0 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, (3-aminopropyl)[4-[(3-aminopropyl)amino]butyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 15 OF 40 USPATFULL (Continued)

PAGE 1-A



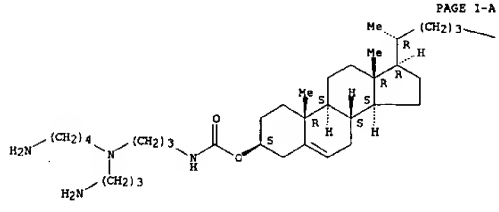
PAGE 1-B

CHMe2

RN 179075-37-7 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [3-[(4-aminobutyl)(3-aminopropyl)amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



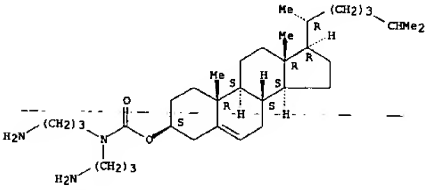
PAGE 1-B

CHMe2

RN 179075-40-2 USPATFULL

L41 ANSWER 15 OF 40 USPATFULL (Continued)
NAME)

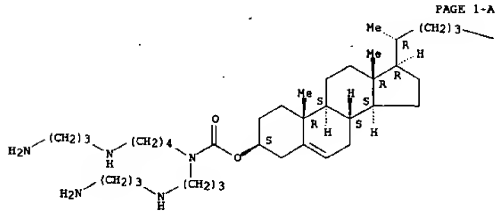
Absolute stereochemistry.



RN 179075-36-6 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [4-[(3-aminopropyl)amino]butyl][3-[(3-aminopropyl)amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

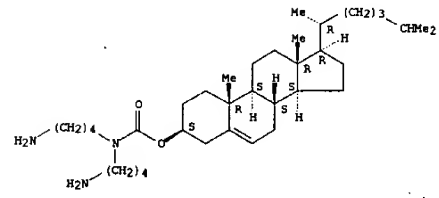
CHMe2

RN 179075-41-3 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, bis[4-[(3-aminopropyl)amino]butyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 15 OF 40 USPATFULL (Continued)
CN Cholest-5-en-3-ol (3.beta.)-, bis(4-aminobutyl)carbamate (9CI) (CA INDEX NAME)

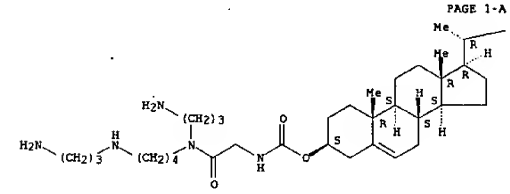
Absolute stereochemistry.



IT 179075-04-8P 179075-31-1P 179075-36-6P
179075-41-3P 179075-45-7P 179075-48-0P
(amphiphilic steroid carbamates for cell transfection and gene therapy)
RN 179075-04-8 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [2-[(3-aminopropyl)[4-[(3-aminopropyl)amino]butyl]amino]-2-oxoethyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



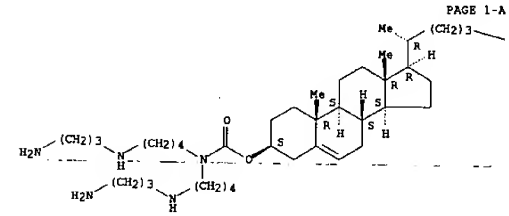
PAGE 1-B

(CH2)3 CHMe2

RN 179075-31-1 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, bis(3-aminopropyl)carbamate (9CI) (CA INDEX

L41 ANSWER 15 OF 40 USPATFULL (Continued)

PAGE 1-A

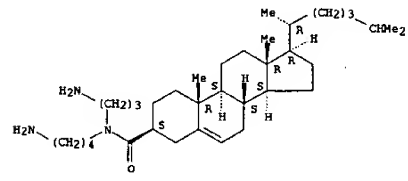


PAGE 1-B

CHMe2

RN 179075-45-7 USPATFULL
CN Cholest-5-ene-3-carboxamide, N-(4-aminobutyl)-N-(3-aminopropyl)-, (3.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

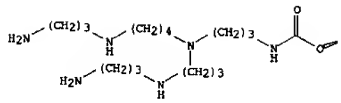


RN 179075-48-0 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [3-[[4-[(3-aminopropyl)amino]butyl][3-[(3-aminopropyl)amino]propyl]amino]propyl]carbamate (9CI) (CA INDEX NAME)

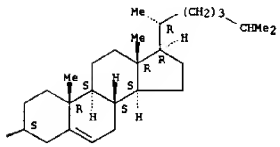
Absolute stereochemistry.

L41 ANSWER 15 OF 40 USPATFULL (Continued)

PAGE 1-A



PAGE 1-B



L41 ANSWER 16 OF 40 USPATFULL

ACCESSION NUMBER: 1998:65213 USPATFULL
TITLE: Method of treating a viral infection by administering a steroid compound
INVENTOR(S): Zasloff, Michael, Merion Station, PA, United States
PATENT ASSIGNEE(S): Magainin Pharmaceuticals Inc., Plymouth Meeting, PA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5763430		19980609
APPLICATION INFO.:	US 1995-479457		19950607 (8)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Prior, Kimberly J.		
LEGAL REPRESENTATIVE:	Finnegan, Henderson, Farabow, Garrett & Dunner, L.L.P.		
NUMBER OF CLAIMS:	5		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	27 Drawing Figure(s); 20 Drawing Page(s)		
LINE COUNT:	3495		

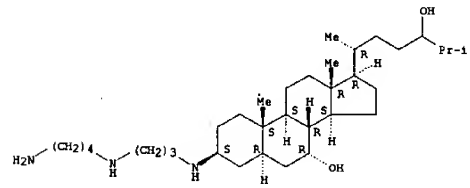
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A method of treating a viral infection includes administering an effective amount of a compound having the following structure: ##STR1## or a pharmaceutically acceptable salt thereof. This compound treats the viral infection by suppressing the growth of a viral target cell. As one specific example, this compound may be used to treat HIV infection.

IT **159791-14-7P 160348-64-1P 160348-65-2P 160348-66-3P 160348-67-4P 160348-70-9P 160348-90-3P 160348-91-4P**
(prepn. of polyaminosteroids as bactericides and antifungals)

RN 159791-14-7 USPATFULL
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

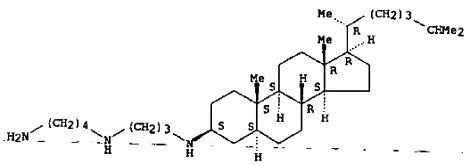
Absolute stereochemistry.



RN 160348-64-1 USPATFULL
CN 1,4-Butanediamine, N-[3-[[(3.beta.,5.alpha.)-cholestan-3-yl]amino]propyl]- (9CI) (CA INDEX NAME)

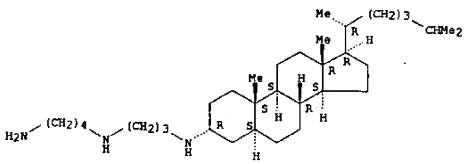
Absolute stereochemistry.

L41 ANSWER 16 OF 40 USPATFULL (Continued)



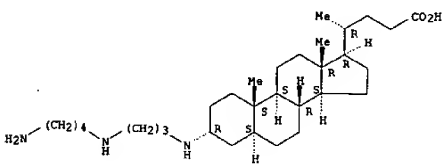
RN 160348-65-2 USPATFULL
CN 1,4-Butanediamine, N-[3-[[(3.alpha.,5.alpha.)-cholestan-3-yl]amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160348-66-3 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

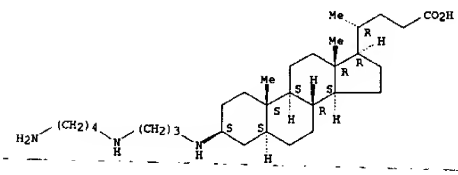
Absolute stereochemistry.



RN 160348-67-4 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

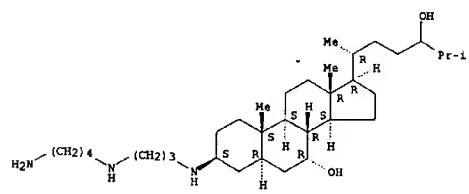
Absolute stereochemistry.

L41 ANSWER 16 OF 40 USPATFULL (Continued)



RN 160348-70-9 USPATFULL
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, trihydrochloride, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

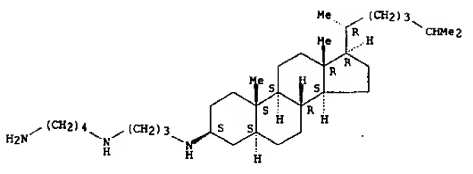


●3 HCl

RN 160348-90-3 USPATFULL
CN 1,4-Butanediamine, N-[3-[[(3.beta.,5.alpha.)-cholestan-3-yl]amino]propyl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

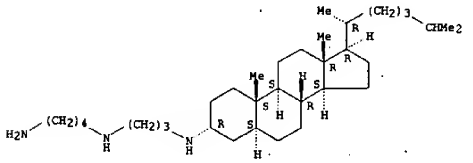
L41 ANSWER 16 OF 40 USPATFULL (Continued)



●3 HCl

RN 160348-91-4 USPATFULL
CN 1,4-Butanediamine, N-[3-[[(3.alpha.,5.alpha.)-cholestan-3-yl]amino]propyl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

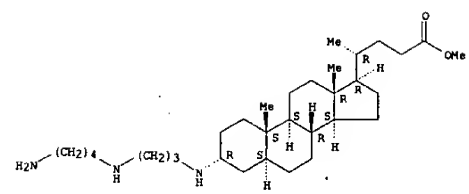


●3 HCl

IT **160348-77-6P 160348-78-7P**
(prepn. of polyaminosteroids as bactericides and antifungals)
RN 160348-77-6 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, methyl ester, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

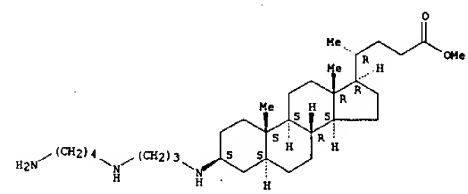
Absolute stereochemistry.

L41 ANSWER 16 OF 40 USPATFULL (Continued)



RN 160348-78-7 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, methyl ester, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L41 ANSWER 17 OF 40 USPATFULL

ACCESSION NUMBER: 1998:48389 USPATFULL
TITLE: Cationic amphiphiles containing steroid lipophilic groups for intracellular delivery of therapeutic molecules
INVENTOR(S): Siegel, Craig S., Woburn, MA, United States
Harris, David J., Lexington, MA, United States
Lee, Edward R., Quincy, MA, United States
Hubbard, Shirley C., Belmont, MA, United States
Cheng, Seng H., Wellesley, MA, United States
Eastman, Simon J., Marlboro, MA, United States
Marshall, John, Milford, MA, United States
Scheule, Ronald K., Hopkinton, MA, United States
Lane, Mathieu B., Cambridge, MA, United States
Rowe, Eric A., Malden, MA, United States
PATENT ASSIGNEE(S): Genzyme Corporation, Cambridge, MA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5747471		19980505
APPLICATION INFO.:	US 1995-540867		19951011 (8)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1994-352479, filed on 9 Dec 1994		

	NUMBER	DATE
PRIORITY INFORMATION:	US 1995-4344P	19950926 (60)
	US 1995-4399P	19950927 (60)

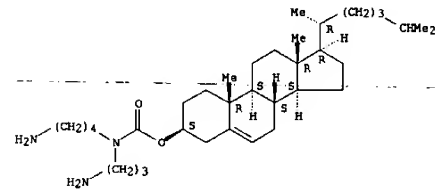
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Stone, Jacqueline M.
ASSISTANT EXAMINER: Twomey, Patrick
LEGAL REPRESENTATIVE: Donahue, E. Victor
NUMBER OF CLAIMS: 20
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 25 Drawing Figure(s); 22 Drawing Page(s)
LINE COUNT: 2790
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel cationic amphiphiles are provided that facilitate transport of biologically active (therapeutic) molecules into cells. The amphiphiles contain lipophilic groups derived from steroids, from mono or oligalkylamines, or from ether or ester-linked alkyl groups, and cationic groups, protonatable at physiological pH, derived from amines, alkylamines or polyalkylamines. There are provided also therapeutic compositions prepared typically by contacting a dispersion of one or more cationic amphiphiles with the therapeutic molecules. Therapeutic molecules that can be delivered into cells according to the practice of the invention include DNA, RNA, and polypeptides. Representative uses of the therapeutic compositions of the invention include providing gene therapy, and delivery of antisense polynucleotides or biologically active polypeptides to cells. With respect to therapeutic compositions for gene therapy, the DNA is provided typically in the form of a plasmid for complexing with the cationic amphiphile. Novel and highly effective plasmid constructs are also disclosed, including those that are particularly effective at providing gene therapy for clinical conditions complicated by inflammation.

IT **179075-25-3P 179075-30-0P 179075-31-1P**
179075-32-2P 179075-36-6P 179075-37-7P
179075-40-2P
(cationic amphiphiles contg. steroid lipophilic groups for

L41 ANSWER 17 OF 40 USPATFULL (Continued)
intracellular delivery of therapeutic mols.)
RN 179075-25-3 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, (4-aminobutyl)(3-aminopropyl)carbamate (9CI) (CA INDEX NAME)

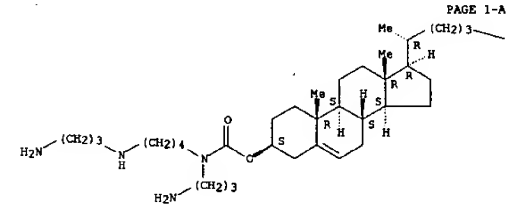
Absolute stereochemistry.



RN 179075-30-0 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, (3-aminopropyl)[4-[(3-aminopropyl)amino]butyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



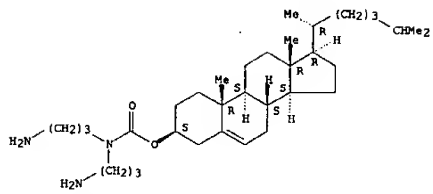
PAGE 1-B

CHMe2

RN 179075-31-1 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, bis(3-aminopropyl)carbamate (9CI) (CA INDEX NAME)

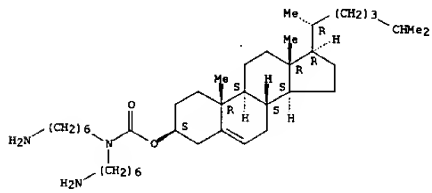
Absolute stereochemistry.

L41 ANSWER 17 OF 40 USPATFULL (Continued)



RN 179075-32-2 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, bis(6-aminohexyl)carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

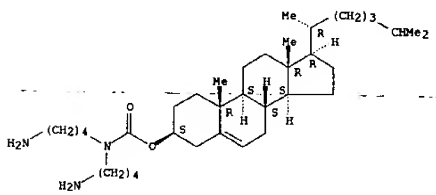


RN 179075-36-6 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [4-[(3-aminopropyl)amino]butyl][3-[(3-aminopropyl)amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

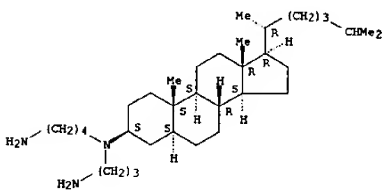
L41 ANSWER 17 OF 40 USPATFULL (Continued)
RN 179075-40-2 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, bis(4-aminobutyl)carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **179075-00-4 179075-01-5 179075-02-6**
179075-04-8 179075-09-3 179075-29-7
179075-33-3 179075-34-4 179075-38-8
179075-39-9 179075-41-3 179075-42-4
179075-43-5 179075-45-7 179075-48-0
179075-50-4
(cationic amphiphiles contg. steroid lipophilic groups for intracellular delivery of therapeutic mols.)
RN 179075-00-4 USPATFULL
CN 1,4-Butanediamine, N-(3-aminopropyl)-N-[(3.beta.,5.alpha.)-cholestan-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

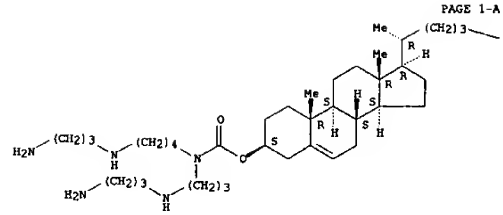


RN 179075-01-5 USPATFULL
CN Cholest-5-ene-3-carboxamide, N-(3-aminopropyl)-N-[4-[(3-aminopropyl)amino]butyl]-, (3.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 17 OF 40 USPATFULL (Continued)

PAGE 1-A

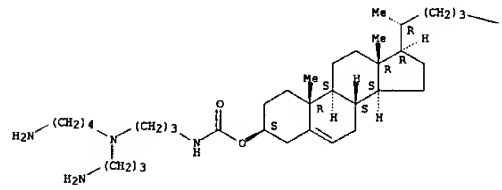


PAGE 1-B

RN 179075-37-7 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [3-[(4-aminobutyl)(3-aminopropyl)amino]propyl]carbamate (9CI) (CA INDEX NAME)

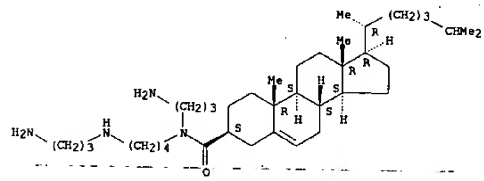
Absolute stereochemistry.

PAGE 1-A



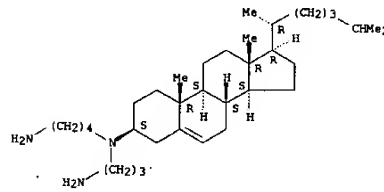
PAGE 1-B

L41 ANSWER 17 OF 40 USPATFULL (Continued)



RN 179075-02-6 USPATFULL
CN 1,4-Butanediamine, N-(3-aminopropyl)-N-[(3.beta.)-cholest-5-en-3-yl]- (9CI) (CA INDEX NAME)

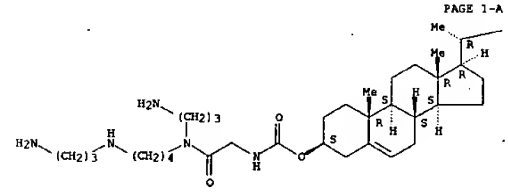
Absolute stereochemistry.



RN 179075-04-8 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [2-[(3-aminopropyl)[4-[(3-aminopropyl)amino]butyl]amino]-2-oxoethyl]carbamate (9CI) (CA INDEX NAME)

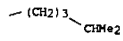
Absolute stereochemistry.

PAGE 1-A



L41 ANSWER 17 OF 40 USPATFULL (Continued)

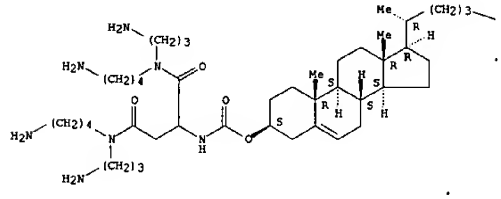
PAGE 1-B



RN 179075-09-3 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [3-[[(4-aminobutyl)(3-aminopropyl)amino]-1-[[(4-aminobutyl)(3-aminopropyl)amino]carbonyl]-3-oxopropyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

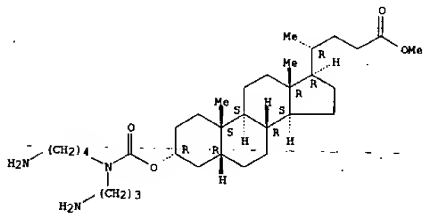


PAGE 1-B

RN 179075-29-7 USPATFULL
CN Cholesta-5,7-dien-1-ol, (4-aminobutyl)(3-aminopropyl)carbamate, (3.beta.)- (9CI) (CA INDEX NAME)

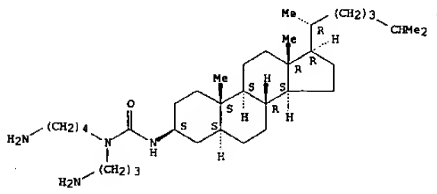
Absolute stereochemistry.

L41 ANSWER 17 OF 40 USPATFULL (Continued)



RN 179075-38-8 USPATFULL
CN Urea, N-(4-aminobutyl)-N-(3-aminopropyl)-N'-[(3.beta.,5.alpha.)-cholestan-3-yl]- (9CI) (CA INDEX NAME)

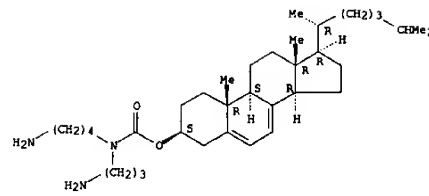
Absolute stereochemistry.



RN 179075-39-9 USPATFULL
CN Urea, N-(3-aminopropyl)-N-[4-[(3-aminopropyl)amino]butyl]-N'-[(3.beta.,5.alpha.)-cholestan-3-yl]- (9CI) (CA INDEX NAME)

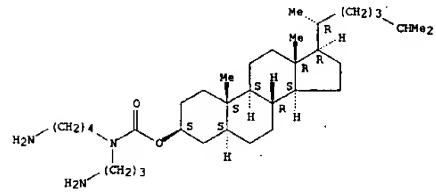
Absolute stereochemistry.

L41 ANSWER 17 OF 40 USPATFULL (Continued)



RN 179075-33-3 USPATFULL
CN Cholestan-3-ol, (4-aminobutyl)(3-aminopropyl)carbamate, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

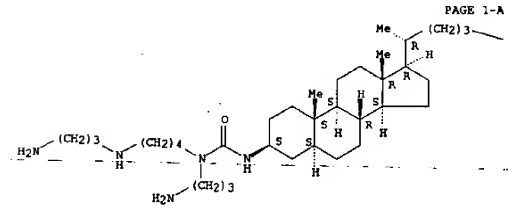


RN 179075-34-4 USPATFULL
CN Cholan-24-oic acid, 3-[[[(4-aminobutyl)(3-aminopropyl)amino]carbonyl]oxy]-, methyl ester, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 17 OF 40 USPATFULL (Continued)

PAGE 1-A

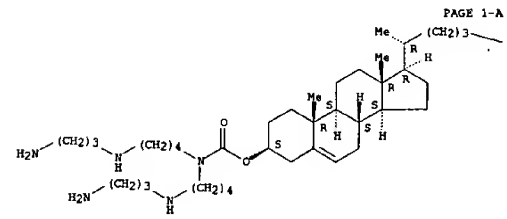


PAGE 1-B

RN 179075-41-3 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, bis[4-[(3-aminopropyl)amino]butyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

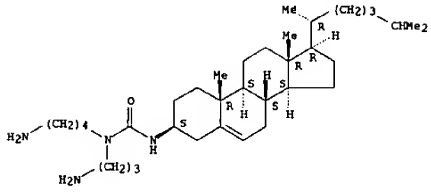
PAGE 1-A



PAGE 1-B

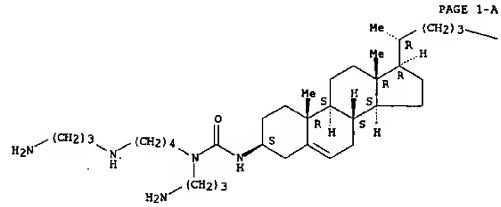
RN 179075-42-4 USPATFULL
CN Urea, N-(4-aminobutyl)-N-(3-aminopropyl)-N'-[(3.beta.)-cholest-5-en-3-yl]- (9CI) (CA INDEX NAME)

L41 ANSWER 17 OF 40 USPATFULL (Continued)
Absolute stereochemistry.



RN 179075-43-5 USPATFULL
CN Urea, N-(3-aminopropyl)-N-[4-[(3-aminopropyl)amino]butyl]-N'-[(3.beta.)-cholest-5-en-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-A

PAGE 1-B

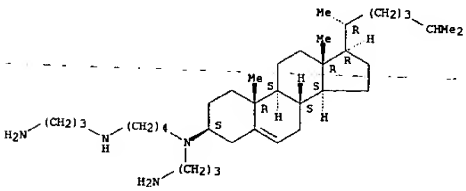
CHMe2

RN 179075-45-7 USPATFULL
CN Cholest-5-ene-3-carboxamide, N-(4-aminobutyl)-N-(3-aminopropyl)-, (3.beta.)- (9CI) (CA INDEX NAME)

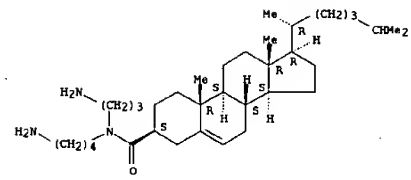
Absolute stereochemistry.

L41 ANSWER 17 OF 40 USPATFULL (Continued)
RN 179075-50-4 USPATFULL
CN 1,4-Butanediamine, N,N'-bis(3-aminopropyl)-N-[(3.beta.)-cholest-5-en-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



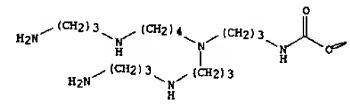
L41 ANSWER 17 OF 40 USPATFULL (Continued)



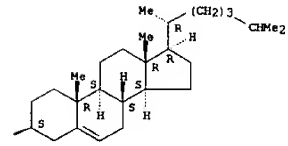
RN 179075-48-0 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [3-[[4-[(3-aminopropyl)amino]butyl][3-[(3-aminopropyl)amino]propyl]amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



L41 ANSWER 18 OF 40 USPATFULL

ACCESSION NUMBER:	1998:45188 USPATFULL
TITLE:	Polyamine conjugates for treatment of infection
INVENTOR(S):	Mintz, Clifford S., 6 Pebble Rd., East Windsor, NJ, United States 08570 Kogan, Natan A., 38-B Cedar Lake, Highland Park, NJ, United States 08904 Kakarla, Ramesh, 111B Taylor Ave., East Brunswick, NJ, United States 08816 Axelrod, Helena R., 15 Piedmont Dr., Cranbury, NJ, United States 08512 Sofia, Michael J., 3 Holly La., Lawrenceville, NJ, United States 08658

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5744453		19980428
APPLICATION INFO.:	US 1996-583809		19960105 (8)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Ivy, C. Warren		
ASSISTANT EXAMINER:	Mach, D. Margaret M.		
LEGAL REPRESENTATIVE:	Lowe, Price, LeBlanc & Becker		
NUMBER OF CLAIMS:	27		
EXEMPLARY CLAIM:	25		
NUMBER OF DRAWINGS:	13 Drawing Figure(s); 10 Drawing Page(s)		
LINE COUNT:	1819		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to methods of preventing or treating an infection or disease caused by an infectious agent. The present invention also relates to the augmentation of the efficacy of existing anti-infective agents by the co-administration of the compounds described herein.

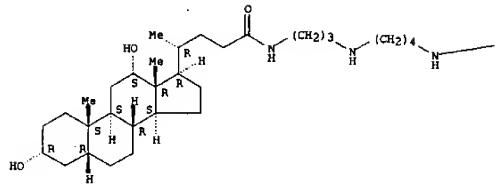
IT **174068-84-9P 174068-86-1P 174068-92-9P**
174068-98-5P 174068-99-6P 174069-03-5P
174069-04-6P 174069-05-7P 174069-13-7P
174069-15-9P 174069-16-0P 174069-19-3P
174069-21-7P 174180-24-6P 175089-94-8P
175089-95-9P 175089-96-0P 175089-98-2P
206439-78-3P 206439-79-4P 206439-80-7P
206439-81-8P 206439-82-9P 206439-88-5P
206439-89-6P 206553-50-6P
(prepn. of steroidal polyamine conjugates for treatment of infection)

RN 174068-84-9 USPATFULL
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

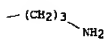
Absolute stereochemistry.

L41 ANSWER 18 OF 40 USPATFULL (Continued)

PAGE 1-A



PAGE 1-B

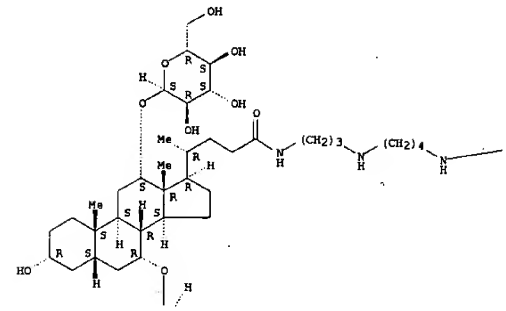


RN 174068-86-1 USPATFULL
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7,12-bis(.alpha.-D-glucopyranosyloxy]-3-hydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

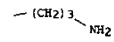
Absolute stereochemistry.

L41 ANSWER 18 OF 40 USPATFULL (Continued)

PAGE 1-A

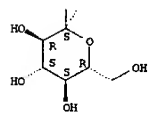


PAGE 1-B



L41 ANSWER 18 OF 40 USPATFULL (Continued)

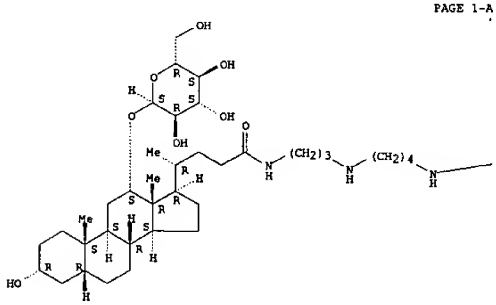
PAGE 2-A



RN 174068-92-9 USPATFULL
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl]amino]butyl]amino]propyl]-12-(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, trihydrochloride, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

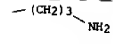
Absolute stereochemistry.

PAGE 1-A



L41 ANSWER 18 OF 40 USPATFULL (Continued)

PAGE 1-B

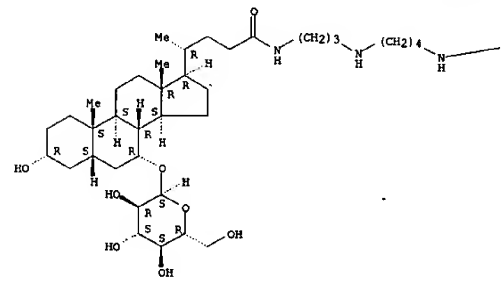


3 HCl

RN 174068-98-5 USPATFULL
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7-(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, trihydrochloride, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

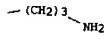
Absolute stereochemistry.

PAGE 1-A



L41 ANSWER 18 OF 40 USPATFULL (Continued)

PAGE 1-B

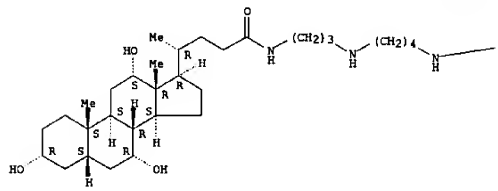


●3 HCl

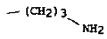
RN 174068-99-6 USPATFULL
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7,12-trihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

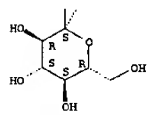


RN 174069-03-5 USPATFULL
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7,12-bis[.alpha.-D-glucopyranosyloxy)-3-hydroxy-, trihydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 18 OF 40 USPATFULL (Continued)

PAGE 2-A

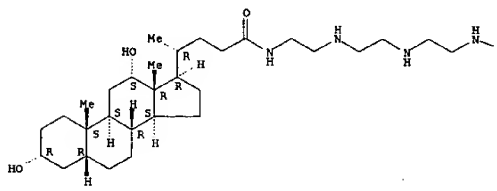


●3 HCl

RN 174069-04-6 USPATFULL
CN Cholan-24-amide, N-[2-[[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-3,12-dihydroxy-, trihydrochloride, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

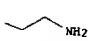
Absolute stereochemistry.

PAGE 1-A



●3 HCl

PAGE 1-B

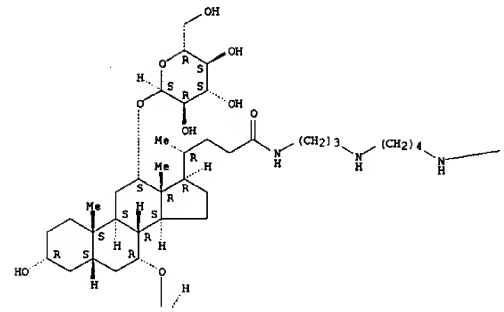


RN 174069-05-7 USPATFULL
CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

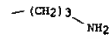
Absolute stereochemistry.

L41 ANSWER 18 OF 40 USPATFULL (Continued)

PAGE 1-A

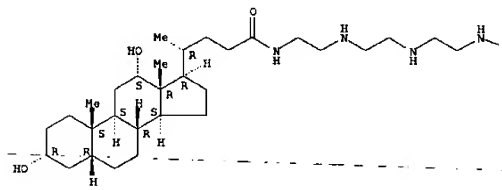


PAGE 1-B

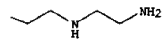


L41 ANSWER 18 OF 40 USPATFULL (Continued)

PAGE 1-A



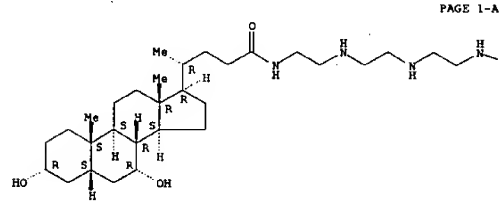
PAGE 1-B



RN 174069-13-7 USPATFULL
CN Cholan-24-amide, N-[2-[[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-3,7-dihydroxy-, tetrahydrochloride, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



●4 HCl

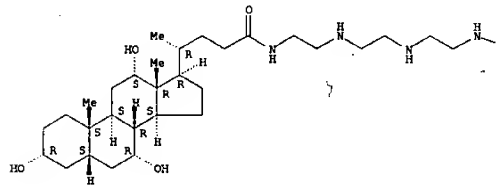
L41 ANSWER 18 OF 40 USPATFULL (Continued)

PAGE 1-B

RN 174069-15-9 USPATFULL
CN Cholan-24-amide, N-[2-[[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-3,7,12-trihydroxy-, pentahydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



●5 HCl

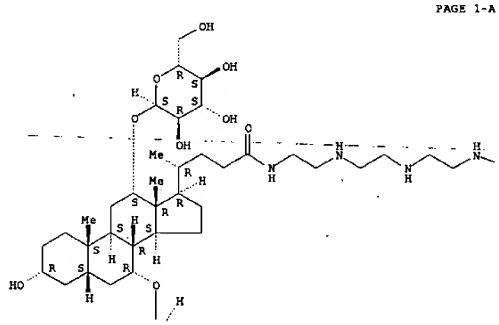
PAGE 1-B

RN 174069-16-0 USPATFULL
CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3,7,12-trihydroxy-, pentahydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

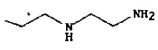
Absolute stereochemistry.

L41 ANSWER 18 OF 40 USPATFULL (Continued)

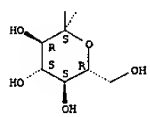
PAGE 1-A



PAGE 1-B



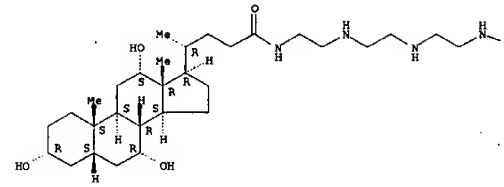
PAGE 2-A



●4 HCl

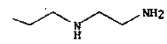
L41 ANSWER 18 OF 40 USPATFULL (Continued)

PAGE 1-A



●5 HCl

PAGE 1-B



RN 174069-19-3 USPATFULL
CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-7,12-bis(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, tetrahydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

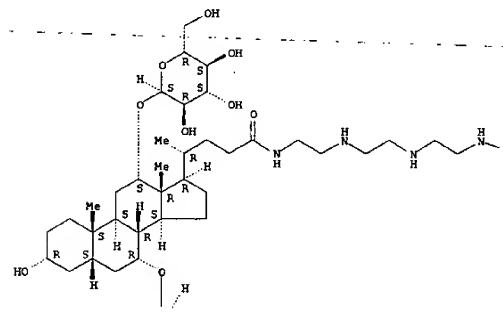
Absolute stereochemistry.

L41 ANSWER 18 OF 40 USPATFULL (Continued)

RN 174069-21-7 USPATFULL
CN Cholan-24-amide, N-[2-[[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-7,12-bis(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, trihydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

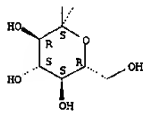
PAGE 1-A



PAGE 1-B

L41 ANSWER 18 OF 40 USPATFULL (Continued)

PAGE 2-A

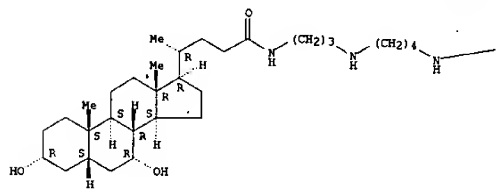


●3 HCl

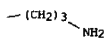
RN 174180-24-6 USPATFULL
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7-dihydroxy-, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

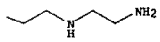


RN 175089-94-8 USPATFULL
CN Cholan-24-amide, N-[2-[[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-3,7,12-trihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 18 OF 40 USPATFULL (Continued)

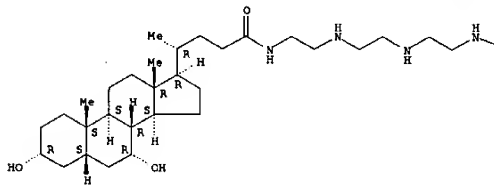
PAGE 1-B



RN 175089-96-0 USPATFULL
CN Cholan-24-amide, N-[2-[[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-3,7-dihydroxy-, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



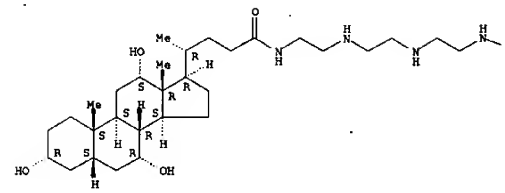
PAGE 1-B

RN 175089-98-2 USPATFULL
CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3-hydroxy-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 18 OF 40 USPATFULL (Continued)

PAGE 1-A

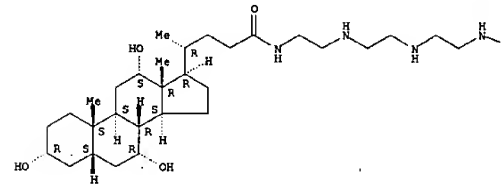


PAGE 1-B

RN 175089-95-9 USPATFULL
CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3,7,12-trihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

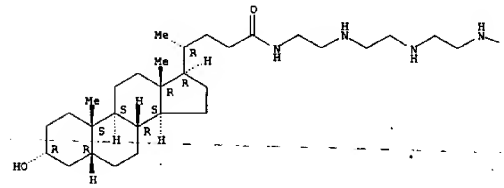
Absolute stereochemistry.

PAGE 1-A

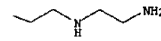


L41 ANSWER 18 OF 40 USPATFULL (Continued)

PAGE 1-A



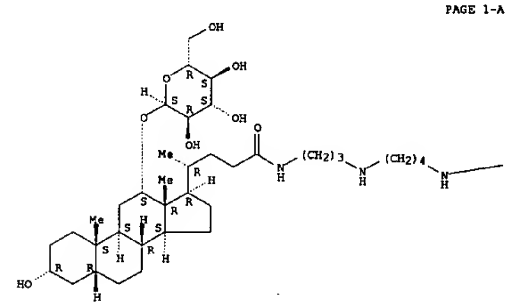
PAGE 1-B



RN 206439-78-3 USPATFULL
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-12-(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

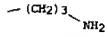
Absolute stereochemistry.

PAGE 1-A



L41 ANSWER 18 OF 40 USPATFULL (Continued)

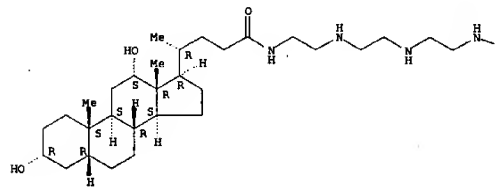
PAGE 1-B



RN 206439-79-4 USPATFULL
CN Cholan-24-amide, N-[2-[[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

RN 206439-80-7 USPATFULL
CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-7,12-bis(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

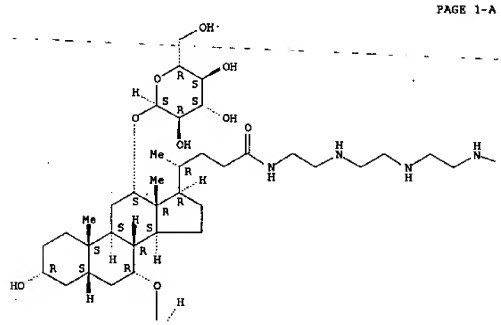
Absolute stereochemistry.

L41 ANSWER 18 OF 40 USPATFULL (Continued)

RN 206439-81-8 USPATFULL
CN Cholan-24-amide, N-[2-[[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-7,12-bis(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

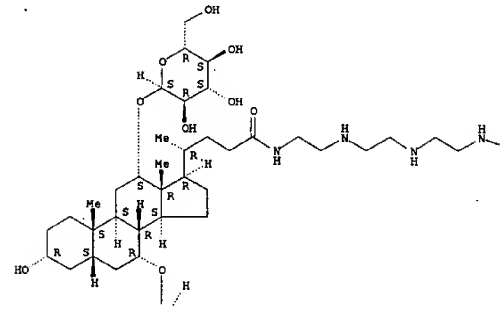
PAGE 1-A



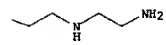
PAGE 1-B

L41 ANSWER 18 OF 40 USPATFULL (Continued)

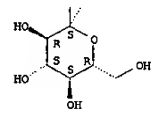
PAGE 1-A



PAGE 1-B

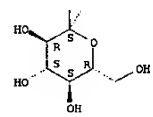


PAGE 2-A



L41 ANSWER 18 OF 40 USPATFULL (Continued)

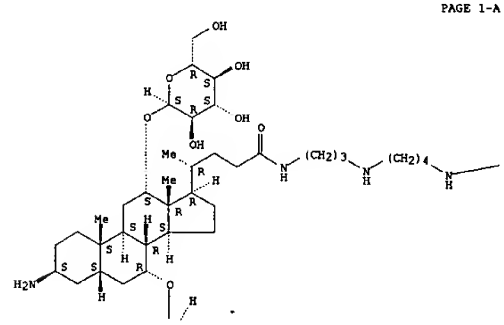
PAGE 2-A



RN 206439-82-9 USPATFULL
CN Cholan-24-amide, 3-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7,12-bis(.alpha.-D-glucopyranosyloxy)-, hydrochloride, (3.beta.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

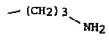
Absolute stereochemistry.

PAGE 1-A

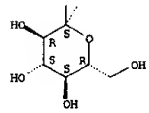


L41 ANSWER 18 OF 40 USPATFULL (Continued)

PAGE 1-B



PAGE 2-A



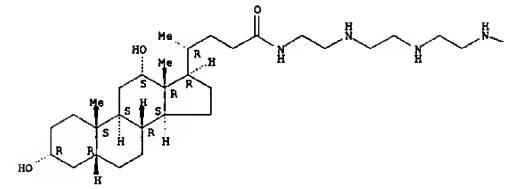
●x HCl

RN 206439-88-5 USPATFULL
CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3,12-dihydroxy-, dihydrochloride, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

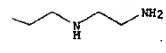
L41 ANSWER 18 OF 40 USPATFULL (Continued)

PAGE 1-A



●2 HCl

PAGE 1-B



RN 206439-89-6 USPATFULL
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7-dihydroxy-, (3.alpha.,5.beta.,7.alpha.)-, tris(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

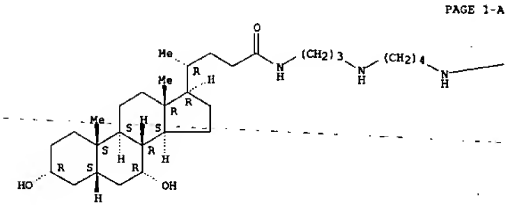
CM 1

CRN 174180-24-6
CMF C34 H64 N4 O3
CDES 4:3A,5B,7A.CHOL

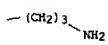
Absolute stereochemistry.

L41 ANSWER 18 OF 40 USPATFULL (Continued)

PAGE 1-A



PAGE 1-B



CM 2

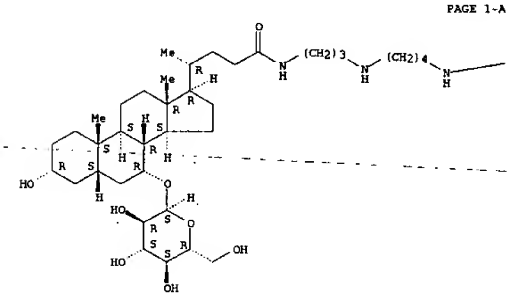
CRN 76-05-1
CMF C2 H F3 O2

RN 206553-50-6 USPATFULL
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7-(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

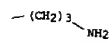
Absolute stereochemistry.

L41 ANSWER 18 OF 40 USPATFULL (Continued)

PAGE 1-A



PAGE 1-B



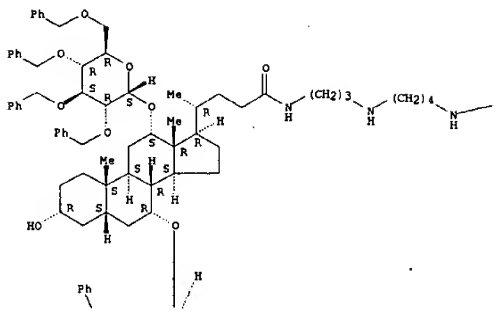
IT **174069-02-4P 174069-18-2P 174069-20-6P**
206439-86-3P 206439-87-4P
(prepn. of steroidal polyamine conjugates for treatment of infection)

RN 174069-02-4 USPATFULL
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-hydroxy-7,12-bis[[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]oxy]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

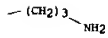
Absolute stereochemistry.

L41 ANSWER 18 OF 40 USPATFULL (Continued)

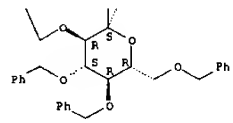
PAGE 1-A



PAGE 1-B



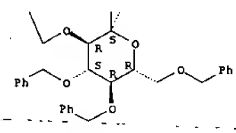
PAGE 2-A



RN 174069-18-2 USPATFULL

L41 ANSWER 18 OF 40 USPATFULL (Continued)

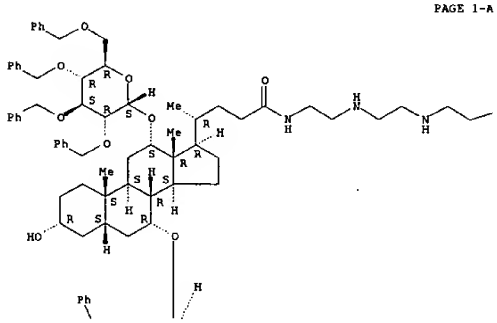
PAGE 2-A



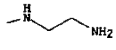
RN 174069-20-6 USPATFULL
CN Cholan-24-amide, N-[2-[[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-3-hydroxy-7,12-bis[[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]oxy]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



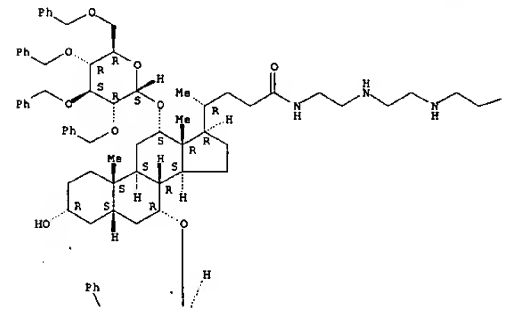
PAGE 1-B



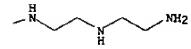
L41 ANSWER 18 OF 40 USPATFULL (Continued)
CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3-hydroxy-7,12-bis[[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]oxy]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

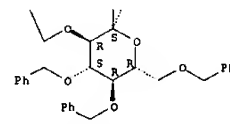


PAGE 1-B



L41 ANSWER 18 OF 40 USPATFULL (Continued)

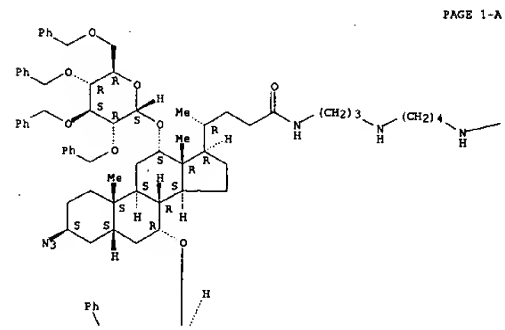
PAGE 2-A



RN 206439-86-3 USPATFULL
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-azido-7,12-bis[[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]oxy]-, (3.beta.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

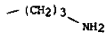
Absolute stereochemistry.

PAGE 1-A

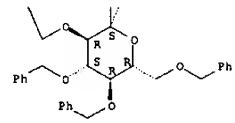


L41 ANSWER 18 OF 40 USPATFULL (Continued)

PAGE 1-B



PAGE 2-A



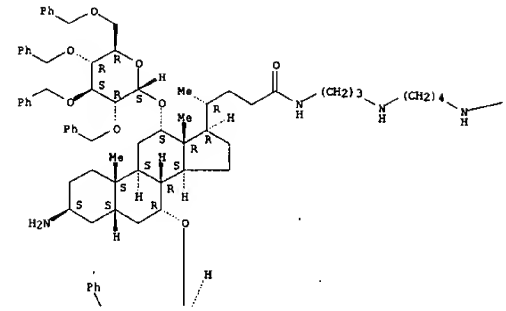
RN 206439-87-4 USPATFULL
CN Cholan-24-amide, 3-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7,12-bis[[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]oxy]-, (3.beta.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

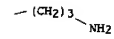
L41 ANSWER 18 OF 40 USPATFULL (Continued)

L41 ANSWER 18 OF 40 USPATFULL (Continued)

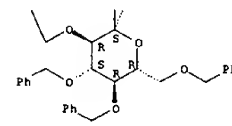
PAGE 1-A



PAGE 1-B



PAGE 2-A



L41 ANSWER 19 OF 40 USPATFULL

ACCESSION NUMBER:	1998:33921 USPATFULL		
TITLE:	Method for treating infection using steroid based pharmaceutical compositions		
INVENTOR(S):	Frye, Leah L., Ravena, NY, United States Zasloff, Michael A., Merion Station, PA, United States Kinney, William A., Churchill, PA, United States Moriarty, Robert, Oak Park, IL, United States Collins, Delwood C., Lexington, KY, United States		
PATENT ASSIGNEE(S):	Magainin Pharmaceuticals Inc., Plymouth Meeting, PA, United States (U.S. corporation)		
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5733899		19980331
	WO 9524415		19950914
APPLICATION INFO.:	US 1995-416883		19950420 (8)
	WO 1994-US10265		19940913
			19950420 PCT 371 date
			19950420 PCT 102(e) date
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1993-29018, filed on 10 Mar 1993, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Dees, Jose G.		
ASSISTANT EXAMINER:	Badio, Barbara		
LEGAL REPRESENTATIVE:	Finnegan, Henderson, Farabow, Garrett & Dunner		
NUMBER OF CLAIMS:	9		
EXEMPLARY CLAIM:	1		
LINE COUNT:	1620		

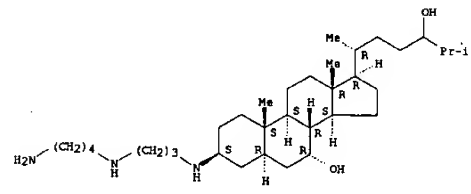
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A method of treating a bacterial or fungal infection in a patient by administering an effective amount of a compound of Formula (III): ##STR1## wherein, the substituents are as defined in the specification.

IT **159791-14-7P 160348-64-1P 160348-65-2P 160348-66-3P 160348-67-4P 160348-70-9P 160348-90-3P 160348-91-4P**
(prepn. of polyaminosteroids as bactericides and antifungals)

RN 159791-14-7 USPATFULL
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

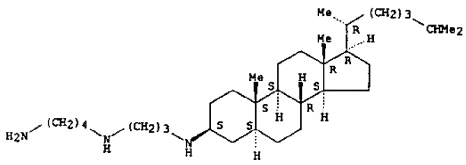
Absolute stereochemistry.



RN 160348-64-1 USPATFULL

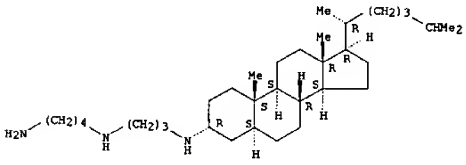
L41 ANSWER 19 OF 40 USPATFULL (Continued)
CN 1,4-Butanediamine, N-{3-[[(3.beta.,5.alpha.)-cholestan-3-yl]amino]propyl}- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160348-65-2 USPATFULL
CN 1,4-Butanediamine, N-[3-[[(3.alpha.,5.alpha.)-cholestan-3-yl]amino]propyl]- (9CI) (CA INDEX NAME)

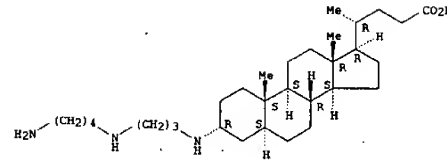
Absolute stereochemistry.



RN 160348-66-3 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

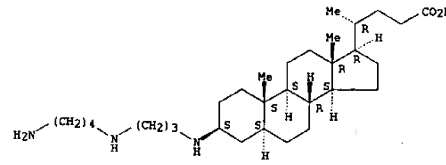
Absolute stereochemistry.

L41 ANSWER 19 OF 40 USPATFULL (Continued)



RN 160348-67-4 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

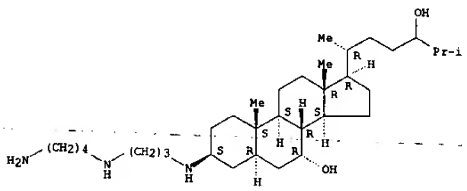
Absolute stereochemistry.



RN 160348-70-9 USPATFULL
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, trihydrochloride, [3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

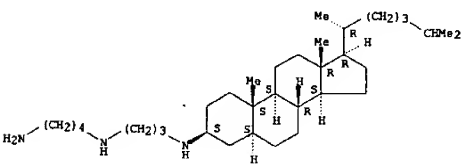
L41 ANSWER 19 OF 40 USPATFULL (Continued)



●3 HCl

RN 160348-90-3 USPATFULL
CN 1,4-Butanediamine, N-[3-[[(3.beta.,5.alpha.)-cholestan-3-yl]amino]propyl]- , trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

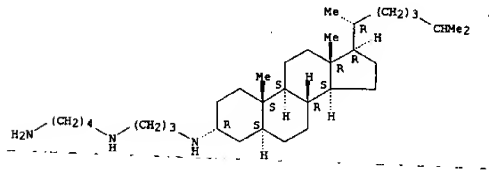


●3 HCl

RN 160348-91-4 USPATFULL
CN 1,4-Butanediamine, N-[3-[[(3.alpha.,5.alpha.)-cholestan-3-yl]amino]propyl]- , trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

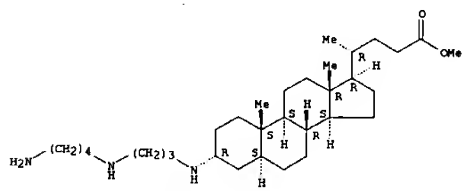
L41 ANSWER 19 OF 40 USPATFULL (Continued)



●3 HCl

IT **160348-77-6P 160348-78-7P**
(prepn. of polyaminosteroids as bactericides and antifungals)
RN 160348-77-6 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, methyl ester, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

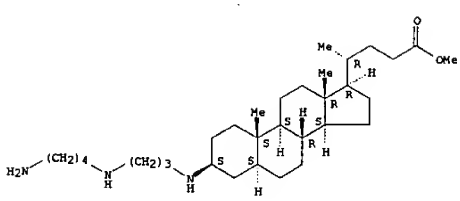
Absolute stereochemistry.



RN 160348-78-7 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, methyl ester, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 19 OF 40 USPATFULL (Continued)



L41 ANSWER 20 OF 40 USPATFULL

ACCESSION NUMBER: 1998:19695 USPATFULL
TITLE: Method for inhibiting angiogenesis using squalamine and squalamine steroid derivatives
INVENTOR(S): Frye, Leah L., Ravena, NY, United States
Zasloff, Michael A., Merion Station, PA, United States
Kinney, William A., Churchill, PA, United States
Moriarty, Robert, Oak Park, IL, United States
Collins, Delwood C., Lexington, KY, United States
PATENT ASSIGNEE(S): Magainin Pharmaceuticals Inc., Plymouth Meeting, PA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5721226		19980224
APPLICATION INFO.:	US 1995-478763		19950607 (8)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1995-416883, filed on 20 Apr 1995 And a continuation-in-part of Ser. No. US 1994-290826, filed on 18 Aug 1994, now patented, Pat. No. US 5637691 And a continuation-in-part of Ser. No. US 1993-29018, filed on 10 Mar 1993, now abandoned
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Prior, Kimberly J.
LEGAL REPRESENTATIVE: Finnegan, Henderson, Farabow, Garrett, & Dunner, L.L.P.
NUMBER OF CLAIMS: 12
EXEMPLARY CLAIM: 1
LINE COUNT: 1659
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

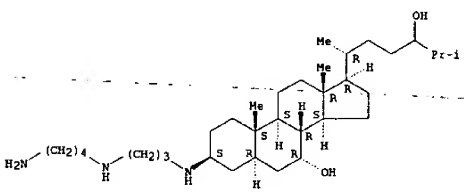
AB A method of inhibiting angiogenesis in a patient includes administering to the patient an effective amount of squalamine or a pharmaceutically acceptable salt of squalamine. Alternatively, a compound according to the following Formula (III) (or a pharmaceutically acceptable salt thereof) can be administered: ##STR1## wherein Z.sub.5 is .alpha.-H or .beta.-H; each of the substituents Z.sub.7 is selected from the group of --H, --OH, --SH, --NH.sub.2, --F, --(C.sub.1 -C.sub.3)-alkyl, and --(C.sub.1 -C.sub.3)-alkoxy; and one of the substituents Z.sub.12 is --H and the other is --H or --OH. X' is a polyamine side chain of the formula --X.sub.1 --(CH.sub.2).sub.p --X.sub.2 --(CH.sub.2).sub.q --N(R.sup.II)(R.sup.III), wherein one of X.sub.1 and X.sub.2 is --N(R.sup.IV) and the other is selected from the group of --N(R.sup.V), --O, --S, and --CH.sub.2. R.sup.IV and R.sup.V are each --H or --(C.sub.1 -C.sub.3)-alkyl, p and q are each an integer of from 0 to 5 (but both are not 0). R.sup.II and R.sup.III in the formula for X' are each --H, --(C.sub.1 -C.sub.3)-alkyl, or --(CH.sub.2).sub.r --N(R.sub.10)(R.sub.11) wherein r is an integer from 2 to 5 and R.sub.10 and R.sub.11 are each --H or --(C.sub.1 -C.sub.3)-alkyl. R' in Formula (III) is --H or --(C.sub.1 -C.sub.3)-alkyl, and Y' is --(C.sub.1 -C.sub.10)-alkyl, unsubstituted or substituted with --CO.sub.2 H, --OH, --NH--SO.sub.2 CF.sub.3, --SO.sub.3 H, --PO.sub.3 H.sub.2, --OSO.sub.3 H, --CF.sub.3, --F, ##STR2##

IT **159791-14-7P 160348-64-1P 160348-65-2P 160348-66-3P 160348-67-4P 160348-70-9P 160348-90-3P 160348-91-4P**
(prepn. of polyaminosteroids as bactericides and antifungals)

RN 159791-14-7 USPATFULL
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-,

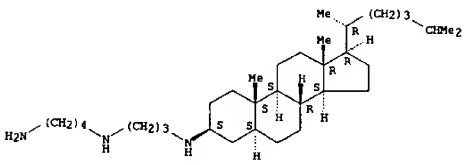
L41 ANSWER 20 OF 40 USPATFULL (Continued)
(3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



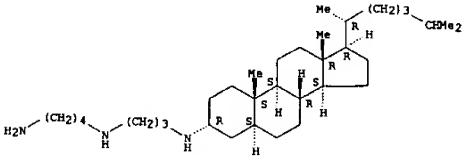
RN 160348-64-1 USPATFULL
CN 1,4-Butanediamine, N-[3-[[(3.beta.,5.alpha.)-cholestan-3-yl]amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



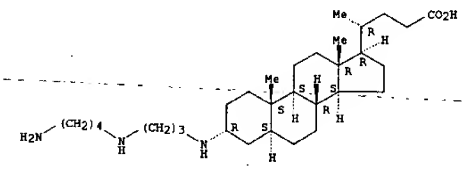
RN 160348-65-2 USPATFULL
CN 1,4-Butanediamine, N-[3-[[(3.alpha.,5.alpha.)-cholestan-3-yl]amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



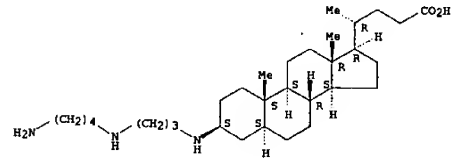
L41 ANSWER 20 OF 40 USPATFULL (Continued)
RN 160348-66-3 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160348-67-4 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

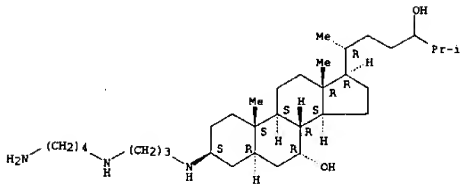
Absolute stereochemistry.



RN 160348-70-9 USPATFULL
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, trihydrochloride, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

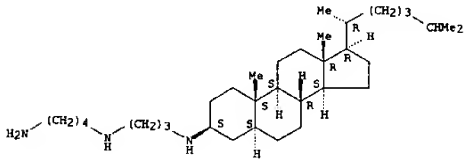
L41 ANSWER 20 OF 40 USPATFULL (Continued)



●3 HCl

RN 160348-90-3 USPATFULL
CN 1,4-Butanediamine, N-[3-[[(3.beta.,5.alpha.)-cholestan-3-yl]amino]propyl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

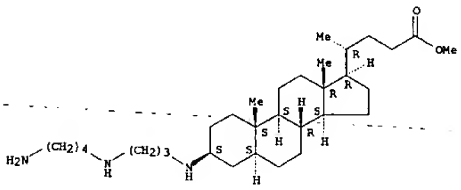


●3 HCl

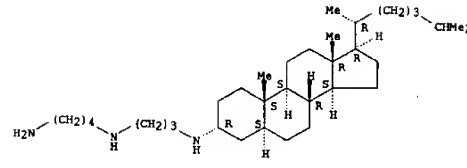
RN 160348-91-4 USPATFULL
CN 1,4-Butanediamine, N-[3-[[(3.alpha.,5.alpha.)-cholestan-3-yl]amino]propyl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 20 OF 40 USPATFULL (Continued)



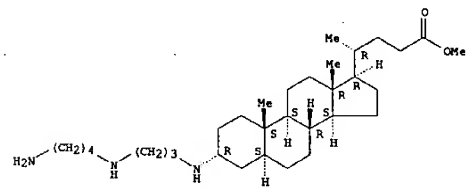
L41 ANSWER 20 OF 40 USPATFULL (Continued)



●3 HCl

IT 160348-77-6P 160348-78-7P
(prepn. of polyaminosteroids as bactericides and antifungals)
RN 160348-77-6 USPATFULL
CN Cholan-24-oic acid, 3-{[3-[(4-aminobutyl)amino]propyl]amino]-, methyl ester, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160348-78-7 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, methyl ester, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 21 OF 40 USPATFULL

ACCESSION NUMBER:	1998:17295 USPATFULL
TITLE:	Cationic amphiphiles containing dialkylamine lipophilic groups for intracellular delivery of therapeutic molecules
INVENTOR(S):	Harris, David J., Lexington, MA, United States Lee, Edward R., Quincy, MA, United States Siegel, Craig S., Woburn, MA, United States Cheng, Seng H., Wellesley, MA, United States Eastman, Simon J., Marlboro, MA, United States Marshall, John, Milford, MA, United States Scheule, Ronald K., Hopkinton, MA, United States
PATENT ASSIGNEE(S):	Genzyme Corporation, Framingham, MA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5719131		19980217
APPLICATION INFO.:	US 1995-546110		19951020 (8)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1995-540867, filed on 11 Oct 1995 which is a continuation-in-part of Ser. No. US 1994-352479, filed on 9 Dec 1994		

	NUMBER	DATE
PRIORITY INFORMATION:	US 1995-4344P	19950926 (60)
	US 1995-4399P	19950927 (60)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Low, Christopher S.F.
ASSISTANT EXAMINER:	Nguyen, Dave T.
NUMBER OF CLAIMS:	24
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	26 Drawing Figure(s); 22 Drawing Page(s)
LINE COUNT:	2966

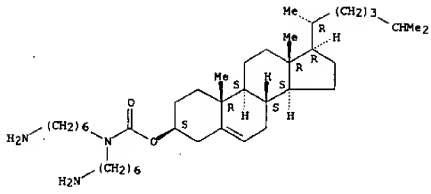
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel cationic amphiphiles are provided that facilitate transport of biologically active (therapeutic) molecules into cells. The amphiphiles contain lipophilic groups derived from steroids, from mono or dialkylamines, or from alkyl or acyl groups; and cationic groups, protonatable at physiological pH, derived from amines, alkylamines or polyalkylamines. There are provided also therapeutic compositions prepared typically by contacting a dispersion of one or more cationic amphiphiles with the therapeutic molecules. Therapeutic molecules that can be delivered into cells according to the practice of the invention include DNA, RNA, and polypeptides. Representative uses of the therapeutic compositions of the invention include providing gene therapy, and delivery of antisense polynucleotides or biologically active polypeptides to cells. With respect to therapeutic compositions for gene therapy, the DNA is provided typically in the form of a plasmid for complexing with the cationic amphiphile. Novel and highly effective plasmid constructs are also disclosed, including those that are particularly effective at providing gene therapy for clinical conditions complicated by inflammation. Additionally, targeting of organs for gene therapy by intravenous administration of therapeutic compositions is described.

IT 179075-32-2P
(cationic amphiphiles contg. dialkylamine lipophilic groups for intracellular delivery of therapeutic mols.)
RN 179075-32-2 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, bis(6-aminohexyl)carbamate (9CI) (CA INDEX

L41 ANSWER 21 OF 40 USPATFULL (Continued)
NAME)

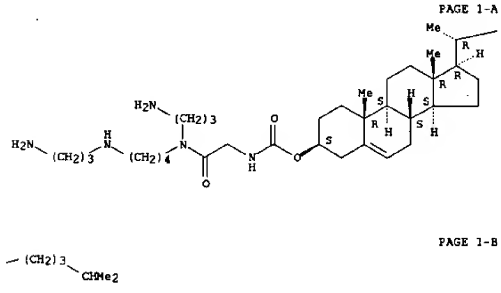
Absolute stereochemistry.



IT 179075-04-8P 179075-25-3P 179075-30-0P
179075-31-1P 179075-36-6P 179075-37-7P
179075-40-2P 179075-41-3P 179075-45-7P
179075-48-0P
(cationic amphiphiles contg. dialkylamine lipophilic groups for intracellular delivery of therapeutic mols.)

RN 179075-04-8 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [2-[(3-aminopropyl)[4-[(3-aminopropyl)amino]butyl]amino]-2-oxoethyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

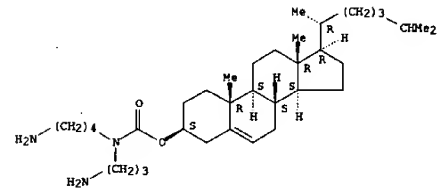


PAGE 1-A

PAGE 1-B

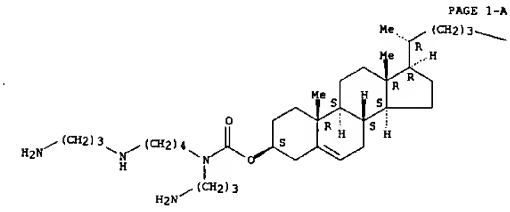
L41 ANSWER 21 OF 40 USPATFULL (Continued)
RN 179075-25-3 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, (4-aminobutyl)(3-aminopropyl)carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 179075-30-0 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, (3-aminopropyl)[4-[(3-aminopropyl)amino]butyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

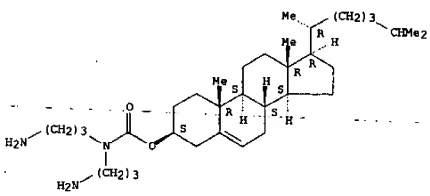


PAGE 1-A

PAGE 1-B

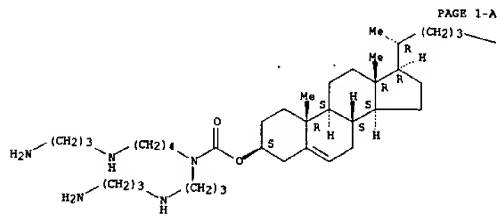
RN 179075-31-1 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, bis(3-aminopropyl)carbamate (9CI) (CA INDEX NAME)

L41 ANSWER 21 OF 40 USPATFULL (Continued)
Absolute stereochemistry.



RN 179075-36-6 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [4-[(3-aminopropyl)amino]butyl][3-[(3-aminopropyl)amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



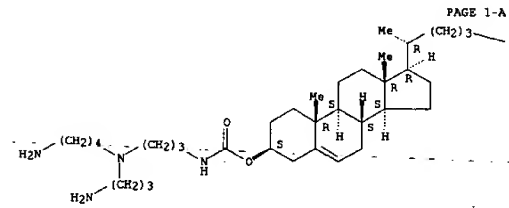
PAGE 1-A

PAGE 1-B

RN 179075-37-7 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [3-[(4-aminobutyl)(3-aminopropyl)amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 21 OF 40 USPATFULL (Continued)

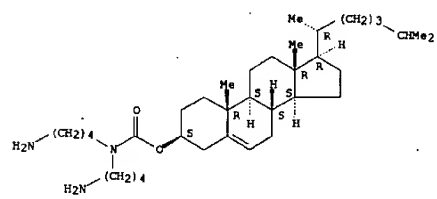


PAGE 1-A

PAGE 1-B

RN 179075-40-2 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, bis(4-aminobutyl)carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

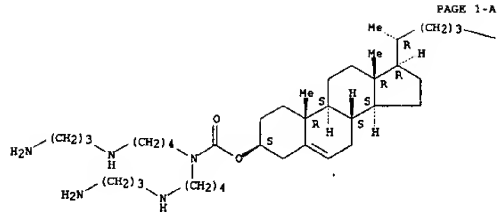


RN 179075-41-3 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, bis[4-[(3-aminopropyl)amino]butyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 21 OF 40 USPATFULL (Continued)

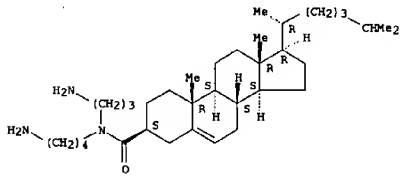
PAGE 1-A



PAGE 1-B

RN 179075-45-7 USPATFULL
CN Cholest-5-ene-3-carboxamide, N-(4-aminobutyl)-N-(3-aminopropyl)-, (3.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

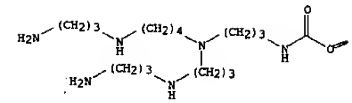


RN 179075-48-0 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [3-[[4-[(3-aminopropyl)amino]butyl][3-[(3-aminopropyl)amino]propyl]amino]propyl]carbamate (9CI) (CA INDEX NAME)

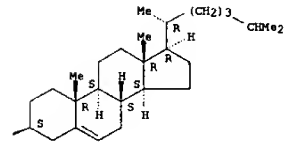
Absolute stereochemistry.

L41 ANSWER 21 OF 40 USPATFULL (Continued)

PAGE 1-A



PAGE 1-B



L41 ANSWER 22 OF 40 USPATFULL

ACCESSION NUMBER:	97:76010 USPATFULL
TITLE:	Self-assembling polynucleotide delivery system comprising dendrimer polycations
INVENTOR(S):	Szoka, Jr., Francis C., 45 Mendosa Ave., San Francisco, CA, United States 94116 Haensler, Jean, 117, Rue Principale, 57540 Petite-Rosselle, France

PATENT INFORMATION:	NUMBER	KIND	DATE
	US 5661025		19970826
APPLICATION INFO.:	US 1995-480463		19950607 (8)
RELATED APPLN. INFO.:	Division of Ser. No. US 1993-92200, filed on 14 Jul 1993, now abandoned which is a continuation-in-part of Ser. No. US 1992-913669, filed on 14 Jul 1992 which is a continuation-in-part of Ser. No. US 1992-864876, filed on 3 Apr 1992, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Robinson, Douglas W.		
ASSISTANT EXAMINER:	Wai, Thanda		
NUMBER OF CLAIMS:	6		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	13 Drawing Figure(s); 8 Drawing Page(s)		
LINE COUNT:	2060		

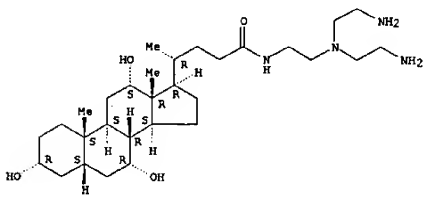
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A self-assembling polynucleotide delivery system comprises a dendrimer polycation aiding in the delivery of the polynucleotide to a desired address, and optionally other agents such as DNA masking agents, cell recognition agents, charge-neutralization agents, membrane-permeabilization agents, and subcellular-localization agents.

IT **153001-97-9P**
(prepn. and use as membrane permeabilizing component of self-assembling polynucleotide delivery system of)

RN 153001-97-9 USPATFULL
CN Cholan-24-amide, N-[2-[bis(2-aminoethyl)amino]ethyl]-3,7,12-trihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L41 ANSWER 23 OF 40 USPATFULL

ACCESSION NUMBER:	97:63707 USPATFULL
TITLE:	Cationic amphiphiles for intracellular delivery of therapeutic molecules
INVENTOR(S):	Harris, David J., Lexington, MA, United States Lee, Edward R., Quincy, MA, United States Siegel, Craig S., Woburn, MA, United States Cheng, Seng H., Wellesley, MA, United States Eastman, Simon J., Marlboro, MA, United States Marshall, John, Milford, MA, United States
PATENT ASSIGNEE(S):	Genzyme Corporation, Cambridge, MA, United States (U.S. corporation)

PATENT INFORMATION:	NUMBER	KIND	DATE
	US 5650096		19970722
APPLICATION INFO.:	US 1994-352479		19941209 (8)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Lovering, Richard D.		
LEGAL REPRESENTATIVE:	Donahue, E. Victor		
NUMBER OF CLAIMS:	7		
EXEMPLARY CLAIM:	1,2		
NUMBER OF DRAWINGS:	22 Drawing Figure(s); 14 Drawing Page(s)		
LINE COUNT:	1137		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

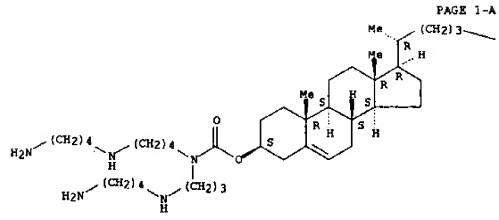
AB Novel cationic amphiphiles are provided that facilitate transport of biologically active molecules into cells. Typically, the amphiphiles contain lipophilic groups derived from steroids or from mono or dialkylamines, and two cationic groups, protonatable at physiological pH, derived from amines, alkylamines or polyalkylamines. There are provided also therapeutic compositions prepared typically by contacting a dispersion of one or more cationic amphiphiles, with or without colipids, and therapeutic molecules. Therapeutic molecules that can be delivered into cells according to the practice of the invention include DNA, RNA, polypeptides and low molecular weight organic compounds. Representative uses of the therapeutic compositions of the invention include providing gene therapy, and delivery of antisense polynucleotides or biologically active polypeptides to cells.

IT **179074-99-8 179075-00-4 179075-01-5**
179075-02-6 179075-03-7 179075-04-8
179075-09-3 179075-25-3 179075-28-6
179075-29-7 179075-30-0 179075-31-1
179075-32-2 179075-33-3 179075-34-4
179075-36-6 179075-37-7 179075-38-8
179075-39-9 179075-40-2 179075-41-3
179075-42-4 179075-43-5 179075-45-7
179075-48-0 179075-50-4
(transfection-enhancing agent; cationic amphiphiles and plasmids for intracellular delivery of therapeutic mols.)

RN 179074-99-8 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [4-[[(4-aminobutyl)amino]butyl][3-[(4-aminobutyl)amino]propyl]carbamate (9CI) (CA INDEX NAME)

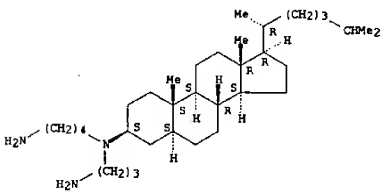
Absolute stereochemistry.

L41 ANSWER 23 OF 40 USPATFULL (Continued)



RN 179075-00-4 USPATFULL
CN 1,4-Butanediamine, N-(3-aminopropyl)-N-[(3.beta.,5.alpha.)-cholestan-3-yl]- (9CI) (CA INDEX NAME)

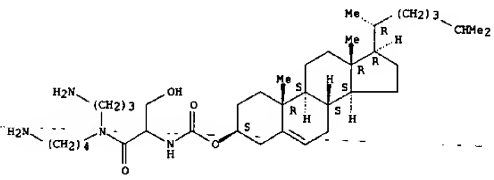
Absolute stereochemistry.



RN 179075-01-5 USPATFULL
CN Cholest-5-ene-3-carboxamide, N-(3-aminopropyl)-N-[4-[(3-aminopropyl)amino]butyl]-, (3.beta.)- (9CI) (CA INDEX NAME)

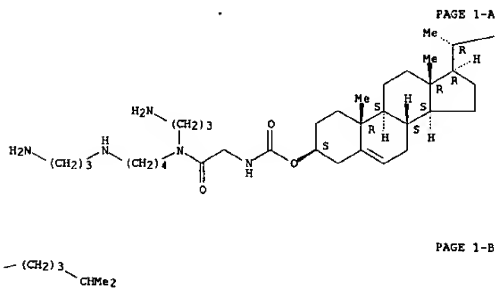
Absolute stereochemistry.

L41 ANSWER 23 OF 40 USPATFULL (Continued)



RN 179075-04-8 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [2-[(3-aminopropyl)[4-[(3-aminopropyl)amino]butyl]amino]-2-oxoethyl]carbamate (9CI) (CA INDEX NAME)

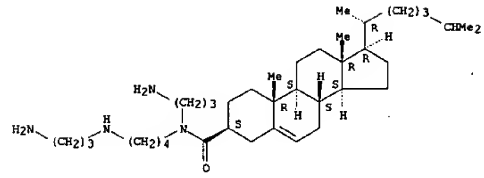
Absolute stereochemistry.



RN 179075-09-3 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [3-[[4-aminobutyl](3-aminopropyl)amino]-1-[[[4-aminobutyl](3-aminopropyl)amino]carbonyl]-3-oxopropyl]carbamate (9CI) (CA INDEX NAME)

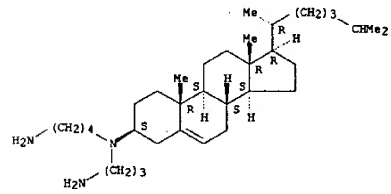
Absolute stereochemistry.

L41 ANSWER 23 OF 40 USPATFULL (Continued)



RN 179075-02-6 USPATFULL
CN 1,4-Butanediamine, N-(3-aminopropyl)-N-[(3.beta.)-cholest-5-en-3-yl]- (9CI) (CA INDEX NAME)

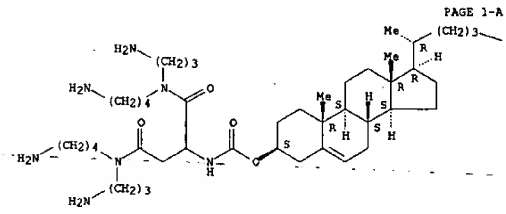
Absolute stereochemistry.



RN 179075-03-7 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [2-[(4-aminobutyl)(3-aminopropyl)amino]-1-(hydroxymethyl)-2-oxoethyl]carbamate (9CI) (CA INDEX NAME)

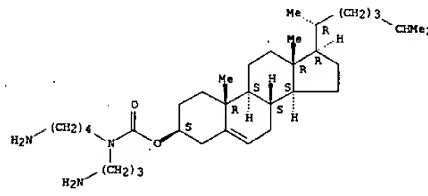
Absolute stereochemistry.

L41 ANSWER 23 OF 40 USPATFULL (Continued)



RN 179075-25-3 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, (4-aminobutyl)(3-aminopropyl)carbamate (9CI) (CA INDEX NAME)

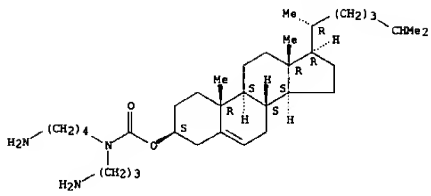
Absolute stereochemistry.



RN 179075-28-6 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, (4-aminobutyl)(3-aminopropyl)carbamate, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

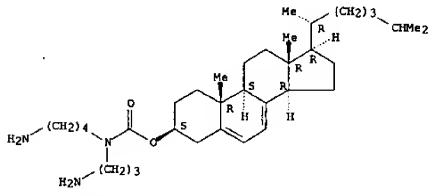
L41 ANSWER 23 OF 40 USPATFULL (Continued)



●2 HCl

RN 179075-29-7 USPATFULL
CN Cholesta-5,7-dien-1-ol, (4-aminobutyl)(3-aminopropyl)carbamate, (3.beta.)- (9CI) (CA INDEX NAME)

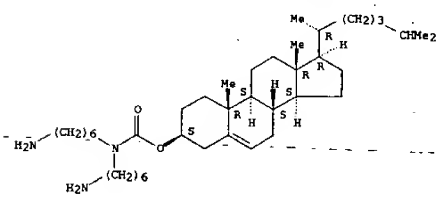
Absolute stereochemistry.



RN 179075-30-0 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, (3-aminopropyl)[4-[(3-aminopropyl)amino]butyl]carbamate (9CI) (CA INDEX NAME)

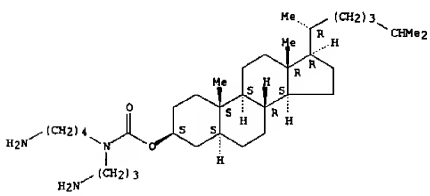
Absolute stereochemistry.

L41 ANSWER 23 OF 40 USPATFULL (Continued)



RN 179075-33-3 USPATFULL
CN Cholestan-3-ol, (4-aminobutyl)(3-aminopropyl)carbamate, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

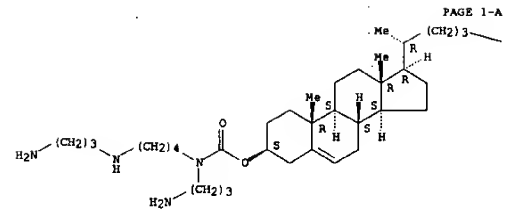


RN 179075-34-4 USPATFULL
CN Cholan-24-oic acid, 3-[[[(4-aminobutyl)(3-aminopropyl)amino]carbonyl]oxy]-, methyl ester, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 23 OF 40 USPATFULL (Continued)

PAGE 1-A

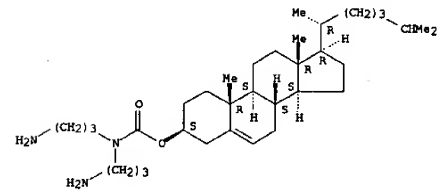


PAGE 1-B

CHMe2

RN 179075-31-1 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, bis(3-aminopropyl)carbamate (9CI) (CA INDEX NAME)

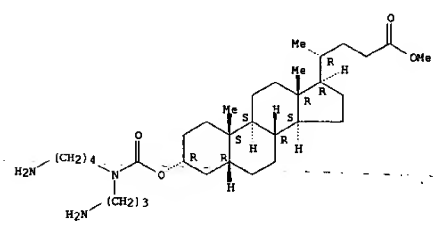
Absolute stereochemistry.



RN 179075-32-2 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, bis(6-aminohexyl)carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

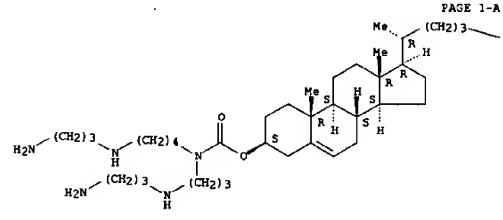
L41 ANSWER 23 OF 40 USPATFULL (Continued)



RN 179075-36-6 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [4-[(3-aminopropyl)amino]butyl][3-[(3-aminopropyl)amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



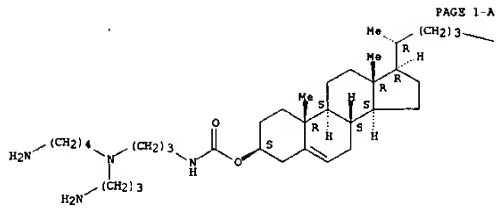
PAGE 1-B

CHMe2

RN 179075-37-7 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [3-[(4-aminobutyl)(3-aminopropyl)amino]propyl]carbamate (9CI) (CA INDEX NAME)

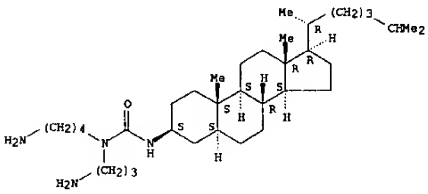
Absolute stereochemistry.

L41 ANSWER 23 OF 40 USPATFULL (Continued)



RN 179075-38-8 USPATFULL
CN Urea, N-(4-aminobutyl)-N-(3-aminopropyl)-N'-[(3.beta.,5.alpha.)-cholestan-3-yl]- (9CI) (CA INDEX NAME)

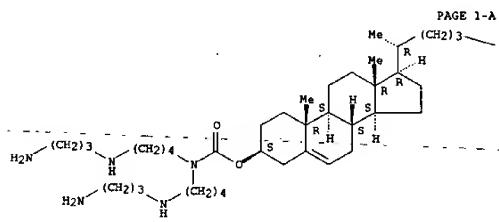
Absolute stereochemistry.



RN 179075-39-9 USPATFULL
CN Urea, N-(3-aminopropyl)-N-[4-[(3-aminopropyl)amino]butyl]-N'-[(3.beta.,5.alpha.)-cholestan-3-yl]- (9CI) (CA INDEX NAME)

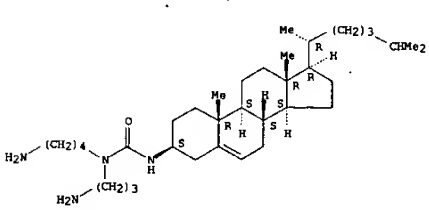
Absolute stereochemistry.

L41 ANSWER 23 OF 40 USPATFULL (Continued)



RN 179075-42-4 USPATFULL
CN Urea, N-(4-aminobutyl)-N-(3-aminopropyl)-N'-[(3.beta.)-cholest-5-en-3-yl]- (9CI) (CA INDEX NAME)

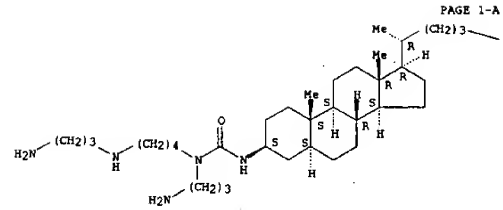
Absolute stereochemistry.



RN 179075-43-5 USPATFULL
CN Urea, N-(3-aminopropyl)-N-[4-[(3-aminopropyl)amino]butyl]-N'-[(3.beta.)-cholest-5-en-3-yl]- (9CI) (CA INDEX NAME)

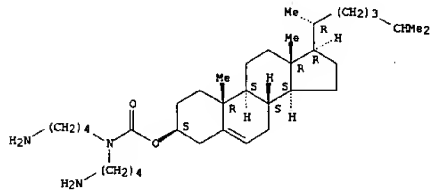
Absolute stereochemistry.

L41 ANSWER 23 OF 40 USPATFULL (Continued)



RN 179075-40-2 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, bis(4-aminobutyl)carbamate (9CI) (CA INDEX NAME)

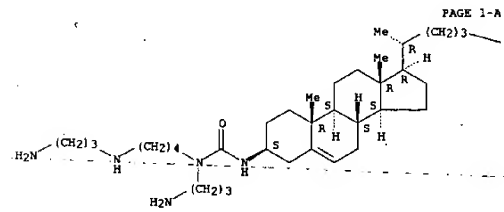
Absolute stereochemistry.



RN 179075-41-3 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, bis[4-[(3-aminopropyl)amino]butyl]carbamate (9CI) (CA INDEX NAME)

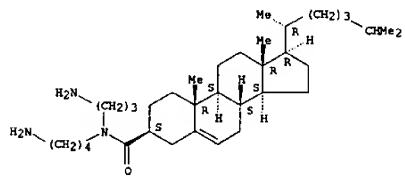
Absolute stereochemistry.

L41 ANSWER 23 OF 40 USPATFULL (Continued)



RN 179075-45-7 USPATFULL
CN Cholest-5-ene-3-carboxamide, N-(4-aminobutyl)-N-(3-aminopropyl)-, (3.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

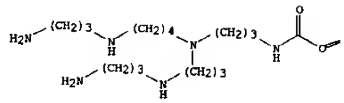


RN 179075-48-0 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [3-[[4-[(3-aminopropyl)amino]butyl][3-[(3-aminopropyl)amino]propyl]amino]propyl]carbamate (9CI) (CA INDEX NAME)

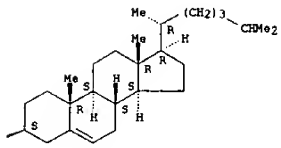
Absolute stereochemistry.

L41 ANSWER 23 OF 40 USPATFULL (Continued)

PAGE 1-A

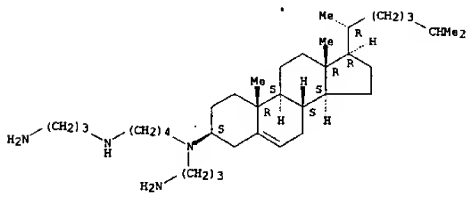


PAGE 1-B



RN 179075-50-4 USPATFULL
CN 1,4-Butanediamine, N,N'-bis(3-aminopropyl)-N-[(3.beta.)-cholest-5-en-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L41 ANSWER 24 OF 40 USPATFULL

ACCESSION NUMBER: 97:49738 USPATFULL
TITLE: Steroid derivatives, pharmaceutical compositions containing them, and their use as antibiotics or disinfectants
INVENTOR(S): Frye, Leah L., Ravena, NY, United States
Zasloff, Michael A., Merion Station, PA, United States
Kinney, William A., Churchville, PA, United States
Moriarty, Robert, Oak Park, IL, United States
PATENT ASSIGNEE(S): Magainin Pharmaceuticals, Inc., Plymouth Meeting, PA, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5637691		19970610	
	WO 9420520		19940915	
APPLICATION INFO.:	US 1994-290826		19940818	(8)
	WO 1994-US2397		19940316	
			19940818	PCT 371 date
			19940818	PCT 102(e) date

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1993-29018, filed on 10 Mar 1993, now abandoned
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Cook, Rebecca
LEGAL REPRESENTATIVE: Finnegan, Henderson, Farabow, Garrett & Dunner, L.L.P.
NUMBER OF CLAIMS: 11
EXEMPLARY CLAIM: 1
LINE COUNT: 1576
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

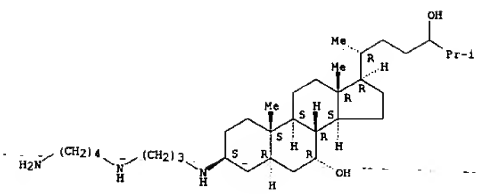
AB Compounds having a broad range of antimicrobial activity generally have a structure including asteroid nucleus with a cationic, preferably polyamine, side chain (X) and an anionic side chain (Y). The invention is also directed to compounds of the Formula III: ##STR1## preferably where the steroid ring nucleus is saturated; the steroid ring substituent Z.sub.5 is .alpha.-H; one Z.sub.7 is .beta.-H and the other is .alpha.-H or .alpha.-OH; both substituents Z.sub.12 are hydrogen; X' is a polyamine side chain of the formula --NH--(CH.sub.2).sub.p --NH--(CH.sub.2).sub.q --N(R.sup.II)(R.sup.III) where p and q are each independently 3 or 4, and R.sup.II and R.sup.III are each independently hydrogen or methyl; R' is methyl; and Y' is (C.sub.1 -C.sub.10)-alkyl substituted with a group such as --CO.sub.2 H or --SO.sub.3 H.

IT **159791-14-7P 160348-64-1P 160348-65-2P**
160348-66-3P 160348-67-4P 160348-70-9P
160348-90-3P 160348-91-4P
(prepn. of polyaminosteroids as bactericides and antifungals)

RN 159791-14-7 USPATFULL
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

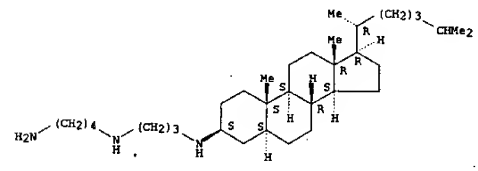
Absolute stereochemistry.

L41 ANSWER 24 OF 40 USPATFULL (Continued)



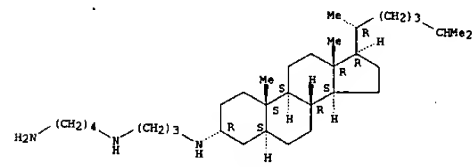
RN 160348-64-1 USPATFULL
CN 1,4-Butanediamine, N-[3-[[(3.beta.,5.alpha.)-cholestan-3-yl]amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160348-65-2 USPATFULL
CN 1,4-Butanediamine, N-[3-[[(3.alpha.,5.alpha.)-cholestan-3-yl]amino]propyl]- (9CI) (CA INDEX NAME)

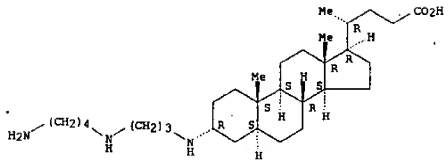
Absolute stereochemistry.



RN 160348-66-3 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

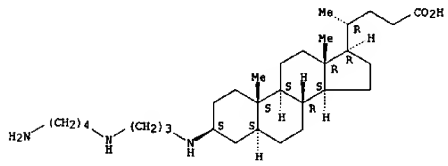
L41 ANSWER 24 OF 40 USPATFULL (Continued)

Absolute stereochemistry.



RN 160348-67-4 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

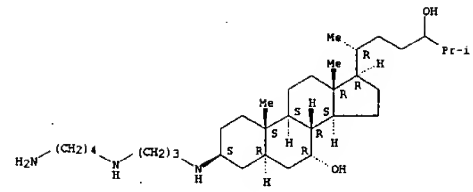
Absolute stereochemistry.



RN 160348-70-9 USPATFULL
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, trihydrochloride, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

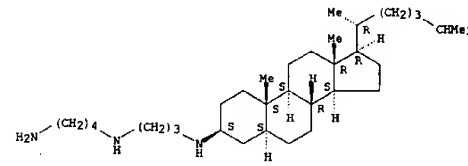
L41 ANSWER 24 OF 40 USPATFULL (Continued)



●3 HCl

RN 160348-90-3 USPATFULL
CN 1,4-Butanediamine, N-[3-[[(3.beta.,5.alpha.)-cholestan-3-yl]amino]propyl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

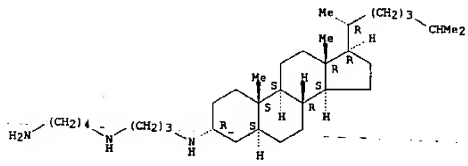


●3 HCl

RN 160348-91-4 USPATFULL
CN 1,4-Butanediamine, N-[3-[[(3.alpha.,5.alpha.)-cholestan-3-yl]amino]propyl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

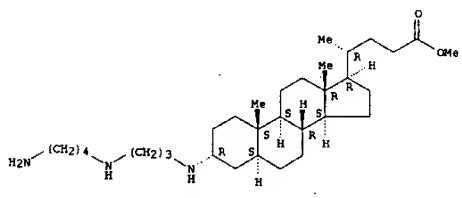
L41 ANSWER 24 OF 40 USPATFULL (Continued)



●3 HCl

IT 160348-77-6P 160348-78-7P
(prepn. of polyaminosteroids as bactericides and antifungals)
RN 160348-77-6 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, methyl ester, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

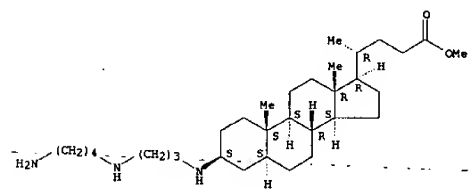
Absolute stereochemistry.



RN 160348-78-7 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, methyl ester, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 24 OF 40 USPATFULL (Continued)

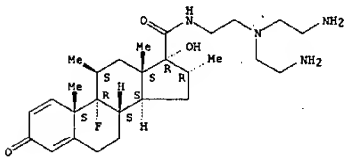


L41 ANSWER 25 OF 40 USPATFULL
ACCESSION NUMBER: 97:47392 USPATFULL
TITLE: Amphipathic, micellar delivery systems for biologically active polyions
INVENTOR(S): Wolff, Jon A., 1122 University Bay Dr., Madison, WI, United States 53705
Budker, Vladimir, 204 N. Segoe Rd. #513, Madison, WI, United States 53705
Gurevich, Vladimir, 2113 E. Johnson St., Madison, WI, United States 53704

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5635487		19970603
APPLICATION INFO.:	US 1994-368150		19941229 (8)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Stone, Jacqueline M.
ASSISTANT EXAMINER: Twomey, Patrick
LEGAL REPRESENTATIVE: Dressler, Rockey, Milnamow & Katz, Ltd.
NUMBER OF CLAIMS: 5
EXEMPLARY CLAIM: 1
LINE COUNT: 1186
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention provides a composition comprising a population of micelles wherein each micelle comprises at least one amphipathic compound layer that surrounds a non-aqueous core that contains a polyion. Also provided are a method of preparing such a composition and the uses of such compositions for delivering biologically active polyions to cells.
IT **191990-42-8P**
(prepn. of glycolipid amphipathic micellar delivery systems for DNA and RNA biol. active polyions)
RN 191990-42-8 USPATFULL
CN Androsta-1,4-diene-17-carboxamide, N-[2-[bis(2-aminoethyl)amino]ethyl]-9-fluoro-17-hydroxy-11,16-dimethyl-3-oxo-, (11.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L41 ANSWER 26 OF 40 USPATFULL
ACCESSION NUMBER: 97:38613 USPATFULL
TITLE: Glycosylated steroid derivatives for transport across biological membranes and process for making and using same
INVENTOR(S): Kahne, Daniel E., Princeton, NJ, United States
Kahne, Suzanne W., Princeton, NJ, United States
Sofia, Michael J., Laurenceville, NJ, United States
Hatzenbuhler, Nicole T., Kendall Park, NJ, United States
PATENT ASSIGNEE(S): Trustees of Princeton University, Princeton, NJ, United States (U.S. corporation)
Transcell Technologies, Inc., Monmouth Junction, NJ, United States (U.S. corporation)

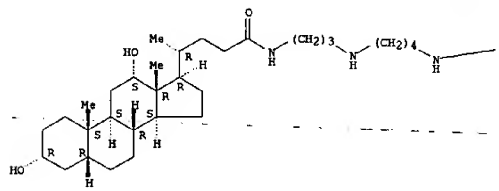
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5627270		19970506
APPLICATION INFO.:	US 1994-264488		19940623 (8)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1994-230685, filed on 20 Apr 1994 which is a continuation-in-part of Ser. No. US 1992-989667, filed on 14 Dec 1992 which is a continuation-in-part of Ser. No. US 1991-806985, filed on 13 Dec 1991, now patented, Pat. No. US 5338837		

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Kight, John
ASSISTANT EXAMINER: Lee, Howard C.
LEGAL REPRESENTATIVE: Lowe, Price, LeBlanc & Becker
NUMBER OF CLAIMS: 7
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 22 Drawing Figure(s); 22 Drawing Page(s)
LINE COUNT: 3296
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Novel glycosylated steroid derivatives for facilitating the transport of compounds across biological membranes, either in admixture or as conjugates, are disclosed. A novel process for efficient synthesis of these glycosylated steroid derivatives, using activated glycosyl sulfoxide intermediates is provided. Methods for the permeabilization of membranes and the enhancement of the activity of predetermined compounds are also provided.
IT **174068-84-9P**
(prepn. glycosylated steroid oligodeoxyribonucleotides for transport across biol. membranes)
RN 174068-84-9 USPATFULL
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

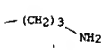
Absolute stereochemistry.

L41 ANSWER 26 OF 40 USPATFULL (Continued)

PAGE 1-A



PAGE 1-B



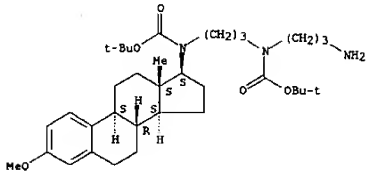
L41 ANSWER 27 OF 40 USPATFULL
ACCESSION NUMBER: 97:31841 USPATFULL
TITLE: Cyclic hydrocarbons with an aminoalkyl sidechain
INVENTOR(S): Johnson, Roy A., Kalamazoo, MI, United States
Bundy, Gordon L., Portage, MI, United States
Youngdale, Gilbert A., Portage, MI, United States
Morton, Douglas R., Portage, MI, United States
Wallach, deceased, Donald P., late of Kalamazoo, MI, United States
Wallach, legal representative, Vera M., Richland, MI, United States
PATENT ASSIGNEE(S): The Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5621123		19970415
APPLICATION INFO.:	US 1994-247169		19940520 (8)
DISCLAIMER DATE:	20100216		
RELATED APPLN. INFO.:	Division of Ser. No. US 1992-976751, filed on 16 Nov 1992, now patented, Pat. No. US 5334712, issued on 2 Aug 1994 which is a division of Ser. No. US 1991-657721, filed on 20 Feb 1991, now patented, Pat. No. US 5196542, issued on 23 Mar 1993 which is a division of Ser. No. US 1989-394396, filed on 15 Aug 1989, now abandoned which is a division of Ser. No. US 1987-117851, filed on 16 Jun 1987, now patented, Pat. No. US 4917826 which is a continuation-in-part of Ser. No. US 1986-843120, filed on 24 Mar 1986, now abandoned which is a continuation-in-part of Ser. No. US 1985-788995, filed on 18 Oct 1985, now abandoned		

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Shah, Mukund J.
ASSISTANT EXAMINER: Sripada, Pavanaram K.
LEGAL REPRESENTATIVE: Wootton, Thomas A.
NUMBER OF CLAIMS: 4
EXEMPLARY CLAIM: 1
LINE COUNT: 368
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Provided are cyclic hydrocarbons of Formula I ##STR1## with an aminoalkyl sidechain that are useful for treating phospholipase A2 mediated conditions, diabetes, and obesity.
IT **112663-41-9P**
(prepn. and reaction of, in synthesis of phospholipase A2-inhibiting amino steroids and analogs)
RN 112663-41-9 USPATFULL
CN Carbamic acid, [3-aminopropyl][3-[[(1,1-dimethylethoxy)carbonyl][(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

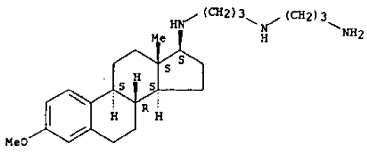
L41 ANSWER 27 OF 40 USPATFULL (Continued)



IT **112647-74-2P 112647-76-4P 112647-77-5P**
112647-80-0P 112647-81-1P 112647-83-3P
112647-84-4P 112647-85-5P 112647-86-6P
(prepn. of, as phospholipase A2 inhibitor and/or antidiabetic agent)

RN 112647-74-2 USPATFULL
CN 1,3-Propanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



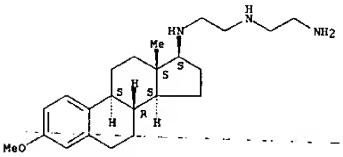
RN 112647-76-4 USPATFULL
CN Butanedioic acid, compd. with N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-1,3-propanediamine (3:1) (9CI) (CA INDEX NAME)

CM 1

CRN 112647-74-2
CMF C25 H41 N3 O
CDES 4:17B.ESTR

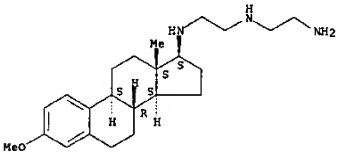
Absolute stereochemistry.

L41 ANSWER 27 OF 40 USPATFULL (Continued)



RN 112647-81-1 USPATFULL
CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

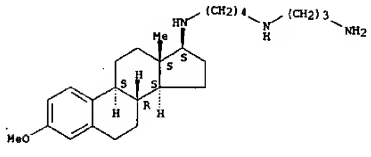
Absolute stereochemistry.



●3 HCl

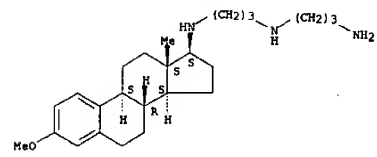
RN 112647-83-3 USPATFULL
CN 1,4-Butanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 112647-84-4 USPATFULL
CN 1,4-Butanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

L41 ANSWER 27 OF 40 USPATFULL (Continued)



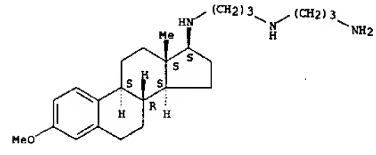
CM 2

CRN 110-15-6
CMF C4 H6 O4

$HO_2C-CH_2-CH_2-CO_2H$

RN 112647-77-5 USPATFULL
CN 1,3-Propanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

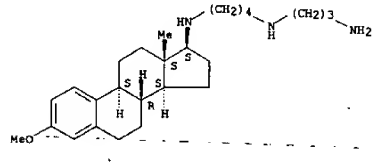


●3 HCl

RN 112647-80-0 USPATFULL
CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

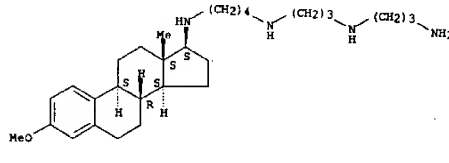
L41 ANSWER 27 OF 40 USPATFULL (Continued)
Absolute stereochemistry.



●3 HCl

RN 112647-85-5 USPATFULL
CN 1,4-Butanediamine, N-[3-[(3-aminopropyl)amino]propyl]-N-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

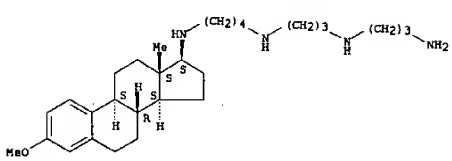
Absolute stereochemistry.



RN 112647-86-6 USPATFULL
CN 1,4-Butanediamine, N-[3-[(3-aminopropyl)amino]propyl]-N-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, tetrahydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 27 OF 40 USPATFULL (Continued)



●4 HCl

L41 ANSWER 28 OF 40 USPATFULL

ACCESSION NUMBER: 97:25017 USPATFULL
TITLE: Amphipathic nucleic acid transporter
INVENTOR(S): Chaudhary, Nilabh, The Woodlands, TX, United States
Jayaraman, Krishna, The Woodlands, TX, United States
Bodepudi, Veeraiah, The Woodlands, TX, United States
Hogan, Michael E., The Woodlands, TX, United States
PATENT ASSIGNEE(S): Aronex Pharmaceuticals, Inc., The Woodlands, TX, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5614503		19970325
APPLICATION INFO.:	US 1995-467114		19950606 (8)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1994-303554, filed on 8 Sep 1994, now abandoned which is a continuation of Ser. No. US 1993-152544, filed on 12 Nov 1993, now abandoned
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Campell, Bruce R.
LEGAL REPRESENTATIVE: McDaniel, C. StevenConley, Rose & Tayon, P.C.
NUMBER OF CLAIMS: 9
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 5 Drawing Figure(s); 3 Drawing Page(s)
LINE COUNT: 329
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A nucleic acid transporter to deliver a nucleic acids into cells, comprising a cationic compound having a cationic head group for binding the nucleic acid and a lipid tail for association with the membrane. A cationic compound usually is a polyamine or a short basic peptide. The lipid tail is usually selected from the group consisting of plant steroid, animal steroid, isoprenoid compound, aliphatic lipid, pore forming protein, pore forming peptides and fusogenic peptides. The cationic head and the lipid tail are linked through a carbamate linkage. When polyamine is used, it is preferably either spermidine or spermine and the nucleic acid can be any of a variety, including triplex forming oligonucleotides, antisense oligonucleotide, aptamers, ribozymes, plasmids and DNA for gene therapy. Also described is a method for treating individuals using the transporter linked to a therapeutic nucleic acid.

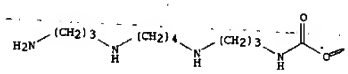
IT **165673-46-1 173738-32-4**
(amphipathic nucleic acid transporter)

RN 165673-46-1 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [[3-[4-[(3-aminopropyl)amino]butyl]amino]propyl]carbamate (9CI) (CA INDEX NAME)

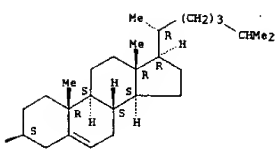
Absolute stereochemistry.

L41 ANSWER 28 OF 40 USPATFULL (Continued)

PAGE 1-A



PAGE 1-B

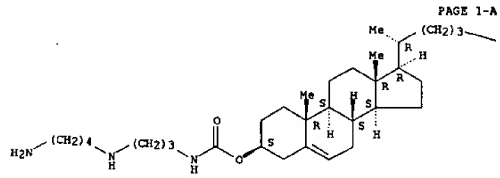


RN 173738-32-4 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [3-[(4-aminobutyl)amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 28 OF 40 USPATFULL (Continued)

PAGE 1-A



PAGE 1-B

CHMe2

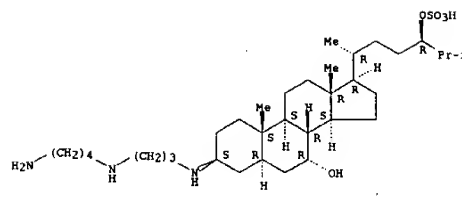
L41 ANSWER 29 OF 40 USPATFULL
ACCESSION NUMBER: 97:17918 USPATFULL
TITLE: Compositions and methods for enhanced drug delivery
INVENTOR(S): Hale, Ron L., Woodside, CA, United States
Lu, Amy, Los Altos, CA, United States
Solas, Dennis, San Francisco, CA, United States
Selick, Harold E., Belmont, CA, United States
Oldenburg, Kevin R., Fremont, CA, United States
Zaffaroni, Alejandro C., Atherton, CA, United States
PATENT ASSIGNEE(S): Affymax Technologies N.V., Middlesex, England (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5607691		19970304
APPLICATION INFO.:	US 1995-449188		19950524 (8)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1993-164293, filed on 9 Dec 1993, now abandoned which is a continuation-in-part of Ser. No. US 1993-77296, filed on 14 Jun 1993, now abandoned which is a continuation-in-part of Ser. No. US 1992-898219, filed on 12 Jun 1992, now abandoned And a continuation-in-part of Ser. No. US 1993-9463, filed on 27 Jan 1993, now abandoned
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Levy, Neil S.
LEGAL REPRESENTATIVE: Stevens, Lauren L.
NUMBER OF CLAIMS: 5
EXEMPLARY CLAIM: 1
LINE COUNT: 5349
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention relates to methods of delivering pharmaceutical agents across membranes, including the skin layer or mucosal membranes of a patient. A pharmaceutical agent is covalently bonded to a chemical modifier, via a physiologically cleavable bond, such that the membrane transport and delivery of the agent is enhanced.
IT **148717-90-2D**, Squalamine, drug conjugates
(through physiol. cleavable bond, drug enhanced transport across membranes in relation to)
RN 148717-90-2 USPATFULL
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 29 OF 40 USPATFULL (Continued)



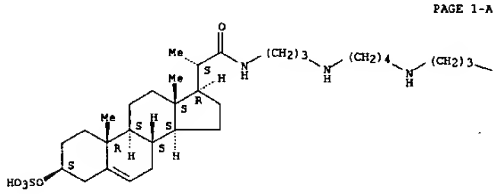
L41 ANSWER 30 OF 40 USPATFULL
ACCESSION NUMBER: 96:114033 USPATFULL
TITLE: Antimicrobial sterol conjugates
INVENTOR(S): Regen, Steven L., Allentown, PA, United States
PATENT ASSIGNEE(S): Lehigh University, Bethlehem, PA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5583239		19961210
APPLICATION INFO.:	US 1995-452846		19950530 (8)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Prior, Kimberly J.
LEGAL REPRESENTATIVE: Yahwak & Associates
NUMBER OF CLAIMS: 16
EXEMPLARY CLAIM: 1
LINE COUNT: 478
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention discloses steroid conjugates having the following structure: ##STR1## where Y is NHCH.sub.2 CH.sub.2 CH.sub.2 NH.sub.2, NH(CH.sub.2).sub.3 NH(CH.sub.2).sub.4 NH(CH.sub.2).sub.3 NH.sub.2, or NHCH.sub.2 CH.sub.2 NHCH.sub.2 CH.sub.2 NHCH.sub.2 CH.sub.2 NH.sub.2, and each of R.sub.1, R.sub.2, R.sub.3 and R.sub.4 is individually H, OH and OSO.sub.3 H. These conjugates posses antimicrobial properties and are, therefore, useful as antibiotics.
IT **165336-10-7P 174068-84-9P 174068-99-6P**
185307-17-9P 185307-23-7P 185307-24-8P
185307-25-9P 185307-26-0P 185307-28-2P
(prepn. of sterol polyamine conjugates with antimicrobial activity)
RN 165336-10-7 USPATFULL
CN Pregn-5-ene-20-carboxamide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-(sulfooxy)-, (3.beta.,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

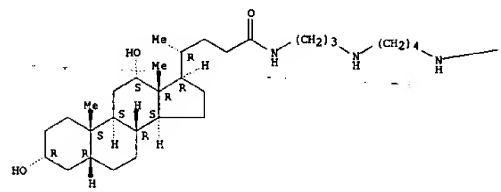


PAGE 1-B

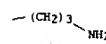
L41 ANSWER 30 OF 40 USPATFULL (Continued)
RN 174068-84-9 USPATFULL
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



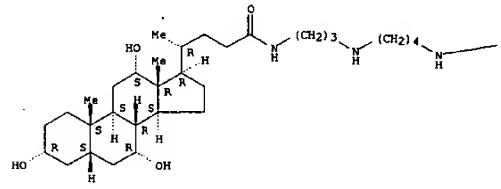
PAGE 1-B



RN 174068-99-6 USPATFULL
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7,12-trihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

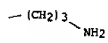
Absolute stereochemistry.

PAGE 1-A



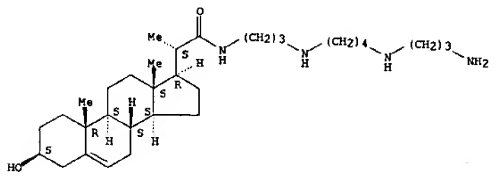
L41 ANSWER 30 OF 40 USPATFULL (Continued)

PAGE 1-B



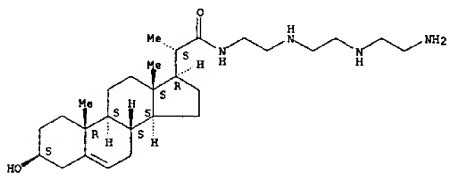
RN 185307-17-9 USPATFULL
CN Pregn-5-ene-20-carboxamide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-hydroxy-, (3.beta.,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 185307-23-7 USPATFULL
CN Pregn-5-ene-20-carboxamide, N-[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]-3-hydroxy-, (3.beta.,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

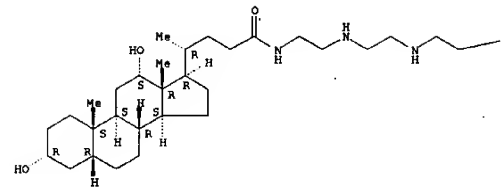


RN 185307-24-8 USPATFULL
CN Cholan-24-amide, N-[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 30 OF 40 USPATFULL (Continued)

PAGE 1-A

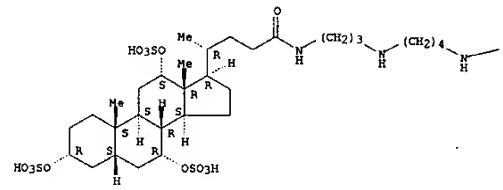


PAGE 1-B

RN 185307-25-9 USPATFULL
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7,12-tris(sulfooxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

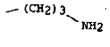
Absolute stereochemistry.

PAGE 1-A



L41 ANSWER 30 OF 40 USPATFULL (Continued)

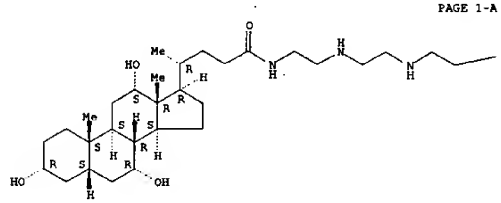
PAGE 1-B



RN 185307-26-0 USPATFULL
CN Cholan-24-amide, N-[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]-3,7,12-trihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

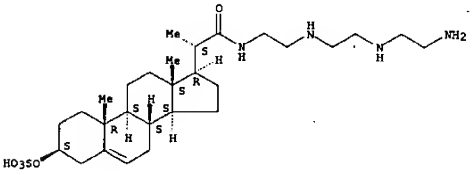
PAGE 1-A



PAGE 1-B

RN 185307-28-2 USPATFULL
CN Pregn-5-ene-20-carboxamide, N-[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]-3-(sulfooxy)-, (3.beta.,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L41 ANSWER 31 OF 40 USPATFULL

ACCESSION NUMBER: 94:109016 USPATFULL
TITLE: Steroid compounds
INVENTOR(S): Johnson, Roy A., Kalamazoo, MI, United States
Bundy, Gordon L., Portage, MI, United States
Youngdale, Gilbert A., Portage, MI, United States
Morton, Douglas R., Portage, MI, United States
Wallach, deceased, Donald P., late of Richland, MI, United States by Vera M. Wallach, legal representative
PATENT ASSIGNEE(S): The Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5373095		19941213
APPLICATION INFO.:	US 1993-126153		19930923 (8)

RELATED APPLN. INFO.: Division of Ser. No. US 1992-972693, filed on 6 Nov 1992, now patented, Pat. No. US 5274089 which is a division of Ser. No. US 1991-793486, filed on 13 Nov 1991, now patented, Pat. No. US 5187299 which is a continuation of Ser. No. US 1991-657729, filed on 20 Feb 1991, now abandoned which is a division of Ser. No. US 1989-394396, filed on 15 Aug 1989, now abandoned which is a division of Ser. No. US 1987-117851, filed on 16 Jun 1987, now patented, Pat. No. US 4917826 which is a continuation-in-part of Ser. No. US 1986-843120, filed on 24 Mar 1986, now abandoned which is a continuation-in-part of Ser. No. US 1985-788995, filed on 8 Oct 1985, now abandoned

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Richter, Johann
ASSISTANT EXAMINER: Cook, Rebecca
LEGAL REPRESENTATIVE: Wootton, Thomas A.
NUMBER OF CLAIMS: 2
EXEMPLARY CLAIM: 1
LINE COUNT: 4711

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

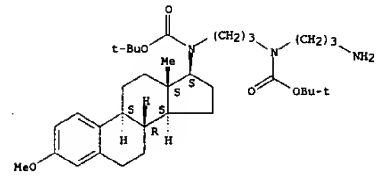
AB Provided are cyclic hydrocarbons of Formula I ##STR1## with an aminoalkyl sidechain that are useful for treating phospholipase A2 mediated conditions, diabetes, and obesity.

IT **112663-41-9P**
(prepn. and reaction of, in synthesis of phospholipase A2-inhibiting amino steroids and analogs)

RN 112663-41-9 USPATFULL
CN Carbamic acid, (3-aminopropyl)[3-[[(1,1-dimethylethoxy)carbonyl][(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

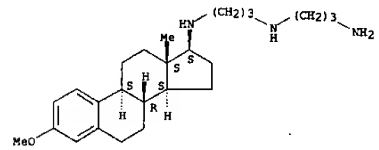
L41 ANSWER 31 OF 40 USPATFULL (Continued)



IT **112647-74-2P 112647-76-4P 112647-77-5P**
112647-80-0P 112647-81-1P 112647-83-3P
112647-84-4P 112647-85-5P 112647-86-6P
(prepn. of, as phospholipase A2 inhibitor and/or antidiabetic agent)

RN 112647-74-2 USPATFULL
CN 1,3-Propanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



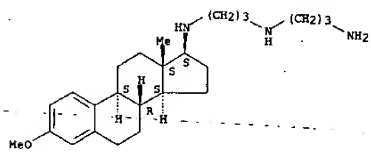
RN 112647-76-4 USPATFULL
CN Butanedioic acid, compd. with N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-1,3-propanediamine (3:1) (9CI) (CA INDEX NAME)

CM 1

CRN 112647-74-2
CMF C25 H41 N3 O
CDES 4:17B.ESTR

Absolute stereochemistry.

L41 ANSWER 31 OF 40 USPATFULL (Continued)

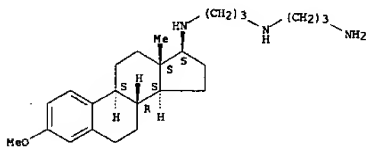


CM 2

CRN 110-15-6
CMF C4 H6 O4

RN 112647-77-5 USPATFULL
CN 1,3-Propanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

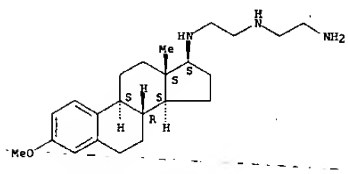


●3 HCl

RN 112647-80-0 USPATFULL
CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

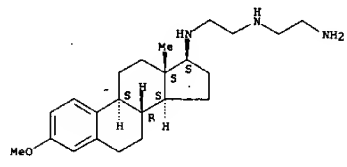
Absolute stereochemistry.

L41 ANSWER 31 OF 40 USPATFULL (Continued)



RN 112647-81-1 USPATFULL
CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

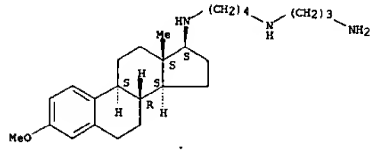
Absolute stereochemistry.



●3 HCl

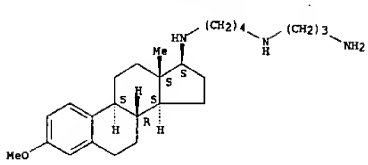
RN 112647-83-3 USPATFULL
CN 1,4-Butanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 112647-84-4 USPATFULL
CN 1,4-Butanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

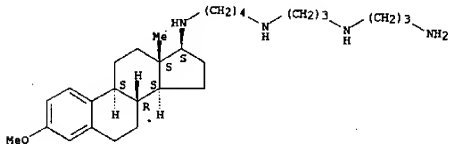
L41 ANSWER 31 OF 40 USPATFULL (Continued)
Absolute stereochemistry.



●3 HCl

RN 112647-85-5 USPATFULL
CN 1,4-Butanediamine, N-[3-[(3-aminopropyl)amino]propyl]-N-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

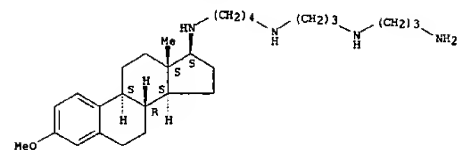
Absolute stereochemistry.



RN 112647-86-6 USPATFULL
CN 1,4-Butanediamine, N-[3-[(3-aminopropyl)amino]propyl]-N-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, tetrahydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 31 OF 40 USPATFULL (Continued)



●4 HCl

L41 ANSWER 32 OF 40 USPATFULL

ACCESSION NUMBER:	94:66602 USPATFULL
TITLE:	Cyclic hydrocarbons with an aminoalkyl sidechain
INVENTOR(S):	Johnson, Roy A., Kalamazoo, MI, United States Bundy, Gordon L., Portage, MI, United States Youngdale, Gilbert A., Portage, MI, United States Morton, Douglas R., Portage, MI, United States Wallach, deceased, Donald P., late of Richland, MI, United States by Vera M. Wallach, Legal Representative
PATENT ASSIGNEE(S):	The Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5334712		19940802
APPLICATION INFO.:	US 1992-976751		19921116 (7)
RELATED APPLN. INFO.:	Division of Ser. No. US 1991-657721, filed on 20 Feb 1991, now patented, Pat. No. US 5196524, issued on 23 Mar 1993 which is a division of Ser. No. US 1989-394396, filed on 15 Aug 1989, now abandoned which is a division of Ser. No. US 1987-117851, filed on 16 Jun 1987, now patented, Pat. No. US 4917826 which is a continuation-in-part of Ser. No. US 1986-843120, filed on 24 Mar 1986, now abandoned which is a continuation-in-part of Ser. No. US 1985-788995, filed on 18 Oct 1985, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Shahl, Mukund J.		
ASSISTANT EXAMINER:	Sripada, P. K.		
LEGAL REPRESENTATIVE:	Wootton, Thomas A.		
NUMBER OF CLAIMS:	5		
EXEMPLARY CLAIM:	1		
LINE COUNT:	4587		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

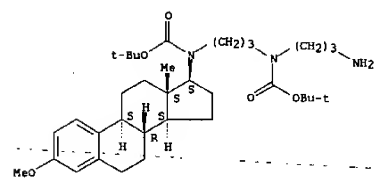
AB Provided are cyclic hydrocarbons of Formula I ##STR1## with an aminoalkyl sidechain that are useful for treating phospholipase A2 mediated conditions, diabetes, and obesity.

IT **112663-41-9P**
(prepn. and reaction of, in synthesis of phospholipase A2-inhibiting amino steroids and analogs)

RN 112663-41-9 USPATFULL
CN Carbamic acid, [3-aminopropyl][3-[[(1,1-dimethylethoxy)carbonyl][(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

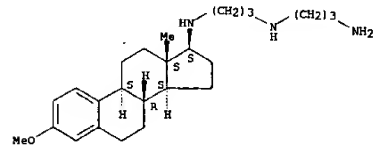
L41 ANSWER 32 OF 40 USPATFULL (Continued)



IT **112647-74-2P 112647-76-4P 112647-77-5P**
112647-80-0P 112647-81-1P 112647-83-3P
112647-84-4P 112647-85-5P 112647-86-6P
(prepn. of, as phospholipase A2 inhibitor and/or antidiabetic agent)

RN 112647-74-2 USPATFULL
CN 1,3-Propanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



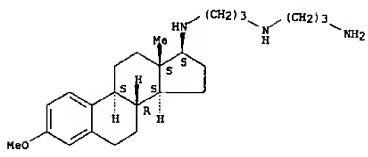
RN 112647-76-4 USPATFULL
CN Butanedioic acid, compd. with N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-1,3-propanediamine (3:1) (9CI) (CA INDEX NAME)

CM 1

CRN 112647-74-2
CMF C25 H41 N3 O
CDES 4:17B.ESTR

Absolute stereochemistry.

L41 ANSWER 32 OF 40 USPATFULL (Continued)



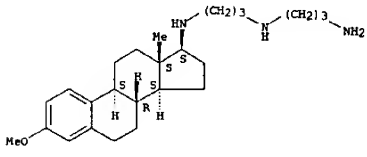
CM 2

CRN 110-15-6
CMF C4 H6 O4

$HO_2C-CH_2-CH_2-CO_2H$

RN 112647-77-5 USPATFULL
CN 1,3-Propanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

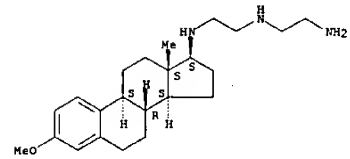


●3 HCl

RN 112647-80-0 USPATFULL
CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

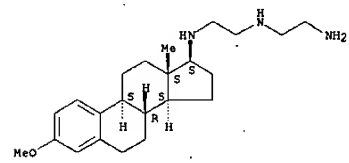
Absolute stereochemistry.

L41 ANSWER 32 OF 40 USPATFULL (Continued)



RN 112647-81-1 USPATFULL
CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

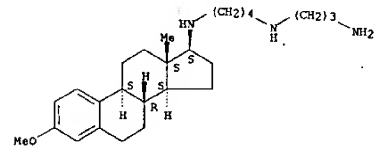
Absolute stereochemistry.



●3 HCl

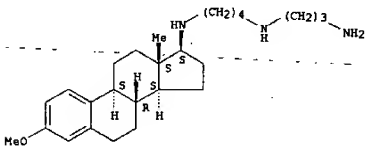
RN 112647-83-3 USPATFULL
CN 1,4-Butanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L41 ANSWER 32 OF 40 USPATFULL (Continued)
RN 112647-84-4 USPATFULL
CN 1,4-Butanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

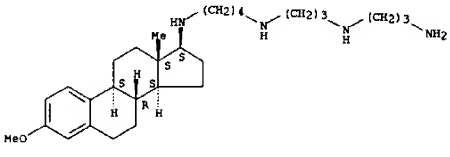
Absolute stereochemistry.



●3 HCl

RN 112647-85-5 USPATFULL
CN 1,4-Butanediamine, N-[3-[(3-aminopropyl)amino]propyl]-N-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

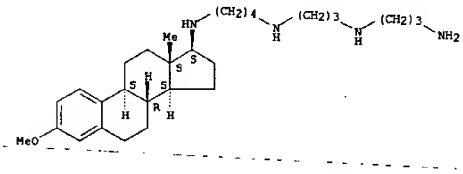
Absolute stereochemistry.



RN 112647-86-6 USPATFULL
CN 1,4-Butanediamine, N-[3-[(3-aminopropyl)amino]propyl]-N-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, tetrahydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 32 OF 40 USPATFULL (Continued)



●4 HCl

L41 ANSWER 33 OF 40 USPATFULL

ACCESSION NUMBER: 93:109187 USPATFULL
TITLE: Cyclic hydrocarbons with an aminoalkyl sidechain
INVENTOR(S): Bundy, Gordon L., Kalamazoo, MI, United States
Wallach, deceased, Donald P., late of Richland, MI, United States by Vera M. Wallach, legal representative
PATENT ASSIGNEE(S): The Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5274089		19931228
APPLICATION INFO.:	US 1992-972693		19921106 (7)

RELATED APPLN. INFO.: Division of Ser. No. US 1991-793486, filed on 13 Nov 1991, now patented, Pat. No. US 5187299 which is a continuation of Ser. No. US 1991-657729, filed on 20 Feb 1991, now abandoned which is a division of Ser. No. US 1989-394396, filed on 15 Aug 1989, now abandoned which is a division of Ser. No. US 1987-117851, filed on 16 Jun 1987, now patented, Pat. No. US 4917826 which is a continuation of Ser. No. US 1986-102116, filed on 7 Oct 1986, now abandoned which is a continuation-in-part of Ser. No. US 1986-843120, filed on 24 Mar 1986, now abandoned which is a continuation-in-part of Ser. No. US 1985-788995, filed on 18 Oct 1985, now abandoned
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Cintins, Marianne M.
ASSISTANT EXAMINER: Kestler, Kimberly J.
LEGAL REPRESENTATIVE: Wootton, Thomas A.
NUMBER OF CLAIMS: 3
EXEMPLARY CLAIM: 1
LINE COUNT: 4555

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

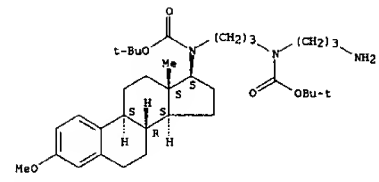
AB Provided are cyclic hydrocarbons of Formula I ##STR1## with an aminoalkyl sidechain that are useful for treating phospholipase A2 mediated conditions, diabetes, and obesity.

IT **112663-41-9P**
(prepn. and reaction of, in synthesis of phospholipase A2-inhibiting amino steroids and analogs)

RN 112663-41-9 USPATFULL
CN Carbamic acid, (3-aminopropyl)[3-[[(1,1-dimethylethoxy)carbonyl][(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

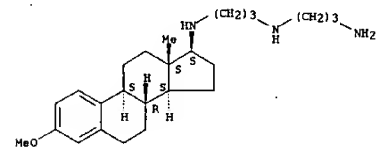
L41 ANSWER 33 OF 40 USPATFULL (Continued)



IT **112647-74-2P 112647-76-4P 112647-77-5P**
112647-80-0P 112647-81-1P 112647-83-3P
112647-84-4P 112647-85-5P 112647-86-6P
(prepn. of, as phospholipase A2 inhibitor and/or antidiabetic agent)

RN 112647-74-2 USPATFULL
CN 1,3-Propanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



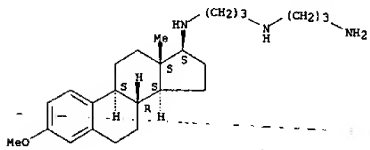
RN 112647-76-4 USPATFULL
CN Butanedioic acid, compd. with N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-1,3-propanediamine (3:1) (9CI) (CA INDEX NAME)

CM 1

CRN 112647-74-2
CMF C25 H41 N3 O
CDES 4:17B.ESTR

Absolute stereochemistry.

L41 ANSWER 33 OF 40 USPATFULL (Continued)

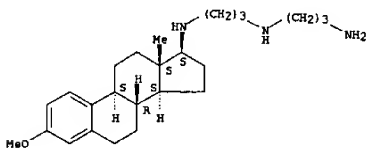


CM 2

CRN 110-15-6
CMF C4 H6 O4

RN 112647-77-5 USPATFULL
CN 1,3-Propanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

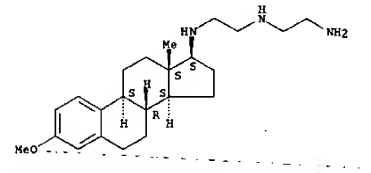


●3 HCl

RN 112647-80-0 USPATFULL
CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

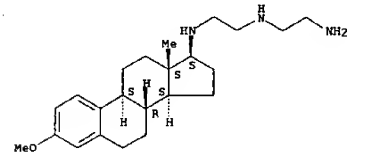
Absolute stereochemistry.

L41 ANSWER 33 OF 40 USPATFULL (Continued)



RN 112647-81-1 USPATFULL
CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

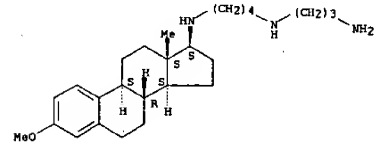
Absolute stereochemistry.



●3 HCl

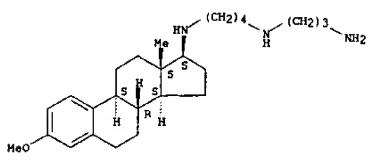
RN 112647-83-3 USPATFULL
CN 1,4-Butanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 112647-84-4 USPATFULL
CN 1,4-Butanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

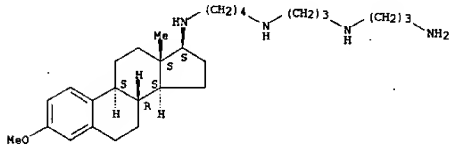
L41 ANSWER 33 OF 40 USPATFULL (Continued)
Absolute stereochemistry.



●3 HCl

RN 112647-85-5 USPATFULL
CN 1,4-Butanediamine, N-[3-[(3-aminopropyl)amino]propyl]-N-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

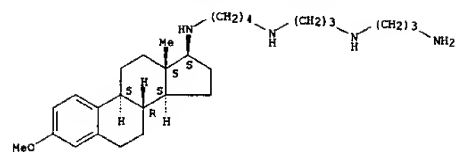
Absolute stereochemistry.



RN 112647-86-6 USPATFULL
CN 1,4-Butanediamine, N-[3-[(3-aminopropyl)amino]propyl]-N-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, tetrahydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 33 OF 40 USPATFULL (Continued)



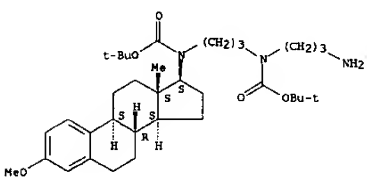
●4 HCl

L41 ANSWER 34 OF 40 USPATFULL
ACCESSION NUMBER: 93:22826 USPATFULL
TITLE: Cyclic hydrocarbons with an aminoalkyl sidechain
INVENTOR(S): Johnson, Roy A., Kalamazoo, MI, United States
Bundy, Gordon L., Portage, MI, United States
Youngdale, Gilbert A., Portage, MI, United States
Morton, Douglas R., Portage, MI, United States
Wallach, deceased, Donald P., late of Richland, MI, United States by Vera M. Wallach, legal representative
PATENT ASSIGNEE(S): The Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5196542		19930323
APPLICATION INFO.:	US 1991-657721		19910220 (7)
RELATED APPLN. INFO.:	Division of Ser. No. US 1989-394396, filed on 15 Aug 1989 which is a division of Ser. No. US 1987-117851, filed on 16 Jun 1987, now patented, Pat. No. US 4917826 which is a continuation-in-part of Ser. No. US 1986-843120, filed on 24 Mar 1986, now abandoned which is a continuation-in-part of Ser. No. US 1985-788995, filed on 18 Oct 1985, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Bond, Robert T.		
LEGAL REPRESENTATIVE:	Wright, Debbie K., Wootton, Thomas A.		
NUMBER OF CLAIMS:	3		
EXEMPLARY CLAIM:	1		
LINE COUNT:	4544		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Provided are cyclic hydrocarbons of Formula I ##STR1## with an aminoalkyl sidechain that are useful for treating phospholipase A2 mediated conditions, diabetes, and obesity.
IT **112663-41-9P**
(prepn. and reaction of, in synthesis of phospholipase A2-inhibiting amino steroids and analogs)
RN 112663-41-9 USPATFULL
CN Carbamic acid, (3-aminopropyl)[3-[[(1,1-dimethylethoxy)carbonyl][(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

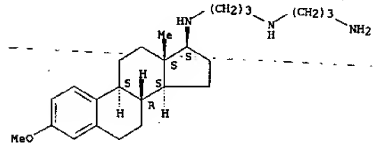
Absolute stereochemistry.



IT **112647-74-2P 112647-76-4P 112647-77-5P 112647-80-0P 112647-81-1P 112647-83-3P**

L41 ANSWER 34 OF 40 USPATFULL (Continued)
112647-84-4P 112647-85-5P 112647-86-6P
(prepn. of, as phospholipase A2 inhibitor and/or antidiabetic agent)
RN 112647-74-2 USPATFULL
CN 1,3-Propanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

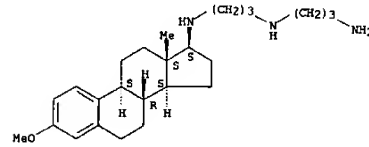


RN 112647-76-4 USPATFULL
CN Butanedioic acid, compd. with N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-1,3-propanediamine (3:1) (9CI) (CA INDEX NAME)

CM 1

CRN 112647-74-2
CMF C25 H41 N3 O
CDES 4:17B.ESTR

Absolute stereochemistry.



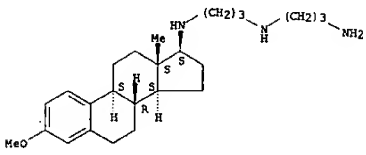
CM 2

CRN 110-15-6
CMF C4 H6 O4

RN 112647-77-5 USPATFULL
CN 1,3-Propanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

L41 ANSWER 34 OF 40 USPATFULL (Continued)

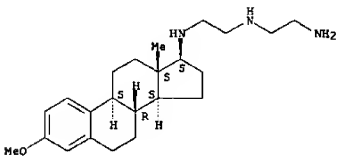
Absolute stereochemistry.



●3 HCl

RN 112647-80-0 USPATFULL
CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

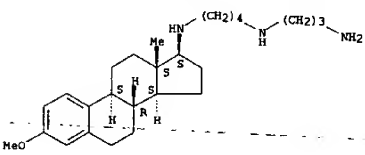
Absolute stereochemistry.



RN 112647-81-1 USPATFULL
CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

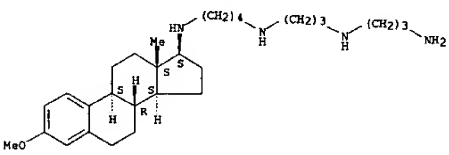
L41 ANSWER 34 OF 40 USPATFULL (Continued)



●3 HCl

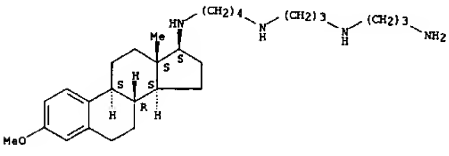
RN 112647-85-5 USPATFULL
CN 1,4-Butanediamine, N-[3-[(3-aminopropyl)amino]propyl]-N-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



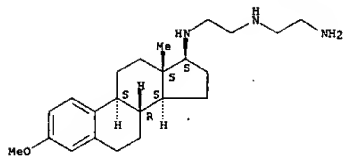
RN 112647-86-6 USPATFULL
CN 1,4-Butanediamine, N-[3-[(3-aminopropyl)amino]propyl]-N-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, tetrahydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●4 HCl

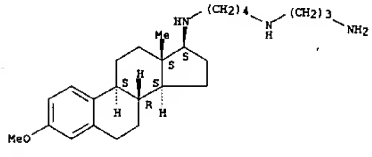
L41 ANSWER 34 OF 40 USPATFULL (Continued)



●3 HCl

RN 112647-83-3 USPATFULL
CN 1,4-Butanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 112647-84-4 USPATFULL
CN 1,4-Butanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

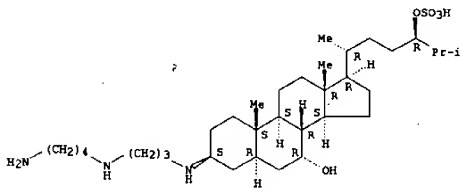
L41 ANSWER 34 OF 40 USPATFULL (Continued)

L41 ANSWER 35 OF 40 USPATFULL
ACCESSION NUMBER: 93:18662 USPATFULL
TITLE: Aminosterol antibiotic
INVENTOR(S): Zasloff, Michael, Merion, PA, United States
Moore, Karen, Lansdowne, PA, United States
Wehrli, Suzanne, Bala Cynwyd, PA, United States
PATENT ASSIGNEE(S): The Children's Hospital of Pennsylvania, Philadelphia, PA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5192756		19930309
APPLICATION INFO.:	US 1992-853634		19920318 (7)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Mars, Howard T.
ASSISTANT EXAMINER: Kestler, Kimberly J.
LEGAL REPRESENTATIVE: Woodcock Washburn Kurtz Mackiewicz & Norris
NUMBER OF CLAIMS: 4
EXEMPLARY CLAIM: 1,4
NUMBER OF DRAWINGS: 9 Drawing Figure(s); 5 Drawing Page(s)
LINE COUNT: 461
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB An aminosterol antibiotic, (3.beta.(N-[3-aminopropyl]-1,4-butanediamine)-7.alpha.,24.zeta.-dihydroxy-5.alpha.-cholestane 24-sulfate), which can be isolated from the stomach of the common dogfish shark, Squalus acanthias, is disclosed.
IT **148717-90-2**, Squalamine
(isolation of, from shark stomach)
RN 148717-90-2 USPATFULL
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



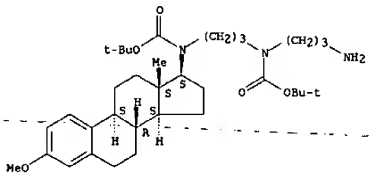
L41 ANSWER 36 OF 40 USPATFULL
ACCESSION NUMBER: 93:12656 USPATFULL
TITLE: Cyclic hydrocarbons with an aminoalkyl sidechain
INVENTOR(S): Johnson, Roy A., Kalamazoo, MI, United States
Bundy, Gordon L., Portage, MI, United States
Youngdale, Gilbert A., Portage, MI, United States
Morton, Douglas R., Portage, MI, United States
Wallach, deceased, Donald P., late of Portage, MI, United States
Wallach, Legal Representative, by Vera M., Richland, MI, United States
PATENT ASSIGNEE(S): The Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5187299		19930216
APPLICATION INFO.:	US 1991-793486		19911113 (7)

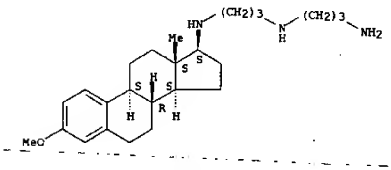
RELATED APPLN. INFO.: Continuation of Ser. No. US 1991-657729, filed on 20 Feb 1991, now abandoned which is a division of Ser. No. US 1989-394396, filed on 15 Aug 1989, now abandoned which is a division of Ser. No. US 1987-117851, filed on 16 Jun 1987, now patented, Pat. No. US 4917826 which is a continuation-in-part of Ser. No. US 1986-843120, filed on 24 Mar 1986, now abandoned which is a continuation-in-part of Ser. No. US 1985-788995, filed on 18 Oct 1985, now abandoned
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Cintins, Marianne M.
ASSISTANT EXAMINER: Kestler, Kimberly J.
LEGAL REPRESENTATIVE: Koivuniemi, Paul J., Wright, Debbie K., Wootton, Thomas A.
NUMBER OF CLAIMS: 5
EXEMPLARY CLAIM: 1
LINE COUNT: 4473
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Provided are cyclic hydrocarbons of Formula I ##STR1## with an aminoalkyl sidechain.
IT **112663-41-9P**
(prepn. and reaction of, in synthesis of phospholipase A2-inhibiting amino steroids and analogs)
RN 112663-41-9 USPATFULL
CN Carbamic acid, (3-aminopropyl)[3-[[(1,1-dimethylethoxy)carbonyl][(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 36 OF 40 USPATFULL (Continued)

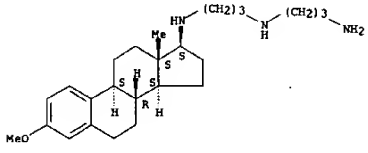


L41 ANSWER 36 OF 40 USPATFULL (Continued)



IT **112647-74-2P 112647-76-4P 112647-77-5P**
112647-80-0P 112647-81-1P 112647-83-3P
112647-84-4P 112647-85-5P 112647-86-6P
(prepn. of, as phospholipase A2 inhibitor and/or antidiabetic agent)
RN 112647-74-2 USPATFULL
CN 1,3-Propanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 112647-76-4 USPATFULL
CN Butanedioic acid, compd. with N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-1,3-propanediamine (3:1) (9CI) (CA INDEX NAME)

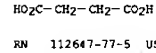
CM 1

CRN 112647-74-2
CMF C25 H41 N3 O
CDES 4:17B.ESTR

Absolute stereochemistry.

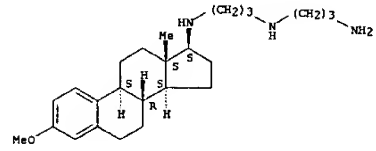
CM 2

CRN 110-15-6
CMF C4 H6 O4



RN 112647-77-5 USPATFULL
CN 1,3-Propanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

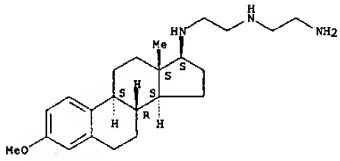


●3 HCl

RN 112647-80-0 USPATFULL
CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

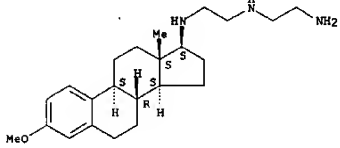
Absolute stereochemistry.

L41 ANSWER 36 OF 40 USPATFULL (Continued)



RN 112647-81-1 USPATFULL
CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

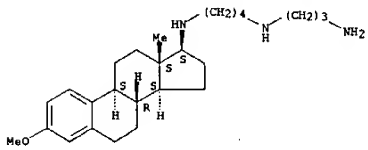
Absolute stereochemistry.



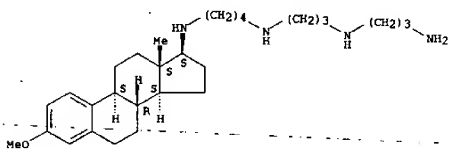
●3 HCl

RN 112647-83-3 USPATFULL
CN 1,4-Butanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



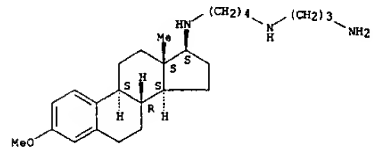
L41 ANSWER 36 OF 40 USPATFULL (Continued)



●4 HCl

L41 ANSWER 36 OF 40 USPATFULL (Continued)
RN 112647-84-4 USPATFULL
CN 1,4-Butanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

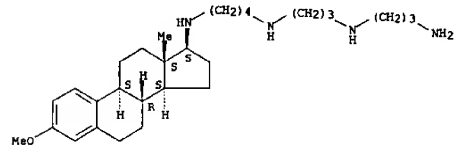
Absolute stereochemistry.



●3 HCl

RN 112647-85-5 USPATFULL
CN 1,4-Butanediamine, N-[3-[(3-aminopropyl)amino]propyl]-N-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 112647-86-6 USPATFULL
CN 1,4-Butanediamine, N-[3-[(3-aminopropyl)amino]propyl]-N-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, tetrahydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 37 OF 40 USPATFULL

ACCESSION NUMBER:	92:74640 USPATFULL
TITLE:	Cyclic hydrocarbons with an aminoalkyl sidechain
INVENTOR(S):	Johnson, Roy A., Kalamazoo, MI, United States Bundy, Gordon L., Portage, MI, United States Youngdale, Gilbert A., Portage, MI, United States Norton, Douglas R., Portage, MI, United States Wallach, deceased, Donald P., late of Kalamazoo, MI, United States Wallach, legal representative, by Vera H., Richland, MI, United States
PATENT ASSIGNEE(S):	The Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5145874		19920908
APPLICATION INFO.:	US 1991-663037		19910225 (7)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1989-394396, filed on 15 Aug 1989, now abandoned which is a division of Ser. No. US 1987-117851, filed on 16 Jun 1987, now patented, Pat. No. US 4917826 which is a continuation-in-part of Ser. No. US 1986-843120, filed on 24 Mar 1986, now abandoned which is a continuation-in-part of Ser. No. US 1985-788995, filed on 18 Oct 1985, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Richter, Johann		
LEGAL REPRESENTATIVE:	Wootton, Thomas A., Wright, Debbie K., Koivuniemi, Paul J.		
NUMBER OF CLAIMS:	8		
EXEMPLARY CLAIM:	1		
LINE COUNT:	4780		

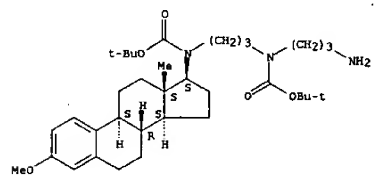
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Provided are cyclic hydrocarbons of Formula I ##STR1## with an aminoalkyl sidechain that are useful for treating phospholipase A2 mediated conditions, diabetes, and obesity.

IT **112663-41-9P**
(prepn. and reaction of, in synthesis of phospholipase A2-inhibiting amino steroids and analogs)

RN 112663-41-9 USPATFULL
CN Carbamic acid, (3-aminopropyl)[3-[[(1,1-dimethylethoxy)carbonyl][(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

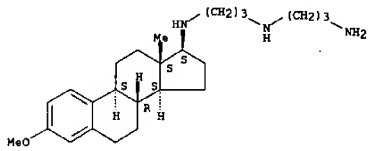


L41 ANSWER 37 OF 40 USPATFULL (Continued)

IT **112647-74-2P 112647-76-4P 112647-77-5P**
112647-80-0P 112647-81-1P 112647-83-3P
112647-84-4P 112647-85-5P 112647-86-6P
(prepn. of, as phospholipase A2 inhibitor and/or antidiabetic agent)

RN 112647-74-2 USPATFULL
CN 1,3-Propanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

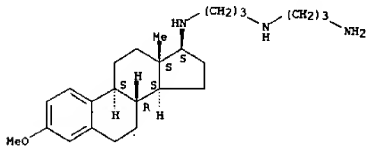


RN 112647-76-4 USPATFULL
CN Butanedioic acid, compd. with N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-1,3-propanediamine (3:1) (9CI) (CA INDEX NAME)

CM 1

CRN 112647-74-2
CMF C25 H41 N3 O
CDES 4:17B.ESTR

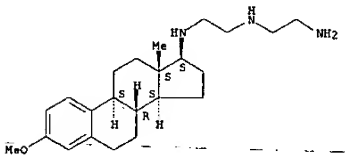
Absolute stereochemistry.



CM 2

CRN 110-15-6
CMF C4 H6 O4

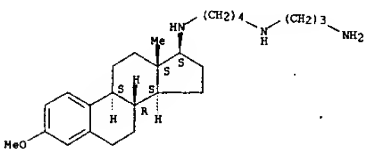
L41 ANSWER 37 OF 40 USPATFULL (Continued)



●3 HCl

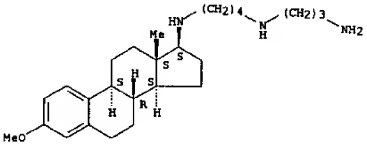
RN 112647-83-3 USPATFULL
CN 1,4-Butanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 112647-84-4 USPATFULL
CN 1,4-Butanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



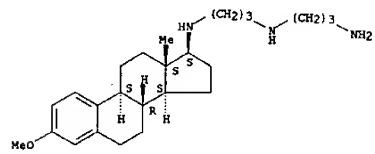
●3 HCl

L41 ANSWER 37 OF 40 USPATFULL (Continued)

$HO_2C-CH_2-CH_2-CO_2H$

RN 112647-77-5 USPATFULL
CN 1,3-Propanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

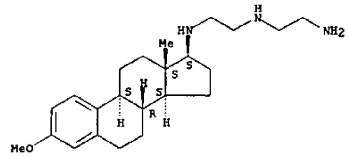
Absolute stereochemistry.



●3 HCl

RN 112647-80-0 USPATFULL
CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



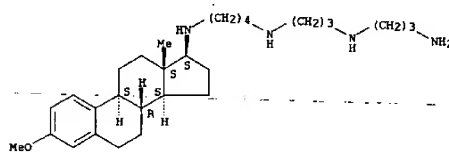
RN 112647-81-1 USPATFULL
CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 37 OF 40 USPATFULL (Continued)

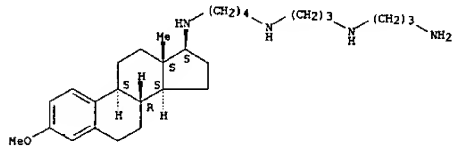
RN 112647-85-5 USPATFULL
CN 1,4-Butanediamine, N-[3-[(3-aminopropyl)amino]propyl]-N-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 112647-86-6 USPATFULL
CN 1,4-Butanediamine, N-[3-[(3-aminopropyl)amino]propyl]-N-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, tetrahydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●4 HCl

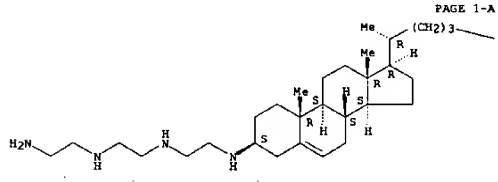
L41 ANSWER 38 OF 40 USPATFULL
ACCESSION NUMBER: 90:89127 USPATFULL
TITLE: Lamellar vesicles formed of cholesterol derivatives
INVENTOR(S): Li, Ming P., Pasadena, CA, United States
Baldeschwieler, John D., Pasadena, CA, United States
PATENT ASSIGNEE(S): California Institute of Technology, Pasadena, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4971803		19901120
APPLICATION INFO.:	US 1988-259453		19881017 (7)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1985-720957, filed on 8 Apr 1985, now abandoned		

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Robinson, Douglas W.
ASSISTANT EXAMINER: Weddington, Kevin
LEGAL REPRESENTATIVE: Jacobs, Marvin E.
NUMBER OF CLAIMS: 13
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 5 Drawing Figure(s); 2 Drawing Page(s)
LINE COUNT: 947
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Closed, unilamellar vesicles are spontaneously formed by adding a cholesteryl compound substituted with a hydroxyl terminated polyethylene oxide containing 1 to 4 ethylene oxide groups to a polar liquid. Multilamellar vesicles are formed by sonicating a cholesteryl compound containing polyethylene oxide or polyamine side-chains. The vesicles can be utilized to dispense polar, non-polar or ampholphilic compounds.
IT **96860-17-2 118573-50-5**
(liposomes, for drug encapsulation)
RN 96860-17-2 USPATFULL
CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[2-[[(3.beta.)-cholest-5-en-3-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

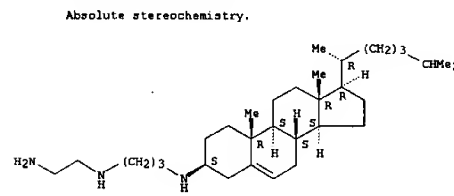
Absolute stereochemistry.

PAGE 1-A



L41 ANSWER 38 OF 40 USPATFULL (Continued)

PAGE 1-B



RN 118573-50-5 USPATFULL
CN 1,3-Propanediamine, N-(2-aminoethyl)-N'-[(3.beta.)-cholest-5-en-3-yl]- (9CI) (CA INDEX NAME)

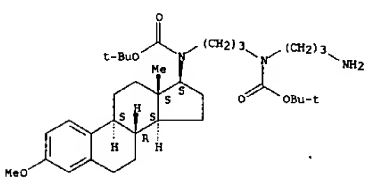
Absolute stereochemistry.

L41 ANSWER 39 OF 40 USPATFULL
ACCESSION NUMBER: 90:29778 USPATFULL
TITLE: Cyclic hydrocarbons with an aminoalkyl sidechain
INVENTOR(S): Johnson, Roy A., Kalamazoo, MI, United States
Bundy, Gordon L., Portage, MI, United States
Youngdale, Gilbert A., Portage, MI, United States
Morton, Douglas R., Portage, MI, United States
Wallach, deceased, Donald P., late of Kalamazoo, MI, United States by Vera M. Wallach, legal representative
PATENT ASSIGNEE(S): The Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 4917826		19900417	
	WO 8702367		19870423	
APPLICATION INFO.:	US 1987-117851		19870616	(7)
	WO 1986-US2116		19861007	
			19870616	PCT 371 date
			19870616	PCT 102(e) date

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Lee, Mary C.
ASSISTANT EXAMINER: Richter, J.
LEGAL REPRESENTATIVE: Koivuniemi, Paul J.
NUMBER OF CLAIMS: 3
EXEMPLARY CLAIM: 1
LINE COUNT: 4514
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Provided are cyclic hydrocarbons of Formula I ##STR1## with an aminoalkyl sidechain that are useful for treating phospholipase A2 mediated conditions, diabetes, and obesity.
IT **112663-41-9P**
(prepn. and reaction of, in synthesis of phospholipase A2-inhibiting amino steroids and analogs)
RN 112663-41-9 USPATFULL
CN Carbamic acid, (3-aminopropyl){3-[[(1,1-dimethylethoxy)carbonyl][(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

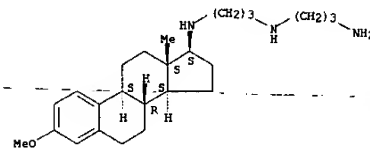
Absolute stereochemistry.



IT **112647-74-2P 112647-76-4P 112647-77-5P**
112647-80-0P 112647-81-1P 112647-83-3P
112647-84-4P 112647-85-5P 112647-86-6P
(prepn. of, as phospholipase A2 inhibitor and/or antidiabetic agent)

L41 ANSWER 39 OF 40 USPATFULL (Continued)
RN 112647-74-2 USPATFULL
CN 1,3-Propanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

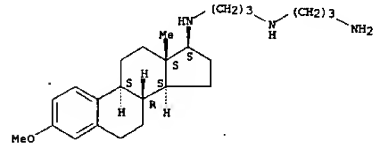


RN 112647-76-4 USPATFULL
CN Butanedioic acid, compd. with N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-1,3-propanediamine (3:1) (9CI) (CA INDEX NAME)

CM 1

CRN 112647-74-2
CMF C25 H41 N3 O
CDES 4:17B.ESTR

Absolute stereochemistry.



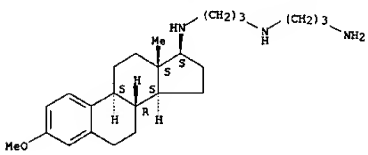
CM 2

CRN 110-15-6
CMF C4 H6 O4

RN 112647-77-5 USPATFULL
CN 1,3-Propanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

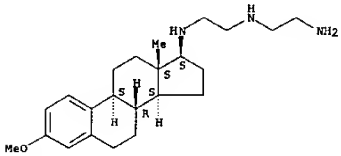
L41 ANSWER 39 OF 40 USPATFULL (Continued)



●3 HCl

RN 112647-80-0 USPATFULL
CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

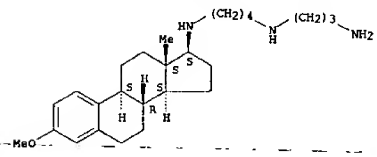
Absolute stereochemistry.



RN 112647-81-1 USPATFULL
CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

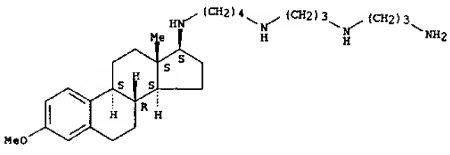
L41 ANSWER 39 OF 40 USPATFULL (Continued)



●3 HCl

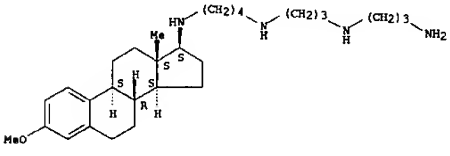
RN 112647-85-5 USPATFULL
CN 1,4-Butanediamine, N-[3-[(3-aminopropyl)amino]propyl]-N-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



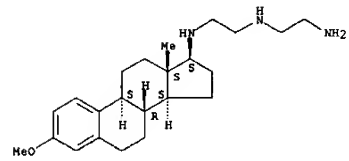
RN 112647-86-6 USPATFULL
CN 1,4-Butanediamine, N-[3-[(3-aminopropyl)amino]propyl]-N-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, tetrahydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●4 HCl

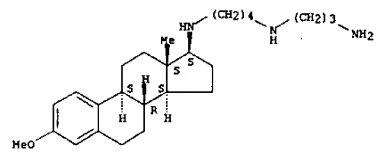
L41 ANSWER 39 OF 40 USPATFULL (Continued)



●3 HCl

RN 112647-83-3 USPATFULL
CN 1,4-Butanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 112647-84-4 USPATFULL
CN 1,4-Butanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 39 OF 40 USPATFULL (Continued)

L41 ANSWER 40 OF 40 USPATFULL

ACCESSION NUMBER: 86:23267 USPATFULL
TITLE: Process for preparing Estracyt compounds having a carcinostatic bound thereto
INVENTOR(5): Yoshida, Masaru, Gunma, Japan
Asano, Masaharu, Gunma, Japan
Kaetsu, Isao, Gunma, Japan
Yamanaka, Hidetoshi, Gunma, Japan
Nakai, Katsuyuki, Gunma, Japan
Yuasa, Hisako, Gunma, Japan
Shida, Keizo, Gunma, Japan
PATENT ASSIGNEE(S): Japan Atomic Energy Research Institute, Tokyo, Japan (non-U.S. government)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4584136		19860422
APPLICATION INFO.:	US 1985-707219		19850301 (6)

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1984-127117	19840620

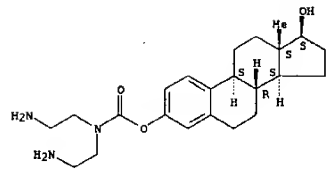
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Roberts, Elbert L.
LEGAL REPRESENTATIVE: Browdy & Neimark
NUMBER OF CLAIMS: 5
EXEMPLARY CLAIM: 1
LINE COUNT: 180
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB An Estracyt compound having a carcinostatic bound thereto is obtained by reacting an Estracyt compound with a carcinostatic having one or more radicals selected from among COOH, Cl, NH.sub.2 and OH, either directly or after reaction with an amine to replace one or both Cl groups in the nitrogen mustard portion in the Estracyt compound with a NH.sub.2 group, in the presence or absence of a catalyst. The resulting compound is more effective in cancer control than the Estracyt compound associated substance.

IT **104448-80-8P**
(prepn. and reaction of, with anticancer agent)
RN 104448-80-8 USPATFULL
CN Estra-1,3,5(10)-triene-3,17-diol (17.beta.)-, 3-[bis(2-aminoethyl)carbamate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

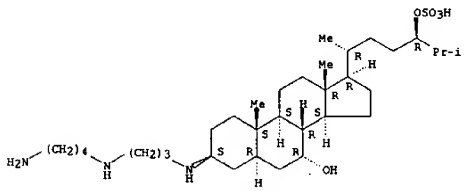
L41 ANSWER 40 OF 40 USPATFULL (Continued)



=> d ibib ab hitstr 1-28

L43 ANSWER 1 OF 28 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:695252 CAPLUS
DOCUMENT NUMBER: 134:193618
TITLE: The synthesis, characterization and biological testing of new squalamine analogs
AUTHOR(S): Shawakfeh, Khaled Q.
CORPORATE SOURCE: Temple University, USA
SOURCE: (1998) 205 pp. Avail.: UMI, Order No. DA9955883
From: Diss. Abstr. Int., B 2000, 61(1), 274
DOCUMENT TYPE: Dissertation
LANGUAGE: English
AB Unavailable
IT **148717-90-2DP**, Squalamine, analogs
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis, characterization and biol. testing of new squalamine analogs)
RN 148717-90-2 CAPLUS
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

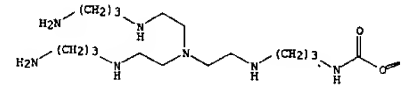
Absolute stereochemistry.



L43 ANSWER 2 OF 28 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:379312 CAPLUS
DOCUMENT NUMBER: 131:189589
TITLE: Cholesteryl derivates of oligoethylenimine as mediators of eucaryotic cells transfection in gene therapy
AUTHOR(S): Zhdanov, R. I.; Kutsenko, N. G.; Podobed, O. V.; Buneeva, O. A.; Tsvetkova, T. A.; Konevets, D. N.; Vlasov, V. V.
CORPORATE SOURCE: Inst. Biomed. Khim., Ross. Akad. Nauk, Moscow, Russia
SOURCE: Doklady Akademii Nauk (1998), 361(5), 695-699
CODEN: DAKNEQ; ISSN: 0869-5652
PUBLISHER: MAIK Nauka
DOCUMENT TYPE: Journal
LANGUAGE: Russian
AB The authors studied the possibility of transfection HeLa cells and neurinoma cells by plasmid pCSEAP complexed with various cholesteryl derivates of oligoethylenimine in gene therapy. The results showed that plasmid pCSEAP complexed with various cholesteryl derivates of oligoethylenimine is the effective way of gene delivery.
IT **239810-50-5P 239810-51-6P**
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(cholesteryl derivates of oligoethylenimine as mediators of eucaryotic cells transfection in gene therapy)
RN 239810-50-5 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, 15-amino-9-[2-[(3-aminopropyl)amino]ethyl]-2,6,9,12-tetraazapentadecanoate (9CI) (CA INDEX NAME)

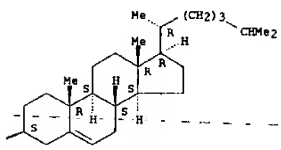
Absolute stereochemistry.

PAGE 1-A



L43 ANSWER 2 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

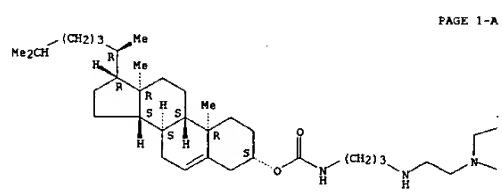
PAGE 1-B



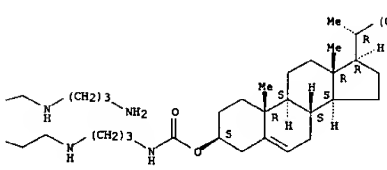
RN 239810-51-6 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, 9-[2-[(3-aminopropyl)amino]ethyl]-2,6,9,12,16-pentaazaheptadecanedioate (2:1) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



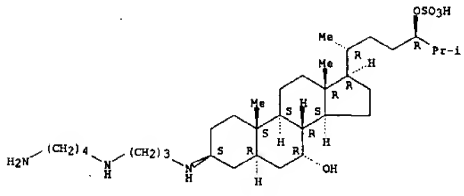
PAGE 1-B



L43 ANSWER 3 OF 28 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:329896 CAPLUS
DOCUMENT NUMBER: 131:110951
TITLE: Differential inhibition of AE1 and AE2 anion exchangers by oxonol dyes and by novel polyaminosterol analogs of the shark antibiotic squalamine
AUTHOR(S): Alper, Seth L.; Chernova, Marina N.; Williams, Jon; Zasloff, Michael; Law, Foon-Yee; Knauf, Philip A.
CORPORATE SOURCE: Molecular Medicine and Renal Units, Beth Israel Deaconess Medical Center, and Departments of Medicine and Cell Biology, Harvard Medical School, Boston, MA, 02215, USA
SOURCE: Biochemistry and Cell Biology (1998), 76(5), 799-806
CODEN: BCBIEQ; ISSN: 0829-8211
PUBLISHER: National Research Council of Canada
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Oxonol and polyaminosterol drugs were exam. as inhibitors of recombinant mouse AE1 and AE2 anion exchangers expressed in Xenopus laevis oocytes and were compared as inhibitors of AE1-mediated anion flux in red cells and in HL-60 cells that express AE2. The oxonols WW-781, diBA(5)C4, and diBA(3)C4 inhibited HL-60 cell Cl-/Cl- exchange with IC50 values from 1 to 7 .mu.M, 100-1000 times less potent than their IC50 values for red cell Cl-/anion exchange. In Xenopus oocytes, diBA(5)C4 inhibited AE1-mediated Cl- efflux several hundred times more potently than that mediated by AE2. Several novel squalamine-related polyaminosterols were also evaluated as anion exchange inhibitors. In contrast to diBA(5)C4, polyaminosterol 1361 inhibited oocyte-expressed AE2 8-fold more potently than AE1 (IC50 0.6 vs. 5.2 .mu.M). The 3-fold less potent desulfo-analog, 1360, showed similar preference for AE2. It was found that 1361 also partially inhibited Cl- efflux from red cells, whereas neither polyaminosterol inhibited Cl efflux from HL60 cells. Thus, the oxonol diBA(5)C4 is >100-fold more potent as an inhibitor of AE1 than of AE2, whereas the polyaminosterols 1360 and 1361 are 8-fold more potent as inhibitors of AE2 than of AE1. Assay conditions and cell type influenced IC50 values for both classes of compds.
IT **148717-90-2**, Squalamine **186139-08-2 186139-11-7 232613-79-5**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(differential inhibition of AE1 and AE2 anion exchangers by oxonol dyes and by novel polyaminosterol analogs of shark antibiotic squalamine)
RN 148717-90-2 CAPLUS
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

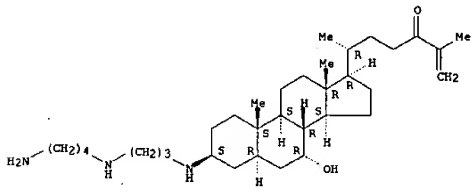
Absolute stereochemistry.

L43 ANSWER 3 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 186139-08-2 CAPLUS
CN Cholest-25-en-24-one, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

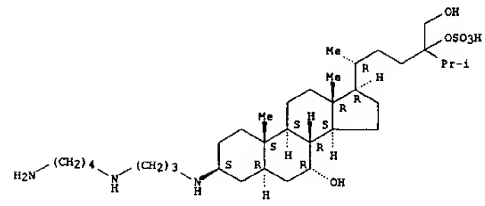
Absolute stereochemistry.



RN 186139-11-7 CAPLUS
CN Ergostane-7,24,28-triol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24.xi.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

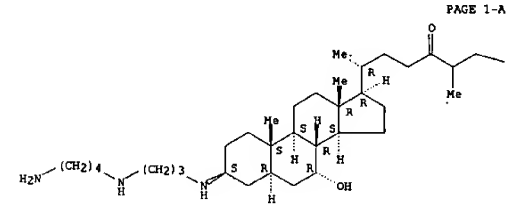
L43 ANSWER 3 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 232613-79-5 CAPLUS
CN Cholestan-24-one, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-26-(sulfooxy)-, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L43 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:9451 CAPLUS
DOCUMENT NUMBER: 130:242218
TITLE: Cationic lipid formulations for intracellular gene delivery of cystic fibrosis transmembrane conductance regulator to airway epithelia
AUTHOR(S): Cheng, Seng Hing; Marshall, John; Scheule, Ronald K.; Smith, Alan E.
CORPORATE SOURCE: Genzyme Corporation, Framingham, MA, 01701, USA
SOURCE: Methods in Enzymology (1998), 292(ABC Transporters: Biochemical, Cellular, and Molecular Aspects), 697-717
CODEN: MENZAU; ISSN: 0076-6879
PUBLISHER: Academic Press
DOCUMENT TYPE: Journal
LANGUAGE: English

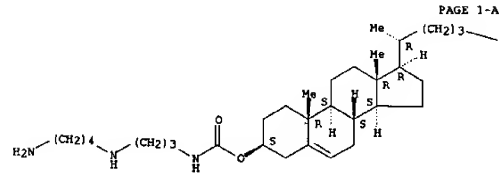
AB Procedures and example expts. are described for optimization of cationic lipid:pDNA formulations for in vitro transfection with cystic fibrosis transmembrane conductance regulator, identifying factors affecting efficiency of gene transfer in vitro, optimization of cationic lipid formulations for in vivo gene delivery, and identifying factors affecting efficacy of gene transfer in vivo. Four different cationic lipids are studied. (c) 1998 Academic Press.

IT **173738-32-4 179075-25-3**
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(cationic lipid formulations for intracellular gene delivery of cystic fibrosis transmembrane conductance regulator to airway epithelia)

RN 173738-32-4 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, [3-[(4-aminobutyl)amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

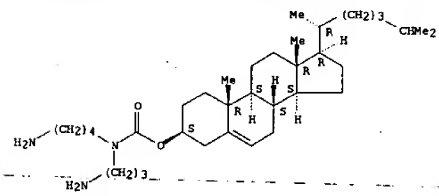


PAGE 1-B

RN 179075-25-3 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, (4-aminobutyl)(3-aminopropyl)carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L43 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L43 ANSWER 5 OF 28 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:686662 CAPLUS
DOCUMENT NUMBER: 130:66662
TITLE: Synthesis of Squalamine Utilizing a Readily Accessible Spermidine Equivalent
AUTHOR(S): Zhang, Xuehai; Rao, Meenakshi N.; Jones, Stephen R.; Shao, Bin; Feibush, Penina; McGuigan, Melissa; Tzodikov, Nathan; Feibush, Binyamin; Sharkansky, Ilya; Snyder, Brad; Mallis, Larry M.; Sarkahian, Ani; Wilder, Susan; Turse, Joshua E.; Kinney, William A.; Kjrsgaard, Hans Jorgen; Michalak, Ronald S.
CORPORATE SOURCE: Magainin Pharmaceuticals Inc., Plymouth Meeting, PA, 19462, USA
SOURCE: Journal of Organic Chemistry (1998), 63(23), 8599-8603
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 130:66662

AB Squalamine was efficiently prepd. in five steps (37% overall yield) from steroid (I) utilizing the latent spermidine reagent NC(CH2)3NH(CH2)3NH2 which is easily prepd., is stable to reductive amination conditions and is easily converted to spermidine under weakly acidic conditions.

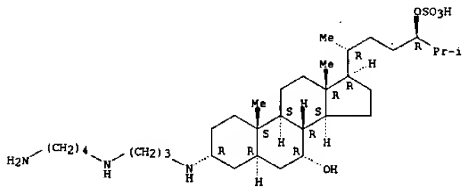
IT **217809-92-2P 217809-93-3P**
RL: BYP (Byproduct); SPN (Synthetic preparation); PREP (Preparation) (synthesis of squalamine utilizing a readily accessible spermidine equiv.)

RN 217809-92-2 CAPLUS
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.alpha.,5.alpha.,7.alpha.,24R)-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 171252-30-5
CMF C34 H65 N3 O5 S

Absolute stereochemistry.



CM 2

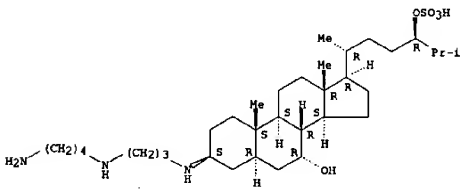
L43 ANSWER 5 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)
(synthesis of squalamine utilizing a readily accessible spermidine equiv.)

RN 217809-85-3 CAPLUS
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 148717-90-2
CMF C34 H65 N3 O5 S

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L43 ANSWER 5 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

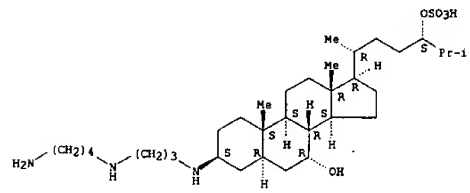
CRN 76-05-1
CMF C2 H F3 O2

RN 217809-93-3 CAPLUS
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24S)-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 167076-10-0
CMF C34 H65 N3 O5 S

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

IT **217809-85-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)

L43 ANSWER 6 OF 28 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:613444 CAPLUS
DOCUMENT NUMBER: 129:265466
TITLE: Spray formulations of antihyperalgesic opiates and method of treating topical hyperalgesic conditions therewith
INVENTOR(S): Maycock, Alan L.; Chang, An-chih; Farrar, John J.; Balogh, Imre
PATENT ASSIGNEE(S): Adolor Corp., USA
SOURCE: U.S., 8 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5811078	A	19980922	US 1997-818559	19970314
US 5798093	A	19980825	US 1997-892389	19970714

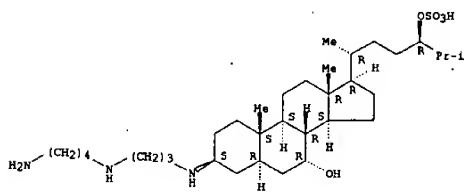
PRIORITY APPLN. INFO.: US 1997-818559 A2 19970314
OTHER SOURCE(S): MARPAT 129:265466

AB Spray formulations of anti-hyperalgesic opiates comprise an anti-hyperalgesic opiate having a peripheral selectivity of 251 to 1,280 in an aq. alc. mixt. contg. up to 15% ethanol, propanol, and/or isopropanol. Thus, 100 g of 4-(p-chlorophenyl)-4-hydroxy-N,N-dimethyl-.alpha.,.alpha.-diphenyl-1-piperidinebutyramide was dissolved in 2 L of a 5 % ethanol/95 % water mixt. with agitation and the soln. was transferred to a pump action spray bottle.

IT **148717-90-2**, Squalamine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (topical sprays contg. anti-hyperalgesic opiates and active ingredients to promote wound healing)

RN 148717-90-2 CAPLUS
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L43 ANSWER 7 OF 28 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:607505 CAPLUS
DOCUMENT NUMBER: 129:341558
TITLE: Enhanced in vitro and in vivo gene delivery using cationic agent complexed retrovirus vectors
AUTHOR(S): Themis, M.; Forbes, S. J.; Chan, L.; Cooper, R. G.; Etheridge, C. J.; Miller, A. D.; Hodgson, H. J. F.; Coutelle, C.
CORPORATE SOURCE: Division of Biomedical Sciences, Imperial College School of Medicine, London, W2 1PG, UK
SOURCE: Gene Therapy (1998), 5(9), 1180-1186
CODEN: GETHEC; ISSN: 0969-7128
PUBLISHER: Stockton Press
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Retroviruses are, at present, the most efficient integrative vectors available for gene delivery. These viruses are still limited by relatively low titers. Although several protocols exist to improve virus titer most of them are time-consuming and unable to provide sufficient virus for in vivo applications. Virus titer can be enhanced by polybrene and other cationic agents. By investigating a broad range of cationic agents for their ability to enhance virus infectivity the authors found that both ecotropic and amphotropic retrovirus infection could be increased. The lipopolyamine dioctadecylamidoglycylspermine (DOGS) gave .ltoreq. 1 order of magnitude enhancement above polybrene-mediated infection without cytotoxicity. To increase virus infectivity further the authors combined the enhancing effect of DOGS on virus infectivity with concn. of virus particles by ultrafiltration to reach titers of 1 .times. 109 IU/mL. The in vivo transduction of regenerating rat liver, by an amphotropic retrovirus was increased approx. 5-fold by the addn. of DOGS compared with virus alone. There was no animal toxicity obsd. following the administration of DOGS. The improved transduction efficiency seen both in vitro and in vivo following the co-administration of DOGS/virus complexes may be useful for future gene therapy applications.

IT **179075-30-0 200337-52-6 200337-57-1**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(combined with DOPE; enhanced gene delivery using cationic agent complexed retrovirus vectors)

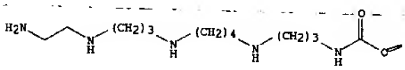
RN 179075-30-0 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, (3-aminopropyl)[4-[(3-aminopropyl)amino]butyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

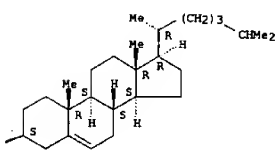
L43 ANSWER 7 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

Absolute stereochemistry.

PAGE 1-A



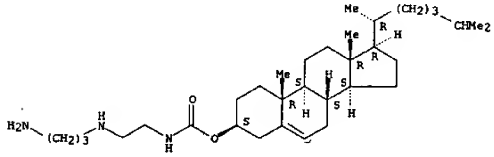
PAGE 1-B



IT **200337-43-5**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(enhanced gene delivery using cationic agent complexed retrovirus vectors)

RN 200337-43-5 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, [2-[(3-aminopropyl)amino]ethyl]carbamate (9CI) (CA INDEX NAME)

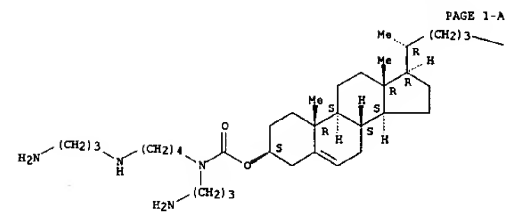
Absolute stereochemistry.



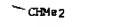
REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS

L43 ANSWER 7 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



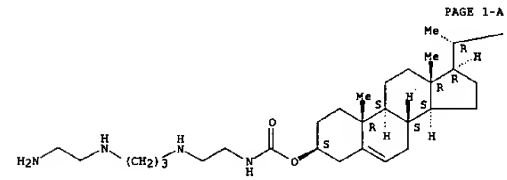
PAGE 1-B



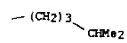
RN 200337-52-6 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, [2-[[3-[(2-aminoethyl)amino]propyl]amino]ethyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



RN 200337-57-1 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, 17-amino-2,6,11,15-tetraazaheptadecanoate (9CI) (CA INDEX NAME)

L43 ANSWER 7 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L43 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:564193 CAPLUS
DOCUMENT NUMBER: 129:185060
TITLE: Bile acid derivatives for use in liposome-mediated transformation
INVENTOR(S): Kahne, Suzanne Walker
PATENT ASSIGNEE(S): Trustees of Princeton University, USA
SOURCE: U.S., 28 pp., Cont.-in-part of U. S. 5,627,270. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5795870	A	19980818	US 1994-336675	19941107
US 5338837	A	19940816	US 1991-806985	19911213
US 5571795	A	19961105	US 1992-989667	19921214
US 5693769	A	19971202	US 1994-230685	19940420
US 5627270	A	19970506	US 1994-264488	19940623
WO 9529186	A1	19951102	WO 1995-US4806	19950420
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TT, UA				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2188320	AA	19951102	CA 1995-2188320	19950420
AU 9523582	A1	19951116	AU 1995-23582	19950420
AU 687557	B2	19980226		
ZA 9503207	A	19960311	ZA 1995-3207	19950420
EP 756601	A1	19970205	EP 1995-917587	19950420
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09512270	T2	19971209	JP 1995-527736	19950420
US 5780444	A	19980714	US 1995-425118	19950420

PRIORITY APPLN. INFO.:
US 1991-806985 19911213
US 1992-989667 19921214
US 1994-230685 19940420
US 1994-264488 19940623
US 1994-336675 19941107
WO 1995-US4806 19950420

OTHER SOURCE(S): MARPAT 129:185060

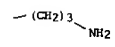
AB Bile acid derivs. that can be used in combination with amines or polyamines such as spermine to form complexes with nucleic acids that can be used in the transformation of animal cells are described. The bile acid derivs. are preferably polyhydroxylated or polyglycosylated and the amine may be part of a side chain of the deriv. Synthesis of a series of bile acid derivs. is described.

IT **174069-05-7 174180-24-6 206439-79-4**
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(liposome prepns. using; bile acid derivs. for use in liposome-mediated transformation)

RN 174069-05-7 CAPLUS
CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3,12-

L43 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

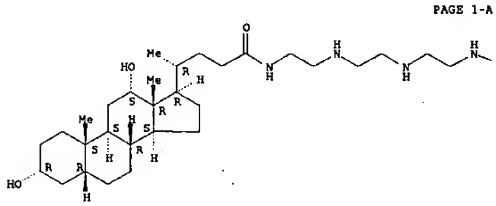
PAGE 1-B



RN 206439-79-4 CAPLUS
CN Cholan-24-amide, N-[2-[[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

IT **174069-02-4P 206439-86-3P 206439-87-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reactions of, in prepn. glycosylated bile acid derivs.; bile acid derivs. for use in liposome-mediated transformation)

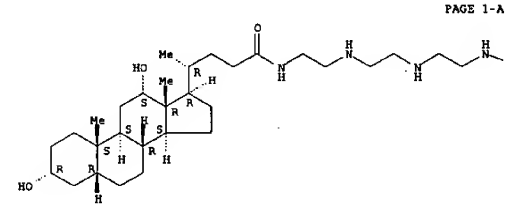
RN 174069-02-4 CAPLUS
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-hydroxy-7,12-bis[[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]oxy]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

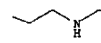
L43 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)
dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



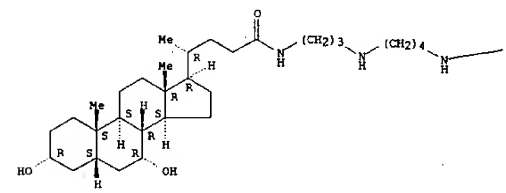
PAGE 1-B



RN 174180-24-6 CAPLUS
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7-dihydroxy-, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

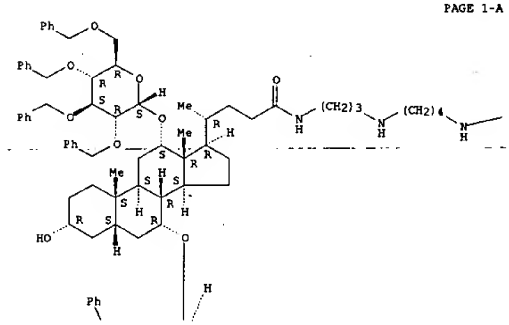
Absolute stereochemistry.

PAGE 1-A

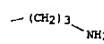


L43 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

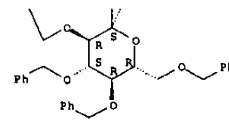
PAGE 1-A



PAGE 1-B



PAGE 2-A

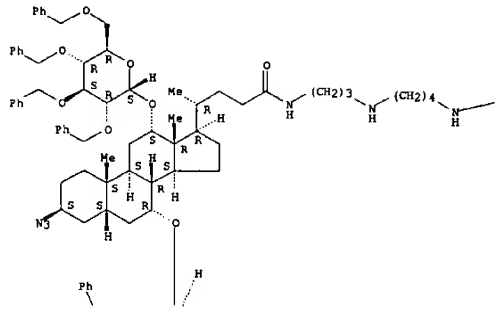


RN 206439-86-3 CAPLUS
CN Cholan-24-amide, N-[3-[[4-[[3-aminopropyl)amino]butyl]amino]propyl]-3-azido-7,12-bis[[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]oxy]-, (3.beta.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

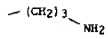
L43 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

Absolute stereochemistry.

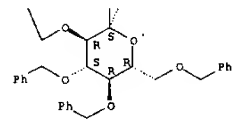
PAGE 1-A



PAGE 1-B

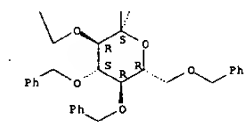


PAGE 2-A



L43 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 2-A



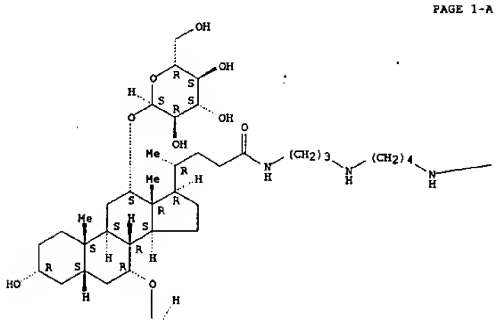
IT 174068-86-1P 174068-99-6P 206439-78-3P
206553-50-6P 210174-02-0P
RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, liposome prepns. using; bile acid derivs. for use in liposome-mediated transformation)

RN 174068-86-1 CAPLUS

CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7,12-bis(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



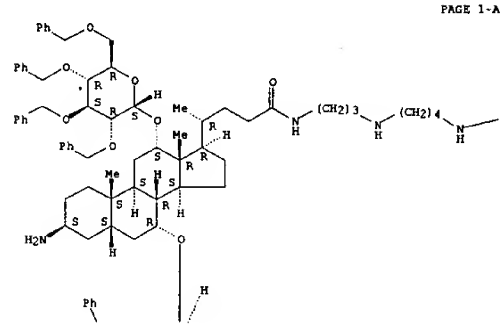
L43 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 206439-87-4 CAPLUS

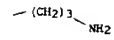
CN Cholan-24-amide, 3-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7,12-bis[[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]oxy]-, (3.beta.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

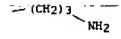


PAGE 1-B

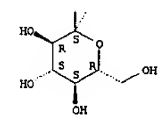


L43 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B



PAGE 2-A

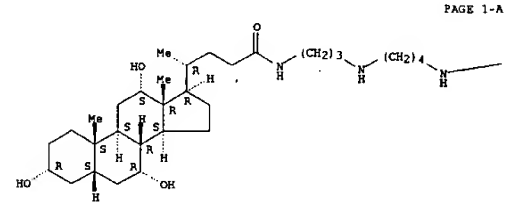


RN 174068-99-6 CAPLUS

CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7,12-trihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

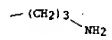
Absolute stereochemistry.

PAGE 1-A



L43 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

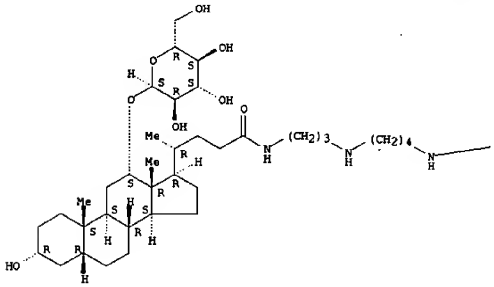
PAGE 1-B



RN 206439-78-3 CAPLUS
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-12-(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, (3.alpha.,5.beta.,12.alpha.)-(9CI) (CA INDEX NAME)

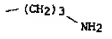
Absolute stereochemistry.

PAGE 1-A



L43 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

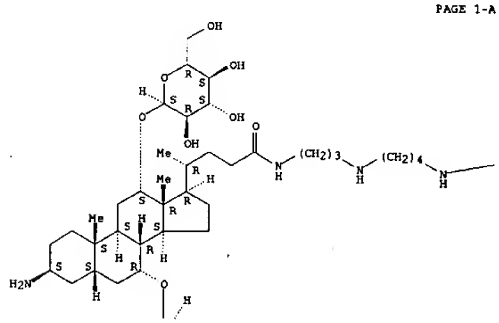
PAGE 1-B



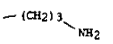
RN 210174-02-0 CAPLUS
CN Cholan-24-amide, 3-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7,12-bis(.alpha.-D-glucopyranosyloxy)-, tetrahydrochloride, (3.beta.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

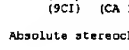


PAGE 1-B



L43 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

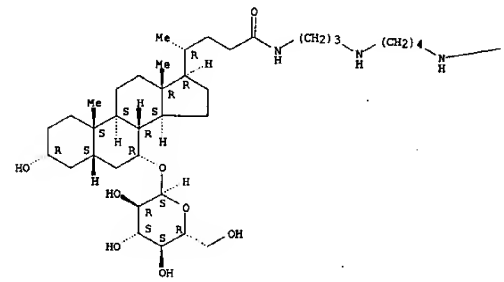
PAGE 1-B



RN 206553-50-6 CAPLUS
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7-(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, (3.alpha.,5.beta.,7.alpha.)-(9CI) (CA INDEX NAME)

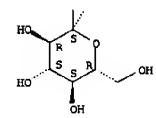
Absolute stereochemistry.

PAGE 1-A



L43 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 2-A



● 4 HCl

REFERENCE COUNT: 119 THERE ARE 119 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L43 ANSWER 9 OF 28 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:559212 CAPLUS
DOCUMENT NUMBER: 129:285694
TITLE: Potential of the aminosterol, squalamine in combination therapy in the rat 13762 mammary carcinoma and the murine Lewis lung carcinoma
AUTHOR(S): Teicher, Beverly A.; Williams, Jon I.; Takeuchi, Hideya; Ara, Gulshan; Herbst, Roy S.; Buxton, David
CORPORATE SOURCE: Dana-Farber Cancer Inst. Joint Cent. Radiation Therapy, Boston, MA, 02115, USA
SOURCE: Anticancer Research (1998), 18(4A), 2567-2573
CODEN: ANTRD4; ISSN: 0250-7005
PUBLISHER: Anticancer Research
DOCUMENT TYPE: Journal
LANGUAGE: English

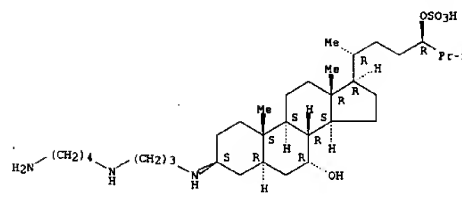
AB Squalamine, a naturally-occurring aminosterol, has demonstrated antiangiogenic activity in several exptl. models. Extended treatment with other antiangiogenic agents has been shown to increase tumor oxygenation. Tumor oxygenation was measured using an Eppendorf pO2 histograph polarog. pO2 electrode system in the rat 13762 mammary carcinoma after treatment of the tumor-bearing animals with squalamine (40 mg/kg) on days 4 through 18 post tumor implantation. Under air breathing conditions, the hypoxic fraction (percent of pO2 readings <5 mmHg) was 53% in controls and was decreased to 38% in the squalamine treated animals. While squalamine administration alone produced only a modest effect on the growth of the 13762 tumor, there were increases in tumor growth delay of 1.9- to 2.5-fold when squalamine was administered along with cyclophosphamide, cisplatin and paclitaxel compared with the tumor growth delays obsd. with the chemotherapeutic agents alone. To det. the efficacy of squalamine alone and along with cytotoxic therapies against a model of primary and systemic disease, squalamine was administered to animals bearing the Lewis lung carcinoma by daily s.c. injection or by continuous infusion on days 4 through 18 post tumor implantation. Squalamine as a single agent had only a modest effect on the growth of the primary Lewis lung tumor but increased the tumor growth delays produced by cyclophosphamide, cisplatin, paclitaxel and 5-fluorouracil by 2.4- to 3.8-fold compared with the anticancer drugs alone. Squalamine administration alone substantially decreased the no. of lung metastases found in animals bearing the Lewis lung carcinoma and further decreased the no. of lung metastases when administered along with the chemotherapeutic agents.

IT **148717-90-2**, Squalamine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(potential of antiangiogenic aminosterol squalamine in combination therapy in rat 13762 mammary carcinoma and murine Lewis lung carcinoma in relation to tumor oxygenation)

RN 148717-90-2 CAPLUS
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L43 ANSWER 9 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)



L43 ANSWER 10 OF 28 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:503320 CAPLUS
DOCUMENT NUMBER: 129:260628
TITLE: Sterol-Polyamine Conjugates as Synthetic Ionophores
AUTHOR(S): Merritt, Manette; Lanier, Marion; Deng, Gang; Regen, Steven L.
CORPORATE SOURCE: Department of Chemistry and Zettlemoyer Center For Surface Studies, Lehigh University, Bethlehem, PA, 18015, USA
SOURCE: Journal of the American Chemical Society (1998), 120(33), 8494-8501
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A design principle has been devised for the construction of sterol-polyamine conjugates that function as synthetic ionophores. For feasibility studies, a prototype, I (R1 = H, R2 = SO3H) was synthesized from 3.beta.-hydroxybisnor-5-cholenic acid via sequential activation of its carboxylic acid moiety, condensation with spermine, and sulfation of the 3.beta.-hydroxyl group. Closely related analogs were also prepd. in which the terminal amine group was acetylated (I; R1 = Ac, R2 = SO3H), the 3.beta.-hydroxyl group was left unsulfated (I; R1 = R2 = H), and each of the two remaining secondary amines was replaced with oxygen atoms (II). Incorporation of each conjugate into egg phosphatidylglycerol-based vesicles showed that I (R1 = H, R2 = SO3H) functions as an ionophore by discharging a pH difference across the vesicle membrane, but that I (R1 = Ac, R2 = SO3H; R1 = R2 = H) and II do not. A kinetic anal. of the ionophoric activity of I (R1 = H, R2 = SO3H) has provided evidence that the majority of the conjugate exists as membrane-bound monomer and that dimers are the active species that are responsible for ion transport. Comparative expts. have also shown that I (R1 = H, R2 = SO3H) exhibits greater activity in neg. charged phospholipid membranes relative to ones that are elec. neutral. The implications of these findings, with regard to the design of new classes of antibacterial agents, are briefly discussed.

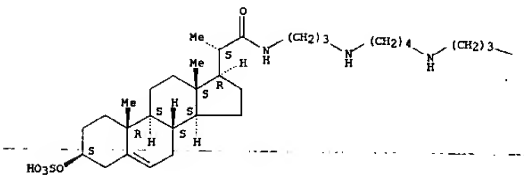
IT **165336-10-7P**
RL: PEP (Physical, engineering or chemical process); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)
(prepn. of sterol-polyamine conjugates as synthetic ionophores)

RN 165336-10-7 CAPLUS
CN Pregn-5-ene-20-carboxamide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-(sulfooxy)-, (3.beta.,20S)- (9CI) (CA INDEX NAME)

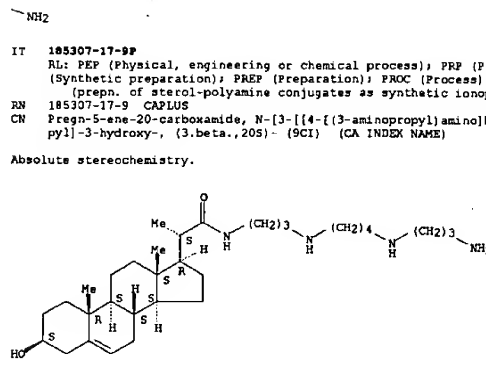
Absolute stereochemistry.

L43 ANSWER 10 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



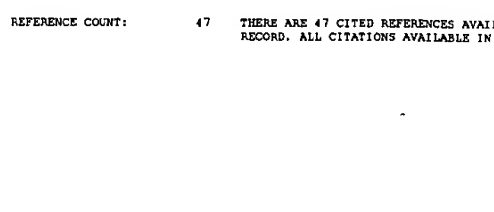
PAGE 1-B



IT **185307-17-9P**
RL: PEP (Physical, engineering or chemical process); PRP (Properties); SPN (Synthetic preparation); PREP (Preparation); PROC (Process)
(prepn. of sterol-polyamine conjugates as synthetic ionophores)

RN 185307-17-9 CAPLUS
CN Pregn-5-ene-20-carboxamide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-hydroxy-, (3.beta.,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

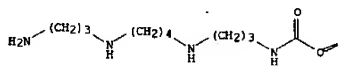


REFERENCE COUNT: 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L43 ANSWER 11 OF 28 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:497241 CAPLUS
DOCUMENT NUMBER: 129:245328
TITLE: Synthesis of cholesterol-polyamine carbamates: pKa studies and condensation of calf thymus DNA. [Erratum to document cited in CA129:161759]
AUTHOR(S): Geall, Andrew J.; Taylor, Richard J.; Earll, Mark E.; Eaton, Michael A. W.; Blagbrough, Ian S.
CORPORATE SOURCE: Department of Pharmacy and Pharmacology, University of Bath, Bath, BA2 7AY, UK
SOURCE: Chemical Communications (Cambridge) (1998), (15), 1607
CODEN: CHCOFS; ISSN: 1359-7345
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Structures 2-5 were published incorrectly with an aminopropyl group rather than the correct aminoethyl group. The correct structures are given.
IT **165673-46-1P 200337-52-6P 204061-30-3P 211319-45-8P 211319-46-9P 211319-47-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and pKa studies of cholesterol-polyamine carbamates and condensation of calf thymus DNA (Erratum))
RN 165673-46-1 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, [[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]carbamate (9CI) (CA INDEX NAME)

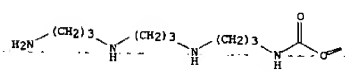
Absolute stereochemistry.

PAGE 1-A

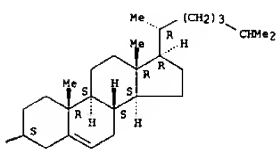


L43 ANSWER 11 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



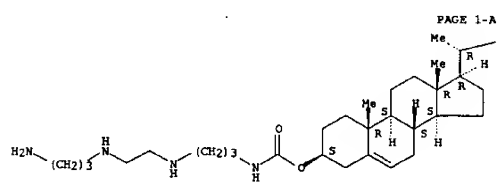
PAGE 1-B



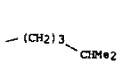
RN 211319-45-8 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, [3-[[2-[(3-aminopropyl)amino]ethyl]amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

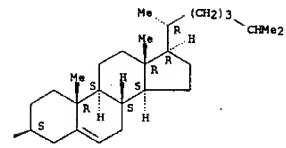


PAGE 1-B



L43 ANSWER 11 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

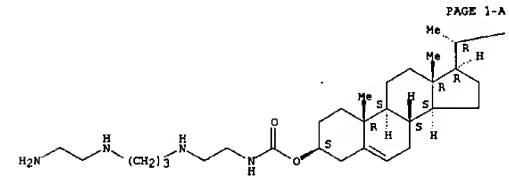
PAGE 1-B



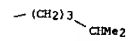
RN 200337-52-6 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, [2-[[3-[(2-aminoethyl)amino]propyl]amino]ethyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



RN 204061-30-3 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, [3-[[3-[(3-aminopropyl)amino]propyl]amino]propyl]carbamate (9CI) (CA INDEX NAME)

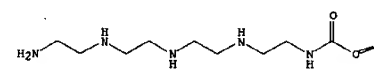
Absolute stereochemistry.

L43 ANSWER 11 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

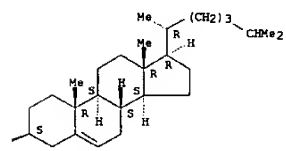
RN 211319-46-9 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, 13-amino-2,5,8,11-tetraazatridecanoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



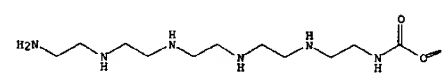
PAGE 1-B



RN 211319-47-0 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, 16-amino-2,5,8,11,14-pentaazahexadecanoate (9CI) (CA INDEX NAME)

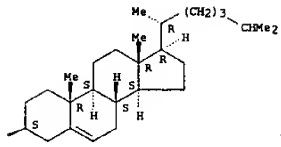
Absolute stereochemistry.

PAGE 1-A



L43 ANSWER 11 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B



L43 ANSWER 12 OF 28 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:491280 CAPLUS
DOCUMENT NUMBER: 129:235547
TITLE: Efficiency of cationic lipid-mediated transfection of polarized and differentiated airway epithelial cells in vitro and in vivo
AUTHOR(S): Jiang, Canwen; O'Connor, Sean P.; Fang, Shaona L.; Wang, Kathryn X.; Marshall, John; Williams, Jennifer L.; Wilburn, Brian; Echelard, Yann; Cheng, Seng H.
CORPORATE SOURCE: Genzyme Corporation, Framingham, MA, 01701, USA
SOURCE: Human Gene Therapy (1998), 9(11), 1531-1542
CODEN: HGTHE3; ISSN: 1043-0342
PUBLISHER: Mary Ann Liebert, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Systematic anal. of a large no. of different cationic lipids has led to the identification of novel structures (GL-67) and formulations of cationic lipid:plasmid DNA (pDNA) complexes that facilitate high levels of gene expression in lungs of mice. However, despite significant improvement in gene transfer activity, we show here that the efficiency of GL-67-mediated gene transduction of intact airway epithelia is still relatively low. Administration of GL-67:pCF1-CFTR (encoding the cystic fibrosis transmembrane conductance regulator) complexes into the nasal epithelium of cystic fibrosis (CF) transgenic mice resulted only in marginal correction of the ion transport defects. Measurements of nasal potential differences (PD) showed no correction of the sodium (Na+) transport defect, and only partial restitution of the chloride (Cl-) transport defect was achieved in a small proportion of the animals after perfusion of the nasal epithelium with the complexes. Furthermore, in contrast to results obtained following instillation of GL-67:pDNA complexes into the lungs of mice, perfusion of GL-67:pDNA into the nasal epithelium resulted only in a moderate enhancement of gene transduction activity relative to that attained with naked pDNA alone. To det. the basis for this low efficiency of transfection, a series of studies was conducted to identify some of the barriers governing cationic lipid-mediated gene transfer to the airway epithelium. We show here that the transfection activity of GL-67 was affected by the polarization, differentiation, and proliferative state of the cells. Diminished transfection activity was obsd. with nonmitotic, highly polarized and differentiated airway epithelial cells. This obsd. redn. in gene expression with nonmitotic cells was detd. to be due in part to inefficient nuclear translocation of the pDNA from the cytoplasm. Together these data indicate that much improvement in the ability of cationic lipids to transfect polarized and differentiated airway epithelial cells is a necessary prerequisite for effective cationic lipid-mediated gene therapy of airway diseases such as CF.

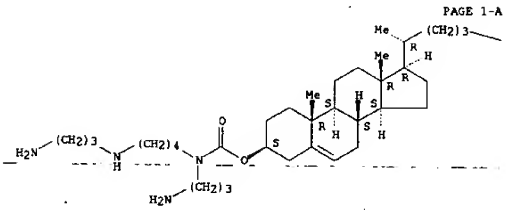
IT **179075-30-0**, GL 67
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(efficiency of cationic lipid-mediated transfection of polarized and differentiated airway epithelial cells in vitro and in vivo)

RN 179075-30-0 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, (3-aminopropyl)[4-[(3-aminopropyl)amino]butyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L43 ANSWER 12 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



PAGE 1-B

REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:487849 CAPLUS
DOCUMENT NUMBER: 129:122837
TITLE: Preparation of steroid glycosides for study of compositions and methods for cell transformation
INVENTOR(S): Kahne, Suzanne Walker
PATENT ASSIGNEE(S): Trustees of Princeton University, USA
SOURCE: U.S., 46 pp., Cont.-in-part of U.S. Ser. No. 336,675.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5780444	A	19980714	US 1995-425118	19950420
US 5338837	A	19940816	US 1991-806985	19911213
US 5571795	A	19961105	US 1992-989667	19921214
US 5693769	A	19971202	US 1994-230685	19940420
US 5627270	A	19970506	US 1994-264488	19940623
US 5795870	A	19980818	US 1994-336675	19941107

PRIORITY APPLN. INFO.: US 1991-806985 19911213
US 1992-989667 19921214
US 1994-230685 19940420
US 1994-264488 19940623
US 1994-336675 19941107

OTHER SOURCE(S): MARPAT 129:122837

AB The present invention relates to methods and compns. for the transformation of cells. In particular, compns. and methods are disclosed which include combinations of the nucleic acid of interest and polyhydroxylated or polyglycosylated steroid mols. I (R1 = H, OH, sugar, , NH2, substituted amine or imine; R2 , R3 = H, OH, sugar; R4 = CONH2, amide, CH2NH2, aminoalkyl, CO2YNH2; Y = alkylene group; m = 0-10). Most preferably, exogenous or endogenous nucleic acid is contacted with the cell in the presence of a bile acid (e.g., cholic acid) derivatized with an amine-contg. side chain. Thus, I (R1 = OH; R2= R3 = O-.alpha.-D-glucopyranose; R4 = CO2Me; m = 2) was prepd. and used in the transportation study of pSV.beta.plasmid for expressing .beta.-galactosidase gene in cos-7 cells.

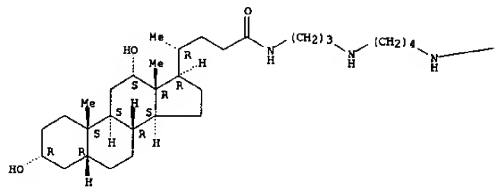
IT **174068-84-9P 174068-92-9P 174068-99-6P**
174069-03-5P 174069-05-7P 174069-15-9P
174069-19-3P 174069-21-7P 174180-24-6P
192901-98-4P 206439-78-3P 206439-79-4P
206439-80-7P 206439-81-8P 206439-89-6P
206553-50-6P 210174-02-0P 210174-03-1P
210174-04-2P 210174-10-0P 210174-12-2P
210174-13-3P 210174-14-4P 210174-15-5P
210174-16-6P 210174-17-7P 210174-18-8P
210174-21-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of steroid glycosides for study of compns. and methods for cell transformation)

RN 174068-84-9 CAPLUS
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

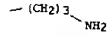
Absolute stereochemistry.

L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



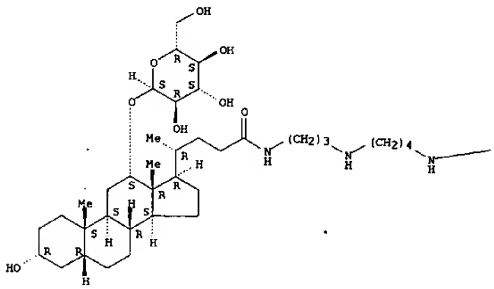
PAGE 1-B



RN 174068-92-9 CAPLUS
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-12-(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, trihydrochloride, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

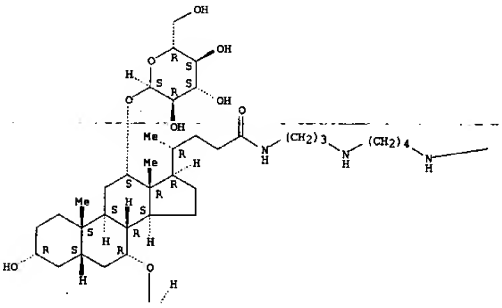
PAGE 1-A



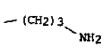
L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

Absolute stereochemistry.

PAGE 1-A

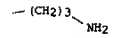


PAGE 1-B



L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

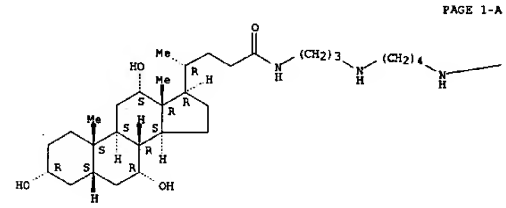
PAGE 1-B



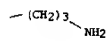
RN 174068-99-6 CAPLUS
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7,12-trihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



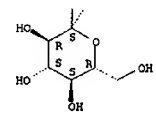
PAGE 1-B



RN 174069-03-5 CAPLUS
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7,12-bis(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, trihydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

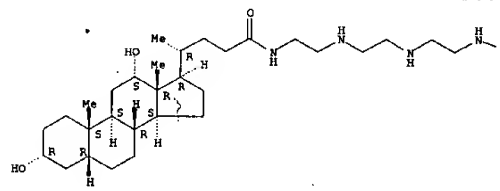
PAGE 2-A



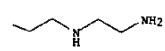
RN 174069-05-7 CAPLUS
CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

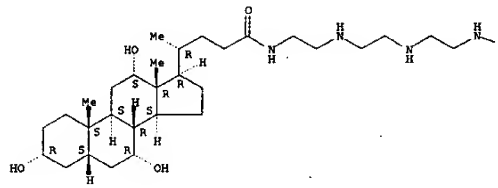


RN 174069-15-9 CAPLUS
CN Cholan-24-amide, N-[2-[[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-3,7,12-trihydroxy-, pentahydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



●5 HCl

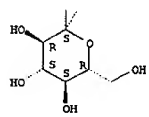
PAGE 1-B

RN 174069-19-3 CAPLUS
CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-7,12-bis[.alpha.-D-glucopyranosyloxy]-3-hydroxy-, tetrahydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 2-A

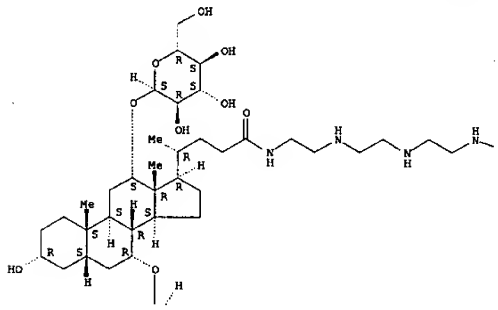


●4 HCl

RN 174069-21-7 CAPLUS
CN Cholan-24-amide, N-[2-[[2-[[2-[[(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-7,12-bis(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, trihydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

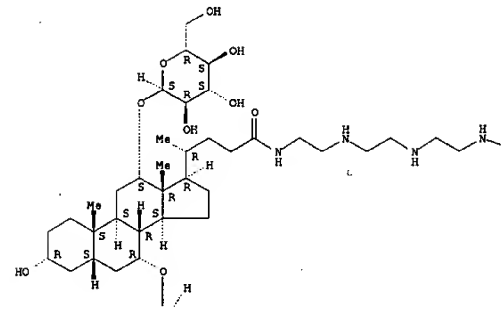
Absolute stereochemistry.

PAGE 1-A

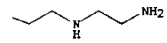


L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

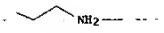


PAGE 1-B

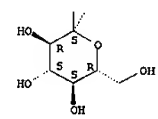


L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B



PAGE 2-A

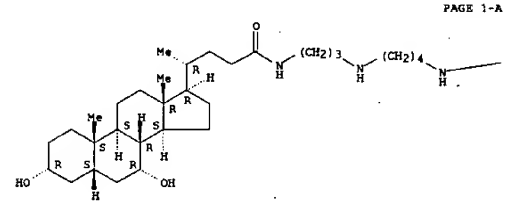


●3 HCl

RN 174180-24-6 CAPLUS
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7-dihydroxy-, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

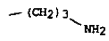
Absolute stereochemistry.

PAGE 1-A



L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

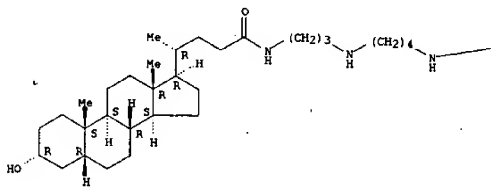
PAGE 1-B



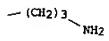
RN 193901-99-4 CAPLUS
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-hydroxy-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

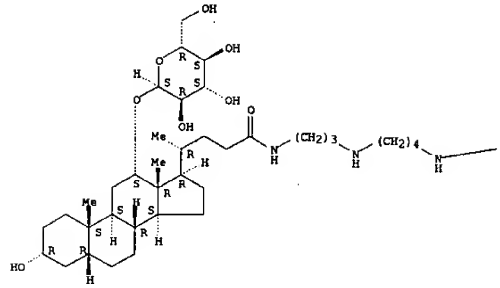


RN 206439-78-3 CAPLUS
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-12-(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

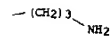
Absolute stereochemistry.

L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



PAGE 1-B

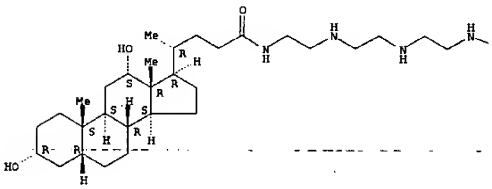


RN 206439-79-4 CAPLUS
CN Cholan-24-amide, N-[2-[[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

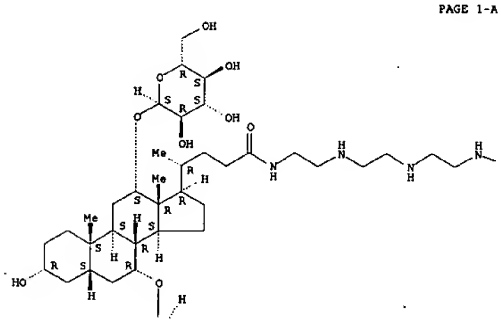


PAGE 1-B

RN 206439-80-7 CAPLUS
CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-7,12-bis(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

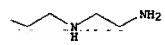
Absolute stereochemistry.

PAGE 1-A

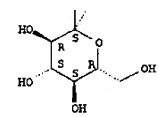


L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B



PAGE 2-A

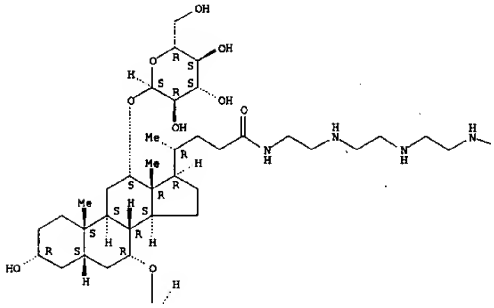


RN 206439-81-8 CAPLUS
CN Cholan-24-amide, N-[2-[[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-7,12-bis(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

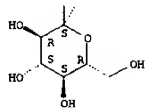
L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



PAGE 1-B

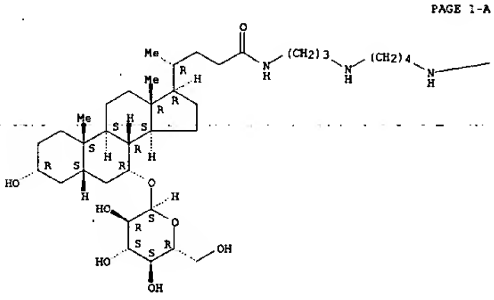
PAGE 2-A



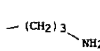
L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



RN 210174-02-0 CAPLUS
CN Cholan-24-amide, 3-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7,12-bis(.alpha.-D-glucopyranosyloxy)-, tetrahydrochloride, (3.beta.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

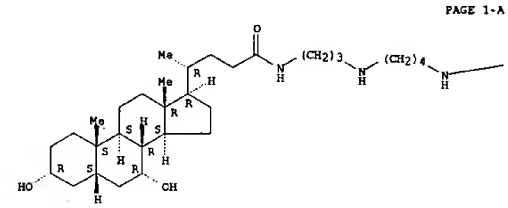
RN 206439-89-6 CAPLUS
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7-dihydroxy-, (3.alpha.,5.beta.,7.alpha.)-, tris(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

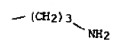
CRN 174180-24-6
CMF C34 H64 N4 O3

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



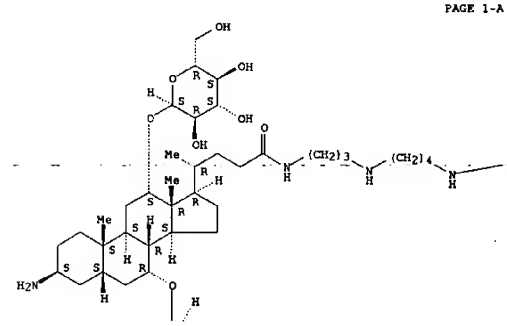
CM 2

CRN 76-05-1
CMF C2 H F3 O2

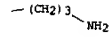
RN 206553-50-6 CAPLUS
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7-(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, (3.alpha.,5.beta.,7.alpha.)-

L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

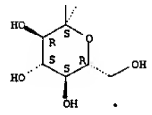


PAGE 1-B



L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 2-A



● 4 HCl

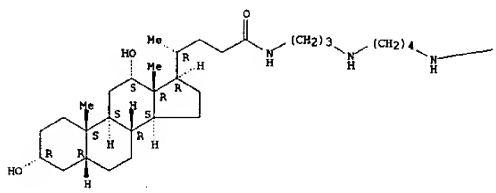
RN 210174-03-1 CAPLUS
CN Cholan-24-amide, N-{3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl}-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)-, compd. with 1-[[[(2-aminoethoxy)hydroxyphosphinyl]oxy]methyl]-1,2-ethanediyl di-(9Z)-9-octadecenoate (1:1) (9CI) (CA INDEX NAME)

CM 1

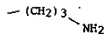
CRN 174068-84-9
CMF C34 H64 N4 O3

Absolute stereochemistry.

PAGE 1-A

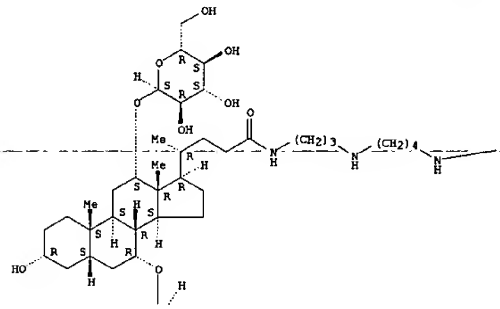


PAGE 1-B

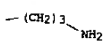


L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

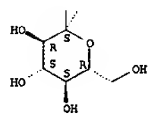
PAGE 1-A



PAGE 1-B



PAGE 2-A



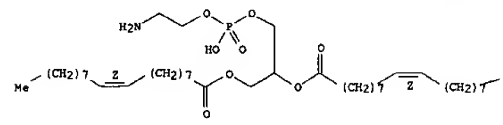
CM 2

L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)
CM 2

CRN 2462-63-7
CMF C41 H78 N O8 P

Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

RN 210174-04-2 CAPLUS
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7,12-bis(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-, compd. with 1-[[[(2-aminoethoxy)hydroxyphosphinyl]oxy]methyl]-1,2-ethanediyl di-(9Z)-9-octadecenoate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 174068-86-1
CMF C46 H84 N4 O14

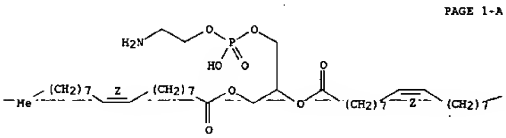
Absolute stereochemistry.

L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

CRN 2462-63-7
CMF C41 H78 N O8 P

Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

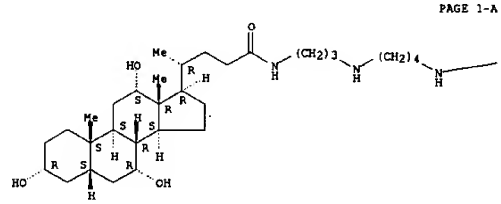
RN 210174-10-0 CAPLUS
CN Cholan-24-amide, N-{3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl}-3,7,12-trihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-, compd. with 1-[[[(2-aminoethoxy)hydroxyphosphinyl]oxy]methyl]-1,2-ethanediyl di-(9Z)-9-octadecenoate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 174068-99-6
CMF C34 H64 N4 O4

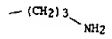
Absolute stereochemistry.

PAGE 1-A



L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B

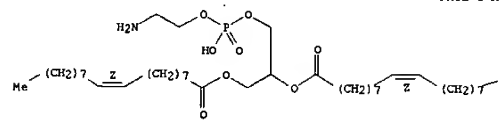


CM 2

CRN 2462-63-7
CMF C41 H78 N O8 P

Double bond geometry as shown.

PAGE 1-A



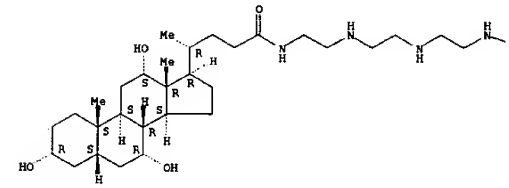
PAGE 1-B

RN 210174-12-2 CAPLUS
CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3,7,12-trihydroxy-, heptahydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

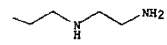
L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



●7 HCl

PAGE 1-B



RN 210174-13-3 CAPLUS
CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3-hydroxy-, (3.alpha.,5.beta.)-, pentaacetate (salt) (9CI) (CA INDEX NAME)

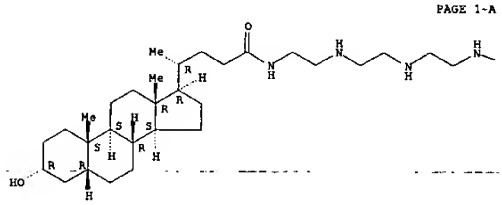
CM 1

CRN 175089-98-2
CMF C34 H66 N6 O2

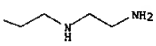
Absolute stereochemistry.

L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



PAGE 1-B



CM 2

CRN 64-19-7
CMF C2 H4 O2

RN 210174-14-4 CAPLUS
CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)-, triacetate (salt) dihydrochloride (9CI) (CA INDEX NAME)

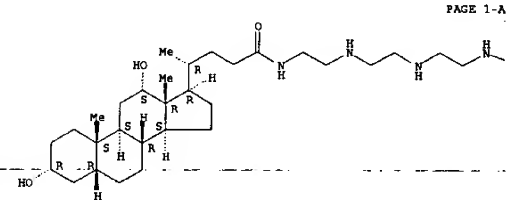
CM 1

CRN 174069-05-7
CMF C34 H66 N6 O3

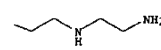
Absolute stereochemistry.

L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



PAGE 1-B



CM 2

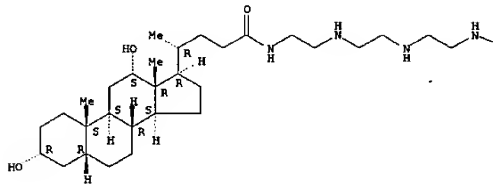
CRN 64-19-7
CMF C2 H4 O2

RN 210174-15-5 CAPLUS
CN Cholan-24-amide, N-[2-[[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-3,12-dihydroxy-, dihydrochloride, (3.alpha.,5.beta.,12.alpha.)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



●2 HCl

PAGE 1-B

RN 210174-16-6 CAPLUS
CN Cholan-24-amide, N-[2-[[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)-, tetraacetate (salt) monohydrochloride (9CI) (CA INDEX NAME)

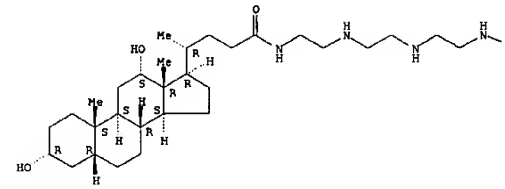
CM 1

CRN 206439-79-4
CMF C32 H61 N5 O3

Absolute stereochemistry.

L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



PAGE 1-B

CM 2

CRN 64-19-7
CMF C2 H4 O2

RN 210174-17-7 CAPLUS
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)-, tris(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

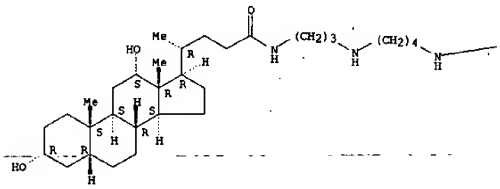
CM 1

CRN 174068-84-9
CMF C34 H64 N4 O3

Absolute stereochemistry.

L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



PAGE 1-B

CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 210174-18-8 CAPLUS
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7-dihydroxy-, (3.alpha.,5.beta.,7.alpha.)-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

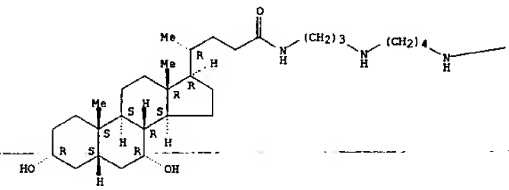
CM 1

CRN 174180-24-6
CMF C34 H64 N4 O3

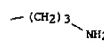
Absolute stereochemistry.

L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



PAGE 1-B



CM 2

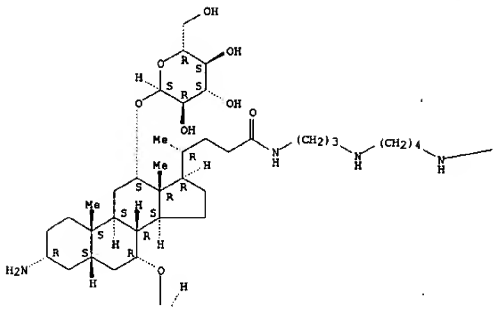
CRN 76-05-1
CMF C2 H F3 O2

RN 210174-21-3 CAPLUS
CN Cholan-24-amide, 3-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7,12-bis[.alpha.-D-glucopyranosyloxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

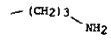
Absolute stereochemistry.

L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

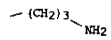


PAGE 1-B

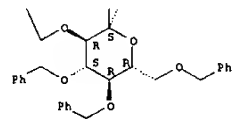


L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B



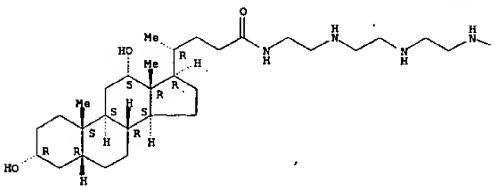
PAGE 2-A



RN 174069-04-6 CAPLUS
CN Cholan-24-amide, N-[2-[[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-3,12-dihydroxy-, trihydrochloride, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

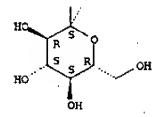
PAGE 1-A



●3 HCl

L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 2-A

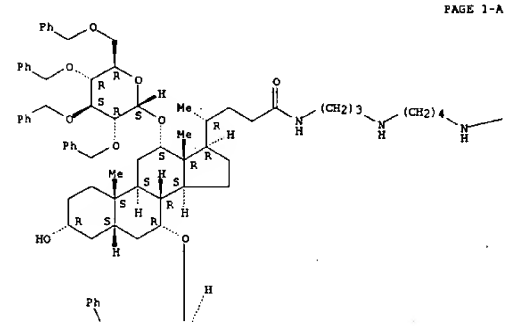


IT **174069-02-4P 174069-04-6P 174069-13-7P**
174069-14-8P 174069-18-2P 174069-20-6P
206439-86-3P 206439-87-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of steroid glycosides for study of compns. and methods for cell transformation)

RN 174069-02-4 CAPLUS
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-hydroxy-7,12-bis[[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]oxy]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



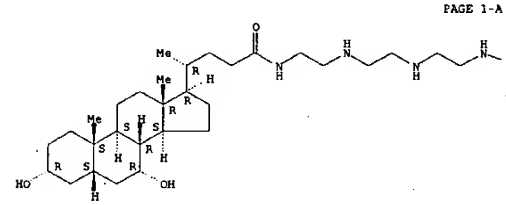
L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B

RN 174069-13-7 CAPLUS
CN Cholan-24-amide, N-[2-[[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-3,7-dihydroxy-, tetrahydrochloride, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



●4 HCl

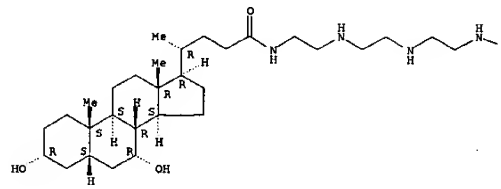
PAGE 1-B

RN 174069-14-8 CAPLUS
CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3,7-dihydroxy-, trihydrochloride, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

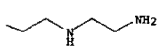
L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



●3 HCl

PAGE 1-B

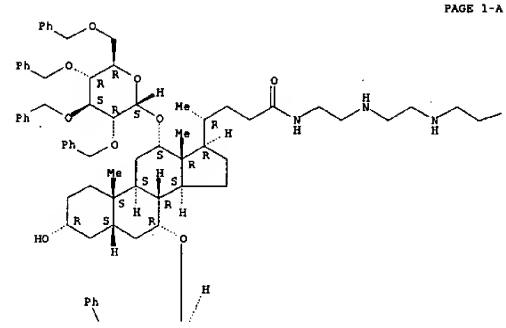


RN 174069-18-2 CAPLUS
CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3-hydroxy-7,12-bis[[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]oxy]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

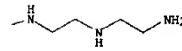
Absolute stereochemistry.

L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

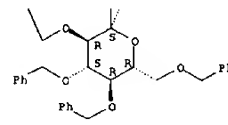
PAGE 1-A



PAGE 1-B



PAGE 2-A

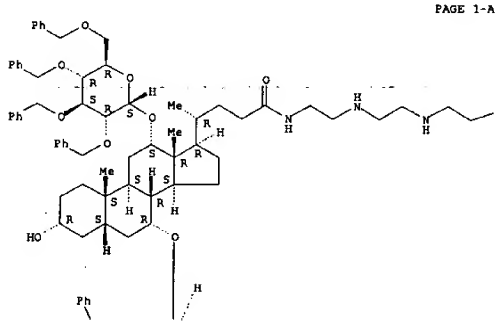


RN 174069-20-6 CAPLUS

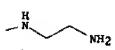
L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN Cholan-24-amide, N-[2-[[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-3-hydroxy-7,12-bis[[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]oxy]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

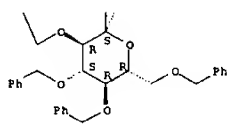
PAGE 1-A



PAGE 1-B



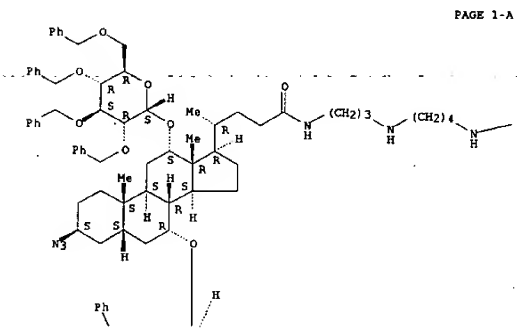
PAGE 2-A



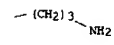
L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 206439-86-3 CAPLUS
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-azido-7,12-bis[[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]oxy]-, (3.beta.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

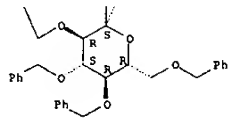


PAGE 1-B



L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

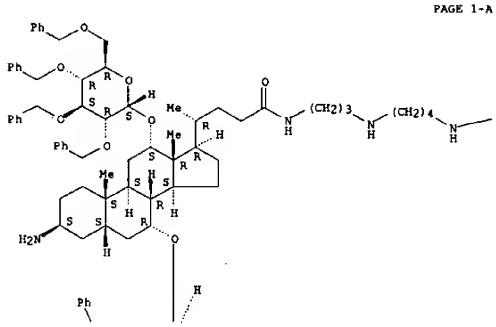
PAGE 2-A



RN 206439-87-4 CAPLUS
CN Cholan-24-amide, 3-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7,12-bis[(2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]oxy]-, (3.beta.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

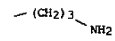
Absolute stereochemistry.

PAGE 1-A

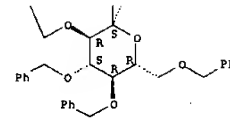


L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B



PAGE 2-A



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

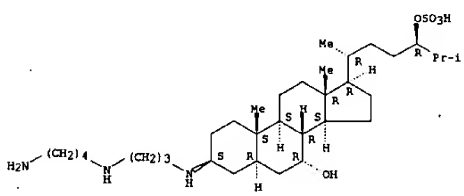
L43 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:454951 CAPLUS
DOCUMENT NUMBER: 129:170216
TITLE: Squalamine inhibits angiogenesis and solid tumor growth in vivo and perturbs embryonic vasculature
AUTHOR(S): Sills, Allen K., Jr.; Williams, Jon I.; Tyler, Betty M.; Epstein, Darin S.; Sipos, Eric P.; Davis, John D.; Mclane, Michael P.; Pitchford, Simon; Cheshire, Kimberly; Gannon, Francis H.; Kinney, William A.; Chao, Tessa L.; Donowitz, Mark; Laterra, John; Zasloff, Michael; Brem, Henry
CORPORATE SOURCE: Hunterian Neurosurgical Laboratory, Department of Neurosurgery, The John Hopkins University School of Medicine, Baltimore, MD, 21205, USA
SOURCE: Cancer Research (1998), 58(13), 2784-2792
CODEN: CNREA8; ISSN: 0008-5472
PUBLISHER: American Association for Cancer Research
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The novel aminosterol, squalamine, inhibits angiogenesis and tumor growth in multiple animal models. This effect is mediated, at least in part, by blocking mitogen-induced proliferation and migration of endothelial cells, thus preventing neovascularization of the tumor. Squalamine has no observable effect on unstimulated endothelial cells, is not directly cytotoxic to tumor cells, does not alter mitogen prodn. by tumor cells, and has no obvious effects on the growth of newborn vertebrates. Squalamine was also found to have remarkable effects on the primitive vascular bed of the chick chorioallantoic membrane, which has striking similarities to tumor capillaries. Squalamine may thus be well suited for treatment of tumors and other diseases characterized by neovascularization in humans.

IT **148717-90-2**, Squalamine
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(squalamine inhibits angiogenesis and solid tumor growth in vivo and perturbs embryonic vasculature)

RN 148717-90-2 CAPLUS
CN Cholestane-7,24-diol, 3-[[3-[[4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L43 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS

L43 ANSWER 15 OF 28 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:454320 CAPLUS
DOCUMENT NUMBER: 129:197698
TITLE: Novel chemically modified oligonucleotides provide potent inhibition of P-glycoprotein expression
AUTHOR(S): Alahari, Suresh K.; Delong, Robert; Fisher, Michael H.; Dean, Nicholas M.; Viliet, Pierre; Juliano, R. L.
CORPORATE SOURCE: Department of Pharmacology, University of North Carolina School of Medicine, Chapel Hill, NC, USA
SOURCE: Journal of Pharmacology and Experimental Therapeutics (1998), 286(1), 419-428
CODEN: JPETAB; ISSN: 0022-3565
PUBLISHER: Williams & Wilkins
DOCUMENT TYPE: Journal
LANGUAGE: English

AB One major form of multiple drug resistance (MDR) to cancer therapeutic agents is mediated by overexpression of P-glycoprotein, a membrane ATPase that serves as a drug efflux pump. In humans, this protein is the product of the MDR1 gene. The authors have used chem. modified antisense oligonucleotides to reduce expression of P-glycoprotein in multidrug-resistant fibroblasts and colon carcinoma cells. Although several types of oligonucleotides were tested, compds. having a phosphorothioate backbone and a methoxyethoxy (ME) group at the 2' position of the ribose ring proved to have the greatest potency. Thus, phosphorothioate 2'-ME oligonucleotides directed against either the AUG codon region or the stop codon region of the MDR1 message produced substantial (50-70%) inhibition of P-glycoprotein expression at concns. of .ltoreq.50 nM. In addn., such treatment resulted in augmented drug uptake as measured by flow cytometry. Unmodified phosphorothioate compds. of the same sequence were active only in the micromolar range. The authors also tested the ability of several potential delivery agents to enhance the pharmacol. effectiveness of anti-MDR1 oligonucleotides. Both com. Lipofectin, a well known liposomal transfection agent, and a liposomal prepn. based on a novel "facial amphiphile" were effective in enhancing their pharmacol. effects of antisense oligonucleotides. A Starburst dendrimer, a type of cationic polymer, was also effective in oligonucleotide delivery. This report emphasizes that significant improvements in antisense pharmacol. can be made through judicious use of both chem. modifications of oligonucleotides and appropriate delivery systems.

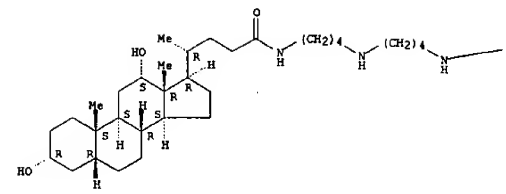
IT **211869-94-2**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(novel chem. modified antisense oligonucleotides to MDR1 gene provide potent inhibition of P-glycoprotein expression in multidrug-resistant cancer cells in relation to structure and antitumor drug transport and delivery systems)

RN 211869-94-2 CAPLUS
CN Cholan-24-amide, N-[4-[[4-[(3-aminopropyl)amino]butyl]amino]butyl]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

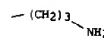
Absolute stereochemistry.

L43 ANSWER 15 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L43 ANSWER 16 OF 28 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:451988 CAPLUS
DOCUMENT NUMBER: 129:201853
TITLE: Adenovirus complexed with polyethylene glycol and cationic lipid is shielded from neutralizing antibodies in vitro
AUTHOR(S): Chillon, M.; Lee, J. H.; Fasbender, A.; Welsh, M. J.
CORPORATE SOURCE: Howard Hughes Med. Inst., Dep. Internal Med. and Physiology and Biophysics, Univ. Iowa College Med., Iowa City, IA, USA
SOURCE: Gene Therapy (1998), 5(7), 995-1002
CODEN: GETHEC; ISSN: 0969-7128
PUBLISHER: Stockton Press
DOCUMENT TYPE: Journal
LANGUAGE: English

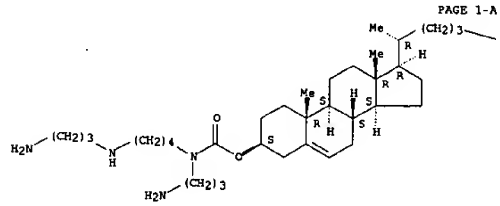
AB Development of neutralizing antibodies is an important hindrance that limits repeated administration of adenoviral vectors for gene transfer. One way to avoid this problem would be to coat the virus with a substance that could shield it from antibodies. To develop such a system, we coated neg.-charged adenovirus with the cationic liq. GL-67 and included polyethylene glycol (PEG) in the complex as dioleoylphosphatidylethanolamine-PEG (DOPE-PEG). This complex enhanced gene transfer to cells that were difficult to infect both in vitro and in vivo. GL-67/DOPE-PEG coated the virus and prevented antibody binding. As a result, 50-fold higher concns. of immune plasma were required for neutralization than with adenovirus alone. However, use of the complex provided no appreciable protection from neutralization when vector was delivered in vivo to immunized animals. These data are the first to suggest that formation of a complex around adenovirus can partially shield it from immune plasma in vitro. Despite the lack of protection in vivo, these results suggest the feasibility of developing a system in which the virus is effectively shielded from neutralizing antibodies and capable of repeat administration.

IT **179075-30-0**
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(adenovirus complexed with polyethylene glycol and cationic lipid is shielded from neutralizing antibodies in vitro)

RN 179075-30-0 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, (3-aminopropyl)[4-[(3-aminopropyl)amino]butyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



L43 ANSWER 16 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B

IT **212009-03-5DP**, polyethylene conjugates
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(adenovirus complexed with polyethylene glycol and cationic lipid is shielded from neutralizing antibodies in vitro)

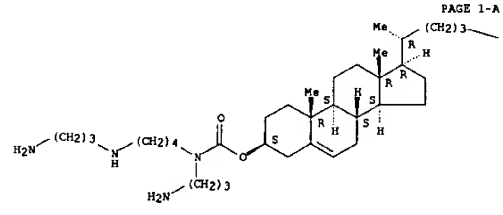
RN 212009-03-5 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, (3-aminopropyl)[4-[(3-aminopropyl)amino]butyl]carbamate, mixt. with 1-[[[(2-aminoethoxy)hydroxyphosphinyl]oxy]methyl]-1,2-ethanediyl di-(9Z)-9-octadecenoate (9CI) (CA INDEX NAME)

CM 1

CRN 179075-30-0
CMF C38 H70 N4 O2

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

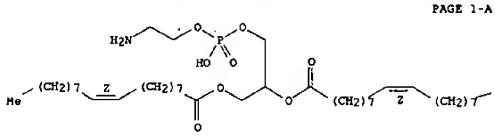
CM 2

CRN 2462-63-7
CMF C41 H78 N O8 P

Double bond geometry as shown.

L43 ANSWER 16 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



PAGE 1-B

REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L43 ANSWER 17 OF 28 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:433479 CAPLUS
DOCUMENT NUMBER: 129:161759
TITLE: Synthesis of cholesterol-polyamine carbamates: pKa studies and condensation of calf thymus DNA
AUTHOR(S): Geall, Andrew J.; Blagbrough, Ian S.; Geall, Andrew J.; Taylor, Richard J.; Earll, Mark E.; Eaton, Michael A. W.
CORPORATE SOURCE: Department of Pharmacy and Pharmacology, University of Bath, Bath, BA2 7AY, UK
SOURCE: Chemical Communications (Cambridge) (1998), (13), 1403-1404
CODEN: CHCOFS; ISSN: 1359-7345
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English

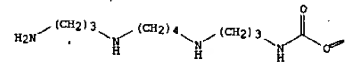
AB Novel cholesterol-polyamine carbamates have been prepd. and their pKa values detd. potentiometrically for conjugates substituted with up to five amino functional groups and the binding affinity for calf thymus DNA has also been detd.; these polyamine carbamates are models for lipoplex formation with respect to gene delivery (lipofection), a key first step in gene therapy.

IT **165673-46-1P 200337-52-6P 204061-30-3P**
211319-45-8P 211319-46-9P 211319-47-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and pKa studies of cholesterol-polyamine carbamates and condensation of calf thymus DNA)

RN 165673-46-1 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, [[3-[4-[(3-aminopropyl)amino]butyl]amino]propyl]carbamate (9CI) (CA INDEX NAME)

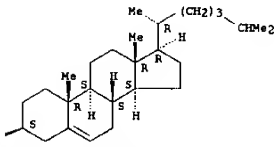
Absolute stereochemistry.

PAGE 1-A



L43 ANSWER 17 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

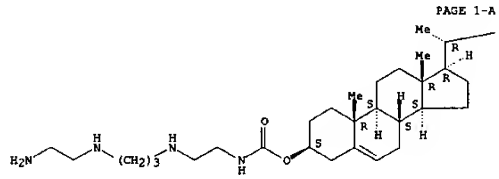
PAGE 1-B



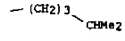
RN 200337-52-6 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, [2-[[3-[(2-aminoethyl)amino]propyl]amino]ethyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

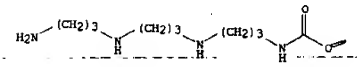


RN 204061-30-3 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, [3-[[3-[(3-aminopropyl)amino]propyl]amino]propyl]carbamate (9CI) (CA INDEX NAME)

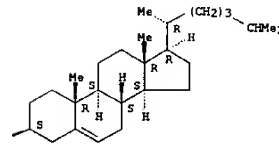
Absolute stereochemistry.

L43 ANSWER 17 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



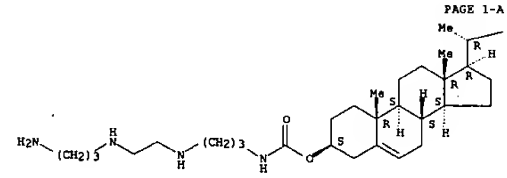
PAGE 1-B



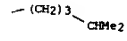
RN 211319-45-8 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, [3-[[2-[(3-aminopropyl)amino]ethyl]amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

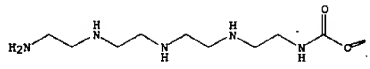


L43 ANSWER 17 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

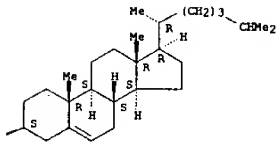
RN 211319-46-9 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, 13-amino-2,5,8,11-tetraazatridecanoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

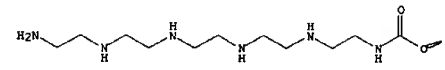


RN 211319-47-0 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, 16-amino-2,5,8,11,14-pentaazahexadecanoate (9CI) (CA INDEX NAME)

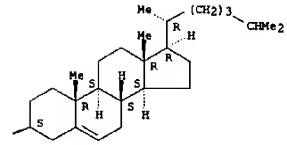
Absolute stereochemistry.

L43 ANSWER 17 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



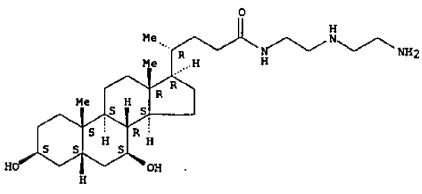
PAGE 1-B



REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L43 ANSWER 18 OF 28 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:400309 CAPLUS
DOCUMENT NUMBER: 129:170489
TITLE: Basic studies on N"-ursodeoxycholyldiethylenetriamine-N,N,N'-triacetic acid for the dissolution of calcified gallstones
AUTHOR(S): Takahashi, Makoto; Konishi, Toshio; Maeda, Yorinobu; Fukuzawa, Masataka; Nishida, Toshihiro; Ohya, Toshihide; Katayama, Kouji; Kakehi, Norihiko; Sakakura, Hiroo; Takagi, Atsushi; Maeda, Hinoru; Ohama, Hirobumi
CORPORATE SOURCE: Department of Surgery, Chugoku Rosai Hospital, Hiroshima, 737-01, Japan
SOURCE: Biological & Pharmaceutical Bulletin (1998), 21(6), 551-557
CODEN: BPBLEO; ISSN: 0918-6158
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A novel calcium-chelating agent, N"-ursodeoxycholyldiethylenetriamine-N,N,N'-triacetic acid (UDCA-DTTA), was synthesized to study its ability to dissolve calcified gallstones. The chelating activity of the compd. was demonstrated by dissolving calcium carbonate in vitro at a high dissoln. rate. In the presence of the agent, sliced human gallstone with a compn. of more than 50% calcium bilirubinate was thoroughly dissolved, indicating that calcium bilirubinate was dissolved from the gallstone. The ability to dissolve calcium was comparable to that of EDTA. However, the laminar structure of the sliced gallstone did not disappear in the presence of EDTA, whereas the structure disappeared in the presence of UDCA-DTTA. All these results indicate that UDCA-DTTA is an interesting compd. as a parent substance for developing a prodrug for an oral or i.v. agent to dissolve calcium-contg. gallstones.
IT 142271-84-9P, N-Ursodeoxycholyldiethylenetriamine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction; ursodeoxycholyldiethylenetriamine triacetic acid for calcified gallstone dissoln., and prepn. thereof)
RN 142271-84-9 CAPLUS
CN Cholan-24-amide, N-[2-[(2-aminoethyl)amino]ethyl]-3,7-dihydroxy-, (3.beta.,5.beta.,7.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L43 ANSWER 18 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

L43 ANSWER 19 OF 28 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:349188 CAPLUS
DOCUMENT NUMBER: 129:32388
TITLE: Reversed-phase high performance liquid chromatographic analysis of cationic lipid-based gene transfer agents
AUTHOR(S): Chang, Chau-Dung; Harris, David J.
CORPORATE SOURCE: Chemistry Department, Genzyme Corporation, Cambridge, MA, 02139, USA
SOURCE: Journal of Liquid Chromatography & Related Technologies (1998), 21(8), 1119-1136
CODEN: JLCTFC; ISSN: 1082-6076
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Cationic lipid-mediated gene transfer represents a promising approach for the treatment of a no. of diseases. Since the successful introduction of DOTMA:DOPE (Lipofectin), a variety of cationic lipids have been developed for use in gene transfer. Some of the more active cationic lipid formulations, including GL-67:DOPE, DC-chol:DOPE, DMRIE:DOPE and DOTAP, have been used in human clin. trials. It is of crit. importance to develop robust anal. methods for the detn. of the chem. purity of these formulations. We report here efficient, sensitive, and reproducible reversed-phase HPLC methods for use in detg. the chem. purity of cationic lipid formulations. GL-53:DOPE, GL-67:DOPE, DMRIE:DOPE, DC-chol:DOPE, GAP-DLRIE:DOPE, DOTMA:DOPE (Lipofectin), DDAB:DOPE (Lipofectace), DOSPA:DOPE (Lipofectamine), DOGS (Transfectiam), and DOTAP were analyzed by HPLC on C8 or C18 bonded phase columns with aq./mixed org. mobile phases contg. trifluoroacetic acid and with ELSD detection in the gradient elution mode. Baseline resoln. of the components of the GL-53:DOPE formulation was achieved by optimization of the solvent system and gradient profile. Capacity factors (k') of the cationic lipids were greatly affected by the end-capping chem. of the C18 bonded phases. The calibration curves for GL-53, DC-chol, DMRIE, and DOPE were detd. in the range of 1.6-200.0 .mu.g. The detection limits for these compds. were detd. to be 0.4-1.6 .mu.g.

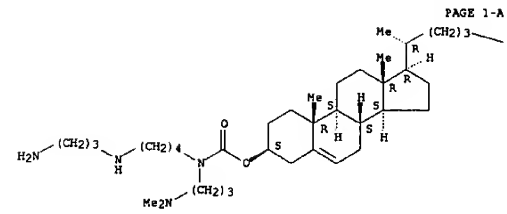
IT **208040-07-7 208040-08-8**
RL: ANT (Analyte); ANST (Analytical study)
(anal. of cationic lipid-based gene transfer agents by reversed-phase HPLC using light scattering detection)

RN 208040-07-7 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, [4-[(3-aminopropyl)amino]butyl][3-(dimethylamino)propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L43 ANSWER 19 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

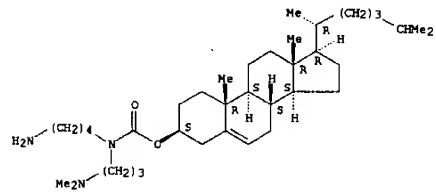


PAGE 1-B

—CHMe2

RN 208040-08-8 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, (4-aminobutyl)[3-(dimethylamino)propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L43 ANSWER 20 OF 28 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:303247 CAPLUS
DOCUMENT NUMBER: 129:62550
TITLE: Endothelial cell transfection with cationic liposomes and herpes simplex-thymidine kinase mediated killing
AUTHOR(S): Fife, K.; Bower, M.; Cooper, R. G.; Stewart, L.; Etheridge, C. J.; Coombes, R. C.; Buluwela, L.; Miller, A. D.
CORPORATE SOURCE: Department of Medical Oncology, Charing Cross and Westminster Medical School, London, W6 8RP, UK
SOURCE: Gene Therapy (1998), 5(5), 614-620
CODEN: GETHEC; ISSN: 0969-7128
PUBLISHER: Stockton Press
DOCUMENT TYPE: Journal
LANGUAGE: English

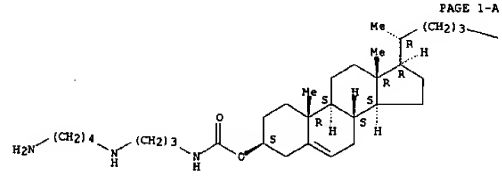
AB Endothelial cells are a promising target for cancer gene therapy because neoangiogenesis is vital for the supply of oxygen and nutrients to solid tumors. However, endothelial cells have been reported to be difficult to transfect. The authors demonstrate high rates of transfection with the reporter gene pSV40.beta.gal using DC-Chol/DOPE cationic liposomes and lower rates with the novel polyamine cationic liposomes ACHx/DC-Chol/DOPE and ACO/DC-Chol/DOPE. Endothelial cells transfected with HSV-thymidine kinase using DC-Chol/DOPE demonstrated 3 log10 increased cytotoxicity compared with controls when exposed to the prodrug ganciclovir, thereby demonstrating significant biol. effect.

IT **173738-32-4 200337-43-5**
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(endothelial cell transfection with cationic liposomes and herpes simplex-thymidine kinase mediated killing)

RN 173738-32-4 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, [3-[(4-aminobutyl)amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



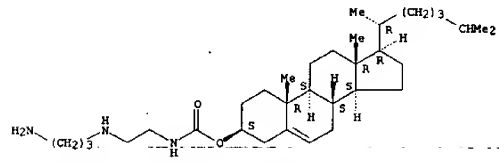
PAGE 1-B

—CHMe2

RN 200337-43-5 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, [2-[(3-aminopropyl)amino]ethyl]carbamate (9CI) (CA INDEX NAME)

L43 ANSWER 20 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

Absolute stereochemistry.



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

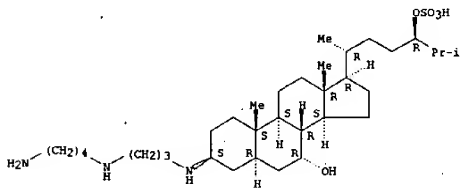
L43 ANSWER 21 OF 28 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:267024 CAPLUS
DOCUMENT NUMBER: 129:62451
TITLE: Expression of the K54 and O4 specific antigen has opposite effects on the bactericidal activity of squalamine against an extraintestinal isolate of Escherichia coli
AUTHOR(S): Russo, Thomas A.; Mylotte, Daniel
CORPORATE SOURCE: Division of Infectious Diseases, Department of Medicine, SUNY at Buffalo, Buffalo, NY, 14214, USA
SOURCE: FEMS Microbiology Letters (1998), 162(2), 311-315
CODEN: FMLED7; ISSN: 0378-1097
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Squalamine is a novel cationic steroid that possesses potent, broad spectrum, antimicrobial activity. Recent data suggests that squalamine or related compds. may be present and important in host resistance to infection in the urinary tract. Therefore, the role of the K54 capsule and the O4 specific antigen moiety of the lipopolysaccharide in protecting an extraintestinal isolate of Escherichia coli against the bactericidal activity of this novel antimicrobial compd. was studied. The O4 specific antigen was important for protection against squalamine. Surprisingly, in contrast, the presence of the K54 antigen enhanced the bactericidal activity of squalamine. This is the first example, to our knowledge, in which an established virulence trait, the K54 capsule, may be detrimental to an infecting pathogen under certain circumstances.

IT 148717-90-2, Squalamine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(expression of the K54 and O4 specific antigen has opposite effects on bactericidal activity of squalamine against extraintestinal isolate of Escherichia coli)

RN 148717-90-2 CAPLUS
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L43 ANSWER 21 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L43 ANSWER 22 OF 28 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:180042 CAPLUS
DOCUMENT NUMBER: 129:163
TITLE: The aminosterol antibiotic squalamine permeabilizes large unilamellar phospholipid vesicles
AUTHOR(S): Selinsky, Barry S.; Zhou, Zhao; Fojtik, Kristin G.; Jones, Stephen R.; Dollahon, Norman R.; Shinnar, Ann E.
CORPORATE SOURCE: Department of Chemistry, Villanova University, Villanova, PA, 19085-1699, USA
SOURCE: Biochimica et Biophysica Acta (1998), 1370(2), 218-234
CODEN: BBACAQ; ISSN: 0006-3002
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

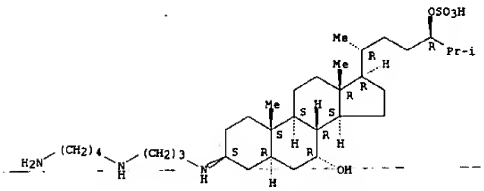
AB The ability of the shark antimicrobial aminosterol squalamine to induce the leakage of polar fluorescent dyes from large unilamellar phospholipid vesicles (LUVs) has been measured. Micromolar squalamine causes leakage of carboxyfluorescein (CF) from vesicles prepd. from the anionic phospholipids phosphatidylglycerol (PG), phosphatidylserine (PS), and cardiolipin. Binding analyses based on the leakage data show that squalamine has its highest affinity to phosphatidylglycerol membranes, followed by phosphatidylserine and cardiolipin membranes. Squalamine will also induce the leakage of CF from phosphatidylcholine (PC) LUVs at low phospholipid concns. At high phospholipid concns., the leakage of CF from PC LUVs deviates from a simple dose-response relationship, and it appears that some of the squalamine can no longer cause leakage. Fluorescent dye leakage generated by squalamine is graded, suggesting the formation of a discrete membrane pore rather than a generalized disruption of vesicular membranes. By using fluorescently labeled dextrans of different mol. wt., material with mol. wt. .ltoreq.4000 g/mol is released from vesicles by squalamine, but material with mol. wt. .gtoreq.10,000 is retained. Neg. stain electron microscopy of squalamine-treated LUVs shows that squalamine decreases the av. vesicular size in a concn.-dependent manner. Squalamine decreases the size of vesicles contg. anionic phospholipid at a lower squalamine/lipid molar ratio than pure PC LUVs. In a centrifugation assay, squalamine solubilizes phospholipid, but only at significantly higher squalamine/phospholipid ratios than required for either dye leakage or vesicle size redn. Squalamine solubilizes PC at lower squalamine/phospholipid ratios than PG. We suggest that squalamine complexes with phospholipid to form a discrete structure within the bilayers of LUVs, resulting in the transient leakage of small encapsulated mols. At higher squalamine/phospholipid ratios, these structures release from the bilayers and aggregate to form either new vesicles or squalamine/phospholipid mixed micelles.

IT 148717-90-2, Squalamine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(the aminosterol antibiotic squalamine permeabilizes large unilamellar phospholipid vesicles)

RN 148717-90-2 CAPLUS
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L43 ANSWER 22 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)



REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L43 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:63476 CAPLUS
DOCUMENT NUMBER: 128:201474
TITLE: Cationic lipids for gene therapy. 1. Polyamine analogs of 3.beta.-[N-(N',N'-dimethylaminoethane)carbamoyl]cholesterol (DC-chol) as agents for gene delivery
AUTHOR(S): Copper, Robert G.; Etheridge, Christopher J.; Stewart, Luisa; Marshall, John; Rudginsky, Samantha; Cheng, Seng H.; Miller, Andrew D.
CORPORATE SOURCE: Imperial College of Science, Technology & Medicine, Department of Chemistry, South Kensington, London, SW7 2AY, UK
SOURCE: Chemistry--A European Journal (1998), 4(1), 137-151
CODEN: CEUJED; ISSN: 0947-6539
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Cationic liposomes are rapidly proving very effective at mediating the delivery of genes to cells in vitro. Moreover, the use of cationic liposomes for gene delivery in vivo is now under consideration. In previous work, we were able to demonstrate that cationic liposomes, formulated from 3.beta.-[N-(N',N'-dimethylaminoethane)carbamoyl]cholesterol (DC-Chol) and the neutral phospholipid, dioleoyl L-.alpha.-phosphatidylethanolamine (DOPE), were able to transfect the lungs of mice in vivo. However, it rapidly became apparent that substantial improvements in the gene delivery efficiency, by approx. two orders of magnitude, would be needed for human lung transfection to be possible. In the following paper we describe the synthesis of a range of polyamine analogs of DC-Chol, which were formulated into cationic liposomes with DOPE and evaluated for efficiency of gene delivery in vitro and in vivo in mice. We report that cationic liposomes formulated from DOPE and the novel pentamine N15-cholesteryloxycarbonyl-3,7,12-triazapentadecane-1,15-diamine (CTAP) were 100 times more efficient than DC-Chol/DOPE liposomes at gene delivery in vivo (500 times more effective than DNA alone). Therefore, we believe that CTAP/DOPE cationic liposomes should have clin. applications in human gene therapy approaches to the treatment of lung disorders as well as to other clin. conditions.

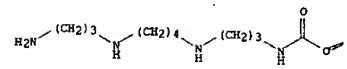
IT **165673-46-1P 200337-46-8P 200337-52-6P 200337-53-7P 200337-55-9P 200337-56-0P 200337-57-1P 204061-29-0P 204061-30-3P 204061-38-1P**
RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(polyamine analogs of 3.beta.-[N-(N',N'-dimethylaminoethane)carbamoyl]cholesterol as cationic lipid agents for gene delivery)

RN 165673-46-1 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, [[3-[4-[(3-aminopropyl)amino]butyl]amino]propyl]carbamate (9CI) (CA INDEX NAME)

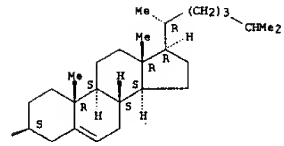
Absolute stereochemistry.

L43 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



PAGE 1-B

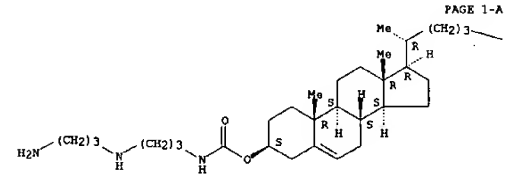


RN 200337-46-8 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, [3-[(3-aminopropyl)amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

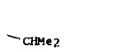
L43 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



L43 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B

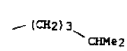


RN 200337-52-6 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, [2-[[3-[(2-aminoethyl)amino]propyl]amino]ethyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L43 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

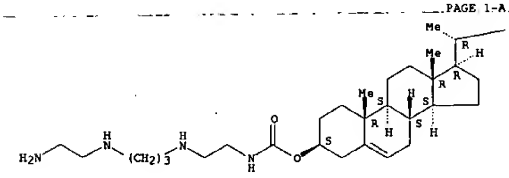
PAGE 1-B



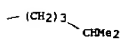
RN 200337-55-9 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, [2-[[2-[(3-aminopropyl)amino]ethyl]amino]ethyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



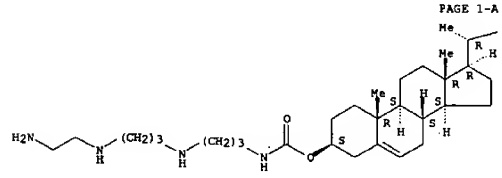
PAGE 1-B



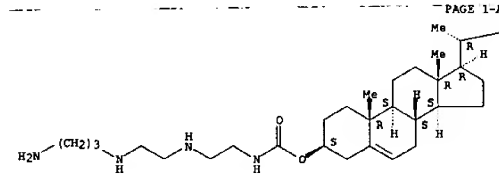
RN 200337-53-7 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, [3-[[3-[(2-aminoethyl)amino]propyl]amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

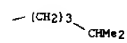
PAGE 1-A



PAGE 1-A



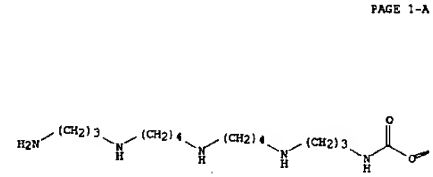
PAGE 1-B



RN 200337-56-0 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, 19-amino-2,6,11,16-tetraazanonadecanoate (9CI) (CA INDEX NAME)

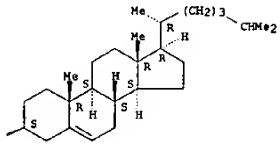
Absolute stereochemistry.

PAGE 1-A



L43 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

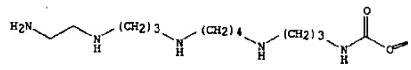
PAGE 1-B



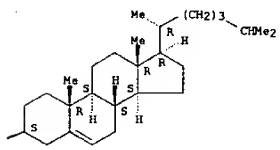
RN 200337-57-1 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, 17-amino-2,6,11,15-tetraazaheptadecanoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



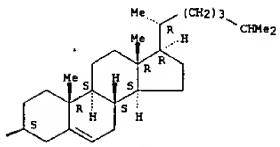
PAGE 1-B



RN 204061-29-0 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, [2-[[4-[(3-aminopropyl)amino]butyl]amino]ethyl]carbamate (9CI) (CA INDEX NAME)

L43 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

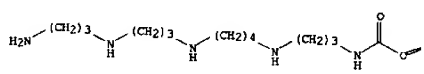
PAGE 1-B



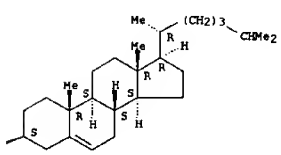
RN 204061-38-1 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, 18-amino-2,6,11,15-tetraazaoctadecanoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



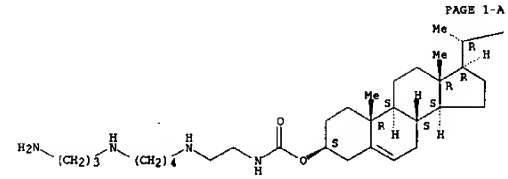
IT **173738-32-4P 200337-42-4P 200337-43-5P 200337-44-6P 200337-45-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(polyamine analogs of 3.beta.-[N-(N',N'-dimethylaminoethane)carbamoyl]cholesterol as cationic lipid agents for gene delivery)

RN 173738-32-4 CAPLUS

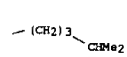
L43 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

Absolute stereochemistry.

PAGE 1-A



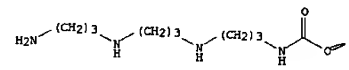
PAGE 1-B



RN 204061-30-3 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, [3-[[3-[[3-(aminopropyl)amino]propyl]amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

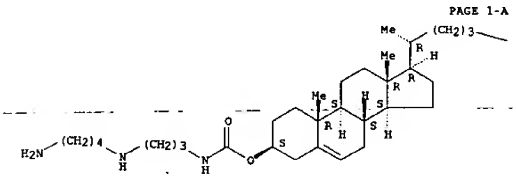


L43 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

CN Cholest-5-en-3-ol (3.beta.)-, [3-[(4-aminobutyl)amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

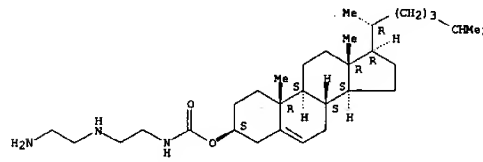
PAGE 1-A



PAGE 1-B

RN 200337-42-4 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, [2-[(2-aminoethyl)amino]ethyl]carbamate (9CI) (CA INDEX NAME)

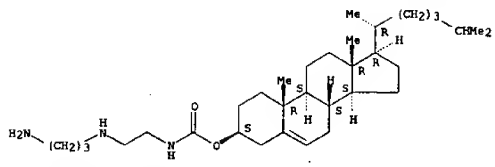
Absolute stereochemistry.



RN 200337-43-5 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, [2-[(3-aminopropyl)amino]ethyl]carbamate (9CI) (CA INDEX NAME)

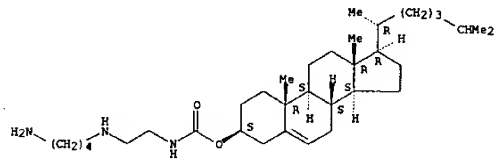
Absolute stereochemistry.

L43 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 200337-44-6 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, [2-[(4-aminobutyl)amino]ethyl]carbamate (9CI) (CA INDEX NAME)

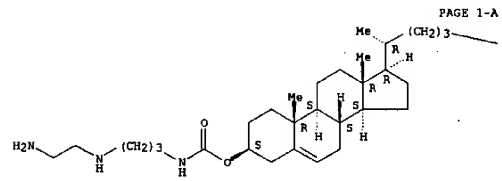
Absolute stereochemistry.



RN 200337-45-7 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, [3-[(2-aminoethyl)amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



L43 ANSWER 24 OF 28 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:50067 CAPLUS
DOCUMENT NUMBER: 128:140556
TITLE: Practical synthesis of unsymmetrical polyamine amides
AUTHOR(S): Blagbrough, Ian S.; Geall, Andrew J.
CORPORATE SOURCE: Department of Pharmacy and Pharmacology, University of Bath, Bath, BA2 7AY, UK
SOURCE: Tetrahedron Letters (1998), 39(5/6), 439-442
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Desymmetrization of readily available sym. polyamines is an important first step in the synthesis of many polyamine contg. natural products. This desymmetrization is also important in the synthesis of polyamine amides which are potentially useful for gene delivery and as neuroprotectants, based on channel blocking toxins found in certain wasp and spider venoms. The application of trifluoroacetyl as a protecting group allows unsym. polyamine amides, e.g., I (R = Cbz, BOC) to be easily prepd. on a gram scale.

IT **202145-95-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of unsym. polyamine amides using the trifluoroacetyl protecting group)

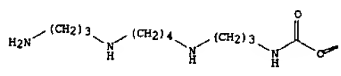
RN 202145-95-7 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, [[3-[4-[(3-aminopropyl)amino]butyl]amino]propyl]carbamate, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 165673-46-1
CMF C38 H70 N4 O2

Absolute stereochemistry.

PAGE 1-A



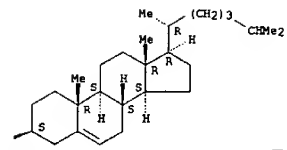
L43 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B

REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L43 ANSWER 24 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B



CM 2

CRN 76-05-1
CMF C2 H F3 O2

REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L43 ANSWER 25 OF 28 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:542458 CAPLUS
DOCUMENT NUMBER: 127:225277
TITLE: Nucleic acid transfer vector containing a pharmaceutical lipopolyamine compound
INVENTOR(S): Bischoff, Rainer; Cordier, Yves
PATENT ASSIGNEE(S): Transgene S.A., Fr.; Bischoff, Rainer; Cordier, Yves
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

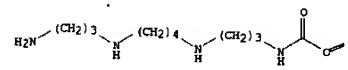
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9729118	A1	19970814	WO 1997-FR225	19970205
W: AU, CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
FR 2744453	A1	19970808	FR 1996-1347	19960205
FR 2744453	B1	19980710		
AU 9717281	A1	19970828	AU 1997-17281	19970205
PRIORITY APPLN. INFO.:			FR 1996-1347	19960205
			WO 1997-FR225	19970205

OTHER SOURCE(S): MARPAT 127:225277
AB A compd. of formula HR1N-[(CH2)p-NR2]nH, wherein R1 is hydrogen and R2 is hydrogen or, for only one of its n substituents, a deoxy residue in the 3 position of cholesterol or a mono- or polyvalent residue of a cholesterol deriv. having one or more reactive groupings, resp., said groupings being capable of reacting with a secondary amine group of a polyamine mol.; n is an integer from 2 to 6; and p is an integer from 2 to 6 and identical or different in the [(CH2)p-NR2]n fragments. The compd. can be used in transfection of DNA into muscle cells.
IT 165673-46-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(nucleic acid transfer vector contg. a pharmaceutical lipopolyamine compd.)
RN 165673-46-1 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, [[3-[4-[(3-aminopropyl)amino]butyl]amino]propyl]carbamate (9CI) (CA INDEX NAME)

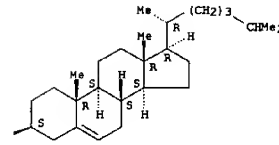
Absolute stereochemistry.

L43 ANSWER 25 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



PAGE 1-B



L43 ANSWER 26 OF 28 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:344809 CAPLUS
DOCUMENT NUMBER: 127:34478
TITLE: Preparation glycosylated steroid oligodeoxyribonucleotides for transport across biological membranes
INVENTOR(S): Kahne, Daniel E.; Kahne, Suzanne W.; Sofia, Michael J.; Hatzenbuhler, Nicole T.
PATENT ASSIGNEE(S): Princeton University, USA; Transcell Technologies, Inc.
SOURCE: U.S., 65 pp., Cont.-in-part of U.S. Ser. No. 230,685.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

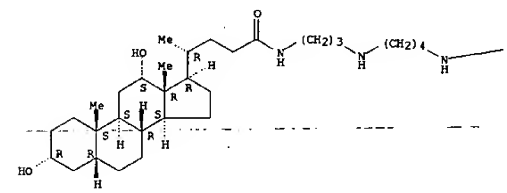
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5627270	A	19970506	US 1994-264488	19940623
US 5338837	A	19940816	US 1991-806985	19911213
US 5571795	A	19961105	US 1992-989667	19921214
US 5693769	A	19971202	US 1994-230685	19940420
US 5795870	A	19980818	US 1994-336675	19941107
WO 9529186	A1	19951102	WO 1995-US4806	19950420
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TT, UA				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2188320	AA	19951102	CA 1995-2188320	19950420
AU 9523582	A1	19951116	AU 1995-23582	19950420
AU 687557	B2	19980226		
EP 756601	A1	19970205	EP 1995-917587	19950420
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09512270	T2	19971209	JP 1995-527736	19950420
US 5780444	A	19980714	US 1995-425118	19950420
PRIORITY APPLN. INFO.:			US 1991-806985	19911213
			US 1992-989667	19921214
			US 1994-230685	19940420
			US 1994-264488	19940623
			US 1994-336675	19941107
			WO 1995-US4806	19950420

OTHER SOURCE(S): MARPAT 127:34478
AB Novel glycosylated steroids I (X = H, O, OH, glycosyloxy; Y = carboxyl, ether, CONH2, amide, thio ester; R, R1 = oligodeoxyribonucleotide; R2, R3 = H, OH, glycosyloxy) were prepd. using activated glycosyl sulfoxide intermediates for bactericidal activity study and facilitating the transport of compds. across biol. membranes, either in admixt. or as conjugates. Methods for the permeabilization of membranes and the enhancement of the activity of predetd. compds. are also provided.
IT 174068-84-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. glycosylated steroid oligodeoxyribonucleotides for transport across biol. membranes)
RN 174068-84-9 CAPLUS
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

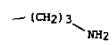
L43 ANSWER 26 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



L43 ANSWER 27 OF 28 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:768 CAPLUS
DOCUMENT NUMBER: 126:75140
TITLE: Preparation of sterol polyamine conjugates with antimicrobial activity
INVENTOR(S): Regen, Steven L.
PATENT ASSIGNEE(S): Lehigh University, USA
SOURCE: U.S., 8 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5583239	A	19961210	US 1995-452846	19950530
WO 9638464	A1	19961205	WO 1996-US4851	19960410
W: CA, JP				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5834453	A	19981110	US 1997-877618	19970617

PRIORITY APPLN. INFO.: US 1995-452846 19950530
US 1996-711161 19960909

OTHER SOURCE(S): MARPAT 126:75140

AB Compds. of formulas I and II [Y = NH(CH2)4NH2, NH(CH2)3NH(CH2)4NH(CH2)3NH2, NH(CH2)2NH(CH2)2NH(CH2)2NH2; R1, R2, R3, R4 = H, OH, OSO3H] are prepd. as antibiotics. Thus, spermine was added to deoxycholic acid N-hydroxysuccinimidyl ester to give [I; Y = NH(CH2)3NH(CH2)4NH(CH2)3NH2, R1 = R2 = .alpha.-OH, R3 = R4 = H] (III). III exhibited potent antimicrobial activity against a broad spectrum of microorganisms.

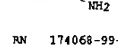
IT **165336-10-7P 174068-84-9P 174068-99-6P 185307-17-9P 185307-23-7P 185307-24-8P 185307-25-9P 185307-26-0P 185307-28-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of sterol polyamine conjugates with antimicrobial activity)

RN 165336-10-7 CAPLUS
CN Pregn-5-ene-20-carboxamide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-(sulfooxy)-, (3.beta.,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L43 ANSWER 27 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

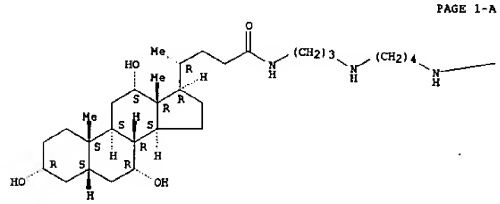
PAGE 1-B



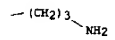
RN 174068-99-6 CAPLUS
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7,12-trihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

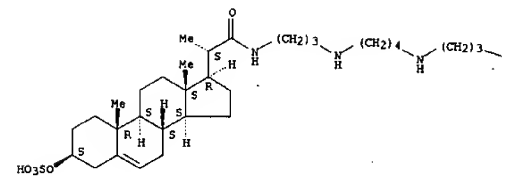


RN 185307-17-9 CAPLUS
CN Pregn-5-ene-20-carboxamide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-hydroxy-, (3.beta.,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L43 ANSWER 27 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

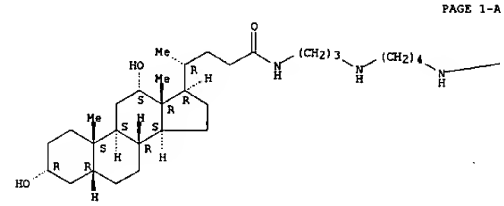


PAGE 1-B

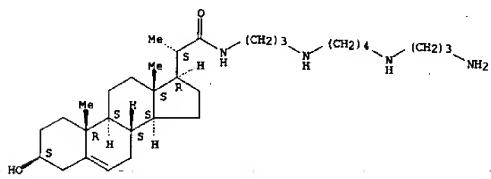
RN 174068-84-9 CAPLUS
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

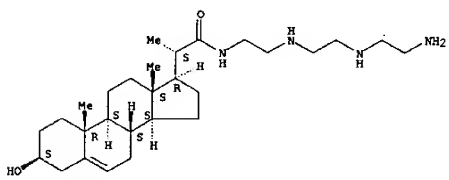


L43 ANSWER 27 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 185307-23-7 CAPLUS
CN Pregn-5-ene-20-carboxamide, N-[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]-3-hydroxy-, (3.beta.,20S)- (9CI) (CA INDEX NAME)

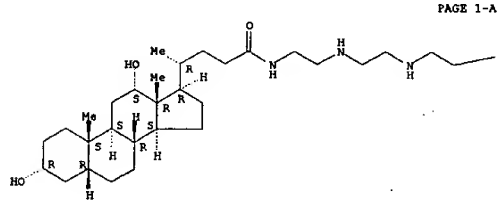
Absolute stereochemistry.



RN 185307-24-8 CAPLUS
CN Cholan-24-amide, N-[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



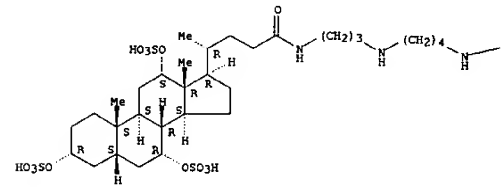
L43 ANSWER 27 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B

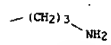
RN 185307-25-9 CAPLUS
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7,12-tris(sulfooxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

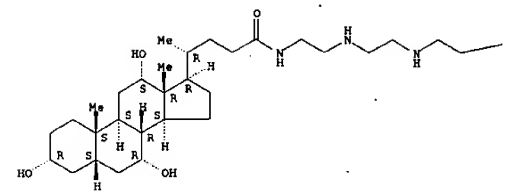


RN 185307-26-0 CAPLUS
CN Cholan-24-amide, N-[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]-3,7,12-trihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L43 ANSWER 27 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

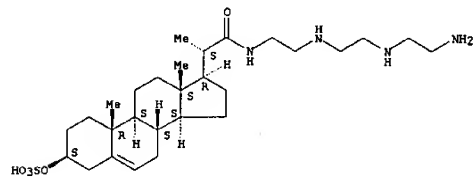
PAGE 1-A



PAGE 1-B

RN 185307-28-2 CAPLUS
CN Pregn-5-ene-20-carboxamide, N-[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]-3-(sulfooxy)-, (3.beta.,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L43 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1995:998309 CAPLUS
DOCUMENT NUMBER: 124:195954
TITLE: Polyhydroxylated and polyglycosylated steroid derivatives and their use in enhancement of cell transformation
INVENTOR(S): Kahne, Suzanne Walker; Kahne, Daniel E.
PATENT ASSIGNEE(S): Trustees of Princeton University, USA
SOURCE: PCT Int. Appl., 109 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT-NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9529186	A1	19951102	WO 1995-US4806	19950420
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TT, UA				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5693769	A	19971202	US 1994-230685	19940420
US 5627270	A	19970506	US 1994-264488	19940623
US 5795870	A	19980818	US 1994-336675	19941107
AU 9523582	A1	19951116	AU 1995-23582	19950420
AU 687557	B2	19980226		
EP 756601	A1	19970205	EP 1995-917587	19950420
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09512270	T2	19971209	JP 1995-527736	19950420

PRIORITY APPLN. INFO.:
US 1994-230685 19940420
US 1994-264488 19940623
US 1994-336675 19941107
US 1991-806985 19911213
US 1992-989667 19921214
WO 1995-US4806 19950420

OTHER SOURCE(S): MARPAT 124:195954

AB The present invention relates to methods and comps. for the transformation of cells. In particular, comps. and methods are disclosed which include combinations of the nucleic acid of interest and polyhydroxylated or polyglycosylated steroid mols. I [R1=H,OH,OR5,NH2,NHR6,NR6R7; R2,R3=H,OH,OR5; R4=CONH2,CONHR6,CONR6R7,CH2NH2,CH2NHR6,CH2NR6R7,CO2YNH2,CO2YNHR6,CO2YNR6R7; R5=(protected)glycosyl contg. 1-10 monosaccharide units; R6,R7=C1-15-hydrocarbon contg. .gtoreq.1 (substituted) amino groups; Y=(branched)C1-10-alkylene group; n=0-10]. Most preferably, exogenous or endogenous nucleic acid is contacted with the cell in the presence of a bile acid (e.g., cholic acid) derivatized with an amine-contg. side chain. Polyamine derivs. of cholic acid, deoxycholic acid, chenodeoxycholic acid, lithocholic acid, and various mono- and bis-glycosylated derivs. thereof were synthesized. Cholic acid or bis-glucosyl cholic acid derivs. contg. a spermidine side chain, when mixed with dioleoyl phosphatidylethanolamine and DNA, promoted transfection at frequencies that approached and in some cases exceeded that obsd. for lipofectin and cationic/neutral lipid mixts.

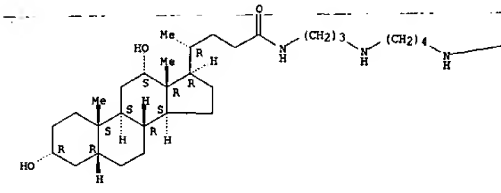
IT **174068-84-9P 174068-86-1P 174068-92-9P 174068-98-5P 174068-99-6P 174069-03-5P 174069-11-5P 174069-13-7P 174069-14-8P 174069-15-9P 174069-16-0P 174069-17-1P 174069-19-3P 174069-21-7P 174180-25-7P**
RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(polyhydroxylated and polyglycosylated steroid derivs. and their use in enhancement of cell transformation)

L43 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 174068-84-9 CAPLUS
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



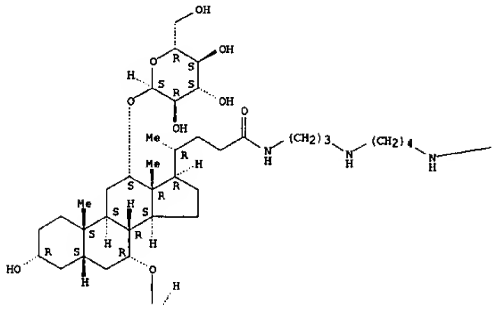
PAGE 1-B

RN 174068-86-1 CAPLUS
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7,12-bis(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

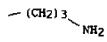
Absolute stereochemistry.

L43 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

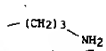


PAGE 1-B



L43 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B

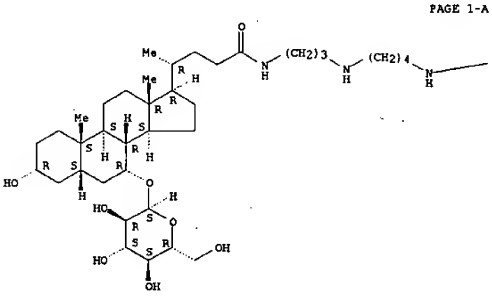


●3 HCl

RN 174068-98-5 CAPLUS
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7-(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, trihydrochloride, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

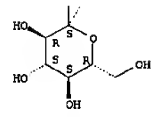
Absolute stereochemistry.

PAGE 1-A



L43 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

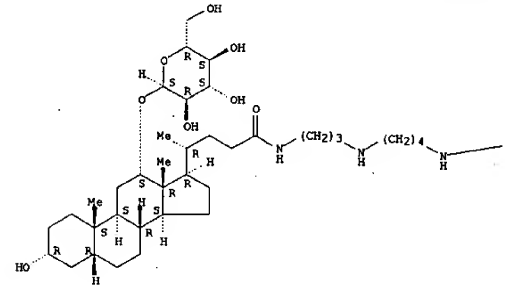
PAGE 2-A



RN 174068-92-9 CAPLUS
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-12-(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, trihydrochloride, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

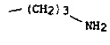
Absolute stereochemistry.

PAGE 1-A



L43 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B

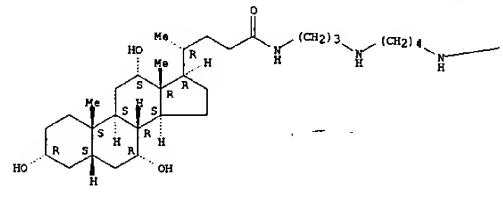


●3 HCl

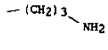
RN 174068-99-6 CAPLUS
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7,12-trihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

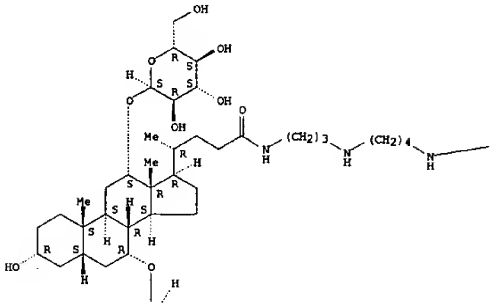


RN 174069-03-5 CAPLUS
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7,12-bis(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, trihydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

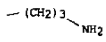
Absolute stereochemistry.

L43 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

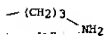


PAGE 1-B

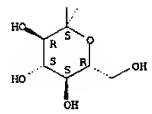


L43 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B



PAGE 2-A



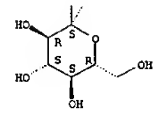
●3 HCl

RN 174069-13-7 CAPLUS
CN Cholan-24-amide, N-[2-[[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-3,7-dihydroxy-, tetrahydrochloride, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L43 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 2-A

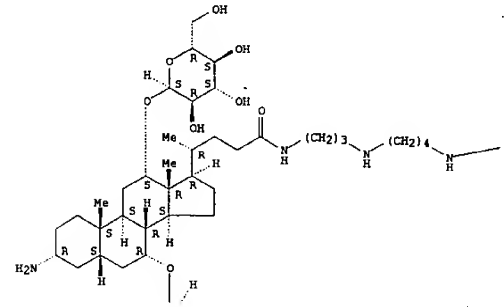


●3 HCl

RN 174069-11-5 CAPLUS
CN Cholan-24-amide, 3-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7,12-bis(.alpha.-D-glucopyranosyloxy)-, trihydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

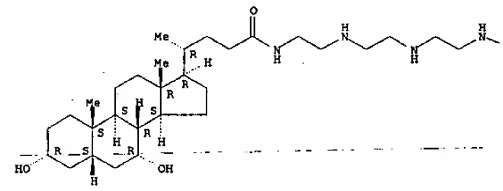
Absolute stereochemistry.

PAGE 1-A



L43 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



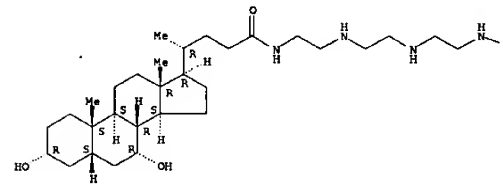
●4 HCl

PAGE 1-B

RN 174069-14-8 CAPLUS
CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3,7-dihydroxy-, trihydrochloride, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

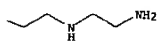
PAGE 1-A



●3 HCl

L43 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

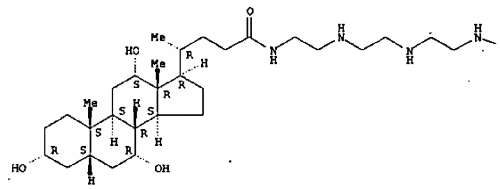
PAGE 1-B



RN 174069-15-9 CAPLUS
CN Cholan-24-amide, N-[2-[[2-[[2-[[(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-3,7,12-trihydroxy-, pentahydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



●5 HCl

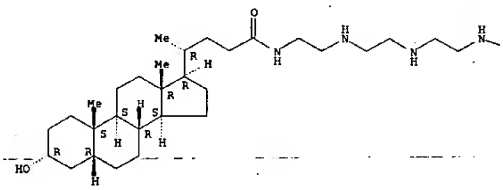
PAGE 1-B

RN 174069-16-0 CAPLUS
CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3,7,12-trihydroxy-, pentahydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

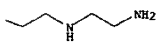
L43 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



●5 HCl

PAGE 1-B

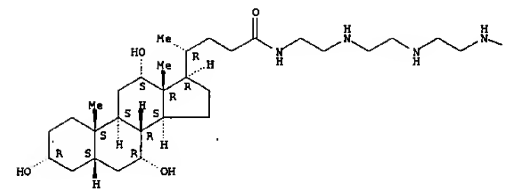


RN 174069-19-3 CAPLUS
CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-7,12-bis(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, tetrahydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

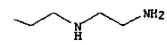
L43 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



●5 HCl

PAGE 1-B

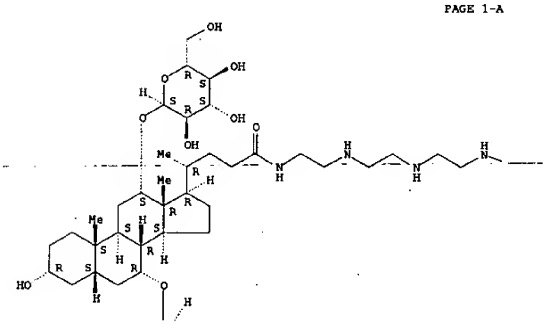


RN 174069-17-1 CAPLUS
CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3-hydroxy-, pentahydrochloride, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

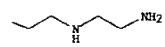
Absolute stereochemistry.

L43 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

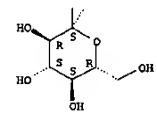
PAGE 1-A



PAGE 1-B



PAGE 2-A



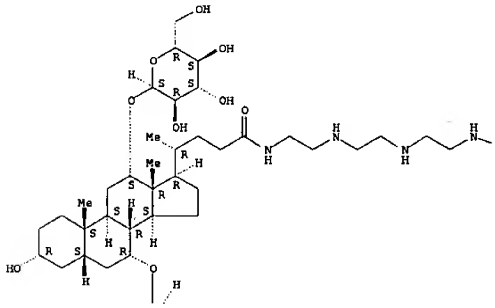
●4 HCl

L43 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 174069-21-7 CAPLUS
CN Cholan-24-amide, N-[2-[[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-7,12-bis(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, trihydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

L43 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

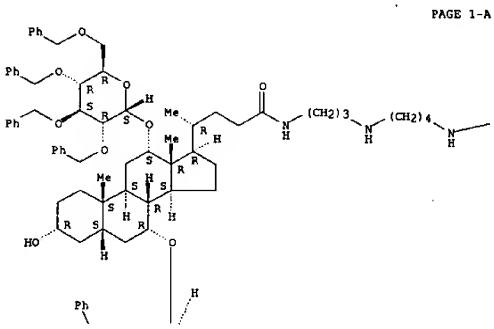
CRN 76-05-1
CMF C2 H F3 O2

IT **174069-02-4P 174069-04-6P 174069-06-8P**
174069-09-1P 174069-10-4P 174069-18-2P
174069-20-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(polyhydroxylated and polyglycosylated steroid derivs. and their use in enhancement of cell transformation)

RN 174069-02-4 CAPLUS
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-hydroxy-7,12-bis[[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]oxy]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

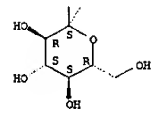
Absolute stereochemistry.

PAGE 1-A



L43 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 2-A



●3 HCl

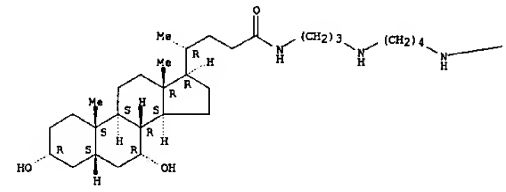
RN 174180-25-7 CAPLUS
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7-dihydroxy-, (3.alpha.,5.beta.,7.alpha.)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

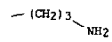
CRN 174180-24-6
CMF C34 H64 N4 O3

Absolute stereochemistry.

PAGE 1-A



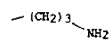
PAGE 1-B



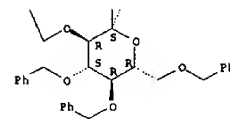
CM 2

L43 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B



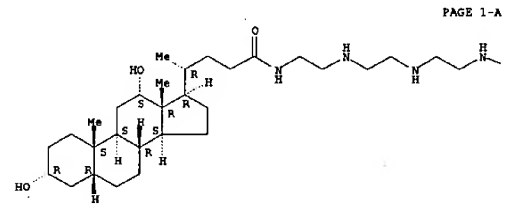
PAGE 2-A



RN 174069-04-6 CAPLUS
CN Cholan-24-amide, N-[2-[[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-3,12-dihydroxy-, trihydrochloride, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



●3 HCl

L43 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B

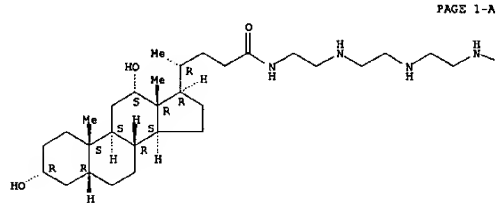
RN 174069-06-8 CAPLUS
CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)-, monoacetate (salt) dihydrochloride (9CI) (CA INDEX NAME)

CM 1

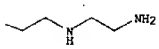
CRN 174069-05-7
CMF C34 H66 N6 O3

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



CM 2

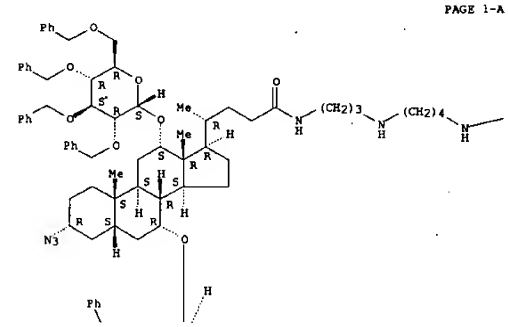
CRN 64-19-7
CMF C2 H4 O2

L43 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

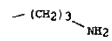
RN 174069-09-1 CAPLUS
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-azido-7,12-bis[[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]oxy]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

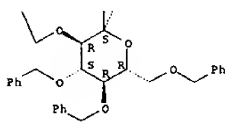


PAGE 1-B



L43 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

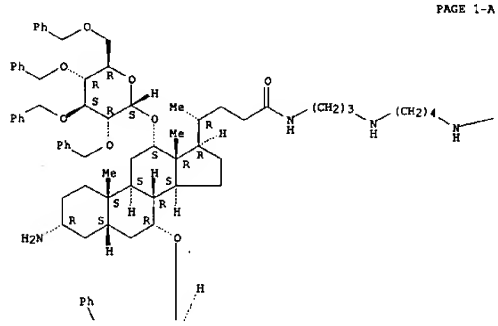
PAGE 2-A



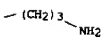
RN 174069-10-4 CAPLUS
CN Cholan-24-amide, 3-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7,12-bis[[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]oxy]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

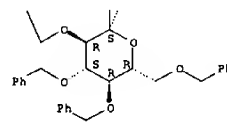


PAGE 1-B



L43 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

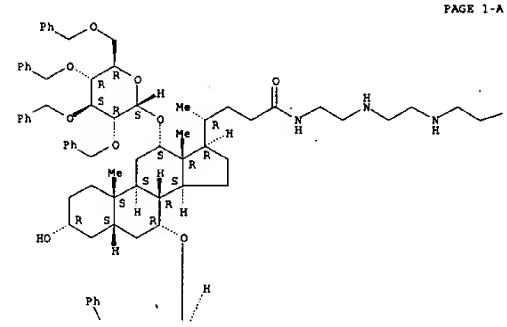
PAGE 2-A



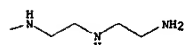
RN 174069-18-2 CAPLUS
CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3-hydroxy-7,12-bis[[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]oxy]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

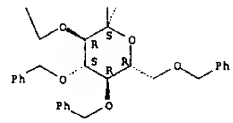


PAGE 1-B



L43 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

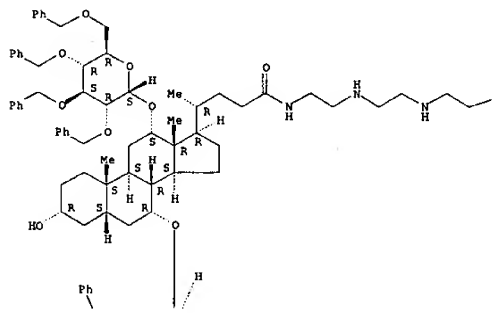
PAGE 2-A



RN 174069-20-6 CAPLUS
CN Cholan-24-amide, N-[2-{[2-{[2-{[(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-3-hydroxy-7,12-bis{[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]oxy]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

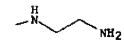
Absolute stereochemistry.

PAGE 1-A

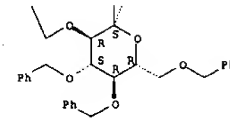


L43 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B



PAGE 2-A



```
=> dhis
DHIS IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> d his

     (FILE 'HOME' ENTERED AT 10:55:22 ON 01 MAY 2003)

     FILE 'REGISTRY' ENTERED AT 10:55:29 ON 01 MAY 2003
L1             1 S PSORALEN/CN
L2             1 S SPERMIDINE/CN
L3             1 S SPERMINE/CN
L4             2 S POLYLYSINE/CN
L5             2 S LYSINE/CN
L6             0 S PROTAMINE/CN
L7           383 S PROTAMINE
L8               STRUCTURE UPLOADED
L9             0 S L8
L10            9 S L8 FULL

     FILE 'CAPLUS' ENTERED AT 11:01:34 ON 01 MAY 2003
L11            3 S L10

     FILE 'USPATFULL' ENTERED AT 11:03:39 ON 01 MAY 2003
L12            0 S L10

     FILE 'MARPAT' ENTERED AT 11:03:47 ON 01 MAY 2003
L13            0 S L10
L14            0 S L10 FULL

     FILE 'BEILSTEIN' ENTERED AT 11:04:00 ON 01 MAY 2003
L15            0 S L8
L16            0 S L8 FULL

     FILE 'CAOLD' ENTERED AT 11:04:23 ON 01 MAY 2003
L17            0 S L10

     FILE 'REGISTRY' ENTERED AT 11:06:06 ON 01 MAY 2003
L18              STRUCTURE UPLOADED
L19           44 S L18
L20              STRUCTURE UPLOADED
L21            0 S L20
L22            4 S L20 FULL

     FILE 'CAPLUS' ENTERED AT 11:09:59 ON 01 MAY 2003
L23            5 S L22

     FILE 'REGISTRY' ENTERED AT 11:11:47 ON 01 MAY 2003
L24              STRUCTURE UPLOADED
L25            0 S L24 FULL

     FILE 'BEILSTEIN' ENTERED AT 11:12:24 ON 01 MAY 2003
L26            0 S L24 FULL

     FILE 'REGISTRY' ENTERED AT 11:13:52 ON 01 MAY 2003
```

```
L27             STRUCTURE UPLOADED
L28         851 S L27 FULL
L29         681 S L28 AND 4-5/NR
L30             STRUCTURE UPLOADED
L31           0 S L30 FULL SUB=L28
L32             STRUCTURE UPLOADED
L33           5 S L32 FULL SUB=L28

     FILE 'CAPLUS' ENTERED AT 11:18:03 ON 01 MAY 2003
L34           2 S L33

     FILE 'REGISTRY' ENTERED AT 11:19:30 ON 01 MAY 2003
L35             STRUCTURE UPLOADED
L36          11 S L35
L37         502 S L35 FULL

     FILE 'CAPLUS' ENTERED AT 11:20:34 ON 01 MAY 2003
L38         233 S L37
L39          37 S L38 NOT PY>=1998

     FILE 'USPATFULL' ENTERED AT 11:26:28 ON 01 MAY 2003
L40         110 S L37
L41          40 S L40 NOT PY>=1999

     FILE 'CAPLUS' ENTERED AT 11:34:32 ON 01 MAY 2003
L42          65 S L38 NOT PY>=1999
L43          28 S L42 NOT L39
```

(FILE 'HOME' ENTERED AT 12:48:35 ON 10 NOV 2003)

FILE 'REGISTRY' ENTERED AT 12:49:11 ON 10 NOV 2003
L1 1 S URETHANE/CN

FILE 'REGISTRY' ENTERED AT 12:58:20 ON 10 NOV 2003
L2 STRUCTURE UPLOADED
L3 1 S PSORALEN/CN
L4 18 S L2
L5 416 S L2 FULL
L6 407 S L5/COM
L7 0 S C39H56FN3O15/MF
L8 0 S C39H56FN3O15
L9 0 S C39 H56 F N3 O15
L10 6 S L6 AND 39/C
L11 1 S L10 AND 15/O
L12 7 S L6 AND 43/C
L13 1 S L12 AND 12/O
L14 6 S L6 AND 72/C
L15 5 S L14 AND 8/O
L16 4 S L15 AND 2/N
L17 12 S L11 OR L12 OR L16
L18 6 S L11 OR L13 OR L16

FILE 'USPATFULL' ENTERED AT 14:00:37 ON 10 NOV 2003
L19 0 S L18

FILE 'CAPLUS' ENTERED AT 14:00:49 ON 10 NOV 2003
L20 3 S L18

FILE 'MARPAT' ENTERED AT 14:03:56 ON 10 NOV 2003

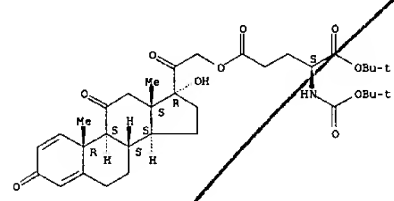
FILE 'CAPLUS' ENTERED AT 14:05:59 ON 10 NOV 2003
L21 182 S L6
L22 66 S L21 NOT PY>=1997

L12 ANSWER 1 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:556104 CAPLUS
DOCUMENT NUMBER: 137:109489
TITLE: Compositions comprising a polypeptide and an active agent
INVENTOR(S): Piccariello, Thomas; Olon, Lawrence P.; Kirk, Randal J.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 34 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002099013	A1	20020725	US 2001-933700	20010822
PRIORITY APPLN. INFO.:			US 2000-247556P P	20001114
			US 2000-247558P P	20001114
			US 2000-247559P P	20001114
			US 2000-247560P P	20001114
			US 2000-247561P P	20001114
			US 2000-247594P P	20001114
			US 2000-247595P P	20001114
			US 2000-247606P P	20001114
			US 2000-247607P P	20001114
			US 2000-247608P P	20001114
			US 2000-247609P P	20001114
			US 2000-247610P P	20001114
			US 2000-247611P P	20001114
			US 2000-247612P P	20001114
			US 2000-247620P P	20001114
			US 2000-247621P P	20001114
			US 2000-247634P P	20001114
			US 2000-247635P P	20001114
			US 2000-247698P P	20001114
			US 2000-247699P P	20001114
			US 2000-247700P P	20001114
			US 2000-247701P P	20001114
			US 2000-247702P P	20001114
			US 2000-247797P P	20001114
			US 2000-247798P P	20001114
			US 2000-247799P P	20001114
			US 2000-247800P P	20001114
			US 2000-247801P P	20001114
			US 2000-247802P P	20001114
			US 2000-247803P P	20001114
			US 2000-247804P P	20001114
			US 2000-247805P P	20001114
			US 2000-247807P P	20001114
			US 2000-247832P P	20001114
			US 2000-247833P P	20001114
			US 2000-247926P P	20001114
			US 2000-247927P P	20001114
			US 2000-247928P P	20001114
			US 2000-247929P P	20001114

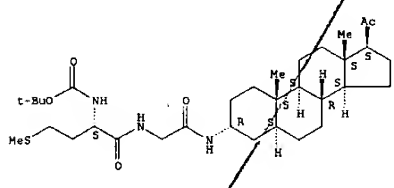
L12 ANSWER 1 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)
US 2000-247930P P 20001114
AB Claimed are compns. comprising a polypeptide and an active agent covalently attached to the polypeptide and a method for delivery of an active agent to a patient by administering the compn. to the patient. The peptide is a homopolymer of a naturally occurring amino acid or a heteropolymer of two or more naturally occurring amino acids. In an example, (Glu)n-cephalexin was prepd. from Glu(OBut)NCA and cephalexin hydrochloride.
IT **420824-79-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(compns. comprising a polypeptide and an active agent)
RN 420824-79-9 CAPLUS
CN L-Glutamic acid, N-[(1,1-dimethylethoxy)carbonyl]-, 1-(1,1-dimethylethyl) 5-(17-hydroxy-3,11,20-trioxopregna-1,4-dien-21-yl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 2 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:552650 CAPLUS
DOCUMENT NUMBER: 137:311080
TITLE: Synthesis of methionyl-glycyl-funtumin by the anhydride method
AUTHOR(S): Do, Hong Quang; Dao, Kim Chi
CORPORATE SOURCE: The Hanoi Pharmaceutical University, Vietnam
SOURCE: Tap Chi Duoc Hoc (2002), (3), 6-8
CODEN: TCDHDQ; ISSN: 0258-6967
PUBLISHER: Bo Y Te Xuat Ban
DOCUMENT TYPE: Journal
LANGUAGE: Vietnamese
AB Methionyl-glycyl-funtumin (I) was synthesized using a mixed anhydride method with the hope of attaining a more active immunostimulant (no biol. testing data presented). The structure of I was detd. by IR, 1HNMR, and 13CNMR spectra.
IT **469904-09-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of methionyl-glycyl-funtumin by the anhydride method)
RN 469904-09-4 CAPLUS
CN Carbamic acid, [(1S)-3-(methylthio)-1-[[[2-oxo-2-[[(3.alpha.,5.alpha.)-20-oxopregnan-3-yl]amino]ethyl]amino]carbonyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



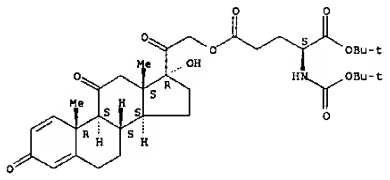
L12 ANSWER 3 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:332011 CAPLUS
DOCUMENT NUMBER: 136:355482
TITLE: Compositions comprising a polypeptide and an active agent
INVENTOR(S): Piccariello, Thomas; Olon, Lawrence P.; Kirk, Randall J.
PATENT ASSIGNEE(S): New River Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 98 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002034237	A1	20020502	WO 2001-US26142	20010822
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001086599	A5	20020506	AU 2001-86599	20010822
PRIORITY APPLN. INFO.:			US 2000-642820 A	20000822
			WO 2001-US26142 W	20010822

AB Claimed are compns. comprising a polypeptide and an active agent covalently attached to the polypeptide and a method for delivery of an active agent to a patient by administering the compn. to the patient. The peptide is a homopolymer of a naturally occurring amino acid or a heteropolymer of two or more naturally occurring amino acids. In an example, (Glu)n-cephalexin was prepd. from Glu(OBut)NCA and cephalexin hydrochloride.
IT **420824-79-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(compns. comprising a polypeptide and an active agent)
RN 420824-79-9 CAPLUS
CN L-Glutamic acid, N-[(1,1-dimethylethoxy)carbonyl]-, 1-(1,1-dimethylethyl) 5-(17-hydroxy-3,11,20-trioxopregna-1,4-dien-21-yl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

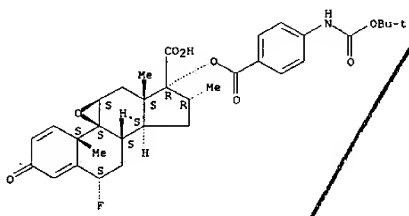
L12 ANSWER 3 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

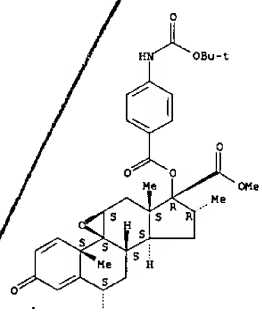
Absolute stereochemistry.



RN 382631-35-8 CAPLUS
CN Androsta-1,4-diene-17-carboxylic acid, 17-[[4-[[(1,1-dimethylethoxy)carbonyl]amino]benzoyl]oxy]-9,11-epoxy-6-fluoro-16-methyl-3-oxo-, methyl ester, (6.alpha.,9.beta.,11.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



L12 ANSWER 4 OF 69 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:10498 CAPLUS
DOCUMENT NUMBER: 136:53939
TITLE: Preparation of 9-chloro-6-fluoro-11,17-dihydroxy-16-methyl-3-oxo-androsta-1,4-dien-17-carboxylic acid-Me ester derivatives as anti-inflammatory agents
INVENTOR(S): Cuenoud, Bernard; Beattie, David; Keller, Thomas Hugo; Pilgrim, Gaynor Elizabeth; Sandham, David Andrew; Watson, Simon James
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000679	A2	20020103	WO 2001-EP7249	20010626
WO 2002000679	A3	20020328		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 2001083891	A5	20020108	AU 2001-83891	20010626
PRIORITY APPLN. INFO.:			GB 2000-15876 A	20000628
			WO 2001-EP7249 W	20010626

OTHER SOURCE(S): MARPAT 136:53939

AB 9-Chloro-6-fluoro-11,17-dihydroxy-16-methyl-3-oxo-androsta-1,4-diene-17-carboxylic acid-Me ester derivs., such as I (R1 = monovalent cyclic org. group having from 3 to 15 atoms in the ring system; C3-C6-cycloalkyl; partially satd. heterocyclic group of which one or more ring hetero atoms selected from N, O, S; Ph or naphthyl optionally substituted by one or more substituents; R2 = Me) were prepd. for their use as anti-inflammatory agents. Thus, 9,11-epoxyandrostadienone deriv. II (R1, R2 = H), on reaction with 3-methylthiophene-2-carbonyl chloride, yielded an ester II (R1 = 3-methylthiophene-2-carbonyl, R2 = H) which was methylated and subsequently hydrochlorinated to afford I [R1 = 3-methylthienyl; R2 = Me (III)]. III (3mg/kg) showed 90% redn. in eosinophil count compared to vehicle control.

IT **382631-34-7P 382631-35-8P**
RL: ACT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 9-chloro-6-fluoro-11,17-dihydroxy-16-methyl-androstadienone-17-carboxylic acid-Me ester derivs. as antiinflammatory agents)

RN 382631-34-7 CAPLUS
CN Androsta-1,4-diene-17-carboxylic acid, 17-[[4-[[(1,1-dimethylethoxy)carbonyl]amino]benzoyl]oxy]-9,11-epoxy-6-fluoro-16-methyl-3-oxo-, (6.alpha.,9.beta.,11.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

L12 ANSWER 4 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 2-A

F

L12 ANSWER 5 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:909867 CAPLUS
DOCUMENT NUMBER: 136:364762
TITLE: Selective enhancement of gene transfer by steroid-mediated gene delivery
AUTHOR(S): Rebuffat, Alexandre; Bernasconi, Alessio; Ceppi, Maurizio; Wehrli, Hans; Verca, Stefano Brenz; Ibrahim, Merdol; Frey, Brigitte M.; Frey, Felix J.; Rusconi, Sandro
CORPORATE SOURCE: Division of Nephrology, Inselspital, Bern, Switz.
SOURCE: Nature Biotechnology (2001), 19(12), 1155-1161
CODEN: NABIF9; ISSN: 1087-0156
PUBLISHER: Nature America Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

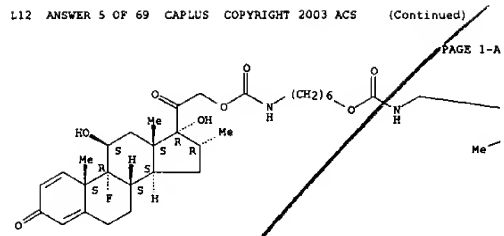
AB The incorporation of transgenes into the host cells' nuclei is problematic using conventional nonviral gene delivery technologies. Here we describe a strategy called steroid-mediated gene delivery (SMGD), which uses steroid receptors as shuttles to facilitate the uptake of transfected DNA into the nucleus. We use glucocorticoid receptors (GRs) as a model system with which to test the principle of SMGD. To this end, we synthesized and tested several bifunctional steroid derivs., finally focusing on a compd. named DR9NP, consisting of a dexamethasone backbone linked to a psoralen moiety using a nine-atom chem. spacer. DR9NP binds to the GR in either its free or DNA-crosslinked form, inducing the translocation of the GR to the nucleus. The expression of transfected DR9NP-decorated reporter plasmids is enhanced in dividing cells: expression of steroid-decorated reporter plasmids depends on the presence of the GR, is independent of the transactivation potential of the GR, and correlates with enhanced nuclear accumulation of the transgene in GR-pos. cells. The SMGD effect is also obsd. in cells naturally expressing GRs and is significantly increased in nondividing cell cultures. We propose that geting of transgenes in nonviral somatic gene transfer.

IT **423119-97-5**
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(Selective enhancement of gene transfer by steroid-mediated gene delivery)

RN 423119-97-5 CAPLUS
CN Carbamic acid, [(2,5,9-trimethyl-7-oxo-7H-furo[3,2-g][1]benzopyran-3-yl)methyl]-, 6-[[[[[(11.beta.,16.alpha.)-9-fluoro-11,17-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-21-yl]oxy]carbonyl]amino]hexyl ester (9CI) (CA INDEX NAME)

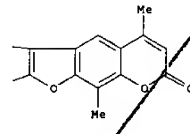
Absolute stereochemistry.

L12 ANSWER 5 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



PAGE 1-A

PAGE 1-B



REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

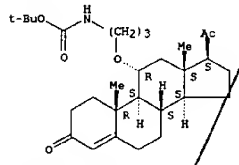
L12 ANSWER 6 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:864501 CAPLUS
DOCUMENT NUMBER: 136:216923
TITLE: Coupling of biologically active steroids to conjugating arms through ether linkages for use in immunochemistry
AUTHOR(S): Kohl, Michel J.; Lejeune, Robert G.
CORPORATE SOURCE: Institut de Pharmacie, Service de Chimie Analytique, Universite de Liege, Liege, B-4000, Belg.
SOURCE: Steroids (2002), 67(1), 71-75
CODEN: STEDAM; ISSN: 0039-128X
PUBLISHER: Elsevier Science Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Conjugation of haptens through ether linkages avoids leakage problems in immunoassays, but this procedure is not easily applied to most steroids that bear low reacting hydroxyls. A new technique allowing the ether coupling of biol. active steroids with conjugating arms in mild conditions compatible with thermosensitive protecting groups is presented. In the first step, the solvent (an arom. hydrocarbon) was dehydrated by azeotropic distn. in a soxhlet app. using a cartridge filled with 0.3 nm and 0.4 nm mol. sieves. In this protected medium, a thallium steroid alkoxide was completely formed by reaction of the steroid with thallium ethoxide and by the continuous elimination of ethanol. The halogenated chain was then introduced into the same medium and reacted in the absence of moisture to give the ether. 17.beta.-Hydroxy and 11.alpha.-hydroxy derivs. were involved in this reaction. The coupling was effective for all of the compds. tested after 2-36 h of reaction time and at temps. between 80 and 140.degree.C. The conjugates were at least 95% pure, and yields ranged from 15 to 95%.

IT **401917-67-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(etherification of biol. active hydroxy steroids with conjugating for possible use in immunochem.)

RN 401917-67-7 CAPLUS
CN Carbamic acid, [3-[[(11.alpha.)-3,20-dioxopregn-4-en-11-yl]oxy]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

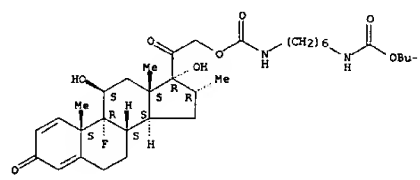
L12 ANSWER 7 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:615179 CAPLUS
DOCUMENT NUMBER: 136:20184
TITLE: Synthesis of a dexamethasone-21-maleimido-linked derivative as a potential molecule for specific gene delivery
AUTHOR(S): Bernasconi, A.; Rebuffat, A.; Bigler, P.; Frey, F. J.; Frey, B. M.
CORPORATE SOURCE: Department of Clinical Research, Division of Nephrology and Hypertension, University of Berne, Inselspital, Bern, Switz.
SOURCE: Tetrahedron Letters (2001), 42(37), 6511-6513
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:20184

AB The synthesis of the dexamethasone-21-maleimido-linked deriv. (I) is described for the first time. The two principal steps of this synthesis are (1) the formation of a stable urethane and (2) the introduction of a reactive maleimido group via a linker to get I. This novel compd. I is designed to examine the interaction of the steroid with other relevant mols. or functional groups, via the formation of conjugates. The structure of I was proven by NMR, taking advantage of a newly developed method (HMSC).

IT **378795-33-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of a dexamethasone-21-maleimido-linked deriv.)

RN 378795-33-6 CAPLUS
CN Pregna-1,4-diene-3,20-dione, 21-[[[[6-[[(1,1-dimethylethoxy)carbonyl]amino]hexyl]amino]carbonyl]oxy]-9-fluoro-11,17-dihydroxy-16-methyl-, (11.beta.,16.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 8 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:592935 CAPLUS
DOCUMENT NUMBER: 135:331574
TITLE: A new bio-compatible pH cleavable linker for solid-phase synthesis of a squalamine analogue
AUTHOR(S): Chitkul, B.; Atrash, B.; Bradley, M.
CORPORATE SOURCE: Department of Chemistry, University of Southampton, Southampton, SO17 1BJ, UK
SOURCE: Tetrahedron Letters (2001), 42(35), 6211-6214
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:331574

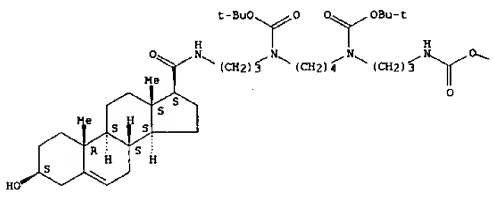
AB Linkers that can be cleaved directly within the biol. assay offer some advantages over traditional linkers in the range of direct screening applications that the assocd. libraries can be utilized for. The 1,6-elimination process is an efficient method of cleaving compds. from substituted 4-hydroxymethyl phenols, although giving rise to quinone methide byproducts. Here, we report on a linker that uses an in-built amine 'activator' to cleave a phenoxy ester and hence to activate the linker to 1,6-elimination. An analog of the antibacterial agent squalamine was synthesized and released using this linker strategy.

IT **369366-17-6DP**, resin-supported
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(solid-phase synthesis of a squalamine analog via a pH cleavable linker system)

RN 369366-17-6 CAPLUS
CN 2,6,11,15-Tetraazahexadecanoic acid, 6,11-bis[(1,1-dimethylethoxy)carbonyl]-16-[(3.beta.,17.beta.)-3-hydroxyandrost-5-en-17-yl]-16-oxo-, [4-(acetyloxy)-3-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]methyl ester (9CI) (CA INDEX NAME)

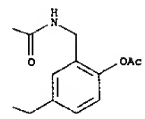
Absolute stereochemistry.

PAGE 1-A



L12 ANSWER 8 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 9 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:850022 CAPLUS
DOCUMENT NUMBER: 135:147811
TITLE: Yeast three-hybrid system for detecting ligand-receptor interactions
AUTHOR(S): Griffith, Eric C.; Licitra, Edward J.; Liu, Jun O.
CORPORATE SOURCE: Center for Cancer Research, Departments of Biology and Chemistry, Massachusetts Institute of Technology, Cambridge, MA, 02139, USA
SOURCE: Methods in Enzymology (2000), 328(Applications of Chimeric Genes and Hybrid Proteins, Pt. C), 89-103
CODEN: MENZAU; ISSN: 0076-6879
PUBLISHER: Academic Press
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

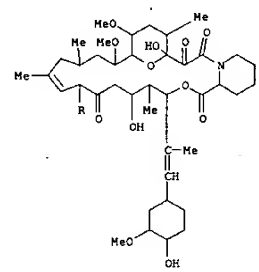
AB A review with 23 refs. Classical biochem. approaches for detecting ligand-protein receptor interactions have been used in drug discovery, identification of proteins that are drug targets, receptor discovery, structure-function studies, and studies of cellular processes and regulation. However, the classical biochem. approaches for studying ligand-protein interactions have some limitations, including a lack of information about interactions in vivo. The yeast three-hybrid system now provides a general and rapid method for detecting ligand-protein interactions in yeast cells. The three-hybrid system consists of two pairs of ligand-receptor interactions in which the first ligand-receptor pair is a known high-affinity interaction and the second pair contains one unknown component, either the ligand or the receptor. The ligands or "bait" are joined to make a hybrid mol. for identification of new receptors for an "orphan" ligand. The authors provide a practical guide to the yeast three-hybrid system by using the interaction between the immunosuppressive drug FK506 and its binding protein FKBP12 as an example. In this example, the known ligand-receptor pair is dexamethasone and glucocorticoid receptor and a dexamethasone-FK506 hybrid ligand must be synthesized and tested for feasibility. Other applications of the three-hybrid system are in identifying small mols. or peptides which block ligand-protein interactions and in optimizing a potential lead compd. (c) 2000 Academic Press.

IT **199191-60-1P**
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(use of yeast three-hybrid system to detect FK506-FKBP12 ligand-receptor interaction)

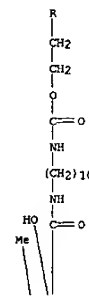
RN 199191-60-1 CAPLUS
CN Carbamic acid, [10-[[[(11.beta.,16.alpha.,17.alpha.)-9-fluoro-11,17-dihydroxy-16-methyl-3-oxoandrosta-1,4-dien-17-yl]carbonyl]amino]decyl]-, 2-[(3S,4R,5S,8R,9E,12S,14S,15R,16S,18R,19R,26aS)-1,4,5,6,7,8,11,12,13,14,15,16,17,18,19,20,21,23,24,25,26,26a-docosahydro-5,19-dihydroxy-3-[(1E)-2-[(1R,3R,4R)-4-hydroxy-3-methoxycyclohexyl]-1-methylethenyl]-14,16-dimethoxy-4,10,12,18-tetramethyl-1,7,20,21-tetraoxo-15,19-epoxy-3H-pyrido[2,1-c][1,4]oxaazacyclotricosin-8-yl]ethyl ester (9CI) (CA INDEX NAME)

L12 ANSWER 9 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

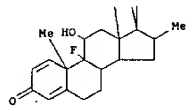


PAGE 2-A



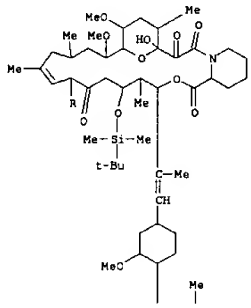
L12 ANSWER 9 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 3-A



IT **352690-34-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(use of yeast three-hybrid system to detect FK506-FKBP12 ligand-receptor interaction)
RN 352690-34-7 CAPLUS
CN Carbamic acid, [10-[[[(11.beta.,16.alpha.,17.alpha.)-9-fluoro-11,17-dihydroxy-16-methyl-3-oxoandrosta-1,4-dien-17-yl]carbonyl]amino]decyl]-, 2-[(3S,4S,5S,8R,9E,12S,14S,15R,16S,18R,19R,26aS)-5-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3-[(1E)-2-[(1R,3R,4R)-4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3-methoxycyclohexyl]-1-methylethenyl]-1,4,5,6,7,8,11,12,13,14,15,16,17,18,19,20,21,23,24,25,26,26a-docosahydro-19-hydroxy-14,16-dimethoxy-4,10,12,18-tetramethyl-1,7,20,21-tetraoxo-15,19-epoxy-3H-pyrido[2,1-c][1,4]oxaazacyclotricosin-8-yl]ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



L12 ANSWER 10 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:144896 CAPLUS
DOCUMENT NUMBER: 132:194550
TITLE: Preparation of conjugates of DNA interacting groups with steroid hormones for use as nucleic acid transfection agents
INVENTOR(S): Frey, Felix; Rusconi, Sandro; Frey, Brigitte; Wehrli, Hans-Ueli
PATENT ASSIGNEE(S): Switz.
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000011019	A1	20000302	WO 1998-IB1306	19980821
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9888183	A1	20000314	AU 1998-88183	19980821
CA 2338342	AA	20000302	CA 1998-2338342	19990819
WO 2000011018	A1	20000302	WO 1999-CH384	19990819
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9951463	A1	20000314	AU 1999-51463	19990819
EP 1105408	A1	20010613	EP 1999-936232	19990819
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002523420	T2	20020730	JP 2000-566290	19990819

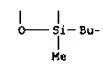
PRIORITY APPLN. INFO.: WO 1998-IB1306 A 19980821
WO 1999-CH384 W 19990819

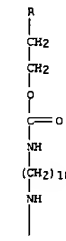
AB Linked steroid hormone and DNA-interacting mol. RXR1 (R = steroid moiety; R1 = DNA-interacting moiety; X = 2 - 30 atom linking group), which that target nucleic acids to the cell nucleus, were prepd. and formulated for use in gene therapy by introducing nucleic acids into the nucleus of cells. Thus, I was prepd. starting from cortisol, .beta.-alanine Me ester hydrochloride, and ethidium bromide. The prepd. compds. were tested using a nuclear transfer induction assay, as well as tested for soly. and stability in the presence of dispase and porteinase K.

IT **259815-99-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of conjugates of DNA interacting groups with steroid hormones for use as nucleic acid transfection agents)

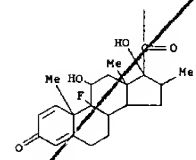
L12 ANSWER 9 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 2-A





PAGE 3-A

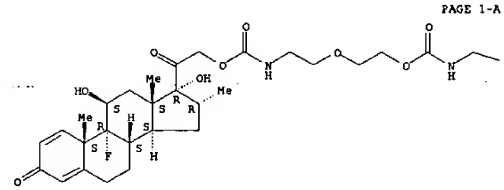


REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

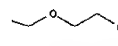
L12 ANSWER 10 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 259815-99-1 CAPLUS
CN Pregna-1,4-diene-3,20-dione, 9-fluoro-11,17-dihydroxy-21-[[15-hydroxy-1,9-dioxo-5,8,13-trioxa-2,10-diazapentadec-1-yl]oxy]-16-methyl-, (11.beta.,16.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



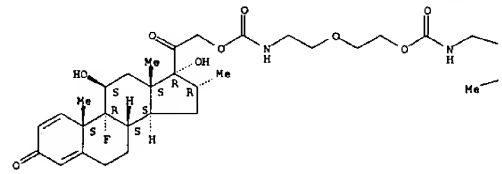
PAGE 1-B



IT **259815-94-6P 259815-97-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of conjugates of DNA interacting groups with steroid hormones for use as nucleic acid transfection agents)
RN 259815-94-6 CAPLUS
CN Pregna-1,4-diene-3,20-dione, 21-[[1,9-dioxo-11-(2,5,9-trimethyl-7-oxo-7H-furo[3,2-g][1]benzopyran-3-yl)-5,8-dioxa-2,10-diazaundec-1-yl]oxy]-9-fluoro-11,17-dihydroxy-16-methyl-, (11.beta.,16.alpha.)- (9CI) (CA INDEX NAME)

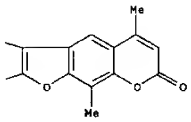
Absolute stereochemistry.

PAGE 1-A



L12 ANSWER 10 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

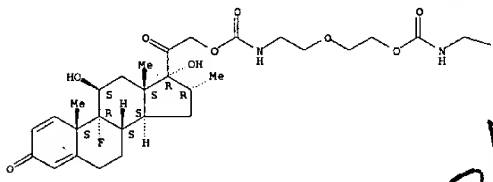
PAGE 1-B



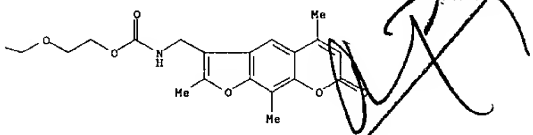
RN 259815-97-9 CAPLUS
CN Pregna-1,4-diene-3,20-dione, 9-fluoro-11,17-dihydroxy-16-methyl-21-[[1,9,17-trioxo-19-(2,5,9-trimethyl-7-oxo-7H-furo[3,2-g][1]benzopyran-3-yl)-5,8,13,16-tetraoxa-2,10,18-triazanonadec-1-yl]oxy]-, (11.beta.,16.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

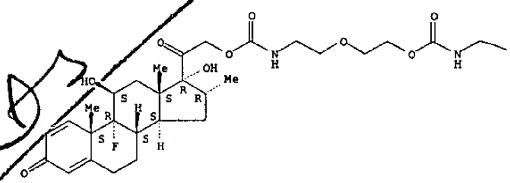


IT **259815-98-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of conjugates of DNA interacting groups with steroid hormones for use as nucleic acid transfection agents)

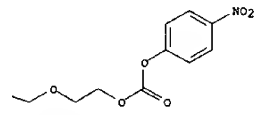
L12 ANSWER 10 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 259815-98-0 CAPLUS
CN Pregna-1,4-diene-3,20-dione, 9-fluoro-11,17-dihydroxy-16-methyl-21-[[17-(4-nitrophenoxy)-1,9,17-trioxo-5,8,13,16-tetraoxa-2,10-diazaheptadec-1-yl]oxy]-, (11.beta.,16.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 11 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:194025 CAPLUS
DOCUMENT NUMBER: 130:223592
TITLE: Preparation of reduced peptide derivatives for prevention and treatment of diabetic retinopathy
INVENTOR(S): Bodor, Nicholas Stephen; Grant, Maria Bartolomeo
PATENT ASSIGNEE(S): University of Florida, USA
SOURCE: PCT Int. Appl., 186 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

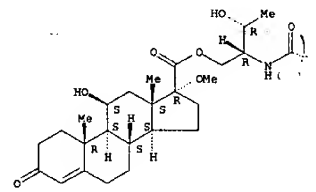
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9912572	A1	19990318	WO 1998-US17987	19980901
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9890400	A1	19990329	AU 1998-90400	19980901
US 6440933	B1	20020827	US 1998-144991	19980901
PRIORITY APPLN. INFO.:			US 1997-58423P P	19970910
			WO 1998-US17987 W	19980901

OTHER SOURCE(S): MARPAT 130:223592
AB The invention provides reduced peptide derivs. I [R1 = C1-7 alkyl, C1-7 haloalkyl, C712 aralkyl; R2, R3 = independently H, halo, CN, C1-7 alkyl, C1-7 alkoxy, C2-8 alkoxycarbonyl, C2-9 alkanoyloxy, C1-7 haloalkyl, C1-7 alkylthio, C1-7 alkylsulfinyl, C1-7 alkylsulfonyl, CH:NOR13, CONR11R12; R11, R12, R13 = independently H, C1-7 alkyl; one of R2 and R3 may form (un)substituted fused benzene ring; spacer = L-amino acid, dipeptide, tripeptide; peptide = peptide residue contg. 2-20 amino acid residues; R4 = NH2, OCpH2p-C6-30 polycycloalkyl, OCpH2p-C6-30 polycycloalkenyl, amino alc. residue; ; p = 0-3; with provisos] designed to deliver peptides having growth factor inhibitory activity, esp. somatostatin analogs, to the retina by sequential metab. The peptide derivs., which comprise a dihydropyridine .dblarw. pyridinium salt-type redox targetor moiety, a bulky lipophilic function and an amino acid/dipeptide/tripeptide spacer, are used in the prevention and treatment of diabetic retinopathy. Thus, Lys side chain protection of sandostatin, followed by peptide coupling with N-nicotinoylprolylproline, deprotection, amidation with cholesteryl chloroformate, and pyridine N-methylation gave pyridinium salt II (Threol = L-threoninol; chol = cholesteryl). Selective redn. of II with 1-benzyl-1,2-dihydroisonicotinamide gave the corresponding 1,4-dihydropyridine deriv. without reducing the disulfide bond.
IT **221133-56-8P 221133-74-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of reduced peptide derivs. for prevention and treatment of diabetic retinopathy)
RN 221133-56-8 CAPLUS
CN L-Cysteinamide, 1-[(1,4-dihydro-1-methyl-3-pyridinyl)carbonyl]-L-prolyl-L-prolyl-D-phenylalanyl-L-cysteinyl-L-phenylalanyl-D-tryptophyl-N6-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-L-threonyl-N-[(1R,2R)-2-hydroxy-1-[[[[(11.beta.,17.alpha.)-11-hydroxy-17-methoxy-3-oxoandrost-4-en-17-

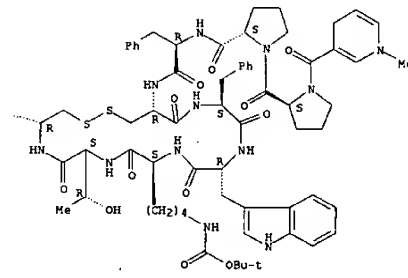
L12 ANSWER 11 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)
yl]carbonyl]oxy]methyl]propyl]-, cyclic (4.fwdarw.9)-disulfide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



RN 221133-74-0 CAPLUS
CN L-Cysteinamide, 1-[(1-methylpyridinium-3-yl)carbonyl]-L-prolyl-L-prolyl-D-phenylalanyl-L-cysteinyl-L-phenylalanyl-D-tryptophyl-N6-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-L-threonyl-N-[(1R,2R)-2-hydroxy-1-[[[[(11.beta.,17.alpha.)-11-hydroxy-17-methoxy-3-oxoandrost-4-en-17-yl]carbonyl]oxy]methyl]propyl]-, cyclic (4.fwdarw.9)-disulfide (9CI) (CA INDEX NAME)